Eprazinone dihydrochloride

Cat. No.: HY-B2078A

CAS No.: 10402-53-6

Molecular Formula: $C_{24}H_{34}Cl_2N_2O_2$

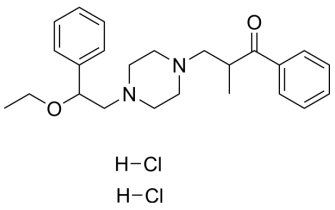
Molecular Weight: 453.44

Target: Neurokinin Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : ≥ 25 mg/mL (55.13 mM)

DMSO : 6.25 mg/mL (13.78 mM; ultrasonic and warming and heat to 60°C)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2054 mL	11.0268 mL	22.0536 mL
5 mM	0.4411 mL	2.2054 mL	4.4107 mL
10 mM	0.2205 mL	1.1027 mL	2.2054 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 6.25 mg/mL (13.78 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.62 mg/mL (1.37 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.62 mg/mL (1.37 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Eprazinone dihydrochloride is a gent with mucolytic, secretolytic, antitussive, and bronchial antispasmodic properties. Eprazinone dihydrochloride is a neurokinin 1 receptor (NK1R) ligand. Eprazinone dihydrochloride has the potential for chronic bronchitis treatment that improved pulmonary function and arterial partial pressure of oxygen[1][2].

IC_{50} & Target

NK1

In Vitro

Eprazinone specifically displaces binding to the NK1R. Although Eprazinone displays a rather weak inhibition of [^{125}I]BH-SP

binding to NK1R, at a concentration of 25 μM, and an antagonistic effect of about 30%, NK1R blockade could contribute to its mucolytic activity[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Eprazinone (50-200 mg/kg; oral gavage; daily; for 4 days; adult male rats) at a dose of 200 mg/kg significantly increases total and individual (with the exception of phosphatidylinositol) phospholipid levels and decreases total neutral lipids. Lower doses of Eprazinone significantly decrease neutral lipid levels without affecting the phospholipids[1].

In airway epithelial studies, mucosal addition of Eprazinone produces a dose-dependent partially reversible decrease in short-circuit current (Isc). The decrease in Isc at lower Eprazinone concentrations is accounted for entirely by a decrease in net chloride secretion while at higher concentrations both sodium and chloride transport are affected[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Adult male pathogen free Fischer 344 inbred rats (200-250 g)[1]
Dosage:	50 mg/kg, 100 mg/kg, and 200 mg/kg
Administration:	Oral gavage; daily; for 4 days
Result:	At a dose of 200 mg/kg significantly increased total and individual (with the exception of phosphatidylinositol) phospholipid levels and decreased total neutral lipids.

REFERENCES

[1]. R S Thrall, et al. Eprazinone Alters Lung Lavage Lipid Levels and Transtracheal Ion Transport. Exp Lung Res. May-Jun 1992;18(3):409-20.

[2]. Yvonne Krautscheid, et al. Pharmacophore Modeling, Virtual Screening, and in Vitro Testing Reveal Haloperidol, Eprazinone, and Fenbutrazate as Neurokinin Receptors Ligands. J Chem Inf Model. 2014 Jun 23;54(6):1747-57.

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