Vofopitant dihydrochloride

Cat. No.: HY-12143

CAS No.: 168266-51-1

Molecular Formula: $C_{21}H_{25}Cl_2F_3N_6O$

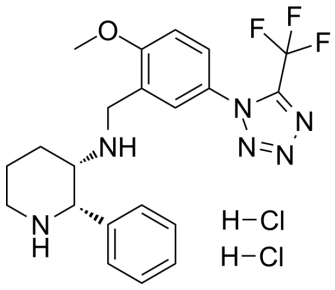
Molecular Weight: 505.36

Target: Neurokinin Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, stored under nitrogen

* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 33.33 mg/mL (65.95 mM; Need ultrasonic)

DMSO : 33.33 mg/mL (65.95 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.9788 mL	9.8939 mL	19.7879 mL
5 mM	0.3958 mL	1.9788 mL	3.9576 mL
10 mM	0.1979 mL	0.9894 mL	1.9788 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 50 mg/mL (98.94 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.95 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.95 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.95 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Vofopitant dihydrochloride (GR 205171A) is a potent, selective and orally available tachykinin neurokinin 1(NK1) receptor antagonist, inhibits [^{3}H]SP binding to the NK1 receptor with pK_i values of 9.5 and 10.6 in rat and human membranes respectively, acts as a potential broad-spectrum anti-emetic agent[1].

IC_{50} & Target

NK1

REFERENCES

[1]. Gardner CJ, et al. GR205171: a novel antagonist with high affinity for the tachykinin NK1 receptor, and potent broad-spectrum anti-emetic activity. Regul Pept. 1996 Aug 27;65(1):45-53.

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