Nefazodone

Cat. No.: HY-119209

CAS No.: 83366-66-9

Molecular Formula: $C_{25}H_{32}ClN_5O_2$

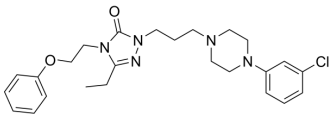
Molecular Weight: 470.01

Target: 5-HT Receptor; Cytochrome P450

Pathway: GPCR/G Protein; Neuronal Signaling; Metabolic Enzyme/Protease

Storage:

Pure form	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (265.95 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1276 mL	10.6381 mL	21.2761 mL
5 mM	0.4255 mL	2.1276 mL	4.2552 mL
10 mM	0.2128 mL	1.0638 mL	2.1276 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.43 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.43 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Nefazodone is an orally active phenylpiperazine antidepressant. Nefazodone can potently and selectively block postsynaptic 5-HT_{2A} receptors, and moderately inhibit 5-HT and noradrenaline reuptake. Nefazodone can also relieve the adverse effects of stress on the the immune system of mice. Nefazodone has a high affinity for CYP3A4 isoenzyme, which indicates that it has certain risk of agent-agent interaction[1][2][3].

IC_{50} & Target

5-HT receptor, Noradrenaline, CYP3A4[1]

In Vitro

Nefazodone collapses mitochondrial membrane potential, and imposes oxidative stress, as detected via glutathione depletion, leading to cell death[2].

Nefazodone (200 μM; 24 h) depletes 100% of ATP in both, glucose and galactose-grown HepG2 cells[2].

	Nefazodone (6.25, 12.5 and 25 μM; 0-120 min) profoundly inhibits oxygen consumption (OCR) in HepG2[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Nefazodone (10 mg/kg; s.c.; for 16 days) is effective to counter the adverse effects of stress on the the immune system of mice[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female BALB/c mice (7-12 weeks old; stress model; subjected to a broad band noise at 100 dB daily for 5 s every minute during a 1- or 3-h period around midnight)[3]
Dosage:	10 mg/kg
Administration:	s.c.; for 16 days
Result:	Attenuated the reduction of thymus, spleen and peripheral blood cellularity caused by stress.

CUSTOMER VALIDATION

- Biotechnol Bioeng. 2021 Sep 3.

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REFERENCES

[1]. Dykens JA, et al. In vitro assessment of mitochondrial dysfunction and cytotoxicity of nefazodone, trazodone, and buspirone. Toxicol Sci. 2008 Jun;103(2):335-45.

[2]. Freire-Garabal M, et al. Effects of nefazodone on the immune system of mice. Eur Neuropsychopharmacol. 2000 Jul;10(4):255-64.

[3]. Davis R, et al. A review of its pharmacology and clinical efficacy in the management of major depression. Drugs. 1997 Apr;53(4):608-36.

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