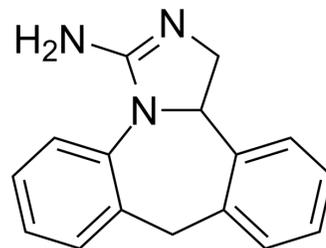


Epinastine

| | |
|---------------------------|--|
| Cat. No.: | HY-B0640 |
| CAS No.: | 80012-43-7 |
| Molecular Formula: | C ₁₆ H ₁₅ N ₃ |
| Molecular Weight: | 249.31 |
| Target: | Histamine Receptor |
| Pathway: | GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling |
| Storage: | 4°C, protect from light, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen) |



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (200.55 mM)
 H₂O : < 0.1 mg/mL (insoluble)
 * "≥" means soluble, but saturation unknown.

| | Solvent Concentration | Mass | | |
|------------------------------|--------------------------|-----------|------------|------------|
| | | 1 mg | 5 mg | 10 mg |
| Preparing Stock Solutions | 1 mM | 4.0111 mL | 20.0554 mL | 40.1107 mL |
| | 5 mM | 0.8022 mL | 4.0111 mL | 8.0221 mL |
| | 10 mM | 0.4011 mL | 2.0055 mL | 4.0111 mL |

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (10.03 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (10.03 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (10.03 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Epinastine (WAL801) is an antihistamine and mast cell stabilizer. Epinastine is a potent, selective and orally-active histamine H1 receptor antagonist. Epinastine also inhibits IL-8 release and has an antiallergic action^{[1][2][3][4]}.

In Vitro

Epinastine is able to displace specific [³H]NC-5Z binding at low concentrations in the locust nervous tissue. Epinastine binds to the honey bees neuronal octopamine receptor with K_i of 1.1 nM. Epinastine antagonises octopamine-induced cAMP formation in the insect brain^[2].

| | |
|----------------|--|
| | <p>Epinastine causes an inhibition of histamine release from rat peritoneal mast cells induced by both antigen-antibody reaction and compound 48/80. Epinastine is similarly effective in inhibiting compound 48/80-induced histamine release not only from isolated rat peritoneal mast cells but also from rat mesenterial pieces. Epinastine is effective in inhibiting not only Ca^{2+} uptake into lung mast cells in actively sensitized guinea pigs but also Ca^{2+} release from the intracellular Ca store of rat peritoneal mast cells exposed to both compound 48/80 and substance P^[3].</p> <p>Epinastine shows a dose- and time-dependent suppressive effect on IL-8, one of the chemokines for eosinophils, released from eosinophils isolated from atopic diseases^[4].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> |
| In Vivo | <p>Epinastine shows a high affinity to H1-receptors in receptor binding studies in the guinea pig ileum. Epinastine inhibits histamine-induced reactions in the skin or the lung of rats, dogs and guinea pigs^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> |

REFERENCES

- [1]. Fugner, A., et al., In vitro and in vivo studies of the non-sedating antihistamine epinastine. *Arzneimittelforschung*, 1988. 38(10): p. 1446-53.
- [2]. Roeder, T., J. Degen, and M. Gewecke, Epinastine, a highly specific antagonist of insect neuronal octopamine receptors. *Eur J Pharmacol*, 1998. 349(2-3): p. 171-7.
- [3]. Kamei, C., et al., Antiallergic effect of epinastine (WAL 801 CL) on immediate hypersensitivity reactions: (I). Elucidation of the mechanism for histamine release inhibition. *Immunopharmacol Immunotoxicol*, 1992. 14(1-2): p. 191-205.
- [4]. Kohyama, T., et al., A novel antiallergic drug epinastine inhibits IL-8 release from human eosinophils. *Biochem Biophys Res Commun*, 1997. 230(1): p. 125-8.

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

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