Brompheniramine maleate

Cat. No.: HY-B0480

CAS No.: 980-71-2

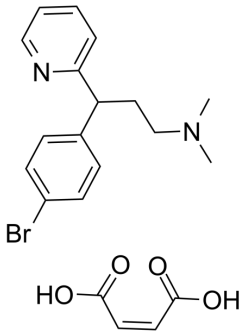
Molecular Formula: $C_{20}H_{23}BrN_2O_4$

Molecular Weight: 435.31

Target: Histamine Receptor; mAChR; Potassium Channel; Sodium Channel; Calcium Channel

Pathway: GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling; Membrane Transporter/Ion Channel

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 (229.72 mM; Need ultrasonic)
H_2O : 100 mg/mL (229.72 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2972 mL	11.4861 mL	22.9721 mL
	5 mM	0.4594 mL	2.2972 mL	4.5944 mL
	10 mM	0.2297 mL	1.1486 mL	2.2972 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 25 mg/mL (57.43 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.74 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.74 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.74 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Brompheniramine ((±)-Brompheniramine) maleate is a potent and orally active antihistamine of the alkylamine class. Brompheniramine maleate is a selective histamine H1 receptor antagonist with a K_d of 6.06 nM. Brompheniramine maleate can block the hERG channels, calcium channels, and sodium channels with IC_{50}s of 0.90 μM, 16.12 μM and 21.26 μM, respectively. Brompheniramine maleate has anticholinergic, antidepressant and anesthetic properties and can be used for allergic rhinitis research[1][2][3][4].

IC_{50} & Target	H_1 Receptor 6.06 nM (Kd)
In Vitro	Brompheniramine (0.1-100 μM) blocks hERG K^+ channels expressed in CHO cells in a concentration-dependent manner with an IC_{50} of 0.90±0.14 μM, and reduced peak tail current amplitude measured at -60 mV (cells are depolarized for 2 s to +20 mV from a holding potential of -80 mV followed by a 3s repolarization back to -60 mV)[3]. Brompheniramine (1, 10 and 100 μM) significantly shortens the APD_{50} and depresses the plateau phase on the action potential in guinea pig papillary muscle, as well as slightly prolongs the APD_{90} in guinea pig papillary muscle at 10 and 100 μM[3]. Brompheniramine (0.1-100 μM) inhibit the amplitude of the Ca^{2+} channel currents in rat ventricular myocytes by 14.1±1.1, 31.1±5.8, 38.0±3.8 and 90.2±3.7% at 0.1, 1, 10 and 100 μM, respectively[3]. Brompheniramine blocks muscarinic cholinergic receptors in human chinese hamster ovary (CHO) cells[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Brompheniramine (0.3-3 μM; SC, single dosage) induces cutaneous analgesia in rats[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats[1]
Dosage:	0.3, 0.6, 1.1, 1.5 and 3.0 μM
Administration:	SC, single dosage
Result:	Provoked cutaneous analgesia in a dose-dependent manner, with an EC_{50} value of 0.66 μM, and induced prolonged analgesic duration.

CUSTOMER VALIDATION

- Biomaterials. 2021, 120742.

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REFERENCES

[1]. Shin WH, Kim KS, Kim EJ. Electrophysiological effects of brompheniramine on cardiac ion channels and action potential. Pharmacol Res. 2006 Dec;54(6):414-20.

[2]. Yasuda SU, Yasuda RP. Affinities of brompheniramine, chlorpheniramine, and terfenadine at the five human muscarinic cholinergic receptor subtypes. Pharmacotherapy. 1999 Apr;19(4):447-51.

[3]. Chong-Chi Chiu, et al. Subcutaneous brompheniramine for cutaneous analgesia in rats. Eur J Pharmacol. 2019 Oct 5;860:172544.

[4]. B Cusack, et al. Binding of antidepressants to human brain receptors: focus on newer generation compounds. Psychopharmacology (Berl). 1994 May;114(4):559-65.

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