Product Data Sheet

Product Name: GBR 13069 dihydrochloride
Cat. No.: GC12179

Chemical Properties

Cas. No.	67469-45-8		
Chemical Name	1-(2-(bis(4-fluorophenyl)methoxy)ethyl)-4-cinnamylpiperazine dihydrochloride		
SMILES	FC1=CC=C(C(OCCN2CCN(CC2)C/C([H])=C([H])/C3=CC=CC=C3)C4=CC=C(F)C=C4)C=C1.Cl.Cl		
Formula	$C_{28}H_{30}F_2N_2O.2HCl$	M.Wt	521.48
Solubility	Soluble to 5 mM in Water	Storage	Desiccate at RT
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	GBR 13069 dihydrochloride		

Protocol

Animal experiment [1]:

Animal models	Male Wistar rats (10-20 weeks of age).
Preparation Method	2μM GBR 13069 dihydrochloride was co-injected with 800μM 6-hydroxydopamine (6-OHDA) into the substantia nigra pars compacta (SNpc) of anesthetized rats via a stereotaxically implanted cannula at a rate of 0.2μl/min for 5min.
Dosage form	2μM at a rate of 0.2μl/min for 5min; intranigral injection; single injection.
Applications	Co-injection of GBR 13069 dihydrochloride completely inhibited the 6-OHDA-induced degeneration of nigral dopaminergic neurons and completely inhibited the 6-OHDA-induced elevation of intracellular hydrogen peroxide (H_2O_2) level in the SNpc.

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References:
[1] Vaugeois JM, Bonnet JJ, Duterte-Boucher D, et al. In vivo occupancy of the striatal dopamine uptake complex by various inhibitors does not predict their effects on locomotion. Eur J Pharmacol. 1993 Jan 12;230(2):195-201.

Background

GBR 13069 dihydrochloride is a selective dopamine uptake inhibitor (IC_{50}=40~51nM). GBR 13069 dihydrochloride increases the concentrations of dopamine and norepinephrine in the synaptic cleft by blocking the dopamine transporter (DAT) and norepinephrine transporter (NET), thereby modulating the physiological functions of neural circuits[1-2]. GBR 13069 dihydrochloride can be used for neuroscience research and pharmacological studies in models of dopamine-related neuropsychiatric disorders[3-4].

In vivo, GBR 13069 dihydrochloride (2μM) was co-injected with 6-hydroxydopamine (6-OHDA; 800μM) into the substantia nigra pars compacta (SNpc; 1μl) of rats. GBR 13069 dihydrochloride completely inhibited the 6-OHDA-induced degeneration of nigral dopaminergic neurons and the elevation of intracellular hydrogen peroxide (H2O2) levels in the SNpc[5]. GBR 13069 dihydrochloride (10mg/kg; i.p.) was administered to male white Swiss CD1 mice. GBR 13069 dihydrochloride occupied striatal dopamine uptake sites and significantly increased locomotor activity in the mice[6].

References:
[1] Heikkila RE, Manzino L. Behavioral properties of GBR 12909, GBR 13069 and GBR 13098: specific inhibitors of dopamine uptake. Eur J Pharmacol. 1984 Aug 17;103(3-4):241-8.
[2] Vaugeois JM, Bonnet JJ, Costentin J. In vivo labelling of the neuronal dopamine uptake complex in the mouse striatum by [3H]GBR 12783. Eur J Pharmacol. 1992 Jan 7;210(1):77-84.
[3] Sonsalla PK, Manzino L, Heikkila RE. Interactions of D1 and D2 dopamine receptors on the ipsilateral vs. contralateral side in rats with unilateral lesions of the dopaminergic nigrostriatal pathway. J Pharmacol Exp Ther. 1988 Oct;247(1):180-5.
[4] Sonsalla PK, Youngster SK, Kindt MV, et al. Characteristics of 1-methyl-4-(2'-methylphenyl)-1,2,3,6-tetrahydropyridine-induced neurotoxicity in the mouse. J Pharmacol Exp Ther. 1987 Sep;242(3):850-7.
[5] Nishio R, Morioka H, Takeuchi A, et al. Intracellular hydrogen peroxide produced by 6-hydroxydopamine is a trigger for nigral dopaminergic degeneration of rats via rapid influx of extracellular Zn2. Neurotoxicology. 2022 Mar;89:1-8.
[6] Vaugeois JM, Bonnet JJ, Duterte-Boucher D, et al. In vivo occupancy of the striatal dopamine uptake complex by various inhibitors does not predict their effects on locomotion. Eur J Pharmacol. 1993 Jan 12;230(2):195-201.

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