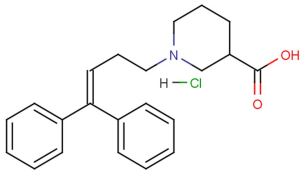
Data Sheet (Cat.No.T12930)

TargetMol
A DRUG SCREENING EXPERT

SKF89976A hydrochloride

Chemical Properties



CAS No.:	85375-15-1
Formula:	C22H26ClNO2
Molecular Weight:	371.9
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	SKF89976A hydrochloride is a selective inhibitor of GABA transporter (GAT-1)(IC50s of 0.28 μM, 137.34 μM and 202.8 μM for GAT-1, GAT-2 and GAT-3 in CHO cells, respectively).
Targets(IC_{50})	GAT-1: 0.28 μM GAT-2: 137.34 μM GAT-3: 202.8 μM
In vitro	SKF89976A with weak antiallodynic action. SKF89976A weakly inhibits serotonin transporter (SERT), noradrenaline transporter (NET), and dopamine transporter (DAT) in chinese hamster ovary (CHO) cells stably expressing each transporter using a substrate uptake assay(IC50 values of 3514 , 202.13, and 728.8, respectively) [1]. SKF89976A is a GABA-transport blocker. GABA (1 mM) elicited an inward current that is completely suppressed by the GABA transport inhibitors tiagabine (10 μM) and SKF89976A (100 μM), but is unaffected by 100 μM picrotoxin. 100 μM SKF 89976-A is known to block the transport of GABA into cells, completely eliminated the GABA-elicited current in a reversible fashion[2]. SKF89976A is a nontransportable blockers of GAT-1. SKF89976-A also suppresses baseline inward currents that likely result from tonic GAT activation by background GABA. SKF89976A (100 μM) reversibly reduces GAT currents in every studied cell by 67.9±4.4% (n=19). Intracellular perfusion of 20 μM SKF89976-A progressively reduced and blocked GABA-induced GAT currents without blocking GABAAR-mediated currents (n=4)[3].
In vivo	When administered i.v. (0.3 mg/kg), SKF89976A produces a weak antiallodynic response . The i.t. injection of SKF89976A dose-dependently ameliorates the reduction in the withdrawal threshold in PSL model mice[1].

Solubility Information

Solubility	DMSO: 100 mg/mL (268.89 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.689 mL	13.444 mL	26.889 mL
5 mM	0.538 mL	2.689 mL	5.378 mL
10 mM	0.269 mL	1.344 mL	2.689 mL
50 mM	0.054 mL	0.269 mL	0.538 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Jinzenji A, et al. Antiallodynic action of 1-(3-(9H-Carbazol-9-yl)-1-propyl)-4-(2-methyoxyphenyl)-4-piperidinol (NNC05-2090), a betaine/GABA transporter inhibitor. J Pharmacol Sci. 2014;125(2):217-26.

2. Kreitzer MA, et al. Glutamate modulation of GABA transport in retinal horizontal cells of the skate. J Physiol. 2003 Feb 1;546(Pt 3):717-31.

3. Barakat L, et al. GAT-1 and reversible GABA transport in Bergmann glia in slices. J Neurophysiol. 2002 Sep;88(3):1407-19.

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