LY 344864 hydrochloride

Cat. No.: HY-13788B

CAS No.: 1217756-94-9

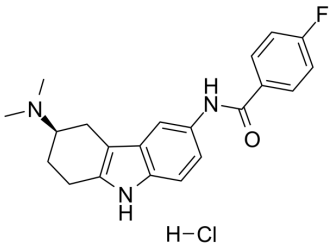
Molecular Formula: $C_{21}H_{23}ClFN_3O$

Molecular Weight: 387.88

Target: 5-HT Receptor; Adrenergic Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

LY 344864 hydrochloride is a selective, orally active 5-HT_{1F} receptor agonist with a K_i of 6 nM. LY 344864 hydrochloride is a full agonist producing an effect similar in magnitude to serotonin itself. LY 344864 hydrochloride 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_{2C} Receptor 3.499 μM (Ki)	Human 5-HT_{3A} Receptor 3.935 μM (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, et al. Characterization of LY344864 as a pharmacological tool to study 5-HT1F receptors: binding affinities, brain penetration and activity in the neurogenic dural inflammation model of migraine. Life Sci. 1997;61(21):2117-26.

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