# Data Sheet (Cat.No.T12930)

TargetMol

## SKF89976A hydrochloride

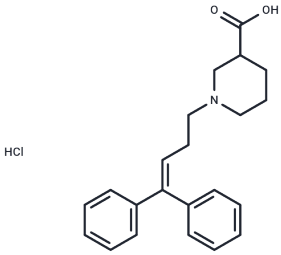
### Chemical Properties

CAS No. : 85375-15-1

Formula: C22H26ClNO2

Molecular Weight: 371.9

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.




### Biological Description

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| Description | SKF89976A hydrochloride (d,l-SKF89976A hydrochloride) is a selective inhibitor GABA transporter such as GAT-1 (IC50s = 0.28 μM), GAT-2 (IC50s = 137.34 μM) and GAT-3(IC50s = 202.8 μM) in CHO cells. |
| Targets(IC50) | GABA Receptor |
| In vitro | SKF89976A exhibits weak antiallodynic properties and mildly inhibits the serotonin transporter (SERT), noradrenaline transporter (NET), and dopamine transporter (DAT) in Chinese hamster ovary (CHO) cells that stably express these transporters, as demonstrated in substrate uptake assays with IC50 values of 3514, 202.13, and 728.8, respectively. Additionally, SKF89976A functions as a GABA-transport blocker, effectively suppressing GABA-induced inward currents in the presence of GABA transport inhibitors tiagabine and SKF89976A at specified concentrations, while remaining unaffected by picrotoxin. Remarkably, SKF89976A, at a concentration of 100 μM, can reversibly block GABA transport into cells, thus eliminating GABA-elicited currents. It further acts as a non-transportable blocker of GAT-1, reducing baseline inward currents likely due to tonic GAT activation by background GABA. At a concentration of 100 μM, SKF89976A was able to reversibly reduce GAT currents by 67.9±4.4% in all studied cells (n=19), and a 20 μM intracellular perfusion of SKF89976-A progressively diminished and blocked GABA-induced GAT currents without affecting GABAAR-mediated currents. |
| 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

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| Solubility | H2O: 20 mg/mL (53.78 mM),Sonication is recommended.<br>DMSO: 100 mg/mL (268.89 mM),Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |
| In vivo Formulation | 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4 mg/mL (10.76 mM),Sonication is recommended.<br>*Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.* |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|---|---|---|---|
| 1 mM | 2.6889 mL | 13.4445 mL | 26.8889 mL |
| 5 mM | 0.5378 mL | 2.6889 mL | 5.3778 mL |
| 10 mM | 0.2689 mL | 1.3444 mL | 2.6889 mL |
| 50 mM | 0.0538 mL | 0.2689 mL | 0.5378 mL |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.

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.

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