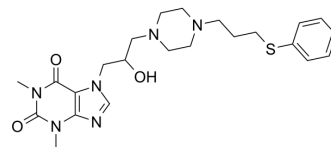


(±)-Tazifylline

Cat. No.:	HY-U00018
CAS No.:	79712-55-3
Molecular Formula:	C ₂₃ H ₃₂ N ₆ O ₃ S
Molecular Weight:	472.6
Target:	Histamine Receptor
Pathway:	GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	(±)-Tazifylline is a potent, selective and long-acting histamine H1 receptor antagonist.
IC₅₀ & Target	H1-receptor ^[1]
In Vitro	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] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	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 produce overt symptoms of behavioral depression in conscious rats ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

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

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

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