
Product Data Sheet

Product Name: (±)-Tazifylline

Cat. No.: GC31026

Chemical Properties

Cas. No. 79712-55-3

SMILES O=C(N1C)N(C)C2=C(N(CC(O)CN3CCN(CCCSC4=CC=CC=C4)CC3)C=N2)C1=OFormula $C_{23}H_{32}N_6O_3S$ M.Wt 472.6

Solubility Soluble in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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

Background

(±)-Tazifylline is a potent, selective and long-acting histamine H1 receptor antagonist.

Tazifylline potently inhibits contractions evoked by stimulation of histamine H1-receptors in isolated guinea pig ilea and exhibits high affinity for these receptors in radioligand binding studies in vitro. Tazifylline has much lower affinity for histamine H2-receptors, alpha- and beta-adrenoceptors, 5-hydroxytryptamine and muscarinic receptor subtypes. Tazifylline poorly inhibits the release of histamine from rat peritoneal mast cells[1].

In rats, guinea pigs and dogs the antihistaminic effect of Tazifylline is rapid in onset and long-lived. In anesthetized guinea pigs, Tazifylline markedly inhibits histamine-induced bronchoconstriction and protects conscious animals from the lethal effect of large doses of the amine. In conscious rats, Tazifylline is more potent in reducing the inflammatory effects of intradermal histamine than that evoked by anaphylactic reaction. In conscious dogs, orally administered Tazifylline inhibits histamine-induced skin inflammation for long periods of time and in anesthetized animals attenuated that portion of the histamine-evoked hypotension attributable to stimulation of H1-receptors. Large oral doses of Tazifylline does not reduce spontaneous locomotor activity in mice, nor do they

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

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produce overt symptoms of behavioral depression in conscious rats[1].

[1]. Poizot A, et al. Animal pharmacology of the selective histamine H1-receptor antagonist tazifylline. *Arzneimittelforschung*. 1986 Apr;36(4):695-702.

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