LY 344864

Cat. No.: HY-13788

CAS No.: 186544-26-3

Molecular Formula: $C_{21}H_{22}FN_3O$

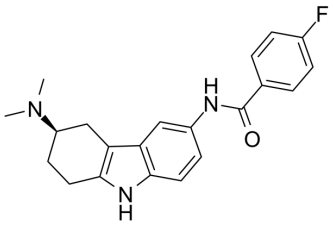
Molecular Weight: 351.42

Target: 5-HT Receptor; Adrenergic Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (284.56 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.8456 mL	14.2280 mL	28.4560 mL
5 mM	0.5691 mL	2.8456 mL	5.6912 mL
10 mM	0.2846 mL	1.4228 mL	2.8456 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 (7.11 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.11 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.11 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

LY 344864 is a selective, orally active 5-HT_{1F} receptor agonist with a K_i of 6 nM. LY 344864 is a full agonist producing an effect similar in magnitude to serotonin itself. LY 344864 can cross the blood brain barrier to some extent[1].

IC_{50} & Target

human 5-HT_{1F} Receptor 0.006 μM (Ki)	human 5-HT_{1A} Receptor 0.530 μM (Ki)	human 5-HT_{1B} Receptor 0.549 μM (Ki)	human 5-HT_{1D} Receptor 0.575 μM (Ki)
human 5-HT_{1E} Receptor 1.415 μM (Ki)	human 5-HT_{2B} Receptor 1.695 μM (Ki)	Human 5-HT_{2A} Receptor 3.499 μM (Ki)	Human 5-HT_{3A} Receptor 3.935 mM (Ki)

	Human 5-HT_7 Receptor 4.851 μM (Ki)	rat α2-adrenergic receptor 3.69 μM (Ki)	rat α1-adrenergic receptor 5.06 μM (Ki)

In Vitro

LY 344864 binds to human 5-HT_{1F}, 5-HT_{1A}, 5-HT_{1B}, 5-HT_{1D}, 5-HT_{1E}, 5-HT_{3A}, 5-HT_{2B}, 5-HT_{2C}, 5-HT_7, rat α1-adrenergic, rat α2-adrenergic receptors with K_is of 0.006, 0.530, 0.549, 0.575, 1.415, 3.935, 1.695, 3.499, 4.851, 5.06 and 3.69 μM, respectively[1].
LY 344864 is a inducer of mitochondrial biogenesis[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

LY 344864 (0-10 ng/kg; p.o. or i.v.; once) inhibits neurogenic dural inflammation in rat migraine pain model[1].
LY 344864 (1 mg/kg; i.v.; once) can cross the blood brain barrier to some extent in rats[1].
LY 344864 (2 mg/kg; i.p.; daily for 14 days) attenuates dopaminergic neuron loss and improved behavioral endpoints in a Parkinson's disease mouse model[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Wistar rats, migraine pain model[1]
Dosage:	1-10 ng/kg (oral), 0.3-2 ng/kg (intravenous)
Administration:	Oral, 75 minutes before trigeminal stimulation or intravenous, 10 minutes before trigeminal stimulation
Result:	When given intravenously 10 minutes before stimulation, inhibited inflammation with an ID_{50} (median infective dose) of 0.6 ng/kg. When administered orally 75 minutes before trigeminal stimulation, an ID_{50} of 1.2 ng/kg was obtained.

Animal Model:	Male C57BL/6 mice, Parkinson's disease model[2]
Dosage:	2 mg/kg
Administration:	Intraperitoneal injection, daily for 14d beginning 7d post-lesion
Result:	Attenuated TH-ir loss in the striatum and substantia nigra compared to vehicle-treated lesioned animals, also increased locomotor activity in 6-hydroxydopamine lesioned mice, while vehicle treatment had no effect.

REFERENCES

[1]. Scholpa NE, et al. 5-HT1F receptor-mediated mitochondrial biogenesis for the treatment of Parkinson's disease. Br J Pharmacol. 2018 Jan;175(2):348-358.

[2]. Phebus LA, Johnson KW, Zgombick JM, Characterization of LY344864 as a pharmacological tool to study 5-HT1F receptors: binding affinities, brainpenetration and activity in the neurogenic dural inflammation model of migraine. Life Sci. 1997;61(21):2117-26.

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