

CHANNEL BLOCKERS

Sodium Channel Blockers

Product	Cat. No.	M. W.	Comments	
Amiloride, HCl	129876	266.1	A potent and specific inhibitor of transmembrane Na ⁺ entry (IC ₅₀ = 3.0 μ M) and of Na ⁺ ,K ⁺ -ATPase. At higher concentrations blocks Na ⁺ /H ⁺ exchange. Acts as an inhibitor of angiogenesis.	
μ-Conotoxin GIIIB, Conus geographus	234620	2640.2	A selective blocker of skeletal muscle voltage-dependent Na+-channels.	
μ-Conotoxin GIIIA, Conus geographus	234622	2609.0	A selective blocker of vertebrate skeletal muscle voltage-dependent Na ⁺ -channels.	
QX-314	552233	343.3	A powerful blocker of voltage-sensitive Na ⁺ conductance when applied intracellula Suppresses the generation of Na ⁺ -dependent spikes without affecting Ca ²⁺ current or glutamate-activated currents.	
Saxitoxin, <i>Gonyaulax</i> sp., Diacetate Salt	559385	419.4	A potent, reversible blocker of Na ⁺ -channels (IC ₅₀ = 1.6 nM and 1.2 μ M depending upon the type of channel). Useful for discriminating between high affinity and low affinity Na ⁺ channels.	
Tetrodotoxin, <i>Fugu</i> sp. (TTX)	584411	319.3	Reversibly blocks Na ⁺ -channels in excitable tissues (IC ₅₀ = 22 nM in cortical cells and 1.8 μ M in cardiac cells). A useful marker of Na ⁺ -channels. Inhibits the release of glutamate via the Na ⁺ -dependent glutamate transporter and prevents veratridine-induced intracellular Ca ²⁺ accumulation (IC ₅₀ = 63 nM).	
Tetrodotoxin, <i>Fugu</i> sp. (TTX)	554412	319.3	Reversibly blocks Na ⁺ -channels in excitable tissues. Has about 10% higher potency than other tetrodotoxins.	
Tetrodotoxin, Citrate free, Fugu sp.	584415	319.3	Reversibly blocks Na+-channels in excitable tissues.	

Potassium Channel Blockers

Product	Cat. No.	M. W.	Comments
5'-Adenylyl-imidodiphosphate, Tetralithium Salt	120002	529.9	A nonhydrolyzable ATP analog that blocks ATP-sensitive K+-channels.
Agitoxin-2, <i>Leiurus</i> quinquestriatus hebraeus	123000	4090.9	A potent blocker of Shaker K ⁺ -channels ($K_i = 0.64$ nM) as well as the mammalian homolog of Shaker.
Agitoxin-2, Recombinant, E. coli	123002	4090.9	A potent inhibitor of the Shaker K ⁺ channel as well as the mammalian homolog of Shaker.
4-Aminopyridine	165405	94.1	A weak non-specific K ⁺ -channel blocker that blocks the channel from the cytosolic phase and remains trapped in the closed channel. Induces depolarization of GABA neurons.
Apamin, Bee Venom	178270	2027.3	Blocks AHP-type Ca ²⁺ -activated K ⁺ -channel. Has no effect on TEA or on voltage-sensitive Ca ²⁺ -activated K ⁺ -channels.
BDS-I, Anemonia sulcata	197700	4708.4	Blood Depressing Substance (BDS)-1 acts as a specific blocker of rapidly inactivating IA current-K ⁺ -channel Kv3.4 (IC ₅₀ = 47 nM). The blocking effect is rapid, direct, and reversible.
BDS-II, Anemonia sulcata	197705	4776.5	Differs from BDS-I by two amino acids. Acts as a specific blocker of rapidly inactivating IA current-K ⁺ -channel Kv3.4 (IC ₅₀ = 56 nM). The blocking effect is rapid, direct, and reversible.
Charybdotoxin, <i>Leiurus</i> quinquestriatus hebraeus	220332	4295.9	A high-affinity ligand that acts as a potent blocker of TEA and voltage-sensitive Ca^{2+} -activated K+-channels (IC ₅₀ = 30 nM).
Clotrimazole	233230	344.8	A potent and specific inhibitor (IC_{50} = 650 nM) of Ca ²⁺ -activated K ⁺ -channel (Gardos channel). Prevents K ⁺ loss and dehydration of sickled erythrocytes.
Dendrotoxin, Dendroaspis angusticeps	253702	7048.1	A presynaptic neurotoxin that blocks voltage-gated K+-channels. Enhances neuromuscular transmission due to acetylcholine release at neuromuscular junctions.

Potassium Channel Blockers (cont.)

Product	Cat. No.	M. W.	Comments		
Dendrotoxin I, <i>Dendroaspis</i> polylepis polylepis	253703	7149.4	Evokes transmitter release by blocking selected voltage-gated K+-channels.		
Dendrotoxin K, Dendroaspis polylepis polylepis	253709	6559.7	A specific blocker of non-inactivating, Kv1.1, voltage-gated K+ -channels.		
α-Dendrotoxin, <i>Dendroaspis</i> angusticeps	253704	7048.1	Blocks inactivating voltage-gated K ⁺ -channels. Exhibits higher selectivity for slowly inactivating outward K ⁺ currents ($EC_{50} = 1 \text{ nM}$). Enhances neuromuscular transmission by increasing acetylcholine release at the neuromuscular junctions.		
β-Dendrotoxin, <i>Dendroaspis</i> angusticeps	253706	7000.0	Blocks non-inactivating voltage-gated K ⁺ -channels in rat brain synaptosomes at 10-100 nM. Also blocks outward K ⁺ currents in primary cultured vascular smooth muscle cells ($EC_{50} = 51 \text{ nM}$).		
γ-Dendrotoxin, <i>Dendroaspis</i> angusticeps	253711	7000.0	Reported to block non-inactivating voltage-gated K ⁺ -channels in rat brain synaptosomes.		
Dequalinium Chloride	263225	527.6	A potent and selective non-peptide blocker of the apamin-sensitive small conductance Ca ²⁺ -activated K ⁺ channel. Also blocks ganglionic transmission. Selectively accumulates within the mitochondria of carcinoma cells and disrupts energy production.		
Glyburide	356310	494.0	A sulfonylurea that selectively blocks ATP-sensitive K ⁺ -channels. Reduced K ⁺ conductance results in membrane depolarization and influx of Ca^{2+} through the voltage-sensitive Ca^{2+} -channels. Blocks vascular smooth muscle relaxation produced by K _{ATP} channel openers.		
lberiotoxin, Buthus tamulus	401001	4230.9	A highly selective and potent blocker of high conductance Ca^{2+} -activated K ⁺ channels (IC ₅₀ = 250 pM). Does not affect other types of voltage-dependent K ⁺ -channels.		
Kaliotoxin, Androctonus mauretanicus	420312	4021.8	A blocker of Ca ²⁺ -activated high conductance K ⁺ -channels. Selectively inhibits ($K_d = 1-5 \text{ nM}$) voltage-dependent K ⁺ -channels in human and murine β -lymphocytes and invertebrate and mammalian BK-type channels in neurons ($K_d = 2 - 4 \text{ nM}$).		
Margatoxin, Centruroides margaritatus	444322	4179.0	A voltage-dependent K ⁺ channel blocker that is specific for the Kv1.3 channel. Blocks N-type current of human T lymphocytes but has no effect on Ca ²⁺ activated channels.		
Margatoxin, Recombinant, E. coli	444324	4295.3	A recombinant peptide expressed in and extracted from <i>E. coli</i> .		
Neuropeptide Y, Human 05	-23-2005	4271.7	A potent vasoconstrictor that inhibits Ca^{2+} -activated K ⁺ -channels in vascular smooth muscle cells (IC ₅₀ =500 nM).		
Neuropeptide Y, Porcine 05	-23-2000	4253.7	Inhibits Ca ²⁺ -activated K ⁺ channels in vascular smooth muscle cells. Stimulates Na ⁺ , K ⁺ -ATPase activity in renal tubules.		
Noxiustoxin, Centruroides noxius	492010	4194.9	Specifically blocks Ca ²⁺ activated K ⁺ channels of small conductance obtained from cultured bovine aortic endothelial cells. Reported to block mouse Kv1.7 voltage-dependent K ⁺ channel		
Noxiustoxin, Recombinant, E. coli	492012	4194.9	A recombinant peptide expressed in and extracted from E. coli.		
Paxilline, Penicillium paxilli	512750	435.6	A tremorgenic indole alkaloid that blocks high conductance Ca ²⁺ -activated K ⁺ -channels. Enhances binding of charybdotoxin to maxi-K ⁺ -channels.		
Penitrem A, Penicillium paxilli	516340	634.2	A blocker of high-conductance Ca ²⁺ -activated K ⁺ -channels.		
Potassium Channel Blocker Set	529545		Contains 5 μg of Agitoxin-2, 100 mg of Clotrimazole, 100 μg of Dendrotoxin I, 5 μg of Iberiotoxin, 5 μg of Margatoxin, 5 μg of Noxiustoxin, 450 μg of Paxilline, and 5 μg of Stichodactyla Toxin.		
SKF-525A, HCI	567300	390.0	A blocker of glibenclamide-sensitive K+-channels.		
Stichodactyla Toxin, Stichodactyla helianthus	569400	4054.8	A novel blocker of voltage-gated K ⁺ -channels. Inhibits the specific binding of Dendrotoxin I to rat brain membranes.		
Tetraethylammonium Chloride (TEA)	584128	165.7	A K ⁺ -channel blocker (IC_{50} = 1.2 mM, 600 nM, and 1.4 mM for fast, slow and intermediate channels, respectively). Acts as an antagonist of nicotinic cholinergic receptors. A ganglionic blocking agent.		
Tityustoxin Kα, <i>Tityus serrulatus</i>	614375	3941.8	Selectively blocks voltage-gated non-inactivating K ⁺ -channels in synaptosomes. Also shown to interfere with binding of α -Dendrotoxin to its receptor.		
Tolazamide	614300	311.4	A sulfonylurea that blocks ATP-sensitive K+-channels.		

Calcium Channel Blockers

Product	Cat. No.	M. W.	Comments			
ω-Agatoxin IVA, Agelenopsis aperta	121975	5202.3	A potent and selective high affinity blocker of P-type voltage-dependent Ca ²⁺ -channels (K _d = 2 nM).			
Aminohexahydrofluorene, HC	l 122885	223.8	Blocks Ca ²⁺ fluxes through ion channels associated with the NMDA receptor complex.			
Bepridil, HCl	200366	403.0	Blocks T-type Ca ²⁺ -channels more effectively than L-type channels. Also inhibits Na ⁺ /Ca ²⁺ exchange.			
Calcicludine, Dendroaspis angusticeps	207555	6980.2	A highly potent neuronal Ca ²⁺ -channel blocker (L-, N-, and P-types). Exhibits greater selectivity for L-type Ca ²⁺ -channels (EC ₅₀ = 200 pM).			
Calciseptine, Dendroaspis polylepis polylepis	208274	7036.2	Acts as a potent and selective blocker of L-type voltage-dependent Ca ²⁺ -channels.			
Calmidazolium Chloride	208665	687.7	Inhibits voltage-gated Ca ²⁺ channels and stimulates nitric oxide release in neuroblastoma cells. Also a strong inhibitor of calmodulin-dependent Ca ²⁺ -ATPase in erythrocytes.			
ω-Conotoxin GVIA, <i>Conus</i> geographus	343781	3037.4	Blocks voltage-activated N-type Ca ²⁺ -channels and neurotransmitter release at neuronal synapses.			
ω-Conotoxin MVIIC, Conus m	<i>agus</i> 234630	2749.3	A potent and selective blocker of Q-type voltage-dependent Ca ²⁺ -channels.			
Diltiazem, HCl	309866	451.0	Acts as a slow Ca^{2+} -channel antagonist ($IC_{50} = 300 - 400 \text{ nM}$). Functions in a manner similar to nifedipine and verapamil.			
Flunarizine, 2HCl	343150	477.4	Selectively blocks low threshold T-type Ca ²⁺ -channels (IC ₅₀ = 2.2 μ M). Also inhibits K ⁺ -induced catecholamine release in chromaffin cells (IC ₅₀ = 1.2 μ M) and prevents veratridine-induced cell death.			
FS2, Dendroaspis polylepis polylepis	344158	7019.1	Selectively blocks non-skeletal muscle voltage-dependent L-type Ca ²⁺ -channels.			
FTX-3.3, Agenelopsis aperta	344594	419.3	Blocks neuronal voltage-gated P-type and N-type Ca ²⁺ -channels. Also reported to block L-type Ca ²⁺ -channels at higher concentrations.			
sFTX-3.3	344595	360.3	A synthetic analog of FTX-3.3. Blocks neuronal voltage-gated P- and N-type Ca ²⁺ -channels. Also acts as a potent inhibitor of low-voltage activated T-type Ca ²⁺ -channels.			
Galanin, Porcine	05-23-2350	3210.6	Interferes with low voltage-activated Ca ²⁺ -channels. Inhibits ω -conotoxin GVIA-sensitive Ca ²⁺ -channels in parasympathetic neurons. Generally co-exists with acetylcholine in neurons.			
(±)-Methoxyverapamil, HCl	454551	521.1	A phenethylamine derivative that acts as a Ca ²⁺ -channel blocker. Inhibits nicotine-induced catecholamine release.			
Neomycin Sulfate	4801	908.9	Blocks voltage-sensitive N-type Ca ²⁺ -channels without affecting Na ⁺ , Ca ²⁺ antiporters in neurons. Also inhibits IP ₃ -induced Ca ²⁺ release (IC ₅₀ = 400 nM).			
Nifedipine	481981	346.3	A relatively selective blocker of L-type Ca ²⁺ -channels (IC ₅₀ = 36 nM). Acts as a vasodilator. Pharmacologically, one of the most commonly used vasodilators.			
Nimodipine	482200	418.4	An L-type Ca ²⁺ -channel blocker. Ameliorates experimental diabetic neuropathy in streptozotocin-induced diabetic rats.			
Protopine, HCI	539575	389.8	Inhibits voltage- and receptor-operated Ca ²⁺ -channels.			
SKF-96365, HCl	567310	402.9	Inhibits voltage-gated Ca ²⁺ entry into excitable cells. Also blocks receptor-mediated Ca ²⁺ entry in stimulated platelets, neutrophils, and endothelial cells.			
Somatostatin-14	05-23-0850	1637.9	 A cyclic tetradecapeptide that inhibits voltage-gated Ca²⁺-channels in insulinoma cell lines. This inhibition is mediated by somatostatin subtype 2 receptor. 			
L-Stepholidine, Stephania intermedica	569403	327.4	A potent dopamine receptor antagonist with higher selectivity for D1 over D2 receptors. Also acts as a weak Ca ²⁺ -channel blocker ($IC_{50} = 18.1 \mu M$).			
Taicatoxin, Oxyuranus scutellatus scutellatus	574785	52,000	 A potent, selective, and reversible blocker of high threshold voltage-dependent L-type Ca²⁺-channels. 			
Tetrahydropalmatine, HCI	584218	391.9	An antiarrhythmic and hypotensive agent that inhibits the voltage-dependent Ca ²⁺ -channels.			
(±)-Verapamil, HCl	676777	491.1	A vasodilator and antiarrhythmic agent that blocks the entry of Ca ²⁺ through the voltage-dependent Ca ²⁺ -channels (principally the L-type) in smooth and cardiac muscle cells.			

Chloride Channel Blockers

Product	Cat. No.	M. W.	Comments
Chlorotoxin, <i>Leiurus</i> quinquestriatus	230750	3996.7	An intracellular blocker of small-conductance Cl ⁻ -channels in epithelial cells.
DIDS, Disodium Salt	309795	498.5	An anion transport inhibitor that inhibits Cl ⁻ uptake in neuroblastoma cells. Inhibits ATP transport into endoplasmic reticulum vesicles.
5-Nitro-2-(3-phenylpropyl- amino)-benzoic Acid	484100	300.3	A potent blocker of Cl ⁻ -channels (IC ₅₀ = 100 nM to 100 μ M depending upon the channel subtype and assay method used).
Picrotoxin, Anamirta cocculin	528105	602.6	A GABA antagonist (IC ₅₀ = 240 nM) that acts as a Cl ⁻ -channel blocker. Inhibits TBPS binding to the Cl ⁻ -channel (IC ₅₀ = 1.7μ M).

Antibodies for Channel Blocker Research

Product	Application	Cat. No.	Size
Anti-ω-Agatoxin IVA, <i>Agelenopsis aperta</i> (Rabbit)	ELISA, IFA, IH	121976	100 µg
Anti-Chloride Channel 2 (CLC-2) (888-906), Rat (Rabbit)	IB	220562	50 µl
Anti-Chloride Channel 3 (CLC-3) (592-661), Rat (Rabbit)	IB	220563	50 µl
Anti-Voltage-Gated Ca ²⁺ Channel, Pan a1-Subunit, Human (Rabbit)	IB, IC	681501	50 µl
Anti-Voltage-Gated Ca ²⁺ Channel, α1A-Subunit, Human (Rabbit)	IB, IC	681503	50 µl
Anti-Voltage-Gated Ca ²⁺ Channel, α 1B-Subunit, Human (Rabbit)	IB, IC	681505	50 µl
Anti-Voltage-Gated Ca ²⁺ Channel, α 1C-Subunit, Human (Rabbit)	IB, IC	681507	50 µl
Anti-Voltage-Gated Ca ²⁺ Channel, α 1D-Subunit, Human (Rabbit)	IB, IC	681509	50 µl
Anti-Voltage-Gated Ca ²⁺ Channel, α 1E-Subunit, Human (Rabbit)	IB	681511	50 µl

ELISA: Enzyme-linked immunosorbent assay; IB: immunoblotting; IC: immunocytochemistry; IFA: immunofluorescence assay; IH: immunohistochemistry



Next Edition Autumn 2000



CALBIOCHEM® Signal Transduction Catalog and Technical Resource

The industry's most comprehensive and useful catalog and reference source. Conveniently organized into 18 major focus areas including a section on practical tools for signal transduction research. This helpful resource has expanded application and categorical indices, comparative tables, useful structures, and much more.

CALBIOCHEM[®] 2000 General Catalog

This complete Calbiochem 800+ page catalog features over 5000 biochemicals and immunochemicals. Includes over 1000 new products, with 400 new antibodies, and 50 assay kits, as well as updated references and excellent application indices for major research areas in: Glycobiology, G-Proteins, Calcium Metabolism, Protein Kinases, Nitric Oxide, Apoptosis, and Neuroscience.

Please call our Technical Service Department or your local sales office for more information on these products.

United Kingdom Tel (0115) 943 0840 Fax (0115) 943 0951 customer.service@cnuk.co.uk USA, Canada, & Mexico Tel (800) 854-3417 Fax (800) 776-0999 technical@calbiochem.com VWR Scientific Products www.vwrsp.com or VWR Canlab www.vwrcanlab.com Tel (800) 932-5000

Germany Tel (06196) 63955 Fax (06196) 62361 customer.service@calbiochem.novabiochem.de

http://www.calbiochem.com