# Safinamide

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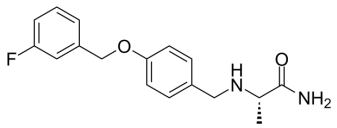
| **Cat. No.:** | HY-70057 |
| **CAS No.:** | 133865-89-1 |
| **Molecular Formula:** | $C_{17}H_{19}FN_2O_2$ |
| **Molecular Weight:** | 302.34 |
| **Target:** | Monoamine Oxidase |
| **Pathway:** | Neuronal Signaling |
| **Storage:** | Powder -20°C 3 years<br>4°C 2 years<br>In solvent -80°C 2 years<br>-20°C 1 year |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : ≥ 100 mg/mL (330.75 mM)

* "≥" means soluble, but saturation unknown.

| Preparing Stock Solutions | Concentration / Solvent / Mass | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 3.3075 mL | 16.5377 mL | 33.0753 mL |
| | 5 mM | 0.6615 mL | 3.3075 mL | 6.6151 mL |
| | 10 mM | 0.3308 mL | 1.6538 mL | 3.3075 mL |

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

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (8.27 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.27 mM); Suspended solution

## BIOLOGICAL ACTIVITY

**Description**

Safinamide is a potent, selective, and reversible monoamine oxidase B (MAO-B) inhibitor ($IC_{50}$=0.098 μM) over MAO-A ($IC_{50}$ =580 μM)[1]. Safinamide also blocks sodium channels and modulates glutamate (Glu) release, showing a greater affinity at depolarized ($IC_{50}$=8 μM) than at resting ($IC_{50}$=262 μM) potentials. Safinamide has neuroprotective and neurorescuing effects and can be used for the study of parkinson disease, ischemia stroke etc.al[2][3].

| $IC_{50}$ & Target | MAO-B | MAO-A |
|---|---|---|
| | 98 nM ($IC_{50}$) | 580 μM ($IC_{50}$) |

**In Vitro**

Safinamide (1–300 μM) reduces the amplitude of the peak sodium currents in a concentration-dependent manner. When

currents are stimulated to a $V_{test}$ of +10 mV from a $V_h$ of -110 mV, the $IC_{50}$ value was 262 μM. When the holding potential is depolarized to -53 mV, the inhibitory effect of safinamide with a lower $IC_{50}$ value (8 μM) in rat cortical neurons[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**In Vivo**

Safinamide (intraperitoneal injection; 90 mg/kg; once daily; 14 days) treatment prior to MCAO significantly ameliorates MCAO-caused cerebral infarction volume, neurological deficit, disruption of the brain-blood barrier (BBB), and impairs expression of tight junction protein occludin and ZO-1 in mice[3].

Safinamide (intraperitoneal injection; 5 mg/kg, 15 mg/kg and 30 mg/kg) dose dependently inhibits the veratridine-induced GABA release and Glu release in vivo. At the dose 30 mg/kg, Safinamide prevents the effect of veratridine both on Glu (treatment F1,8=1.31; time×treatment interaction F8,64=2.4) and GABA (treatment F1,8=4.04; time F8,64=3.76, time×treatment interaction F8,64 = 2.83) release.

Safinamide causes a slight, albeit not significant, reduction of veratridine-stimulated Glu release at 0.5 mg/kg and full inhibition at 5 and 15 mg/kg in rat[3].

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| Animal Model: | Focal cerebral ischemia C57/BL6 male mouse Model[3] |
| Dosage: | 90 mg/kg |
| Administration: | Intraperitoneal injection; once daily; 14 days |
| Result: | Significantly decreased infarction volume in brain areas. |

## CUSTOMER VALIDATION

- Ecotoxicol Environ Saf. 2023 Aug 7;262:115284.
- Behav Brain Res. 2023 Nov 30:114787.

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## REFERENCES


[1]. Leonetti F, et al. Solid-phase synthesis and insights into structure-activity relationships of safinamide analogues as potent and selective inhibitors of type B monoamine oxidase. J Med Chem, 2007, 50(20), 4909-4916.

[2]. C Caccia, et al.Safinamide: from molecular targets to a new anti-Parkinson drug. Neurology. 2006 Oct 10;67(7 Suppl 2):S18-23.

[3]. Michele Morari, et al. Safinamide Differentially Modulates In Vivo Glutamate and GABA Release in the Rat Hippocampus and Basal Ganglia.J Pharmacol Exp Ther. 2018 Feb;364(2):198-206.





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