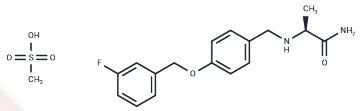
Data Sheet (Cat.No.T6651)

TargetMol

Safinamide mesylate

Chemical Properties



CAS No. : 202825-46-5

Formula: C18H23FN2O5S

Molecular Weight: 398.45

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Safinamide mesylate (EMD 1195686 mesylate) , a mesylate salt of Safinamide, can reversibly and specifically inhibit MAO-B (IC50: 98 nM), has 5918-fold selectivity against MAO-A.
Targets(IC50)	MAO,Monoamine Oxidase
In vitro	Safinamide is a highly specific MAO-B inhibitor in rat brain mitochondria (IC50: 98 nM) and also inhibits MAO-B in human brain (IC50: 9 nM). Safinamide has a high affinity for the Na+ channel-binding site II in rat cortical membranes (IC50: 8 μM). Safinamide concentration- and state-dependently inhibits the fast Na+ currents in rat cortical neurons. Safinamide blocks N-Type Ca2+ currents in rat cortical neurons (IC50: 23 μM). Safinamide inhibits glutamate release induced by depolarizing conditions in rat hippocampal synaptosomes (IC50: 9 μM). Safinamide (IC50: 1.4 μM) incubated 1 hour before veratridine reduces the neuron damage through blockade of opening voltage-dependent Na+ and Ca2+ channels in rat primary cortical neurons. Safinamide binds to human MAO-B (Ki: 0.5 μM). Safinamide binds to human MAO-B in an extended conformation occupying both flavin and entrance cavity.
In vivo	Safinamide (p.o.) dose-dependently inhibits mouse brain MAO-B (IC50: 0.6 mg/kg), and MAO-B activity recovers quickly, starting from 8 hours. Safinamide markedly inhibits cell body degeneration in the substantia nigra pars compacta. Safinamide intraperitoneally administered 15 minutes before kainic acid protects against hippocampal neuron loss, starting at 10 mg/kg showing neuroprotective properties. Safinamide (100 mg/kg, i.p.) shows a relevant neuro rescuing effect on hippocampal neurons when given 3 hours after ischemia. Safinamide has a high oral bioavailability (80-92%), is rapidly absorbed in plasma after reaching the peak within 0.5-2 hours declines, with a terminal half-life of about 3, 7, and 13 hours in mice, rats, and monkeys, respectively.
Animal Research	Animal Models: DA-depleted C57BL miceFormulation: sterile 0.9% sodium chloride solutionDosages: 20 mg/kgAdministration: Inject intraperitoneally in a single dose

Solubility Information

Solubility	Ethanol: 11 mg/mL (27.61 mM),Sonication is recommended. DMSO: 40 mg/mL (100.39 mM),Sonication is recommended. H2O: 73 mg/mL (183.21 mM),Sonication is recommended.

(< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5097 mL	12.5486 mL	25.0973 mL
5 mM	0.5019 mL	2.5097 mL	5.0195 mL
10 mM	0.251 mL	1.2549 mL	2.5097 mL
50 mM	0.0502 mL	0.251 mL	0.5019 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.

Reference

Caccia C, et al. Neurology, 2006, 67(7 Suppl 2), S18-23.
Binda C, et al. J Med Chem, 2007, 50(23), 5848-5852.
Leonetti F, et al. J Med Chem, 2007, 50(20), 4909-4916.