GBR 12935 dihydrochloride

Cat. No.: HY-12242

CAS No.: 67469-81-2

Molecular Formula: $C_{28}H_{36}Cl_2N_2O$

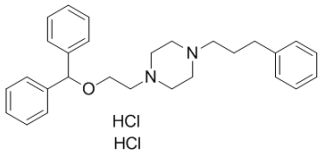
Molecular Weight: 487.5

Target: Dopamine Transporter

Pathway: Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 20 mg/mL (41.03 mM; Need ultrasonic and warming)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0513 mL	10.2564 mL	20.5128 mL
5 mM	0.4103 mL	2.0513 mL	4.1026 mL
10 mM	0.2051 mL	1.0256 mL	2.0513 mL

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

BIOLOGICAL ACTIVITY

Description

GBR 12935 dihydrochloride is a potent, and selective dopamine reuptake inhibitor.IC50 value: Target: dopamine reuptake inhibitorin vitro: The calculated Kd of [3H]GBR-12935 binding to CYP2D6 was 42.2 nM, indicating that GBR-12935 has a high affinity for CYP2D6. The binding of [3H]GBR-12935 to CYP2D6 was decreased partially by substrates or inhibitors of CYP2D isoforms (quinine, quinidine, propranolol, bufuralol, imipramine, and desipramine) [1]. Co-perfusion of 100 microM GBR 12909 or GBR 12935 with either 100 microM sulpiride or raclopride produced a significant reduction in the GBR 12909 or GBR 12935 induced increase in the extracellular levels of dopamine to basal levels. In vitro, GBR 12909 (1-9 nM) dose-dependently inhibited active uptake of [3H]dopamine in homogenates of the nucleus accumbens [2].in vivo: GBR 12935 elevated locomotion to a greater extent in C57BL/6J mice at the maximally active dose of 10 mg/kg. Locomotor stimulation by GBR 12935 remained consistent in both strains with repeated injections. DBA/2J mice became sensitized to cocaine-induced stereotypy with repeated injections. Cocaine induced no stereotypy in C57BL/6J mice on any test day. No stereotypies were induced by GBR 12935 in either strain on any test day [3].

REFERENCES

[1]. Hiroi T, et al. Specific binding of 1-[2-(diphenylmethoxy)ethyl]-4-(3-phenyl propyl) piperazine (GBR-12935), an inhibitor of the dopamine transporter, to human CYP2D6. Biochem Pharmacol. 1997 Jun 15;53(12):1937-9.

[2]. Rahman S, et al. Negative interaction of dopamine D2 receptor antagonists and GBR 12909 and GBR 12935 dopamine uptake inhibitors in the nucleus accumbens. Eur J Pharmacol. 2001 Feb 23;414(1):37-44.

[3]. Tolliver BK, et al. Comparison of cocaine and GBR 12935: effects on locomotor activity and stereotypy in two inbred mouse strains. Pharmacol Biochem Behav. 1994 Jul;48(3):733-9.

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