Toxins and alkaloids - Ion Channel and Receptor Ligands

TECHNICAL DATA

BATRACHOTOXIN (BTX), Prod. No.L8301

A strong sodium channel activator.

CAS No: 23509-16-2	**RTECS No.**: CR3990000	**Merck Index: (13th Ed.), No:** 1012

Origin: Purified from skin extract of the poison dart frog *Phyllobates terribilis*.

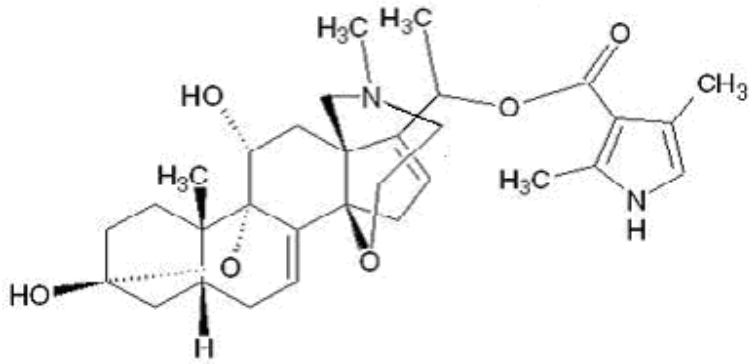
Chemical characterization:

Chemical family: Alkaloid with a steroid skeleton and an oxazapine ring, and a dimethylpyrrole carboxylate moiety

Formula: $C_{31}H_{42}N_2O_6$

Chemical Names: BTX; Batrachotoxin (7Cl, 8Cl); Batrachotoxinin A, 20-(2,4-dimethyl-1H-pyrrole-3-carboxylate).

Chemical Structure:



Physical properties:

Molecular Weight: 538.67

Physical Form: film.

Predicted Density: 1.34 +/- 0.1 g/cm^3.

Boiling Point: 744.0 +/- 60.0°C

Solubility: Soluble in ethanol, methanol and DMSO.

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Predicted pKa (25 °C): 7.1 to 8.0.

Optical activity: $[\alpha]_{300}^{29}$ – 260° (c = 0.23 in methanol)

Biological activity:

BTX is the most active alkaloid binding at receptor site-2 on sodium channels. It activates the sodium channel even at very negative membrane potentials and keeps it open permanently by preventing channel inactivation. BTX also changes the selectivity of the channel to Na^+ ions and makes it less selective, enabling larger ions to pass through the pore. EC_{50} (in vitro): 0.1 to 100 nanomolar.

BTX binding induces many allosteric effects on other channel regions, and increases the binding of scorpion alpha-toxins to receptor site-3, of brevetoxin to receptor site-5, and of pyrethroid insecticides to receptor site-7.

Field of use:

Batrachotoxin-sensitive sodium channels are expressed in central and peripheral neurons and in both striated and cardiac muscle, where they regulate excitability. A number of channel subtypes exist. Research tool applicable to the study of the function of sodium channel and the effects of other toxins and of a variety of drugs, including anesthetics, analgesics, antiarrhythmics, anticonvulsants and antidepressants.

Recommended doses: < 1 microgram/kg Recommended concentrations: 0.01 to 10 micromolar.

Purity: >90 %. (HPLC, TLC, Toxicity)

Toxicity (LD50):

Mice (sc): LD50: 2 micrograms/kg. Convulsions and death after 8 min at 10 micrograms/kg.

Storage and reconstitution recommendations:

Stable at room temperature, store preferably at 4°C. Solid and solutions can be kept in deep freeze for a long time without any change in their activity. Reconstitution: dissolve in ethanol or methanol.

Safety recommendations:

Highly toxic. Use gloves, wear mask, manipulate under hood.

Bibliographic references:

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2. E. X. Albuquerque et al, Science 172:995 (1971)

3. W. A. Catterall et al, J. Biol. Chem. 256:8922(1981)

4. T. Tokuyama and J.W. Daly, Tetrahedron 39:41-47 (1983)

5. G.B. Brown, Internat. Rev. Neurobiol. 29: 77-116 (1988)

6. M. Kurosu et al., J. Am Chem.. Soc. 120: 6629 (1998)

7. S. J. Wang and G. K. Wang, Cellular Signaling 15: 151 (2003)

8. Batrachotoxin. RN 23509-16-2. *STN Registry file* (27 February 2006)

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