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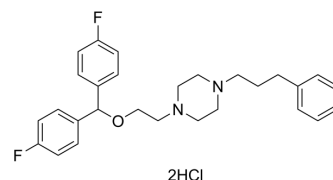
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Vanoxerine dihydrochloride

Cat. No.:	HY-13217
CAS No.:	67469-78-7
Molecular Formula:	C ₂₈ H ₃₄ Cl ₂ F ₂ N ₂ O
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 ₂ O : 1 mg/mL (1.91 mM; ultrasonic and warming and heat to 60°C)				
	Preparing Stock Solutions	Mass	1 mg	5 mg	10 mg
		Solvent			
		Concentration			
		1 mM	1.9120 mL	9.5602 mL	19.1205 mL
In Vivo		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.			
		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 dopamine (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.

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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.

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

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