Ligand-Gated Ion Channel Modulators

Ligand-gated ion channels allow passage of ions (such as Na^+, K^+, Ca^{2+}, Cl^-) through the membrane in response to the binding of excitatory or inhibitory neurotransmitters. Many are additionally modulated by allosteric ligands, channel lockers, companion ions, or a change in membrane potential. Cayman offers a diverse collection of agonists antagonists of these neuroreceptors.

NMDA Receptors

Item No.	Product Name	Summary
13358	CAY10608	Selectively and non-competitively antagonizes the NR2B subunit of NMDA receptors (IC_{50} = 50 nM)
15623	IEM 1460	Selectively blocks Ca^{2+}-permeable glutamate receptor channels (IC_{50} = 10 µM - 0.1 mM)
16352	KB-R7943 (mesylate)	Exhibits neuroprotection from glutamate-induced excitotoxicity by blocking NMDA receptor-mediated activity (IC_{50} = 13.4 µM)
10009019	(+)-MK 801 (hydrogen maleate)	A selective and non-competitive NMDA receptor antagonist (K_i = 30.5 nM); acts at the NMDA receptor-operated ion channel as an open channel blocker, preventing Ca^{2+} flux
14539	D-AP5	A selective NMDA receptor antagonist (K_d = 1.4 µM) that competitively inhibits the glutamate binding site of NMDA receptors
14581	NMDA	A synthetic amino acid derivative that acts as a specific agonist at the NMDA receptor, mimicking the excitatory action of the endogenous ligand glutamate
14578	Ro 25-6981 Maleate	A selective activity-dependent blocker of NMDA receptors containing the NR2B subunit (IC_{50} = 9 nM)

AMPA Receptors

Item No.	Product Name	Summary
14571	(R,S)-AMPA	The defining agonist for the AMPA subgroup of ionotropic glutamate receptors
14583	DNQX	A competitive, non-NMDA glutamate receptor antagonist (IC_{50}s = 0.5 and 0.1 µM for AMPA and kainate receptors, respectively)
14607	Philanthotoxin 74 (hydrochloride)	A subtype-selective AMPA receptor antagonist that inhibits homomeric GluR1 and GluR3 as well as heteromeric GluR1/2 receptors

For more information on **Ligand-Gated Ion Channel Modulators** and to view our full line of products, please visit **www.CaymanChem.com**

GABA Receptors

Item No.	Product Name	Summary
16930	Allopregnanolone	A Positive allosteric modulator of $GABA_A$ receptors at nM concentrations
11727	Bicuculline	A competitive $GABA_A$ receptor antagonist that can act as an allosteric inhibitor at $GABA_A$ receptors
16335	Cyclothiazide	A negative modulator of $GABA_A$ receptors, reversibly inhibiting both evoked and spontaneous inhibitory postsynaptic currents (IC_{50} = 58 µM)
13667	Muscimol	A full $GABA_A$ agonist (K_d = 10 and 270 nM, for high- and low-affinity sites, respectively) and a partial $GABA_C$ agonist
14585	SR 95531 (hydrobromide)	A $GABA_A$ receptor antagonist (K_i = 74-150 nM)

Purinergic Receptors

Item No.	Product Name	Summary
14320	Brilliant Blue G	Selectively inhibits $P2X_7$ (IC_{50}s = 10.1 nM and 265 nM for rat and human, respectively)
15577	BzATP (triethylammonium salt)	Activates P2X receptors with EC_{50} values of 0.7, 3.6, and 285 µM for human, rat, and mouse receptors, respectively
13318	KN-62	A non-competitive antagonist of $P2RX_7$ (IC_{50} = 15 nM)
14537	PPADS (sodium salt)	A P2X antagonist that blocks recombinant $P2X_{1-5}$ (IC_{50}s = 1-2.6 µM), native $P2Y_2$-like (IC_{50} = ~0.9 mM), and recombinant $P2Y_4$ (IC_{50} = ~15 mM) receptors
15602	UDP-Glucose (sodium salt)	Binds $P2Y_{14}$ (EC_{50} = 0.35 µM), an atypical P2Y receptor involved in the activation of dendritic cells and glial cells

Nicotinic Acetylcholine Receptors

Item No.	Product Name	Summary
14609	(±)-Anatoxin A (fumarate)	An α4β2- and α7-type nAChR agonist (K_is = 1.3 nM and 1.8 µM, respectively)
16385	α-Bungarotoxin	A snake venom-derived toxin that irreversibly binds nAChRs (Ki = ~2.5 µM in rat)
14602	Mecamylamine (hydrochloride)	An nAChR antagonist with preferential activity at the α3β4 subtype (IC_{50} = 90-640 nM)
16535	(±)-Nicotine	A neuronal nAChR agonist (K_is = 481 and 11.1 nM for α3β4 and α4β2 subtypes, respectively)
15030	Varenicline (tartrate)	A partial agonist of the α4β2 neuronal nAChR (EC_{50} = 2.3 µM)