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SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

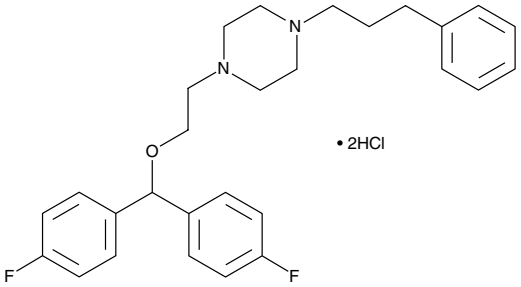
linkedin.com/company/szaboscandic

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: $C_{28}H_{32}F_2N_2O \cdot 2HCl$
FW: 523.5
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 264, 401 nm



Laboratory Procedures

For long term storage, we suggest that GBR 12909 (hydrochloride) be stored as supplied at -20°C. It should be stable for at least two years.

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. GBR 12909 (hydrochloride) is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of GBR 12909 (hydrochloride) in these solvents is approximately 2 mg/ml.

GBR 12909 (hydrochloride) is sparingly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

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).

Related Products

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Cayman Chemical

Mailing address
1180 E. Ellsworth Road
Ann Arbor, MI
48108 USA

Phone
(800) 364-9897
(734) 971-3335

Fax
(734) 971-3640

E-Mail
custserv@caymanchem.com

Web
www.caymanchem.com