Product Data Sheet

Tiotropium bromide monohydrate

Cat. No.: HY-B0460 CAS No.: 411207-31-3 Molecular Formula: $C_{19}H_{24}BrNO_5S_2$

Molecular Weight: 490.43 Target: mAChR

Pathway: GPCR/G Protein; Neuronal Signaling Storage: 4°C, sealed storage, away from moisture

* In solvent: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)

SOLVENT & SOLUBILITY

In Vitro

DMSO: 100 mg/mL (203.90 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.0390 mL	10.1951 mL	20.3903 mL
	5 mM	0.4078 mL	2.0390 mL	4.0781 mL
	10 mM	0.2039 mL	1.0195 mL	2.0390 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- 1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (5.10 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (5.10 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (5.10 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tiotropium bromide monohydrate is an anticholinergic and bronchodilator and a muscarinic receptor antagonist. Target: mAChRTiotropium bromide (Ba 679 BR) is a novel potent and long-lasting muscarinic antagonist that has been developed for the treatment of chronic obstructive airways disease (COPD). Binding studies with [3H]tiotropium bromide in human lung have confirmed that this is a potent muscarinic antagonist with equal affinity for M1-, M2- and M3-receptors and is approximately 10-fold more potent than ipratropium bromide. In vitro tiotropium bromide has a potent inhibitory effect against cholinergic nerve-induced contraction of guinea-pig and human airways, that has a slower onset than atropine or ipratropium bromide. tiotropium bromide dissociates slowly from M3-receptors (on airway smooth muscle) but rapidly from M2 autoreceptors (on cholinergic nerve terminals) [1]. Tiotropium bromide is a quaternary ammonium derivative that binds to muscarinic receptors. However, although tiotropium binds with high affinity to muscarinic receptors of M1-, M2- and M3subtypes, it dissociates very slowly from M1- and M3-receptors but more rapidly from M2-receptors, thereby giving it a unique kinetic selectivity [2].

REFERENCES

[1]. Barnes, P.J., et al., Tiotropium bromide (Ba 679 BR), a novel long-acting muscarinic antagonist for the treatment of obstructive airways disease. Life Sci, 1995. 56(11-12): p. 853-9.

[2]. Hansel, T.T. and P.J. Barnes, Tiotropium bromide: a novel once-daily anticholinergic bronchodilator for the treatment of COPD. Drugs Today (Barc), 2002. 38(9): p. 585-600

Caution: Product has not been fully validated for medical applications. For research use only.

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