

Pain Research

The development of novel pain therapeutics relies on understanding the highly complex nociceptive signaling pathways. Cayman offers a select group of tools to study transient receptor potential (TRP) ion channels, ligand- and voltage-gated ion channels, and various G protein-coupled receptors (GPCRs) that are known to have roles in nociceptive, inflammatory, and/or neuropathic pain.



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Pain Transmission

Primary nociceptor afferents release neurotransmitters such as glutamate and prostaglandins, which bind to specific receptors on the postsynaptic membrane to transmit a pain signal.

The antinociceptive response includes inhibitory interneurons that signal via GABA receptors.

Inhibitory pathways descending from the brainstem release neurotransmitters such as serotonin (5-HT) or activate small opioid-containing interneurons in the spinal dorsal horn to release opioid peptides.

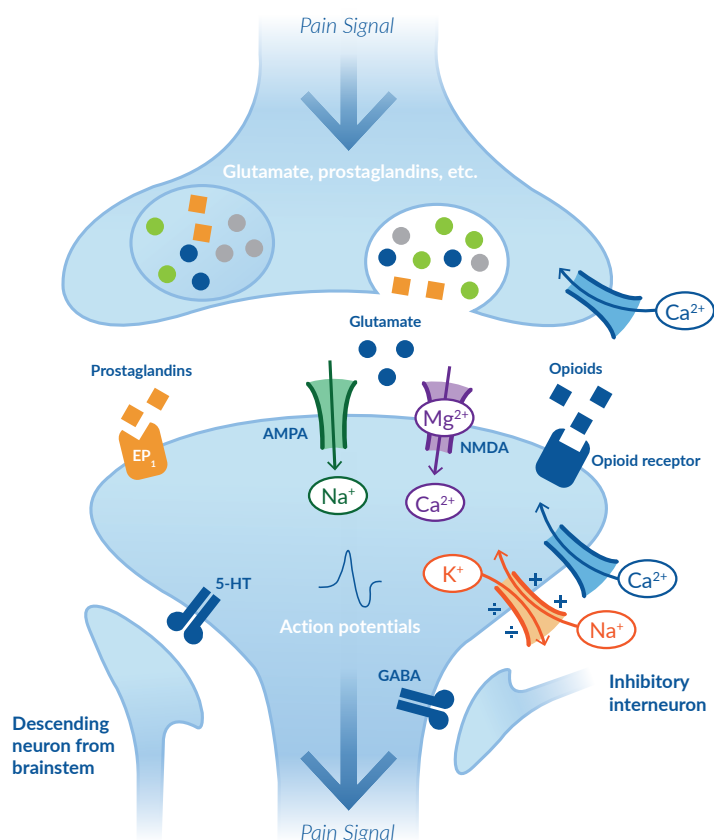


Image adapted from: Olesen, A.E., Andresen, T., Staahl, C., et al. *Pharmacol. Rev.* **64**(3), 722-779 (2012).

TRP Ion Channels

TRP Ion Channel Modulators

Item No.	Product Name	Summary
14715	AMG 9810	A TRPV1 antagonist; reverses thermal and mechanical hyperalgesia in a rat model of inflammatory pain
31122	ASP7663	A TRPA1 agonist; reduces the number of abdominal contractions induced by colorectal distension in mice
92350	Capsaicin	A TRPV1 activator (EC_{50} = 0.64 μ M at neutral pH) that has been found in <i>Capsicum</i>
10007518	Capsazepine	A competitive TRPV1 antagonist that blocks the capsaicin-induced uptake of Ca^{2+} (IC_{50} = 0.42 μ M in neonatal rat dorsal root ganglia)
11923	HC-030031	A selective TRPA1 channel blocker that antagonizes TRPA1-mediated calcium influx induced by AITC and formalin (IC_{50} s = 6.2 and 5.3 μ M, respectively)
10137	Icilin	A CMR1/TRPM8 super agonist that serves as the reference cold nociceptive agonist for TRP-type ion channels
14979	Polygodial	A TRPA1 activator (EC_{50} = 59 nM) with antinociceptive effects
11019	SB-366791	A selective TRPV1 antagonist that reduces capsaicin-induced nociceptive responses in mouse paw

View all TRP ion channel modulators at www.caymanchem.com



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Ligand-Gated Ion Channels

Ionotropic Glutamate Receptor Antagonists

Item No.	Product Name	Summary
14539	D-AP5	A selective NMDA receptor antagonist ($K_d = 1.4 \mu\text{M}$)
14618	CNQX	A non-NMDA glutamate receptor antagonist ($\text{IC}_{50}\text{s} = 0.3, 1.5, \text{ and } 25 \mu\text{M}$ for AMPA, kainate, and NMDA receptors, respectively)
23884	(+)-CP 101,606	An antagonist of NR2B subunit-containing NMDA receptors ($K_d = 4.2 \text{ nM}$)
10009019	(+)-MK-801 (hydrogen maleate)	A selective, non-competitive NMDA receptor antagonist ($K_i = 30.5 \text{ nM}$)
14914	NBQX (sodium salt)	An AMPA and kainate receptor antagonist ($\text{IC}_{50}\text{s} = 0.15 \text{ and } 4.8 \mu\text{M}$, respectively)

P2X Receptor Antagonists

Item No.	Product Name	Summary
14580	A-438079 (hydrochloride)	A competitive antagonist of the P2X_7 receptor ($\text{pIC}_{50} = 6.9$)
23034	AF-353 (hydrochloride)	A dual P2X_3 and $\text{P2X}_{2/3}$ receptor antagonist ($\text{IC}_{50}\text{s} = 10 \text{ and } 79.4 \text{ nM}$, respectively)
20902	TNP-ATP (triethylammonium salt)	An antagonist of P2X_1 , P2X_3 , and $\text{P2X}_{2/3}$ receptors ($\text{IC}_{50}\text{s} = 6, 0.9, \text{ and } 7 \text{ nM}$, respectively)

Nicotinic Acetylcholine Receptor Modulators

Item No.	Product Name	Summary
31193	($\pm$)-Epibatidine (hydrochloride)	An agonist of $\alpha 4\beta 2$ subunit-containing nAChRs ($K_i = 43 \text{ pM}$)
21570	GTS-21 (hydrochloride)	An agonist of $\alpha 7$ subunit-containing nAChRs
15030	Varenicline (tartrate)	A partial agonist of $\alpha 4\beta 2$ subunit-containing nAChRs and full agonist of $\alpha 7$ subunit-containing nAChRs ($\text{EC}_{50}\text{s} = 2.3 \text{ and } 18 \mu\text{M}$, respectively)

Voltage-Gated Ion Channels

Sodium Channel Blockers

Item No.	Product Name	Summary
16618	Bupivacaine	A sodium channel blocker and local anesthetic
10011032	QX-314 (bromide)	A selective blocker of sodium channels on nociceptive neurons
21422	(-)-Ropivacaine (hydrochloride hydrate)	A reversible blocker of sodium channels in nerve fibers
14964	Tetrodotoxin (citrate)	A neurotoxic blocker of Na_v channels

Calcium Channel Ligands

Item No.	Product Name	Summary
10008346	Gabapentin	Penetrates the central nervous system and binds to $\alpha_2\delta$ -type voltage-gated calcium channels
22475	Mirogabalin	A calcium channel blocker that binds to $\alpha_2\delta$ -1 and $\alpha_2\delta$ -2 subunits
24114	ω -Conotoxin GVIA (trifluoroacetate salt)	An N-type calcium channel blocker

Potassium Channel Modulators

Item No.	Product Name	Summary
16674	Flupirtine (maleate)	An activator of KCNQ channels
15185	ML-213	A selective activator of KCNQ2 and KCNQ4 channels ($\text{EC}_{50}\text{s} = 230 \text{ and } 510 \text{ nM}$, respectively)
15193	ML-277	An activator of KCNQ1 channels ($\text{EC}_{50} = 260 \text{ nM}$)
14582	XE 991 (hydrochloride)	A blocker of KCNQ channels

Additional modulators of ligand- and voltage-gated ion channels available at www.caymanchem.com

GPCR Signaling

Prostanoid Signaling

Cayman offers a variety of compounds that target the inflammatory mediators of the arachidonic acid cascade, including selective cyclooxygenase (COX) inhibitors, non-steroidal anti-inflammatory drugs (NSAIDs), blockers of microsomal prostaglandin E synthase-1 (mPGES-1), and antagonists of prostaglandin E₂ (PGE₂) receptors.

COX Inhibitors

Item No.	Product Name	Summary
10008672	Celecoxib	An NSAID and selective COX-2 inhibitor (IC ₅₀ = 50 nM)
70680	Diclofenac (sodium salt)	An NSAID and COX inhibitor (IC ₅₀ s = 0.9-2.7 and 1.5-20 µM for COX-1 and COX-2, respectively)
70280	(±)-Ibuprofen	An NSAID and non-selective COX inhibitor (IC ₅₀ s = 2.6 and 1.3 µM for COX-1 and COX-2, respectively)
70690	Ketorolac (tromethamine salt)	An NSAID and non-selective COX inhibitor (IC ₅₀ = 20 nM for both COX-1 and COX-2)
70290	(+)-Naproxen	An NSAID and COX inhibitor (IC ₅₀ s = 4.8 and 28.4 µM for COX-1 and COX-2, respectively)

A wide range of selective and non-selective COX-1 and -2 inhibitors available at www.caymanchem.com

mPGES-1 Inhibitors

Item No.	Product Name	Summary
13164	CAY10589	A dual mPGES-1 and 5-lipoxygenase inhibitor (IC ₅₀ s = 1.3 and 1.0 µM, respectively)
18905	CAY10700	An orally bioavailable inhibitor of mPGES-1 (IC ₅₀ = 0.24 µM)
13217	MF63	A selective, orally active inhibitor of human mPGES-1 (IC ₅₀ = 1.3 nM)

Additional mPGES-1 inhibitors as well as dual mPGES-1/lipoxygenase inhibitors available at www.caymanchem.com

PGE₂ Receptor Subtype EP₁ and EP₄ Antagonists

Item No.	Product Name	Summary
10010355	CJ-023423	An EP ₄ antagonist (K _i = 13 nM for human EP ₄) that reduces acute and chronic inflammatory pain in different mouse models
15973	MF498	A selective EP ₄ antagonist (K _i = 0.7 nM)
10011561	SC-51089	An EP ₁ antagonist (K _i = 1.332 µM) that reduces phenylbenzoquinone-induced writhing in mice
19118	ONO-8130	An orally bioavailable EP ₁ antagonist (K _i = 1.9 nM) that suppresses pain associated with cyclophosphamide-induced cystitis in mice

View additional PGE₂ receptor antagonists at www.caymanchem.com

Cannabinoid Receptors

The cannabinoid receptors CB₁ and CB₂ can be activated by endogenous, plant, and synthetic cannabinoids to modulate pain perception. Cayman offers a collection of cannabinoid receptor ligands, as well as inhibitors of endocannabinoid transport and enzymatic degradation by fatty acid amide hydrolase (FAAH) and monoacylglycerol lipase (MAGL).

Cannabinoid Receptor Agonists

Item No.	Product Name	Summary
91054	Arachidonoyl 2'-Chloroethylamide	A potent, selective CB ₁ receptor agonist (K _i = 1.4 nM)
90057	N-Arachidonoyl Dopamine	An arachidonoyl amino acid and CB ₁ receptor agonist (K _i = 250 nM in rat brain membranes)
90050	Arachidonoyl Ethanolamide	An endogenous cannabinoid that binds to CB ₁ and CB ₂ receptors (K _s = 61-543 and 279-1,940 nM, respectively)
62160	2-Arachidonoyl Glycerol	An endogenous agonist of CB ₁ and CB ₂ receptors (K _s = 25.3-472 and 145-1,400 nM, respectively)
26791	LY2828360	A selective CB ₂ receptor agonist (K _i = 40.3 nM)
10009023	(+)-WIN 55,212-2 (mesylate)	A potent CB ₁ and CB ₂ receptor agonist (K _s = 62.3 and 3.3 nM, respectively)

Endocannabinoid Reuptake and Degradation Inhibitors

Item No.	Product Name	Summary
90060	AM404	A blocker of arachidonoyl ethanolamide (AEA) reuptake with an IC_{50} of 1 μ M in rat neurons
13158	JZL 184	A selective MAGL inhibitor (IC_{50} s = 8 nM and 4 μ M for MAGL and FAAH in mouse brain membranes, respectively)
17583	MJN110	A selective MAGL inhibitor (IC_{50} = 9.1 nM)
13279	PF-3845	An inhibitor of FAAH (K_i = 0.23 μ M)
10046	URB597	An inhibitor of FAAH (IC_{50} s = 4.6 and 0.5 nM in brain membranes and intact neurons, respectively)

Visit our Cannabinoid Resource Center for additional cannabinoid research tools
www.caymanchem.com/cannabinoids



Opioid Signaling

Cayman offers modulators of the μ -, κ -, and δ -opioid receptors, as well as the nociceptin receptor.

Opioid Receptor Modulators

Item No.	Product Name	Summary
28928	DADLE	A peptide agonist of δ -opioid receptors (K_i = 2.06 nM)
34542	Difelikefalin (trifluoroacetate salt)	A κ -opioid receptor agonist (EC_{50} = 0.16 nM)
21553	DAMGO (trifluoroacetate salt)	A selective peptide agonist of the μ -opioid receptor (K_i = 1.18 nM for human μ -opioid receptors)
18169	Dynorphin A (trifluoroacetate salt)	A κ -, μ -, and δ -opioid receptor agonist (K_s = 0.5-1 nM); activates human nociceptin receptor (K_i = 386 nM)
21254	JTC 801	An antagonist of the nociceptin receptor (K_i = 44.5 nM; IC_{50} = 94 nM)
15070	Nociceptin (trifluoroacetate salt)	A nociceptin receptor ligand (K_i = 88 μ M in CHO cells)
20576	PZM21	A μ -opioid receptor agonist (K_i = 1.1 nM)
15599	SNC 80	A nonpeptide δ -opioid receptor agonist (K_i = 0.18 nM; IC_{50} = 2.73 nM)

Additional opioid receptor agonists available at www.caymanchem.com

Additional GPCRs

Cayman offers agonists and antagonists of additional GPCRs with roles in pain signaling, including neurokinin-1 (NK_1) receptors, serotonin (5-HT) receptors, metabotropic glutamate receptors (mGluRs), and GABA_B receptors.

Additional GPCR Modulators

Item No.	Product Name	Summary
31595	(+)-Baclofen (hydrochloride)	A GABA _B receptor agonist; increases latency to paw withdrawal in the hot-plate test in mice
18599	CGP 35348	GABA _B receptor antagonist (IC_{50} = 34 μ M) that prevents baclofen-induced antinociception in mice and rats
34362	CPPG	An antagonist of group II and group III mGluRs that reduces formalin-induced flinching in a rat model of inflammatory pain
30894	L-732,138	An NK_1 receptor antagonist (IC_{50} = 1.6 nM) that attenuates mechanical allodynia and cold hyperalgesia in a rat model of neuropathic pain
27658	Methysergide (maleate)	A 5-HT ₁ receptor agonist and 5-HT ₂ receptor antagonist; has antinociceptive activity in mouse models of pain
24035	Substance P (trifluoroacetate salt)	A tachykinin neuropeptide that binds to and induces pain through NK_1 receptors
14600	Sumatriptan (succinate)	An agonist of 5-HT _{1B} and 5-HT _{1D} receptors (IC_{50} s = 9.3 and 7.3 nM, respectively); reduces acute, but not chronic, mechanical hyperalgesia in a mouse model of pain induced by nitroglycerin

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