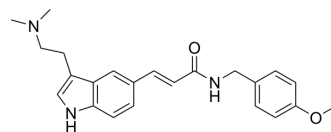


GR-46611

Cat. No.:	HY-101248
CAS No.:	185259-85-2
Molecular Formula:	C ₂₃ H ₂₇ N ₃ O ₂
Molecular Weight:	377.48
Target:	5-HT Receptor
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 : 100 mg/mL (264.91 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.6491 mL	13.2457 mL	26.4915 mL
	5 mM	0.5298 mL	2.6491 mL	5.2983 mL
	10 mM	0.2649 mL	1.3246 mL	2.6491 mL

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

BIOLOGICAL ACTIVITY

Description

GR-46611 is a 5-HT_{1D} receptor agonist. GR-46611 can be used in the research of bladder hyperactivity, leukemia^{[1][3]}.

IC₅₀ & Target

5-HT_{1D} Receptor

In Vitro

GR-46611 (1 μM, 48 h) induces CEM cell proliferation^[2].

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

Cell Proliferation Assay^[2]

Cell Line: CEM cells (human T lymphoblastic leukemia cell line)

Concentration: 1 μM

Incubation Time: 48 h

Result: Induced a significant increase in cell proliferation by 37.0%.

In Vivo

GR-46611 (1 mg/kg, i.p.) significantly improves survival of Dravet syndrome (DS) mice^[1].

GR-46611 (0.03-300 µg/kg, i.v.) increases threshold volume, bladder capacity, and residual volume in chronic spinal cord injury (SCI) cats^[3].

GR46611 (3-30 mg/kg, s.c.) causes a dose-related decrease in rectal temperature in the adult guinea-pig^[4].

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

Animal Model:	Dravet syndrome (DS) mice ^[1]
Dosage:	0.01, 0.1 and 1 mg/kg
Administration:	Intraperitoneal injection (i.p.)
Result:	Improved survival relative to vehicle treated controls with 89% surviving rate.

REFERENCES

[1]. Paul G Hatini, et al. A 5-HT 1D -receptor agonist protects Dravet syndrome mice from seizure and early death. *Eur J Neurosci*. 2020 Nov;52(10):4370-4374.

[2]. C Sibella-Argüelle, et al. The proliferation of human T lymphoblastic cells induced by 5-HT1B receptors activation is regulated by 5-HT-moduline. *C R Acad Sci III*. 2001 Apr;324(4):365-72.

[3]. Baojun Gu, et al. Inhibition of bladder activity by 5-hydroxytryptamine1 serotonin receptor agonists in cats with chronic spinal cord injury. *J Pharmacol Exp Ther*. 2004 Sep;310(3):1266-72.

[4]. M Skingle, et al. Stimulation of central 5-HT1D receptors causes hypothermia in the guinea-pig. *J Psychopharmacol*. 1994 Jan;8(1):14-21.

Caution: Product has not been fully validated for medical applications. For research use only.

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