Product Data Sheet

Product Name: BTM-1086
Cat. No.: GC32039

Chemical Properties

Cas. No.	72293-17-5		
SMILES	O=C1[C@H](CN2CCN(C)CC2)[C@H](C3=CC=CC=C3)SC4=CC=CC=C4N1		
Formula	$C_{21}H_{25}N_3OS$	M.Wt	367.51
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	BTM-1086		

Protocol

Animal experiment:

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].

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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).

Background

BTM-1086 is a potent anti-ulcer and gastric secretory inhibiting agent.

Functional and binding experiments shows that the (-) enantiomer (BTM-1086) has a high affinity (pKi=8.31-9.15) for the three muscarinic receptor subtypes in guinea-pig cortex (M1), heart (M2) and salivary glands (M3)[1].

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 LD50 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].

[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).

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