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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

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SKF89976A hydrochloride

Cat. No.: HY-100228A

CAS No.: 85375-15-1

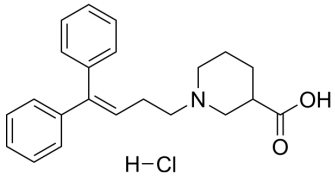
Molecular Formula: $C_{22}H_{26}ClNO_2$

Molecular Weight: 371.9

Target: GABA Receptor

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (268.89 mM; Need ultrasonic)
H_2O : 20 mg/mL (53.78 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 25 mg/mL (67.22 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.72 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.72 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.72 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SKF89976A hydrochloride is a selective GABA transporter (GAT-1) inhibitor with IC_{50}s of 0.28 μM, 137.34 μM and 202.8 μM for GAT-1, GAT-2 and GAT-3 in CHO cells, respectively.

IC_{50} & Target

IC50: 0.28 μM (GAT-1), 137.34 μM (GAT-2), 202.8 μM (GAT-3)[1]

In Vitro

SKF89976A has a 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, with IC_{50} 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	SKF89976A produces a weak antiallodynic response when administered i.v. (0.3 mg/kg). The i.t. injection of SKF89976A dose-dependently ameliorates the reduction in the withdrawal threshold in PSL model mice[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	CHO cells stably expressing the mouse GAT subtypes, rat serotonin transporter (SERT), rat noradrenaline transporter (NET), and rat dopamine transporter (DAT) are incubated with 10 nM tritium-labeled GABA or monoamines for 10 min in the absence or presence of various concentrations of the GAT inhibitors (e.g., SKF89976A) tested. Values presented for SERT, NET, and DAT are the mean±S.E.M. for 3 experiments, with each being performed in duplicate[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice[1] 5-week-old ddY male mice, weighing 25-30 g at the beginning of the study are used. Mice are administered NNC05-2090, SKF89976A (0.3 mg/kg, i.p.), (S)-SNAP5114, or amitriptyline. The composition of ACSF (in mM) is 142 mM NaCl, 5 mM KCl, 2 mM $CaCl_2$, 2 mM $MgCl_2$, 1.25 mM NaH_2PO_4, 10 mM d-glucose, 10 mM HEPES, and 0.05% fatty acid-free bovine serum albumin (pH 7.4). The intraperitoneal (i.p.) injection of drugs is administered in a volume of 0.1 mL/10 g body weight. When given intravenously (i.v.), solutions are injected into the tail vein in a volume of 0.1 mL/10 g body weight. The head of a mouse is placed into a plastic cap and the body is held with one hand for an intrathecal (i.t.) injection. A 27-gauge needle attached to a Hamilton microsyringe is inserted into the subarachnoid space between the L5 and L6 vertebrae of the conscious mouse and 5 mL of the drug solution is slowly injected[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[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.

Caution: Product has not been fully validated for medical applications. For research use only.

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