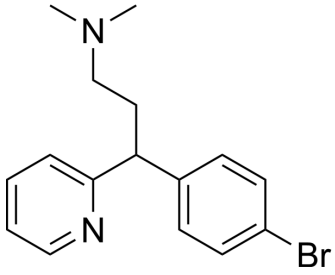
Brompheniramine

Cat. No.:	HY-B0480A
CAS No.:	86-22-6
Molecular Formula:	$C_{16}H_{19}BrN_2$
Molecular Weight:	319.24
Target:	Histamine Receptor; mAChR; Potassium Channel; Calcium Channel; Sodium Channel
Pathway:	GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling; Membrane Transporter/Ion Channel
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Brompheniramine ((±)-Brompheniramine) is a potent and orally active antihistamine of the alkylamine class. Brompheniramine is a selective histamine H1 receptor antagonist with a K_d of 6.06 nM. Brompheniramine 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 has anticholinergic, antidepressant and anesthetic properties and can be used for allergic rhinitis research[1][2][3][4].
IC_{50} & Target	H_1 Receptor
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]. Chong-Chi Chiu, et al. Subcutaneous brompheniramine for cutaneous analgesia in rats. Eur J Pharmacol. 2019 Oct 5;860:172544.

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

[3]. 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.

[4]. 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.

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