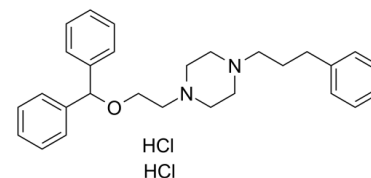


## Data Sheet

<b>Product Name:</b>	GBR 12935 (dihydrochloride)
<b>Cat. No.:</b>	CS-3130
<b>CAS No.:</b>	67469-81-2
<b>Molecular Formula:</b>	C <sub>28</sub> H <sub>36</sub> Cl <sub>2</sub> N <sub>2</sub> O
<b>Molecular Weight:</b>	487.50
<b>Target:</b>	Dopamine Transporter
<b>Pathway:</b>	Neuronal Signaling
<b>Solubility:</b>	DMSO : 20 mg/mL (41.03 mM; Need ultrasonic and warming)



### BIOLOGICAL ACTIVITY:

GBR 12935 dihydrochloride is a potent, and selective dopamine reuptake inhibitor. IC<sub>50</sub> value: Target: dopamine reuptake inhibitor in vitro: The calculated K<sub>d</sub> 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.

### CAIndexNames:

Piperazine, 1-[2-(diphenylmethoxy)ethyl]-4-(3-phenylpropyl)-, hydrochloride (1:2)

### SMILES:

N1(CCOC(C2=CC=CC=C2)C3=CC=CC=C3)CCN(CCCC4=CC=CC=C4)CC1.Cl.Cl

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

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