GBR-12909 Dihydrochloride

Background: GBR-12909 Dihydrochloride is a highly selective synaptosomal dopamine uptake inhibitor ($K_i = 1 \text{nM}$), 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 of high affinity, long-acting dopamine transporter (DAT) inhibitor and in 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 -20°C 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: