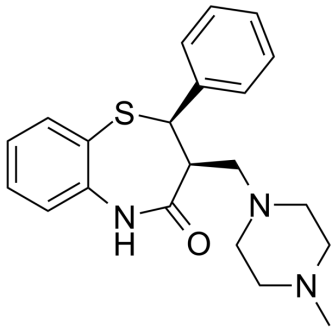
BTM-1086

Cat. No.:	HY-U00406
CAS No.:	72293-17-5
Molecular Formula:	$C_{21}H_{25}N_3OS$
Molecular Weight:	367.51
Target:	mAChR
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	BTM-1086 is a potent anti-ulcer and gastric secretory inhibiting agent.
IC_{50} & Target	Muscarinic receptor[1]
In Vitro	Functional and binding experiments shows that the (-) enantiomer (BTM-1086) has a high affinity (pK_i=8.31-9.15) for the three muscarinic receptor subtypes in guinea-pig cortex (M1), heart (M2) and salivary glands (M3)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	BTM-1086 prevents the development of ulcer at a dose of 0.1 to 1 mg/kg, p.o., but only weakly inhibits the histamine induced gastric ulcer. The inhibitory activities of BTM-1086 are significantly higher than those of atropine sulfate. In the healing experiment with the acetic acid-induced stomach ulcer, BTM-1086 (1 mg/kg/day , p.o., x14) shows a significant healing effect, which is higher than that of propantheline bromide . BTM-1086 at a dose of 0.2 mg/kg , i.d., remarkably inhibits the gastric secretion 6 hr after pylorus ligation. The aspirin-induced reductions of the total acid and K^+ as well as the increments of the volume and Na^+ in the gastric secretion are prevented dose-dependently by pretreatment with BTM-1086. The LD_{50} value by oral, s.c., and i.v. administration with this compound is 880, 630 and 113 mg/kg, respectively, for male rats and 830, 650 and 119 mg/kg, respectively, for female rats[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [2]	Rats[2] Rats weighing 180 to 190 g are anesthesized with ether and subjected to laparotomy to expose the stomach after which 20 gal of 20% acetic acid is injected carefully under the serous membrane of the abdominal side in the glandular stomach; then the abdomen is closed. Thereafter, the animals are fed normally and 5 mL/kg of BTM-1086 dissolved or suspended in 0.5% gum arabic solution is administered p.o. once daily for 14 days from the second day after the operation. The longitudinal and abscissal length of the areas are quickly measured with a calliper, and the multiplied product is used as the ulcer index [2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Eltze M, et al. Affinity profiles of BTM-1086 and BTM-1041 at muscarinic receptor subtypes and at H1- and alpha 1-receptors. Eur J Pharmacol. 1989 Nov 7;170(3):225-34.

[2]. Hajimu Y, et al. Antiulcer Effect of (-)-cis-2, 3-Dihydro-3-(4-Methylpiperazinylmethyl)-2-Phenyl-1, 5-Benzothiazepin-4-(5H)-One Hydrochloride (BTM-1086) in Experimental Animals. Japan J Pharmacol. 41, 283-292 (1986).

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA