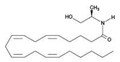
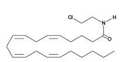
CANNABINOID RECEPTORS

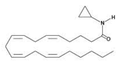
CB_1-SELECTIVE AGONISTS



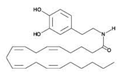
R-1 Methanandamide
CB_1 K_i = 17.9 - 28.3 nM
CB_2 K_i = 815 - 868 nM



Arachidonoyl 2'-Chloroethylamide
CB_1 K_i = 1.4 nM
CB_2 K_i = >2,000 nM

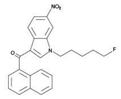


Arachidonoyl Cyclopropylamide
CB_1 K_i = 2.2 nM
CB_2 K_i = 715 nM

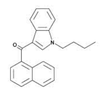


N-Arachidonoyl Dopamine
CB_1 K_i = 250 nM
CB_2 K_i = 12,000 nM

2-Arachidonyl Glycerol ether
CB_1 K_i = 21.2 nM
CB_2 K_i = >3,000 nM

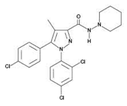


AM1235
CB_1 K_i = 1.5 nM
CB_2 K_i = 20.4 nM

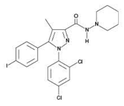


JWH 073
CB_1 K_i = 8.9 nM
CB_2 K_i = 38 nM

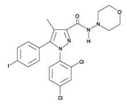
CB_1-SELECTIVE ANTAGONISTS/INVERSE AGONISTS



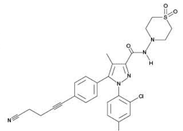
Rimonabant
CB_1 K_i = 5.6 nM
CB_2 K_i = >1,000 nM



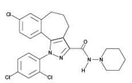
AM251
CB_1 K_i = 7.5 nM
CB_2 K_i = 2,290 nM



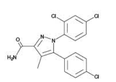
AM281
CB_1 K_i = 12 nM
CB_2 K_i = 4,200 nM



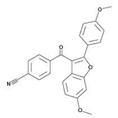
AM6545
CB_1 K_i = 1.7 nM
CB_2 K_i = 523 nM



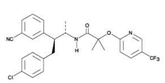
NESS 0327
CB_1 K_i = 0.35 pM
CB_2 K_i = 21 nM



AM4113
CB_1 K_i = 0.89 nM
CB_2 K_i = 92 nM



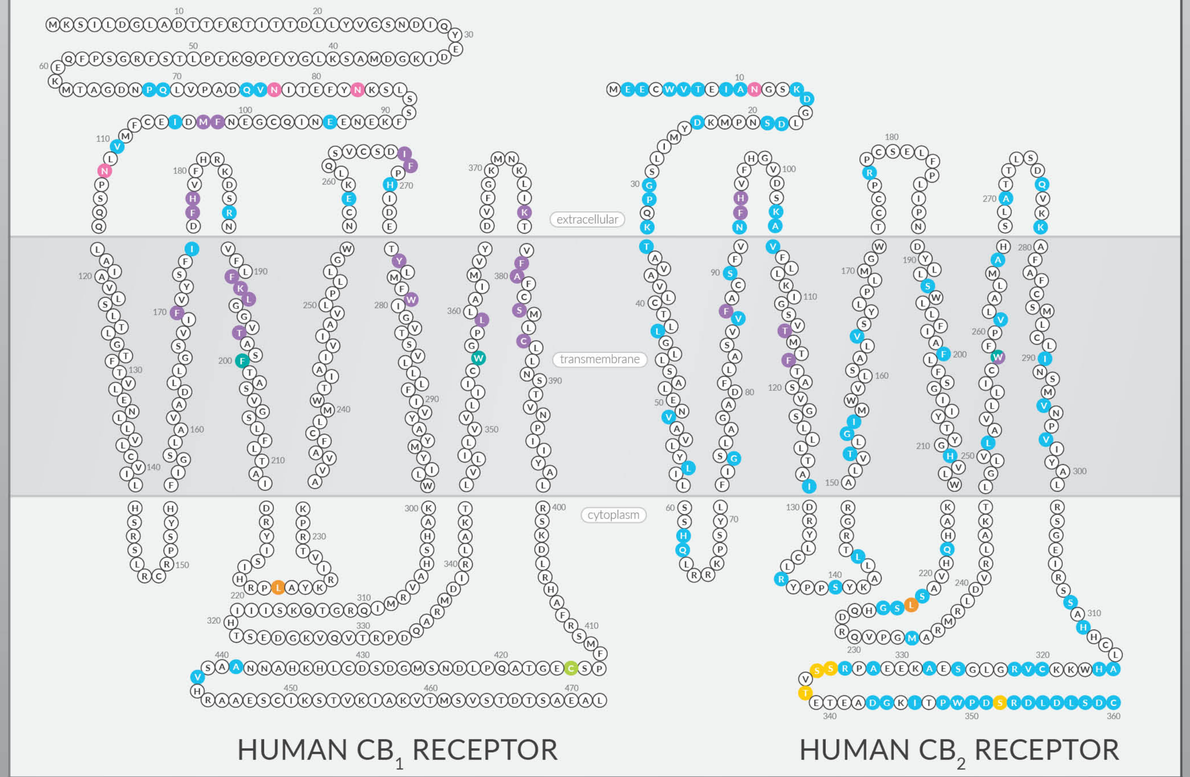
LY320135
CB_1 K_i = 224 nM
CB_2 K_i = >10,000 nM



Taranabant
CB_1 K_i = 0.13 nM
CB_2 K_i = 170 nM

CB_1 RECEPTOR DISTRIBUTION

EXPRESSION	FUNCTION
Brain (especially on presynaptic GABAergic terminals) · Hippocampus · Cerebral cortex · Lateral caudate putamen · Substantia nigra pars reticulata · Globus pallidus, entopeduncular nucleus · Cerebellum · Hypothalamus · CNS pain pathways	· Reduces inhibitory neurotransmission to modulate cognition, memory, and motor function · Regulates hypothermia · Modulates dopaminergic reward pathway and addiction · Induces analgesia
Dorsal horn of spinal cord, primary sensory nerve terminals	· Induces analgesia
Adipocytes, skeletal muscle cells	· Increases *de novo* lipogenesis · Regulates lipid/glucose metabolism and insulin signaling
Mitochondria of striated and heart muscles	· Regulates intramitochondrial signaling and respiration
Heart, vasculature (cardiomyocytes, endothelial cells, inflammatory cells)	· Regulates blood flow, elicits hypotension, bradycardia, and negative inotropy
Lung (alveolar macrophages, dendritic cells)	· Decreases immune functions
Spleen, thymus	· Roles in noradrenergic splenic contraction and the neuroimmune axis
Small intestine	· Stimulates appetite · Inhibits gastrointestinal activity
Liver	· Upregulation associated with liver disease
Kidney	· Roles in renal function and dysfunction
Urinary bladder, vas deferens	· Modulates the release of neurotransmitters from afferent nerves
Testis, uterus	· Modulates reproductive processes



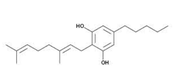
HUMAN CB_1 RECEPTOR

HUMAN CB_2 RECEPTOR

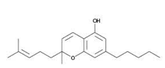
HUMAN CB_1 & CB_2 RECEPTOR KEY

Altered in mouse, rat | S-palmitoylation site | Toggle switches for G protein binding with ligands
Glycosylation sites | Phosphorylation sites | Critical role in G_s & G_i protein coupling specificity | Ligand contacts (polar & non-polar)*

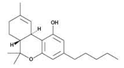
MIXED CB_1/CB_2 AGONISTS



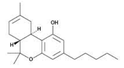
CBG
CB_1 K_i = 440 nM
CB_2 K_i = 337 nM



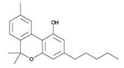
CBC
CB_1 K_i = 11 nM
CB_2 K_i = 27 nM



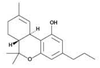
Δ^9-THC
CB_1 K_i = 5.05 - 80.3 nM
CB_2 K_i = 3.13 - 75.3 nM



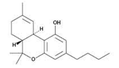
Δ^8-THC
CB_1 K_i = 28.5 nM
CB_2 K_i = 25 nM



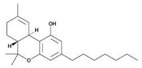
CBN
CB_1 K_i = 120 - 1,130 nM
CB_2 K_i = 96.3 - 301 nM



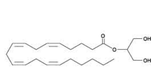
THCV
CB_1 K_i = 75.4 nM
CB_2 K_i = 62.8 nM



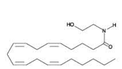
Δ^9-THCB
CB_1 K_i = 15 nM
CB_2 K_i = 51 nM



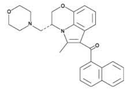
Δ^9-THCP
CB_1 K_i = 1.2 nM
CB_2 K_i = 6.2 nM



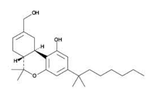
2-Arachidonoyl Glycerol
CB_1 K_i = 25.3 - 472 nM
CB_2 K_i = 145 - 1,400 nM



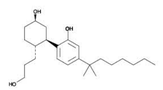
Arachidonoyl Ethanolamide
CB_1 K_i = 61 - 543 nM
CB_2 K_i = 279 - 1,940 nM



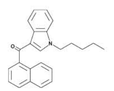
(+)-WIN 55,212-2
CB_1 K_i = 62.3 nM
CB_2 K_i = 3.3 nM



HU-210
CB_1 K_i = 0.1 - 0.73 nM
CB_2 K_i = 0.17 - 0.524 nM

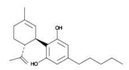


(–)-CP 55,940
CB_1 K_i = 0.5 - 5 nM
CB_2 K_i = 0.69 - 2.8 nM



JWH 018
CB_1 K_i = 9 nM
CB_2 K_i = 2.94 nM

MIXED CB_1/CB_2 ANTAGONIST



CBD
CB_1 K_i = 4,350 ->10,000 nM
CB_2 K_i = 2,399 ->10,000 nM

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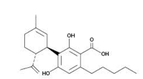
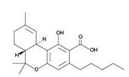
- Research tools to study endocannabinoid synthesis & degradation

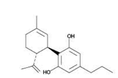
CB_2-SELECTIVE AGONISTS



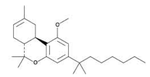
CBDA
CB_2 K_i = 12 nM
CB_1 K_i = >10,000 nM



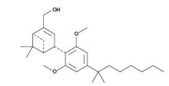
THCA-A
CB_2 K_i = 1.3 nM
CB_1 K_i = >620 nM



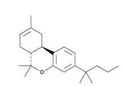
CBDV
CB_2 K_i = 140 nM
CB_1 K_i = >10,000 nM



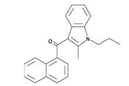
L-759,633
CB_2 K_i = 6.4 nM
CB_1 K_i = 1,043 nM



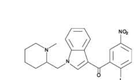
HU-308
CB_2 K_i = 22.7 nM
CB_1 K_i = >10,000 nM



JWH 133
CB_2 K_i = 3.4 nM
CB_1 K_i = 677 nM

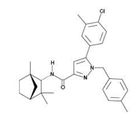


JWH 015
CB_2 K_i = 13.8 nM
CB_1 K_i = 383 nM

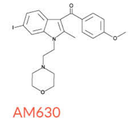


AM1241
CB_2 K_i = 7.1 nM
CB_1 K_i = 580 nM

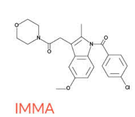
CB_2-SELECTIVE ANTAGONISTS/INVERSE AGONISTS



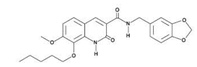
SR 144528
CB_2 K_i = 0.6 nM
CB_1 K_i = 437 nM



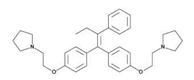
AM630
CB_2 K_i = 31.2 nM
CB_1 K_i = 5,152 nM



IMMA
CB_2 K_i = 435 nM
CB_1 K_i = >20 µM



JTE-907
CB_2 K_i = 35.9 nM
CB_1 K_i = 2,370 nM



Ridaifen-B
CB_2 K_i = 43.7 nM
CB_1 K_i = 732 nM

CB_2 RECEPTOR DISTRIBUTION

EXPRESSION	FUNCTION
Immune system · Leukocytes · T and B lymphocytes · Monocytes, macrophages, dendritic cells · Mast cells	· Mediates cytokine release and immune suppression · Mediates analgesic effects through anti-inflammatory activity · Induces cell migration · Regulates phagocytosis · Induces apoptosis
Neuronal somatodendritic areas (postsynaptic)	· Reduces ventral tegmental area neuronal excitability and cocaine-seeking behavior · Modulates hippocampal plasticity and synchronization · Substrate for neuroprotection
Spinal cord, dorsal root ganglion, activated microglia	· Modulates neuropathic pain and neuroinflammation
Vagus nerve	· Activates vagal C and/or Aδ fibers · Promotes antitussive actions · Blocks emesis
Hematopoietic stem and progenitor cells	· Promotes hematopoiesis and cell mobilization
Osteoblasts, osteocytes, osteoclasts	· Modulates bone formation and turnover
Endothelial cells, smooth muscle cells, cardiomyocytes, macrophages in atherosclerotic plaques	· Cardioprotective through anti-inflammatory and antifibrotic actions
Kupffer cells	· Mediates hepatoprotection · Promotes hemoglobin metabolism
Intestinal epithelial cells	· Modulates intestinal inflammatory responses and gut motility
Keratinocytes, fibroblasts	· Resolves peripheral inflammation · Promotes tissue repair
Retina	· Upregulated under pathological conditions to modulate retinal signaling
Tumors	· Induces apoptosis in glioma cells · Increases cell proliferation in other cancers
Cirrhotic liver	· Triggers antifibrogenic effects, including growth inhibition and apoptosis

*References used to compile this poster available at **www.caymanchem.com/CBreceptors**