Voltage-Gated Ion Channel Modulators

Voltage-gated ion channels are activated by changes in electrical membrane potential near the channel. They are especially critical at neuronal synapses with a crucial role in propagating electrical signals in response to triggering voltage change. Potent activators or blockers of these key ion channels are available from Cayman.

Voltage-Gated Potassium Channels

Item No.	Product Name	Summary
14575	1-EBIO	Activates Ca^{2+}-sensitive K^+ channels (EC_{50} = 490 µM in T84 human carcinoma cells)
15551	BAPTA-Acetoxymethyl ester	Inhibits Kv channels, including $K_v1.3$, $K_v1.5$, and $K_v11.1$ (K_i = 1.45, 1.23, and 1.30 µM, respectively)
15614	CyPPA	A positive modulator of the small conductance Ca^{2+} -activated K^+ channels SK2 and SK3 (EC_{50}s = 14 and 5.6 µM, respectively)
16674	Flupirtine (maleate)	A KCNQ (K_v7) channel agonist that doubles channel currents when applied at 10 µM
14608	Iberiotoxin	Selectively blocks large-conductance Ca^{2+}-activated K^+ channels (IC_{50} = 250 pM)
15185	ML-213	Selectively activates KCNQ2 ($K_v7.2$) and KCNQ4 ($K_v7.4$) channels (EC_{50}s = 230 and 510 nM, respectively)
11351	Verruculogen	Inhibits the activation of Maxi-K potassium channels by charybdotoxin ($K_{1/2}$ = 170 nM)
14582	XE 991 (hydrochloride)	Inhibits KCNQ1 and 2 homomeric channels (IC_{50} = 0.75 and 0.71 µM, respectively) as well as KCNQ2+3 heteromultimers (IC_{50} = 0.6 µM)

Volate-Gated Calcium Channels

Item No.	Product Name	Summary
14326	Dantrolene (sodium salt)	A skeletal muscle relaxant that inhibits L-type currents in developing myotubes by shifting the voltage-dependence of skeletal L-type Ca^{2+} channel activation to more depolarizing potentials
14573	Nimodipine	An antagonist of L-type voltage-dependent Ca^{2+} channels (K_i = 5.3 nM)

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Voltage-Gated Sodium Channels

Item No.	Product Name	Summary
14406	5-(N-ethyl-N-isopropyl)-Amiloride	Inhibits NHE1, NHE2, NHE3, and NHE5 with K_i values of 0.02, 0.5, 2.4, and 0.42 µM, respectively
14409	Amiloride (hydrochloride)	Directly blocks ENaCs; also blocks Na^+/H^+ exchangers and acid-sensing ion channels
16618	Bupivacaine	Binds to the intracellular portion of voltage-gated Na^+ channels, blocking Na^+ influx into nerve cells, preventing the generation of an action potential
15422	Carbamazepine	Blocks $Na_v1.7$-, $Na_v1.3$-, and $Na_v1.8$-type channels (IC_{50}s = 406, 900, and 138 µM, respectively)
15428	Lamotrigine	Inhibits human $Na_v1.2$, $Na_v1.5$, and $Na_v1.8$ with IC_{50} values of 10, 62, and 96 µM, respectively
14875	Loperamide (hydrochloride)	Blocks voltage-sensitive Na^+ channels (IC_{50} = 270 nM) and high voltage-activated $Ca2^+$ channels (IC_{50} = 900 nM)
14308	Phenamil (methanesulfonate)	An ENaC inhibitor (IC_{50} = 10 nM)
15041	Quinacrine (hydrochloride hydrate)	Blocks voltage-dependent Na^+ channels (IC_{50} = 3.3 µM)
14577	Riluzole (hydrochloride)	Blocks the presynaptic release of glutamate, indirectly antagonizing glutamate receptors, and inactivating neuronal voltage-gated Na^+ channels (ED_{50} = 2.3 µM)
14963	Tetrodotoxin	Reversibly inhibits the inward Na^+ current through Na_v channels (IC_{50}s = 4.1 and 5.2 nM, in frog muscle and squid axon, respectively; K_d = 1.8 nM in rat brain), blocking action potentials (*Also available:* Tetrodotoxin Citrate Item No. (14964))

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