Luzindole

Cat. No.: HY-101254

CAS No.: 117946-91-5

Molecular Formula: $C_{19}H_{20}N_2O$

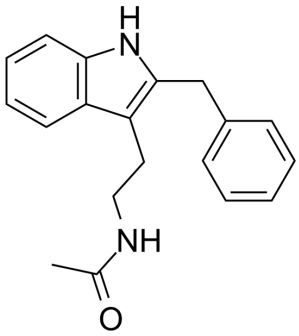
Molecular Weight: 292.37

Target: Melatonin Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (342.03 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.4203 mL	17.1016 mL	34.2032 mL
	5 mM	0.6841 mL	3.4203 mL	6.8406 mL
	10 mM	0.3420 mL	1.7102 mL	3.4203 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 (8.55 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.55 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.55 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Luzindole (N-0774) is a selective melatonin receptor antagonist. Luzindole preferentially targets MT2 (Mel_{1b}) over MT1 (Mel_{1a}) with K_i values of 10.2 and 158 nM for human MT2 and MT1, respectively. Luzindole suppresses experimental autoimmune encephalomyelitis (EAE), and exerts antidepressant-like activity[1][2][3].

IC_{50} & Target

Ki :10.2 nM (human MT2), 158 nM (human MT1)[1]

In Vitro

Luzindole (N-0774) (5-10 μg/ml) inhibits antigen-specific proliferation of the MBP-reactive LV-4 T cell line[1].

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

In Vivo

Luzindole (N-0774) (30 mg/kg; i.p.; days 0-5) suppresses experimental autoimmune encephalomyelitis[2].
Luzindole (N-0774) (30 mg/kg i.p.) reduces the time of immobility in a dose-dependent manner, the effect being more pronounced at midnight (60% reduction) than at noon (39% reduction). The effect of luzindole is time-dependent, showing a maximal effect at 60 min. The anti-immobility effect of luzindole (10 mg/kg i.p.) is prevented by the administration of melatonin (30 mg/kg i.p.). Luzindole (30 mg/kg i.p.) did not modify the time of immobility either at noon or midnight in the albino ND/4 mouse, or in the C57BL/6J mouse, which does not produce melatonin[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Twenty-three- to 12-week-old(SJL X PL/J) F1 mice[2]
Dosage:	30 mg/kg
Administration:	i.p.; days 0-5 (between 23: 00 and 1: 00 under conditions of minimal lighting)
Result:	Effectively prevented experimental autoimmune encephalomyelitis.

CUSTOMER VALIDATION

- Cell Mol Life Sci. 2022 Nov 30;79(12):610.
- Stem Cell Res Ther. 2021 Apr 29;12(1):254.
- Free Radic Biol Med. 2022 Jun 22;S0891-5849(22)00463-4.
- Int J Mol Sci. 2023 May 14, 24(10), 8740.
- Int Immunopharmacol. 2022 May 7;109:108778.

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REFERENCES

[1]. Dubocovich ML, et al. Melatonin receptor antagonists that differentiate between the human Mel1a and Mel1b recombinant subtypes are used to assess the pharmacological profile of the rabbit retina ML1 presynaptic heteroreceptor. Naunyn Schmiedebergs Arch Ph

[2]. Constantinescu CS, et al. Luzindole, a melatonin receptor antagonist, suppresses experimental autoimmune encephalomyelitis. Pathobiology. 1997;65(4):190-4.

[3]. Dubocovich ML Antidepressant-like activity of the melatonin receptor antagonist, luzindole (N-0774), in the mouse behavioral despair test. Eur J Pharmacol. 1990 Jul 3;182(2):313-25.

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