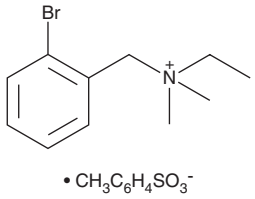
PRODUCT INFORMATION

Bretylium (tosylate)

Item No. 29979

CAS Registry No.: 61-75-6
Formal Name: 2-bromo-N-ethyl-N,N-dimethyl-benzenemethanaminium, 4-methylbenzenesulfonate (1:1)
MF: $C_{11}H_{17}BrN \bullet C_7H_7O_3S$
FW: 414.4
Purity: ≥95%
UV/Vis.: λ_{max}: 220 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Bretylium (tosylate) is supplied as a crystalline solid. A stock solution may be made by dissolving the bretylium (tosylate) in the solvent of choice, which should be purged with an inert gas. Bretylium (tosylate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of bretylium (tosylate) in these solvents is approximately 30 mg/ml.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of bretylium (tosylate) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of bretylium (tosylate) in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Bretylium is a class III antiarrhythmic agent and an inhibitor of the Na^+/K^+-ATPase (IC_{50} = 4.5 mM).[1] Bretylium also has antiadrenergic activity, inhibiting auricular nerve stimulation-induced vasoconstriction in isolated rabbit ears and hypogastric nerve stimulation-induced contraction of isolated rabbit uterus.[2] It inhibits neuroeffector calcium transients (NCTs), as well as increases action potential delay and the absolute refractory period, but does not inhibit field stimulus-induced CTs in isolated mouse vas deferens sympathetic nerve terminals.[3] Bretylium prevents ventricular fibrillation in anesthetized dogs in a model of sudden coronary death when administered at a dose of 10 mg/kg.[4] Formulations containing bretylium were previously used in the prevention and treatment of ventricular fibrillation.

References

1. Helms, J.B., Arnett, K.L., Gatto, C., *et al.* Bretylium, an organic quaternary amine, inhibits the Na,K-ATPase by binding to the extracellular K-site. *Blood Cells Mol. Dis.* **32(3)**, 394-400 (2004).
2. Boura, A.L., Copp, F.C., and Green, A.F. New antiadrenergic compounds. *Nature* **184**, BA70-BA71 (1959).
3. Brain, K.L. and Cunnane, T.C. Bretylium abolishes neurotransmitter release without necessarily abolishing the nerve terminal action potential in sympathetic terminals. *Br. J. Pharmacol.* **153(4)**, 831-839 (2007).
4. Holland, K., Patterson, E., and Lucchesi, B.R. Prevention of ventricular fibrillation by bretylium in a conscious canine model of sudden coronary death. *Am. Heart J.* **105(5)**, 711-717 (1983).

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