Revision 1

Store at RT

#61724

GBR-12909 Dihydrochloride

10 mg

Cell Signaling TECHNOLOGY®

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Background

GBR-12909 Dihydrochloride is a highly selective synaptosomal dopamine uptake inhibitor (K_i = 1 nM), with a 100-fold stronger affinity for the dopamine uptake carrier protein than noradrenaline and serotonin (1). GBR-12909 Dihydrochloride has also been demonstrated to be a strong sigma receptor ligand in rat brain samples with an IC_{50} value of 48 nM (2). This small molecule is considered to be a high-affinity, long-acting dopamine transporter (DAT) inhibitor and i*n vivo* models show that intravenous injection of GBR-12909 Dihydrochloride blocks dopamine uptake within 5 seconds, matching the temporal effect of cocaine, with longer-acting and less negative behavioral effects (3). The ability to regulate dopamine uptake makes GBR-12909 Dihydrochloride a compound of interest when studying substance abuse issues like alcohol and cocaine addiction (4,5).

Molecular Formula

$C_{28}H_{32}F_2N_2O \cdot 2HCl$

Molecular Weight

523.5 g/mol

Purity

>98%

CAS

67469-78-7

Solubility

Soluble in DMSO at 30 mg/ml or water at 10 mg/ml both with slight warming.

Storage

Store lyophilized at room temperature, desiccated. In lyophilized form, the chemical is stable for 24 months. Once in solution, store at -20ºC and use within 1 month to prevent loss of potency. *Aliquot to avoid multiple freeze/thaw cycles.*

Directions for Use

GBR-12909 Dihydrochloride is supplied as a lyophilized powder. For a 15 mM stock, reconstitute 10 mg of powder in 1.27 ml of DMSO. Working concentrations and length of treatment can vary depending on the desired effect.

Background References

1. Andersen, P.H. (1989) *Eur J Pharmacol* 166, 493-504.
2. Contreras, P.C. et al. (1990) *Life Sci* 47, PL133-7.
3. Yorgason, J.T. et al. (2011) *Neuroscience* 182, 125-32.
4. Tella, S.R. et al. (1996) *J Neurosci* 16, 7416-27.
5. Kamdar, N.K. et al. (2007) *Psychopharmacology (Berl)* 192, 207-17.

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