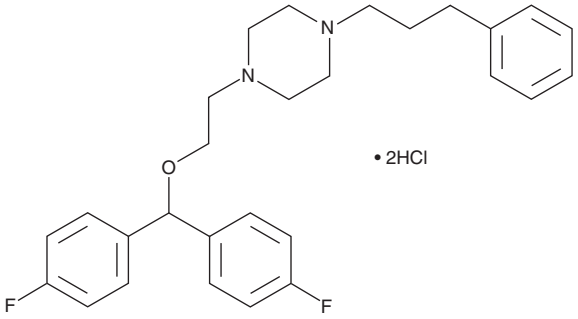
PRODUCT INFORMATION

GBR 12909 (hydrochloride)

Item No. 15622

CAS Registry No.:	67469-78-7
Formal Name:	1-[2-[*bis*(4-fluorophenyl)methoxy]ethyl]-4-(3-phenylpropyl)-piperazine, dihydrochloride
Synonym:	I 893
MF:	$C_{28}H_{32}F_2N_2O \bullet 2HCl$
FW:	523.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 264 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

GBR 12909 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the GBR 12909 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. GBR 12909 (hydrochloride) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of GBR 12909 (hydrochloride) in these solvents is approximately 2 mg/ml.

Description

GBR 12909 is a potent inhibitor that blocks dopamine uptake (IC_{50} = 1-51 nM).[1,2] It is more than 100-fold less effective at blocking serotonin or noradrenaline uptake.[1,2] GBR 12909 effectively inhibits dopamine uptake *in vivo*, leading to consequent stimulation of dopamine receptors.[1,3] GBR 12909 also inhibits pyrilamine binding to the histamine H_1 receptor (IC_{50} = 18 nM) but poorly inhibits ligand binding to dopamine, adrenergic, acetylcholine, serotonin, and γ-aminobutyric acid receptors.[2] It also blocks ligand binding to sigma receptors in rat brain (IC_{50} = 48 nM).[4]

References

1. Heikkila, R.E. and Manzino, L. Behavioral properties of GBR 12909, GBR 13069 and GBR 13098: Specific inhibitors of dopamine uptake. *Eur. J. Pharmacol.* **103(3-4)**, 241-248 (1984).
2. Andersen, P.H. The dopamine uptake inhibitor GBR 12909: Selectivity and molecular mechanism of action. *Eur. J. Pharmacol.* **166(3)**, 493-504 (1989).
3. Melia, K.F. and Spealman, R.D. Pharmacological characterization of the discriminative-stimulus effects of GBR 12909. *J. Pharmacol. Exp. Ther.* **258(2)**, 626-632 (1991).
4. Contreras, P.C., Bremer, M.E., and Rao, T.S. GBR-12909 and fluspirilene potently inhibited binding of [^{3}H](+)3-PPP to sigma receptors in rat brain. *Life Sci.* **47(22)**, PL133-PL137 (1990).

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