AMN082 free base

Cat. No.: HY-103565A

CAS No.: 83027-13-8

Molecular Formula: $C_{28}H_{28}N_2$

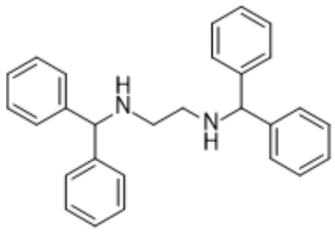
Molecular Weight: 392.54

Target: mGluR

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 12.5 mg/mL (31.84 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5475 mL	12.7376 mL	25.4751 mL
	5 mM	0.5095 mL	2.5475 mL	5.0950 mL
	10 mM	0.2548 mL	1.2738 mL	2.5475 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: 1.25 mg/mL (3.18 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (3.18 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AMN082 free base, a selective, orally active, and brain penetrant mGluR7 agonist, directly activates receptor signaling via an allosteric site in the transmembrane domain. AMN082 free base potently inhibits cAMP accumulation and stimulates GTPγS binding (EC_{50} values, 64-290 nM) at transfected mammalian cells expressing mGluR7. AMN082 free base shows selectivity over other mGluR subtypes and selected ionotropic glutamate receptors. Antidepressant effects[1][2].

IC_{50} & Target

mGluR7[1]

In Vitro

Preincubation of the synaptosomes with AMN082 (1 μM) for 10 min before 4-aminopyridine treatment efficiently inhibits the 4-aminopyridine-evoked release of glutamate, without altering the basal release of glutamate[2].

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

In Vivo	AMN082 (6 mg/kg; p.o.) induces stress hormone increases in an mGluR7-dependent fashion in mGluR7$^{+/+}$ mice (C57BL/6 genetic background)[1]. AMN082 (1.25-5.0 mg/kg, i.p.; 30 min before every Cocaine injection during repeated drug administration or before Cocaine challenge) dose-dependently attenuates the development, as well as the expression of Cocaine locomotor sensitization[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Mitsukawa K, et al. A selective metabotropic glutamate receptor 7 agonist: activation of receptor signaling via an allosteric site modulates stress parameters in vivo. Proc Natl Acad Sci U S A. 2005;102(51):18712-18717.

[2]. Wang CC, et al. Metabotropic glutamate 7 receptor agonist AMN082 inhibits glutamate release in rat cerebral cortex nerve terminal. Eur J Pharmacol. 2018;823:11-18.

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