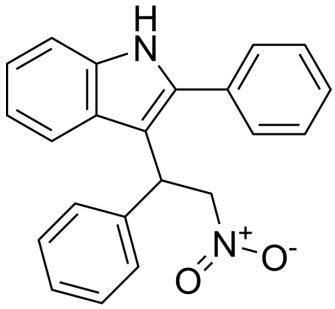
GAT211

Cat. No.:	HY-113689
CAS No.:	102704-40-5
Molecular Formula:	$C_{22}H_{18}N_2O_2$
Molecular Weight:	342.39
Target:	Cannabinoid Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (292.06 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9206 mL	14.6032 mL	29.2065 mL
	5 mM	0.5841 mL	2.9206 mL	5.8413 mL
	10 mM	0.2921 mL	1.4603 mL	2.9206 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.30 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GAT211 is a cannabinoid 1 receptor (CB1R) positive allosteric modulator (PAM). GAT211 activates cAMP and β-arrestin2 with EC_{50} values of 260 nM and 650 nM, respectively. GAT211 inhibits GAT211 can be used for neuropathic and/or inflammatory pain research[1].

IC_{50} & Target

CB1

In Vitro

GAT211 is stable in both human- and rat-liver microsomal incubations, with $t_{1/2}$ of 28.4 min and 8.67 min, repsectively[2].
GAT211 limits dopamine D2 receptor-mediated extracellular regulated kinase (ERK) phosphorylation in Neuro2a cells[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

GAT211 potentiates the inhibition of electrically evoked vas deferens contraction in the same system (EC_{50}=11 nM, E_{max}=70)[2].

	GAT211 (0.3 mg/kg, 1 mg/kg, 3 mg/kg; 5 mL/kg; ip; 2 doses with 5 min interval) dose-dependently reduced locomotor activity and the acoustic startle response.GAT211 is dissolved in a vehicle of ethanol, kolliphor, and saline at a ratio of 1:1:6 and injected at a volume of 5 mL/kg[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Garai S, et al. Design, synthesis, and pharmacological profiling of cannabinoid 1 receptor allosteric modulators: Preclinical efficacy of C2-group GAT211 congeners for reducing intraocular pressure. Bioorg Med Chem. 2021 Nov 15;50:116421.

[2]. McElroy DL, et al. Antipsychotic potential of the type 1 cannabinoid receptor positive allosteric modulator GAT211: preclinical in vitro and in vivo studies. Psychopharmacology (Berl).

[3]. Richard A Slivicki, et al. Positive Allosteric Modulation of Cannabinoid Receptor Type 1 Suppresses Pathological Pain Without Producing Tolerance or Dependence. Biol Psychiatry. 2018 Nov 15;84(10):722-733.

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