Vanoxerine dihydrochloride

Cat. No.: HY-13217

CAS No.: 67469-78-7

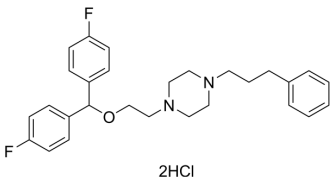
Molecular Formula: $C_{28}H_{34}Cl_2F_2N_2O$

Molecular Weight: 523

Target: Dopamine Transporter

Pathway: 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

DMSO : 9.4 mg/mL (17.97 mM; Need ultrasonic and warming)
H_2O : 1 mg/mL (1.91 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.9120 mL	9.5602 mL	19.1205 mL
5 mM	0.3824 mL	1.9120 mL	3.8241 mL
10 mM	0.1912 mL	0.9560 mL	1.9120 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.98 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.98 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.98 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Vanoxerine dihydrochloride (GBR-12909 dihydrochloride) is a competitive, potent, and highly selective dopamine reuptake inhibitor (K_i=1 nM). Vanoxerine dihydrochloride (GBR-12909 dihydrochloride) binds to the target site on the dopamine transporter (DAT)[1].

IC_{50} & Target

Ki: 1 nM (dopamine reuptake)[1]

In Vitro

Vanoxerine dihydrochloride (GBR-12909 dihydrochloride) inhibits the uptake of dopamlne (DA), with an IC_{50} in the low nanomolar range, and is several-fold less potent as inhibitors of the uptake of noradrenaline and 5-HT[2].

	?Vanoxerine dihydrochloride (GBR-12909 dihydrochloride) is also an oral, mixed ion channel blocker with IKr, INa, and L-type calcium channel activity[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Vanoxerine dihydrochloride (2.5-20 mg/kg; i.p.) significantly increases the ambulatory activity[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male mice (ddY strain at 6 weeks of age)[3]
Dosage:	2.5, 5, 10, 20 mg/kg
Administration:	Intraperitoneal injection
Result:	The ambulatory activity of mice increased in a dose-dependent manner, with a maximal increase at 30 min after the administration.

CUSTOMER VALIDATION

- Neuron. 2023 Mar 6;S0896-6273(23)00121-6.
- Front Cell Neurosci. 2018 Sep 11;12:309.
- Biochem Biophys Res Commun. 2020 May 14;525(4):989-996.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Rothman RB, et al. Dopamine transport inhibitors based on GBR12909 and benztropine as potential medications to treat cocaine addiction. Biochem Pharmacol. 2008 Jan 1;75(1):2-16.

[2]. Andersen PH. The dopamine inhibitor GBR 12909: selectivity and molecular mechanism of action. Eur J Pharmacol.

[3]. Hirate K, et al. Characteristics of the ambulation-increasing effect of GBR-12909, a selective dopamine uptakeinhibitor, in mice. Jpn J Pharmacol. 1991 Apr;55(4):501-11.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA