Cannabidiol

Targets (26) Enzymes (29) Transporters (3) Biointeractions (26)

IDENTIFICATION

Name

Cannabidiol

Accession Number

DB09061

Type

Small Molecule

Groups

Approved, Investigational

Description

Cannabidiol, or CBD, is one of at least 85 active cannabinoids identified within the Cannabis plant. It is a major phytocannabinoid, accounting for up to 40% of the Cannabis plant's extract, that binds to a wide variety of physiological targets of the endocannabinoid system within the body. Although the exact medical implications are currently being investigated, CBD has shown promise as a therapeutic and pharmaceutical drug target. In particular, CBD has shown promise as an analgesic, anticonvulsant, muscle relaxant, anxiolytic, antipsychotic and has shown neuroprotective, anti-inflammatory, and antioxidant activity, among other currently investigated uses [6, 5]. CBD's exact place within medical practice is still currently hotly debated, however as the body of evidence grows and legislation changes to reflect its wide-spread use, public and medical opinion have changed significantly with regards to its usefulness in a number of medical conditions ranging from anxiety to epilepsy.

From a pharmacological perspective, Cannabis' (and CBD's) diverse receptor profile explains its potential application for such a wide variety of medical conditions. Cannabis contains more than 400 different chemical compounds, of which 61 are considered cannabinoids, a class of

regulates cognition, pain sensation, appetite, memory, sleep, immune function, and mood among many other bodily systems. These effects are largely mediated through two members of the G-protein coupled receptor family, cannabinoid receptors 1 and 2 (CB1 and CB2)[12, 8]. CB1 receptors are found in both the central and peripheral nervous systems, with the majority of receptors localized to the hippocampus and amygdala of the brain. Physiological effects of using cannabis make sense in the context of its receptor activity as the hippocampus and amygdala are primarily involved with regulation of memory, fear, and emotion. In contrast, CB2 receptors are mainly found peripherally in immune cells, lymphoid tissue, and peripheral nerve terminals [9].

Tetrahydrocannabinol (THC) and cannabidiol (CBD) are two types of cannabinoids found naturally in the resin of the marijuana plant, both of which interact with the cannabinoid receptors that are found throughout the body. Although THC and CBD have been the most studied cannabinoids, there are many others identified to date including cannabinol (CBN), cannabigerol (CBG), Cannabidivarin (CBDV), and Tetrahydrocannabivarin (THCV) that can be found within the medical cannabis [10]. While both CBD and THC are used for medicinal purposes, they have different receptor activity, function, and physiological effects. If not provided in their activated form (such as through synthetic forms of THC like Dronabinol or Nabilone), THC and CBD are obtained through conversion from their precursors, tetrahydrocannabinolic acid-A (THCA-A) and cannabidiolic acid (CBDA), through decarboxylation reactions. This can be achieved through heating, smoking, vaporization, or baking of dried unfertilized female cannabis flowers.

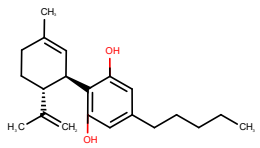
The primary psychoactive component of Cannabis, delta 9-tetrahydrocannabinol (Δ9-THC), demonstrates its effects through weak partial agonist activity at Cannabinoid-1 (CB1R) and Cannabinoid-2 (CB2R) receptors. This activity results in the well-known effects of smoking cannabis such as increased appetite, reduced pain, and changes in emotional and cognitive processes. In contrast to THC's weak agonist activity, CBD has been shown to act as a negative allosteric modulator of the cannabinoid CB1 receptor, the most abundant G-Protein Coupled Receptor (GPCR) in the body [5]. Allosteric regulation is achieved through the modulation of receptor activity on a functionally distinct site from the agonist or antagonist binding site which is clinically significant as direct agonists (such as THC) are limited by their psychomimetic effects such as changes to mood, memory, and anxiety[5].

In addition to the well-known activity on CB1 and CB2 receptors, there is further evidence that CBD also activates 5-HT1A/2A/3A serotonergic and TRPV1–2 vanilloid receptors, antagonizes alpha-1 adrenergic and μ-opioid receptors, inhibits synaptosomal uptake of noradrenaline, dopamine, serotonin and gamma-aminobutyric acid (GABA), and cellular uptake of anandamide, acts on mitochondria Ca2+ stores, blocks low-voltage-activated (T-type) Ca2+ channels, stimulates activity of the inhibitory glycine-receptor, and inhibits activity of fatty amide hydrolase (FAAH) [1, 2].

adjunctive treatment for the symptomatic relief of neuropathic pain in adult patients with multiple sclerosis and as adjunctive analgesic treatment for moderate to severe pain in adult patients with advanced cancer [15].

In April 2018, a Food and Drug Administration advisory panel unanimously recommended approval of Epidiolex (cannabidiol oral solution) for the treatment of two rare forms of epilepsy - Lennox-Gastaut syndrome and Dravet syndrome, which are among the two most difficult types of epilepsy to treat [18, 16]. Epidiolex was granted Orphan Drug designation as well as Fast Track Approval from the FDA for further study in these hard to treat conditions. Notably, phase 3 clinical trials of Epidiolex have demonstrated clinically significant improvement in Lennox-Gastaut syndrome and Dravet syndrome [17]. On June 25th, 2018, Epidiolex was approved by the FDA to be the first CBD-based product available on the US market.

Structure



3D | Similar Structures

Synonyms

(−)-trans-2-p-mentha-1,8-dien-3-yl-5-pentylresorcinol

(−)-trans-cannabidiol

(1'R,2'R)-5'-methyl-4-pentyl-2'-(prop-1-en-2-yl)-1',2',3',4'-tetrahydrobiphenyl-2,6-diol

CBD

Δ1(2)-trans-cannabidiol

External IDs

GWP-42003 / GWP-42003-P / GWP42003 / GWP42003-P

Mixture Products

Search

	Dronabinol (27 mg)							

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Categories

Antiemetics Antagonists

BCRP/ABCG2 Inhibitors

Cannabinoids and similars

Serotonin 5-HT1 Receptor Agonists

Serotonin 5-HT2 Receptor Agonists

Serotonin Receptor Agonists

Terpenes

UNII

19GBJ60SN5

CAS number

13956-29-1

Weight

Average: 314.469
Monoisotopic: 314.224580206

Chemical Formula

$C_{21}H_{30}O_2$

InChI Key

QHMBSVQNZZTUGM-ZWKOTPCHSA-N

InChI

SMILES

CCCCCC1=CC(O)=C([C@@H]2C=C(C)CC[C@H]2C(C)=C)C(O)=C1

PHARMACOLOGY

Indication

When used in combination with delta-9-tetrahydrocannabinol as the product Sativex, cannabidiol was given a standard marketing authorization (ie. a Notice of Compliance (NOC)) by Health Canada for the following indications: 1) as adjunctive treatment for symptomatic relief of spasticity in adult patients with multiple sclerosis (MS) who have not responded adequately to other therapy and who demonstrate meaningful improvement during an initial trial of therapy [15];

Due to the need for confirmatory studies to verify the clinical benefit coupled with the promising nature of the clinical evidence, Sativex was also given a Notice of Compliance with Conditions (NOC/c) by Health Canada for the following indications: 1) as adjunctive treatment for the symptomatic relief of neuropathic pain in adult patients with multiple sclerosis; 2) as adjunctive analgesic treatment in adult patients with advanced cancer who experience moderate to severe pain during the highest tolerated dose of strong opioid therapy for persistent background pain [15].

Associated Conditions

Disseminated Sclerosis

Severe Pain

Moderate Pain

Pharmacodynamics

Although the exact mechanism and magnitude of effects of THC and CBD are not fully understood, CBD has been shown to have analgesic, anticonvulsant, muscle relaxant, anxiolytic, neuroprotective, anti-oxidant, and anti-psychotic activity. This wide variety of effects is likely due to it's complex pharmacological mechanisms. In addition to binding to CB1 and CB2 receptors of the endocannabinoid system, there is evidence that CBD activates 5-HT1A serotonergic and TRPV1–2 vanilloid receptors, antagonizes alpha-1 adrenergic and μ-opioid receptors, inhibits

synaptosomal uptake of noradrenaline, dopamine, serotonin and gaminobutyric acid and cellular uptake of anandamide, acts on mitochondria Ca2 stores, blocks low-voltage-activated (T-type) Ca2

The exact mechanism of action of CBD and THC is not currently fully understood. However, it is known that CBD acts on cannabinoid (CB) receptors of the endocannabinoid system, which are found in numerous areas of the body, including the peripheral and central nervous systems, including the brain. The endocannabinoid system regulates many physiological responses of the body including pain, memory, appetite, and mood. More specifically, CB1 receptors can be found within the pain pathways of the brain and spinal cord where they may affect CBD-induced analgesia and anxiolysis, and CB2 receptors have an effect on immune cells, where they may affect CBD-induced anti-inflammatory processes.

CBD has been shown to act as a negative allosteric modulator of the cannabinoid CB1 receptor, the most abundant G-Protein Coupled Receptor (GPCR) in the body [5]. Allosteric regulation of a receptor is achieved through the modulation of the activity of a receptor on a functionally distinct site from the agonist or antagonist binding site. The negative allosteric modulatory effects of CBD are therapeutically important as direct agonists are limited by their psychomimetic effects while direct antagonists are limited by their depressant effects [5].

(A) Cannabinoid receptor 1	antagonist modulator	Human
(U) Cannabinoid receptor 2	antagonist	Human
(U) G-protein coupled receptor 12	inverse agonist	Human
(U) Glycine receptor subunit alpha-1	Not Available	Human
(U) Glycine receptor (alpha-1/beta)	allosteric modulator	Human
(U) Glycine receptor subunit alpha-3		

	Not Available		
	Human		
U	G-protein coupled receptor 55		
	antagonist		
	Human		
U	5-hydroxytryptamine receptor 1A		
	agonist		
	Human		
U	5-hydroxytryptamine receptor 2A		
	agonist		
	Human		
U	Neuronal acetylcholine receptor subunit alpha-7		
	Not Available		
	Human		
U	Delta-type opioid receptor		
	Not Available		
	Human		
U	Mu-type opioid receptor		
	Not Available		
	Human		
U	Peroxisome proliferator-activated receptor gamma		
	activator		
	Human		
U	Transient receptor potential cation channel subfamily V member 1		
	activator		
	Human		
U	Voltage-dependent T-type calcium channel subunit alpha-1G		

Not Available

Human

U Voltage-dependent T-type calcium channel subunit alpha-1I

Not Available

Human

U Transient receptor potential cation channel subfamily A member 1

agonist

Human

U Transient receptor potential cation channel subfamily M member 8

Not Available

Human

U Transient receptor potential cation channel subfamily V member 2

activator

Human

U Transient receptor potential cation channel subfamily V member 3

activator

Human

U Transient receptor potential cation channel subfamily V member 4

activator

Human

U Voltage-dependent anion-selective channel protein 1

Not Available

Human

U 5-hydroxytryptamine receptor 3A

antagonist

Human

U Adenosine receptor A1

Absorption

Following a single buccal administration, maximum plasma concentrations of both CBD and THC typically occur within two to four hours. When administered buccally, blood levels of THC and other cannabinoids are lower compared with inhalation of smoked cannabis. The resultant concentrations in the blood are lower than those obtained by inhaling the same dose because absorption is slower, redistribution into fatty tissues is rapid and additionally some of the THC undergoes hepatic first pass metabolism to 11-OH-THC, a psycho-active metabolite.

The CBD component of sublingual Sativex was found to have a Tmax of 1.63hr and a Cmax of 2.50ng/mL, while buccal Sativex was found to have a Tmax of 2.80hr and a Cmax of 3.02ng/mL.

Volume of distribution

Cannabinoids are distributed throughout the body; they are highly lipid soluble and accumulate in fatty tissue. The release of cannabinoids from fatty tissue is responsible for the prolonged terminal elimination half-life.

Protein binding

Not Available

Metabolism

THC and CBD are metabolized in the liver by a number of cytochrome P450 isoenzymes, including CYP2C9, CYP2C19, CYP2D6 and CYP3A4. They may be stored for as long as four weeks in the fatty tissues from which they are slowly released at sub-therapeutic levels back into the blood stream and metabolized via the renal and biliary systems. The main primary metabolite of CBD is 7-hydroxy-cannabidiol.

Route of elimination

Elimination from plasma is bi-exponential with an initial half-life of one to two hours. The terminal elimination half-lives are of the order of 24 to 36 hours or longer. Sativex is excreted in the urine and faeces.

Half life

The CBD component of sublingual Sativex was found to have a half life (t1/2) of 1.44hr, while buccal Sativex was found to have a half life (t1/2) of 1.81hr.

Toxicity

Not Available

Affected organisms

Not Available

Pathways

Not Available

Pharmacogenomic Effects/ADRs

Not Available

INTERACTIONS

Drug Interactions

Search

DRUG	INTERACTION	DRUG GROUP
Abiraterone	The metabolism of Cannabidiol can be decreased when combined with Abiraterone.	Approved
Acetyl sulfisoxazole	The metabolism of Cannabidiol can be decreased when combined with Acetyl sulfisoxazole.	Approved, Vet Approved
Amiodarone	The metabolism of Cannabidiol can be decreased when combined with Amiodarone.	Approved, Investigational
Apalutamide	The serum concentration of Cannabidiol can be decreased when it is combined with Apalutamide.	Approved, Investigational
Aprepitant	The serum concentration of Cannabidiol can be increased when it is combined with Aprepitant.	Approved, Investigational
Armodafinil	The metabolism of Cannabidiol can be decreased when combined with Armodafinil.	Approved, Investigational
Atazanavir	The metabolism of Cannabidiol can be decreased when combined with Atazanavir.	Approved, Investigational

	combined with Boceprevir.	
Bortezomib	The metabolism of Cannabidiol can be decreased when combined with Bortezomib.	Approved, Investigational

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Food Interactions

Not Available

REFERENCES

General References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]
2. Zhornitsky S, Potvin S: Cannabidiol in humans-the quest for therapeutic targets. Pharmaceuticals (Basel). 2012 May 21;5(5):529-52. doi: 10.3390/ph5050529. [PubMed:24281562]
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5. Laprairie RB, Bagher AM, Kelly ME, Denovan-Wright EM: Cannabidiol is a negative allosteric modulator of the cannabinoid CB1 receptor. Br J Pharmacol. 2015 Oct;172(20):4790-805. doi: 10.1111/bph.13250. Epub 2015 Oct 13. [PubMed:26218440]
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7. MacCallum CA, Russo EB: Practical considerations in medical cannabis administration and dosing. Eur J Intern Med. 2018 Mar;49:12-19. doi: 10.1016/j.ejim.2018.01.004. Epub 2018 Jan 4. [PubMed:29307505]
8. Baron EP: Comprehensive Review of Medicinal Marijuana, Cannabinoids, and Therapeutic Implications in Medicine and Headache: What a Long Strange Trip It's Been .... Headache. 2015 Jun;55(6):885-916. doi: 10.1111/head.12570. Epub 2015 May 25. [PubMed:26015168]
9. Kaur R, Ambwani SR, Singh S: Endocannabinoid System: A Multi-Facet Therapeutic Target. Curr Clin Pharmacol. 2016;11(2):110-7. [PubMed:27086601]
10. Elsohly MA, Slade D: Chemical constituents of marijuana: the complex mixture of natural cannabinoids. Life Sci. 2005 Dec 22;78(5):539-48. doi: 10.1016/j.lfs.2005.09.011. Epub 2005 Sep 30. [PubMed:16199061]
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15. Health Canada Product Label [Link]
16. New York Times: F.D.A. Panel Recommends Approval of Cannabis-Based Drug for Epilepsy (April 2018) [Link]
17. GW Pharmaceuticals Announces Positive Phase 3 Pivotal Study Results for Epidiolex (cannabidiol) [Link]
18. FDA Briefing Document - Peripheral and Central Nervous System Drugs Advisory Committee Meeting (April 19, 2018) [Link]

External Links

KEGG Compound

C07578

PubChem Compound

644019

PubChem Substance

347827820

ChemSpider

559095

BindingDB

50121429

ChEBI

69478

ChEMBL

CHEMBL190461

Wikipedia

Cannabidiol

CLINICAL TRIALS

Clinical Trials

0	Not Yet Recruiting	Basic Science	Cannabis / Retinal Degenerations / Retinitis Pigmentosa (RP)	1
0	Recruiting	Treatment	Chronic Pain, Widespread	1
1	Active Not Recruiting	Basic Science	Healthy Volunteers	1
1	Active Not Recruiting	Treatment	Epilepsies	1
1	Active Not Recruiting	Treatment	Epilepsies / Seizures	1
1	Active Not Recruiting	Treatment	Fumarate Hydratase (FH)-Deficient Tumors / Lung Cancer Non-Small Cell Cancer (NSCLC) / Mesothelioma / Renal Cell Adenocarcinoma / Succinate Dehydrogenase (SDH)-Deficient Gastrointestinal Stromal Tumors (GIST) / Succinate Dehydrogenase (SDH)-Deficient Non-gastrointestinal Stromal Tumors / Triple-Negative Breast Cancer (TNBC) / Tumors Harboring Amplifications in the cMyc Gene / Tumors Harboring Isocitrate Dehydrogenase-1 (IDH1) and IDH2 Mutations / Tumors, Solid	1
1	Completed	Basic Science	Effects of Sativex on ECG	1
1	Completed	Basic Science	Evaluation of Abuse Potential of Sativex	1
1	Completed	Basic Science	Evaluation of Pharmacokinetics of Sativex in the Absence and Presence of a CYP2C19 Inhibitor / Evaluation of Pharmacokinetics of Sativex in the Absence and Presence of a Known Inducer of CYP3A4 / Evaluation of Pharmacokinetics of Sativex in the Absence and Presence of a Potent Inhibitor of CYP3A4	1
1	Completed	Basic Science	Food Effect	1

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PHARMACOECONOMICS

Manufacturers

Dosage forms

Search

FORM	ROUTE	STRENGTH
Spray	Buccal	

Showing 1 to 1 of 1 entries

Prices

Not Available

Patents

Not Available

PROPERTIES

State

Solid

Experimental Properties

Not Available

Predicted Properties

Water Solubility	
0.0126 mg/mL	
ALOGPS	
logP	
6.1	
ALOGPS	

logS	
-4.4	
ALOGPS	
pKa (Strongest Acidic)	
9.13	
ChemAxon	
pKa (Strongest Basic)	
-5.7	
ChemAxon	
Physiological Charge	
0	
ChemAxon	
Hydrogen Acceptor Count	
2	
ChemAxon	
Hydrogen Donor Count	
2	
ChemAxon	
Polar Surface Area	
40.46 $Å^2$	
ChemAxon	
Rotatable Bond Count	
6	
ChemAxon	
Refractivity	
98.53 $m^3 \cdot mol^{-1}$	
ChemAxon	

Number of Rings	
2	
ChemAxon	
Bioavailability	
1	
ChemAxon	
Rule of Five	
No	
ChemAxon	
Ghose Filter	
No	
ChemAxon	
Veber's Rule	
No	
ChemAxon	
MDDR-like Rule	
No	
ChemAxon	

Predicted ADMET features

Not Available

SPECTRA

Mass Spec (NIST)

Not Available

Spectra

Predicted MS/MS Spectrum - 10V, Positive (Annotated)
Predicted MS/MS Spectrum - 20V, Positive (Annotated)

Predicted MS/MS Spectrum - 40V, Negative (Annotated)

TAXONOMY

Description

This compound belongs to the class of organic compounds known as aromatic monoterpenoids. These are monoterpenoids containing at least one aromatic ring.

Kingdom

Organic compounds

Super Class

Lipids and lipid-like molecules

Class

Prenol lipids

Sub Class

Monoterpenoids

Direct Parent

Aromatic monoterpenoids

Alternative Parents

Monocyclic monoterpenoids / Menthane monoterpenoids / Resorcinols / 1-hydroxy-4-unsubstituted benzenoids / 1-hydroxy-2-unsubstituted benzenoids / Benzene and substituted derivatives / Organooxygen compounds / Hydrocarbon derivatives

Substituents

P-menthane monoterpenoid / Monocyclic monoterpenoid / Aromatic monoterpenoid / Resorcinol / 1-hydroxy-4-unsubstituted benzenoid / 1-hydroxy-2-unsubstituted benzenoid / Phenol / Benzenoid / Monocyclic benzene moiety / Organic oxygen compound

Molecular Framework

Aromatic homomonocyclic compounds

TARGETS

1. Cannabinoid receptor 1

Kind

Protein

Organism

Human

Pharmacological action

Yes

Actions

Antagonist Modulator

Curator comments

Cannabidiol is a negative allosteric modulator of the CB1 receptor.

General Function

Drug binding

Specific Function

Involved in cannabinoid-induced CNS effects. Acts by inhibiting adenylate cyclase. Could be a receptor for anandamide. Inhibits L-type Ca(2+) channel current. Isoform 2 and isoform 3 have altered l...

Gene Name

CNR1

Uniprot ID

P21554

Uniprot Name

Cannabinoid receptor 1

Molecular Weight

modulator of the cannabinoid CB1 receptor. Br J Pharmacol. 2015 Oct;172(20):4790-805. doi: 10.1111/bph.13250. Epub 2015 Oct 13. [PubMed:26218440]

2. Cannabinoid receptor 2

Kind

Protein

Organism

Human

Pharmacological action

Unknown

Actions

Antagonist

General Function

Cannabinoid receptor activity

Specific Function

Heterotrimeric G protein-coupled receptor for endocannabinoid 2-arachidonoylglycerol mediating inhibition of adenylate cyclase. May function in inflammatory response, nociceptive transmission and b...

Gene Name

CNR2

Uniprot ID

P34972

Uniprot Name

Cannabinoid receptor 2

Molecular Weight

39680.275 Da

Protein

Organism

Human

Pharmacological action

Unknown

Actions

Inverse agonist

General Function

Promotes neurite outgrowth and blocks myelin inhibition in neurons (By similarity). Receptor with constitutive G(s) signaling activity that stimulates cyclic AMP production.

Specific Function

G-protein coupled receptor activity

Gene Name

GPR12

Uniprot ID

P47775

Uniprot Name

G-protein coupled receptor 12

Molecular Weight

36729.785 Da

References

1. Brown KJ, Laun AS, Song ZH: Cannabidiol, a novel inverse agonist for GPR12. Biochem Biophys Res Commun. 2017 Nov 4;493(1):451-454. doi: 10.1016/j.bbrc.2017.09.001. Epub 2017 Sep 6. [PubMed:28888984]

4. Glycine receptor subunit alpha-1

Kind

Pharmacological action

Unknown

General Function

Transmitter-gated ion channel activity

Specific Function

The glycine receptor is a neurotransmitter-gated ion channel. Binding of glycine to its receptor increases the chloride conductance and thus produces hyperpolarization (inhibition of neuronal firing).

Gene Name

GLRA1

Uniprot ID

P23415

Uniprot Name

Glycine receptor subunit alpha-1

Molecular Weight

52623.35 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

5. Glycine receptor (alpha-1/beta) (Protein Group)

Kind

Protein group

Organism

Human

Allosteric modulator

General Function

Transmitter-gated ion channel activity

Specific Function

The glycine receptor is a neurotransmitter-gated ion channel. Binding of glycine to its receptor increases the chloride conductance and thus produces hyperpolarization (inhibition of neuronal firing).

Components:

- Glycine receptor subunit alpha-1
- Glycine receptor subunit beta

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]
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6. Glycine receptor subunit alpha-3

Kind

Protein

Organism

Human

Pharmacological action

Unknown

Actions

Potentiator

The glycine receptor is a neurotransmitter-gated ion channel. Binding of glycine to its receptor increases the chloride conductance and thus produces hyperpolarization (inhibition of neuronal firing).

Gene Name

GLRA3

Uniprot ID

O75311

Uniprot Name

Glycine receptor subunit alpha-3

Molecular Weight

53799.775 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]
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7. N-arachidonyl glycine receptor

Kind

Protein

Organism

Human

Pharmacological action

Unknown

General Function

G-protein coupled receptor activity

Gene Name

GPR18

Uniprot ID

Q14330

Uniprot Name

N-arachidonyl glycine receptor

Molecular Weight

38133.27 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

8. G-protein coupled receptor 55

Kind

Protein

Organism

Human

Pharmacological action

Unknown

Actions

Antagonist

General Function

G-protein coupled receptor activity

Specific Function

May be involved in hyperalgesia associated with inflammatory and neuropathic pain (By similarity). Receptor for L-alpha-lysophosphatidylinositol (LPI). LPI induces Ca(2+) release

Uniprot ID

Q9Y2T6

Uniprot Name

G-protein coupled receptor 55

Molecular Weight

36637.12 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]
2. Ryberg E, Larsson N, Sjogren S, Hjorth S, Hermansson NO, Leonova J, Elebring T, Nilsson K, Drmota T, Greasley PJ: The orphan receptor GPR55 is a novel cannabinoid receptor. Br J Pharmacol. 2007 Dec;152(7):1092-101. doi: 10.1038/sj.bjp.0707460. Epub 2007 Sep 17. [PubMed:17876302]

9. 5-hydroxytryptamine receptor 1A

Kind

Protein

Organism

Human

Pharmacological action

Unknown

Actions

Agonist

General Function

Serotonin receptor activity

Specific Function

G-protein coupled receptor for 5-hydroxytryptamine (serotonin). Also functions as a receptor for various drugs and psychoactive substances. Ligand binding causes a

Uniprot ID

P08908

Uniprot Name

5-hydroxytryptamine receptor 1A

Molecular Weight

46106.335 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]
2. Russo EB, Burnett A, Hall B, Parker KK: Agonistic properties of cannabidiol at 5-HT1a receptors. Neurochem Res. 2005 Aug;30(8):1037-43. doi: 10.1007/s11064-005-6978-1. [PubMed:16258853]

10. 5-hydroxytryptamine receptor 2A

Kind

Protein

Organism

Human

Pharmacological action

Unknown

Actions

Agonist

General Function

Virus receptor activity

Specific Function

G-protein coupled receptor for 5-hydroxytryptamine (serotonin). Also functions as a receptor for various drugs and psychoactive substances, including mescaline, psilocybin, 1-(2,5-dimethoxy-4-iodop...

P28223

Uniprot Name

5-hydroxytryptamine receptor 2A

Molecular Weight

52602.58 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]
2. Morales P, Reggio PH, Jagerovic N: An Overview on Medicinal Chemistry of Synthetic and Natural Derivatives of Cannabidiol. Front Pharmacol. 2017 Jun 28;8:422. doi: 10.3389/fphar.2017.00422. eCollection 2017. [PubMed:28701957]

11. Neuronal acetylcholine receptor subunit alpha-7

Kind

Protein

Organism

Human

Pharmacological action

Unknown

General Function

Toxic substance binding

Specific Function

After binding acetylcholine, the AChR responds by an extensive change in conformation that affects all subunits and leads to opening of an ion-conducting channel across the plasma membrane. The cha...

Gene Name

CHRNA7

Neuronal acetylcholine receptor subunit alpha-7

Molecular Weight

56448.925 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

12. Delta-type opioid receptor

Kind

Protein

Organism

Human

Pharmacological action

Unknown

General Function

Opioid receptor activity

Specific Function

G-protein coupled receptor that functions as receptor for endogenous enkephalins and for a subset of other opioids. Ligand binding causes a conformation change that triggers signaling via guanine n...

Gene Name

OPRD1

Uniprot ID

P41143

Uniprot Name

Delta-type opioid receptor

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

13. Mu-type opioid receptor

Kind

Protein

Organism

Human

Pharmacological action

Unknown

General Function

Voltage-gated calcium channel activity

Specific Function

Receptor for endogenous opioids such as beta-endorphin and endomorphin. Receptor for natural and synthetic opioids including morphine, heroin, DAMGO, fentanyl, etorphine, buprenorphin and methadone...

Gene Name

OPRM1

Uniprot ID

P35372

Uniprot Name

Mu-type opioid receptor

Molecular Weight

44778.855 Da

References

14. Peroxisome proliferator-activated receptor gamma

Kind

Protein

Organism

Human

Pharmacological action

Unknown

Actions

Activator

General Function

Zinc ion binding

Specific Function

Nuclear receptor that binds peroxisome proliferators such as hypolipidemic drugs and fatty acids. Once activated by a ligand, the nuclear receptor binds to DNA specific PPAR response elements (PPRE...

Gene Name

PPARG

Uniprot ID

P37231

Uniprot Name

Peroxisome proliferator-activated receptor gamma

Molecular Weight

57619.58 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3.

15. Transient receptor potential cation channel subfamily V member 1

Kind

Protein

Organism

Human

Pharmacological action

Unknown

Actions

Activator

General Function

Transmembrane signaling receptor activity

Specific Function

Ligand-activated non-selective calcium permeant cation channel involved in detection of noxious chemical and thermal stimuli. Seems to mediate proton influx and may be involved in intracellular aci...

Gene Name

TRPV1

Uniprot ID

Q8NER1

Uniprot Name

Transient receptor potential cation channel subfamily V member 1

Molecular Weight

94955.33 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3.

3. Iannotti FA, Hill CL, Leo A, Alhusaini A, Soubrane C, Mazzarella E, Russo E, Whalley BJ, Di Marzo V, Stephens GJ: Nonpsychotropic plant cannabinoids, cannabidivarin (CBDV) and cannabidiol (CBD), activate and desensitize transient receptor potential vanilloid 1 (TRPV1) channels in vitro: potential for the treatment of neuronal hyperexcitability. ACS Chem Neurosci. 2014 Nov 19;5(11):1131-41. doi: 10.1021/cn5000524. Epub 2014 Jul 29. [PubMed:25029033]
4. De Petrocellis L, Ligresti A, Moriello AS, Allara M, Bisogno T, Petrosino S, Stott CG, Di Marzo V: Effects of cannabinoids and cannabinoid-enriched Cannabis extracts on TRP channels and endocannabinoid metabolic enzymes. Br J Pharmacol. 2011 Aug;163(7):1479-94. doi: 10.1111/j.1476-5381.2010.01166.x. [PubMed:21175579]

16. Voltage-dependent T-type calcium channel subunit alpha-1G

Kind

Protein

Organism

Human

Pharmacological action

Unknown

General Function

Scaffold protein binding

Specific Function

Voltage-sensitive calcium channels (VSCC) mediate the entry of calcium ions into excitable cells and are also involved in a variety of calcium-dependent processes, including muscle contraction, hor...

Gene Name

CACNA1G

Uniprot ID

O43497

Uniprot Name

Voltage-dependent T-type calcium channel subunit alpha-1G

Molecular Weight

Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

17. Voltage-dependent T-type calcium channel subunit alpha-1H

Kind

Protein

Organism

Human

Pharmacological action

Unknown

General Function

Scaffold protein binding

Specific Function

Voltage-sensitive calcium channels (VSCC) mediate the entry of calcium ions into excitable cells and are also involved in a variety of calcium-dependent processes, including muscle contraction, hor...

Gene Name

CACNA1H

Uniprot ID

O95180

Uniprot Name

Voltage-dependent T-type calcium channel subunit alpha-1H

Molecular Weight

259160.2 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3.

18. Voltage-dependent T-type calcium channel subunit alpha-1I

Kind

Protein

Organism

Human

Pharmacological action

Unknown

General Function

Voltage-gated calcium channel activity

Specific Function

Voltage-sensitive calcium channels (VSCC) mediate the entry of calcium ions into excitable cells and are also involved in a variety of calcium-dependent processes, including muscle contraction, hor...

Gene Name

CACNA1I

Uniprot ID

Q9P0X4

Uniprot Name

Voltage-dependent T-type calcium channel subunit alpha-1I

Molecular Weight

245100.8 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

19. Transient receptor potential cation channel subfamily A member 1

Human

Pharmacological action

Unknown

Actions

Agonist

General Function

Temperature-gated cation channel activity

Specific Function

Receptor-activated non-selective cation channel involved in detection of pain and possibly also in cold perception and inner ear function (PubMed:25389312, PubMed:25855297). Has a central role in t...

Gene Name

TRPA1

Uniprot ID

O75762

Uniprot Name

Transient receptor potential cation channel subfamily A member 1

Molecular Weight

127499.88 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]
2. De Petrocellis L, Ligresti A, Moriello AS, Allara M, Bisogno T, Petrosino S, Stott CG, Di Marzo V: Effects of cannabinoids and cannabinoid-enriched Cannabis extracts on TRP channels and endocannabinoid metabolic enzymes. Br J Pharmacol. 2011 Aug;163(7):1479-94. doi: 10.1111/j.1476-5381.2010.01166.x. [PubMed:21175579]

20. Transient receptor potential cation channel subfamily M member 8

Human

Pharmacological action

Unknown

General Function

Calcium channel activity

Specific Function

Receptor-activated non-selective cation channel involved in detection of sensations such as coolness, by being activated by cold temperature below 25 degrees Celsius. Activated by icilin, eucalypto...

Gene Name

TRPM8

Uniprot ID

Q7Z2W7

Uniprot Name

Transient receptor potential cation channel subfamily M member 8

Molecular Weight

127684.035 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

21. Transient receptor potential cation channel subfamily V member 2

Kind

Protein

Organism

Human

Activator

General Function

Calcium-permeable, non-selective cation channel with an outward rectification. Seems to be regulated, at least in part, by IGF-I, PDGF and neuropeptide head activator. May transduce physical stimuli in mast cells. Activated by temperatures higher than 52 degrees Celsius; is not activated by vanilloids and acidic pH.

Specific Function

Calcium channel activity

Gene Name

TRPV2

Uniprot ID

Q9Y5S1

Uniprot Name

Transient receptor potential cation channel subfamily V member 2

Molecular Weight

85980.335 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]
2. De Petrocellis L, Ligresti A, Moriello AS, Allara M, Bisogno T, Petrosino S, Stott CG, Di Marzo V: Effects of cannabinoids and cannabinoid-enriched Cannabis extracts on TRP channels and endocannabinoid metabolic enzymes. Br J Pharmacol. 2011 Aug;163(7):1479-94. doi: 10.1111/j.1476-5381.2010.01166.x. [PubMed:21175579]

22. Transient receptor potential cation channel subfamily V member 3

Kind

Protein

Unknown

Actions

Activator

General Function

Calcium channel activity

Specific Function

Putative receptor-activated non-selective calcium permeant cation channel. It is activated by innocuous (warm) temperatures and shows an increased response at noxious temperatures greater than 39 d...

Gene Name

TRPV3

Uniprot ID

Q8NET8

Uniprot Name

Transient receptor potential cation channel subfamily V member 3

Molecular Weight

90635.115 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]
2. De Petrocellis L, Orlando P, Moriello AS, Aviello G, Stott C, Izzo AA, Di Marzo V: Cannabinoid actions at TRPV channels: effects on TRPV3 and TRPV4 and their potential relevance to gastrointestinal inflammation. Acta Physiol (Oxf). 2012 Feb;204(2):255-66. doi: 10.1111/j.1748-1716.2011.02338.x. Epub 2011 Aug 12. [PubMed:21726418]

23. Transient receptor potential cation channel subfamily V member 4

Kind

Protein

Unknown

Actions

Activator

General Function

Non-selective calcium permeant cation channel involved in osmotic sensitivity and mechanosensitivity. Activation by exposure to hypotonicity within the physiological range exhibits an outward rectification (PubMed:18826956, PubMed:18695040). Also activated by heat, low pH, citrate and phorbol esters (PubMed:18826956, PubMed:18695040). Increase of intracellular Ca(2+) potentiates currents. Channel activity seems to be regulated by a calmodulin-dependent mechanism with a negative feedback mechanism (PubMed:12724311, PubMed:18826956). Promotes cell-cell junction formation in skin keratinocytes and plays an important role in the formation and/or maintenance of functional intercellular barriers (By similarity). Acts as a regulator of intracellular Ca(2+) in synoviocytes (PubMed:19759329). Plays an obligatory role as a molecular component in the nonselective cation channel activation induced by 4-alpha-phorbol 12,13-didecanoate and hypotonic stimulation in synoviocytes and also regulates production of IL-8 (PubMed:19759329). Together with PKD2, forms mechano- and thermosensitive channels in cilium (PubMed:18695040). Negatively regulates expression of PPARGC1A, UCP1, oxidative metabolism and respiration in adipocytes (By similarity). Regulates expression of chemokines and cytokines related to proinflammatory pathway in adipocytes (By similarity). Together with AQP5, controls regulatory volume decrease in salivary epithelial cells (By similarity). Required for normal development and maintenance of bone and cartilage (PubMed:26249260).

Specific Function

Actin binding

Gene Name

TRPV4

Uniprot ID

Q9HBA0

Uniprot Name

Transient receptor potential cation channel subfamily V member 4

Molecular Weight

98280.2 Da

References

24. Voltage-dependent anion-selective channel protein 1

Kind

Protein

Organism

Human

Pharmacological action

Unknown

General Function

Voltage-gated anion channel activity

Specific Function

Forms a channel through the mitochondrial outer membrane and also the plasma membrane. The channel at the outer mitochondrial membrane allows diffusion of small hydrophilic molecules; in the plasma...

Gene Name

VDAC1

Uniprot ID

P21796

Uniprot Name

Voltage-dependent anion-selective channel protein 1

Molecular Weight

30772.39 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

Protein

Organism

Human

Pharmacological action

Unknown

Actions

Antagonist

General Function

Voltage-gated potassium channel activity

Specific Function

This is one of the several different receptors for 5-hydroxytryptamine (serotonin), a biogenic hormone that functions as a neurotransmitter, a hormone, and a mitogen. This receptor is a ligand-gate...

Gene Name

HTR3A

Uniprot ID

P46098

Uniprot Name

5-hydroxytryptamine receptor 3A

Molecular Weight

55279.835 Da

References

1. Yang KH, Galadari S, Isaev D, Petroianu G, Shippenberg TS, Oz M: The nonpsychoactive cannabinoid cannabidiol inhibits 5-hydroxytryptamine3A receptor-mediated currents in Xenopus laevis oocytes. J Pharmacol Exp Ther. 2010 May;333(2):547-54. doi: 10.1124/jpet.109.162594. Epub 2010 Feb 16. [PubMed:20160007]

26. Adenosine receptor A1

Human

Pharmacological action

Unknown

Actions

Activator

General Function

Purine nucleoside binding

Specific Function

Receptor for adenosine. The activity of this receptor is mediated by G proteins which inhibit adenylyl cyclase.

Gene Name

ADORA1

Uniprot ID

P30542

Uniprot Name

Adenosine receptor A1

Molecular Weight

36511.325 Da

References

1. Gonca E, Darici F: The effect of cannabidiol on ischemia/reperfusion-induced ventricular arrhythmias: the role of adenosine A1 receptors. J Cardiovasc Pharmacol Ther. 2015 Jan;20(1):76-83. doi: 10.1177/1074248414532013. Epub 2014 May 22. [PubMed:24853683]

ENZYMES

Protein

Organism

Human

Pharmacological action

No

Actions

Substrate

General Function

Steroid hydroxylase activity

Specific Function

Cytochromes P450 are a group of heme-thiolate monooxygenases. In liver microsomes, this enzyme is involved in an NADPH-dependent electron transport pathway. It oxidizes a variety of structurally un...

Gene Name

CYP2C9

Uniprot ID

P11712

Uniprot Name

Cytochrome P450 2C9

Molecular Weight

55627.365 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

2. Cytochrome P450 2C19

Human

Pharmacological action

Unknown

Actions

Substrate

General Function

Steroid hydroxylase activity

Specific Function

Responsible for the metabolism of a number of therapeutic agents such as the anticonvulsant drug S-mephenytoin, omeprazole, proguanil, certain barbiturates, diazepam, propranolol, citalopram and im...

Gene Name

CYP2C19

Uniprot ID

P33261

Uniprot Name

Cytochrome P450 2C19

Molecular Weight

55930.545 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

3. Cytochrome P450 2D6

Kind

Protein

Unknown

General Function

Steroid hydroxylase activity

Specific Function

Responsible for the metabolism of many drugs and environmental chemicals that it oxidizes. It is involved in the metabolism of drugs such as antiarrhythmics, adrenoceptor antagonists, and tricyclic...

Gene Name

CYP2D6

Uniprot ID

P10635

Uniprot Name

Cytochrome P450 2D6

Molecular Weight

55768.94 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

4. Cytochrome P450 3A4

Kind

Protein

Organism

Human

Pharmacological action

Unknown

Vitamin D3 25-hydroxylase activity

Specific Function

Cytochromes P450 are a group of heme-thiolate monooxygenases. In liver microsomes, this enzyme is involved in an NADPH-dependent electron transport pathway. It performs a variety of oxidation react...

Gene Name

CYP3A4

Uniprot ID

P08684

Uniprot Name

Cytochrome P450 3A4

Molecular Weight

57342.67 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

5. Cytochrome P450 3A5

Kind

Protein

Organism

Human

Pharmacological action

Unknown

General Function

Oxygen binding

Gene Name

CYP3A5

Uniprot ID

P20815

Uniprot Name

Cytochrome P450 3A5

Molecular Weight

57108.065 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

6. Acetyl-CoA acetyltransferase, mitochondrial

Kind

Protein

Organism

Human

Pharmacological action

Unknown

General Function

Metal ion binding

Specific Function

Plays a major role in ketone body metabolism.

Gene Name

ACAT1

Acetyl-CoA acetyltransferase, mitochondrial

Molecular Weight

45199.2 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

7. Arylalkylamine N-acetyltransferase

Kind

Protein

Organism

Human

Pharmacological action

Unknown

General Function

Not Available

Specific Function

N-acetyltransferase activity

Gene Name

AANAT

Uniprot ID

F1T0I5

Uniprot Name

Arylalkylamine N-acetyltransferase

Molecular Weight

23343.8 Da

8. Catalase

Kind

Protein

Organism

Human

Pharmacological action

Unknown

General Function

Receptor binding

Specific Function

Occurs in almost all aerobically respiring organisms and serves to protect cells from the toxic effects of hydrogen peroxide. Promotes growth of cells including T-cells, B-cells, myeloid leukemia c...

Gene Name

CAT

Uniprot ID

P04040

Uniprot Name

Catalase

Molecular Weight

59755.82 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

Kind

Protein

Organism

Human

Pharmacological action

Unknown

General Function

Prostaglandin-endoperoxide synthase activity

Specific Function

Converts arachidonate to prostaglandin H2 (PGH2), a committed step in prostanoid synthesis. Involved in the constitutive production of prostanoids in particular in the stomach and platelets. In gas...

Gene Name

PTGS1

Uniprot ID

P23219

Uniprot Name

Prostaglandin G/H synthase 1

Molecular Weight

68685.82 Da

References

1. Campone M, Rademaker-Lakhai JM, Bennouna J, Howell SB, Nowotnik DP, Beijnen JH, Schellens JH: Phase I and pharmacokinetic trial of AP5346, a DACH-platinum-polymer conjugate, administered weekly for three out of every 4 weeks to advanced solid tumor patients. Cancer Chemother Pharmacol. 2007 Sep;60(4):523-33. Epub 2007 Feb 17. [PubMed:17308894]

10. Prostaglandin G/H synthase 2

Human

Pharmacological action

Unknown

General Function

Prostaglandin-endoperoxide synthase activity

Specific Function

Converts arachidonate to prostaglandin H2 (PGH2), a committed step in prostanoid synthesis. Constitutively expressed in some tissues in physiological conditions, such as the endothelium, kidney and...

Gene Name

PTGS2

Uniprot ID

P35354

Uniprot Name

Prostaglandin G/H synthase 2

Molecular Weight

68995.625 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

11. Cytochrome P450 3A7

Kind

Protein

Organism

Human

Oxygen binding

Specific Function

Cytochromes P450 are a group of heme-thiolate monooxygenases. In liver microsomes, this enzyme is involved in an NADPH-dependent electron transport pathway. It oxidizes a variety of structurally un...

Gene Name

CYP3A7

Uniprot ID

P24462

Uniprot Name

Cytochrome P450 3A7

Molecular Weight

57525.03 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

12. Cytochrome P450 1A1

Kind

Protein

Organism

Human

Pharmacological action

Unknown

Actions

Inhibitor

Cytochromes P450 are a group of heme-thiolate monooxygenases. In liver microsomes, this enzyme is involved in an NADPH-dependent electron transport pathway. It oxidizes a variety of structurally un...

Gene Name

CYP1A1

Uniprot ID

P04798

Uniprot Name

Cytochrome P450 1A1

Molecular Weight

58164.815 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]
2. Yamaori S, Okushima Y, Masuda K, Kushihara M, Katsu T, Narimatsu S, Yamamoto I, Watanabe K: Structural requirements for potent direct inhibition of human cytochrome P450 1A1 by cannabidiol: role of pentylresorcinol moiety. Biol Pharm Bull. 2013;36(7):1197-203. [PubMed:23811569]

13. Cytochrome P450 1A2

Kind

Protein

Organism

Human

Pharmacological action

Unknown

General Function

Oxidoreductase activity, acting on paired donors, with incorporation or reduction of

Cytochromes P450 are a group of heme-thiolate monooxygenases. In liver microsomes, this enzyme is involved in an NADPH-dependent electron transport pathway. It oxidizes a variety of structurally un...

Gene Name

CYP1A2

Uniprot ID

P05177

Uniprot Name

Cytochrome P450 1A2

Molecular Weight

58293.76 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

14. Cytochrome P450 1B1

Kind

Protein

Organism

Human

Pharmacological action

Unknown

General Function

Oxygen binding

Specific Function

Cytochromes P450 are a group of heme-thiolate monooxygenases. In liver microsomes, this enzyme is involved in an NADPH-dependent electron transport pathway. It oxidizes a variety

Uniprot ID

Q16678

Uniprot Name

Cytochrome P450 1B1

Molecular Weight

60845.33 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

15. Sn1-specific diacylglycerol lipase alpha

Kind

Protein

Organism

Human

Pharmacological action

Unknown

General Function

Not Available

Specific Function

Not Available

Gene Name

DAGLA

Uniprot ID

F5GY58

19005.05 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

16. Fatty-acid amide hydrolase 1

Kind

Protein

Organism

Human

Pharmacological action

Unknown

Actions

Inhibitor

General Function

Fatty acid amide hydrolase activity

Specific Function

Degrades bioactive fatty acid amides like oleamide, the endogenous cannabinoid, anandamide and myristic amide to their corresponding acids, thereby serving to terminate the signaling functions of t...

Gene Name

FAAH

Uniprot ID

O00519

Uniprot Name

Fatty-acid amide hydrolase 1

Molecular Weight

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]
2. De Petrocellis L, Ligresti A, Moriello AS, Allara M, Bisogno T, Petrosino S, Stott CG, Di Marzo V: Effects of cannabinoids and cannabinoid-enriched Cannabis extracts on TRP channels and endocannabinoid metabolic enzymes. Br J Pharmacol. 2011 Aug;163(7):1479-94. doi: 10.1111/j.1476-5381.2010.01166.x. [PubMed:21175579]

17. Glutathione reductase, mitochondrial

Kind

Protein

Organism

Human

Pharmacological action

Unknown

General Function

Nadp binding

Specific Function

Maintains high levels of reduced glutathione in the cytosol.

Gene Name

GSR

Uniprot ID

P00390

Uniprot Name

Glutathione reductase, mitochondrial

Molecular Weight

56256.565 Da

References

18. Glutathione peroxidase 1

Kind

Protein

Organism

Human

Pharmacological action

Unknown

General Function

Sh3 domain binding

Specific Function

Protects the hemoglobin in erythrocytes from oxidative breakdown.

Gene Name

GPX1

Uniprot ID

P07203

Uniprot Name

Glutathione peroxidase 1

Molecular Weight

22087.94 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

19. 3-hydroxy-3-methylglutaryl-coenzyme A reductase

Organism

Human

Pharmacological action

Unknown

General Function

Nadph binding

Specific Function

Transmembrane glycoprotein that is the rate-limiting enzyme in cholesterol biosynthesis as well as in the biosynthesis of nonsterol isoprenoids that are essential for normal cell function including...

Gene Name

HMGCR

Uniprot ID

P04035

Uniprot Name

3-hydroxy-3-methylglutaryl-coenzyme A reductase

Molecular Weight

97475.155 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

20. Indoleamine 2,3-dioxygenase 1

Kind

Protein

Organism

General Function

Tryptophan 2,3-dioxygenase activity

Specific Function

Catalyzes the first and rate limiting step of the catabolism of the essential amino acid tryptophan along the kynurenine pathway (PubMed:17671174). Involved in the peripheral immune tolerance, cont...

Gene Name

IDO1

Uniprot ID

P14902

Uniprot Name

Indoleamine 2,3-dioxygenase 1

Molecular Weight

45325.89 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

21. Arachidonate 5-lipoxygenase

Kind

Protein

Organism

Human

Pharmacological action

Unknown

Actions

Specific Function

Catalyzes the first step in leukotriene biosynthesis, and thereby plays a role in inflammatory processes.

Gene Name

ALOX5

Uniprot ID

P09917

Uniprot Name

Arachidonate 5-lipoxygenase

Molecular Weight

77982.595 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

22. Arachidonate 15-lipoxygenase

Kind

Protein

Organism

Human

Pharmacological action

Unknown

Actions

Inhibitor

General Function

Phosphatidylinositol-4,5-bisphosphate binding

Gene Name

ALOX15

Uniprot ID

P16050

Uniprot Name

Arachidonate 15-lipoxygenase

Molecular Weight

74803.795 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

23. N-acylethanolamine-hydrolyzing acid amidase

Kind

Protein

Organism

Human

Pharmacological action

Unknown

General Function

Degrades bioactive fatty acid amides to their corresponding acids, with the following preference: N-palmitoylethanolamine > N-myristoylethanolamine > N-lauroylethanolamine = N-stearoylethanolamine > N-arachidonoylethanolamine > N-oleoylethanolamine. Also exhibits weak hydrolytic activity against the ceramides N-lauroylsphingosine and N-palmitoylsphingosine.

Specific Function

Uniprot ID

Q02083

Uniprot Name

N-acylethanolamine-hydrolyzing acid amidase

Molecular Weight

40065.65 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

24. Quinone oxidoreductase

Kind

Protein

Organism

Human

Pharmacological action

Unknown

General Function

Zinc ion binding

Specific Function

Does not have alcohol dehydrogenase activity. Binds NADP and acts through a one-electron transfer process. Orthoquinones, such as 1,2-naphthoquinone or 9,10-phenanthrenequinone, are the best substr...

Gene Name

CRYZ

Uniprot ID

Molecular Weight

35206.36 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

25. N-acyl-phosphatidylethanolamine-hydrolyzing phospholipase D

Kind

Protein

Organism

Human

Pharmacological action

Unknown

General Function

Hydrolyzes N-acyl-phosphatidylethanolamines (NAPEs) to produce N-acylethanolamines (NAEs) and phosphatidic acid. Responsible for the generation of anandamide (N-arachidonoylethanolamine), the ligand of cannabinoid and vanilloid receptors (By similarity).

Specific Function

Identical protein binding

Gene Name

NAPEPLD

Uniprot ID

Q6IQ20

Uniprot Name

N-acyl-phosphatidylethanolamine-hydrolyzing phospholipase D

Molecular Weight

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

26. Phospholipase A2

Kind

Protein

Organism

Human

Pharmacological action

Unknown

General Function

Receptor binding

Specific Function

PA2 catalyzes the calcium-dependent hydrolysis of the 2-acyl groups in 3-sn-phosphoglycerides, this releases glycerophospholipids and arachidonic acid that serve as the precursors of signal molecules.

Gene Name

PLA2G1B

Uniprot ID

P04054

Uniprot Name

Phospholipase A2

Molecular Weight

16359.535 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3.

27. Steroid 17-alpha-hydroxylase/17,20 lyase

Kind

Protein

Organism

Human

Pharmacological action

Unknown

General Function

Steroid 17-alpha-monooxygenase activity

Specific Function

Conversion of pregnenolone and progesterone to their 17-alpha-hydroxylated products and subsequently to dehydroepiandrosterone (DHEA) and androstenedione. Catalyzes both the 17-alpha-hydroxylation ...

Gene Name

CYP17A1

Uniprot ID

P05093

Uniprot Name

Steroid 17-alpha-hydroxylase/17,20 lyase

Molecular Weight

57369.995 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

28. Superoxide dismutase [Cu-Zn]

Human

Pharmacological action

Unknown

General Function

Zinc ion binding

Specific Function

Destroys radicals which are normally produced within the cells and which are toxic to biological systems.

Gene Name

SOD1

Uniprot ID

P00441

Uniprot Name

Superoxide dismutase [Cu-Zn]

Molecular Weight

15935.685 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

29. Sphingomyelin phosphodiesterase

Kind

Protein

Organism

Human

Sphingomyelin phosphodiesterase activity

Specific Function

Converts sphingomyelin to ceramide. Also has phospholipase C activities toward 1,2-diacylglycerolphosphocholine and 1,2-diacylglycerolphosphoglycerol. Isoform 2 and isoform 3 have lost catalytic ac...

Gene Name

SMPD1

Uniprot ID

P17405

Uniprot Name

Sphingomyelin phosphodiesterase

Molecular Weight

69751.3 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

TRANSPORTERS

1. Multidrug resistance-associated protein 1

Kind

Protein

Organism

Human

Inhibitor

General Function

Transporter activity

Specific Function

Mediates export of organic anions and drugs from the cytoplasm. Mediates ATP-dependent transport of glutathione and glutathione conjugates, leukotriene C4, estradiol-17-beta-o-glucuronide, methotre...

Gene Name

ABCC1

Uniprot ID

P33527

Uniprot Name

Multidrug resistance-associated protein 1

Molecular Weight

171589.5 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

2. ATP-binding cassette sub-family G member 2

Kind

Protein

Organism

Human

Pharmacological action

Unknown

Xenobiotic transporting atpase activity

Specific Function

High-capacity urate exporter functioning in both renal and extrarenal urate excretion. Plays a role in porphyrin homeostasis as it is able to mediates the export of protoporhyrin IX (PPIX) both fro...

Gene Name

ABCG2

Uniprot ID

Q9UNQ0

Uniprot Name

ATP-binding cassette sub-family G member 2

Molecular Weight

72313.47 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]

3. Equilibrative nucleoside transporter 1

Kind

Protein

Organism

Human

Pharmacological action

Unknown

Actions

Inhibitor

Mediates both influx and efflux of nucleosides across the membrane (equilibrative transporter). It is sensitive (ES) to low concentrations of the inhibitor nitrobenzylmercaptopurine riboside (NBMPR...

Gene Name

SLC29A1

Uniprot ID

Q99808

Uniprot Name

Equilibrative nucleoside transporter 1

Molecular Weight

50218.805 Da

References

1. Ibeas Bih C, Chen T, Nunn AV, Bazelot M, Dallas M, Whalley BJ: Molecular Targets of Cannabidiol in Neurological Disorders. Neurotherapeutics. 2015 Oct;12(4):699-730. doi: 10.1007/s13311-015-0377-3. [PubMed:26264914]
2. Carrier EJ, Auchampach JA, Hillard CJ: Inhibition of an equilibrative nucleoside transporter by cannabidiol: a mechanism of cannabinoid immunosuppression. Proc Natl Acad Sci U S A. 2006 May 16;103(20):7895-900. doi: 10.1073/pnas.0511232103. Epub 2006 May 3. [PubMed:16672367]

Drug created on May 11, 2015 15:59 / Updated on July 02, 2018 19:11

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