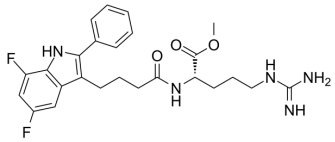
L-803087

Cat. No.:	HY-108497
CAS No.:	217480-26-7
Molecular Formula:	$C_{25}H_{29}F_2N_5O_3$
Molecular Weight:	485.53
Target:	Somatostatin Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (514.90 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0596 mL	10.2980 mL	20.5961 mL
	5 mM	0.4119 mL	2.0596 mL	4.1192 mL
	10 mM	0.2060 mL	1.0298 mL	2.0596 mL

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

BIOLOGICAL ACTIVITY

Description

L-803087 is a potent and selective somatostatin sst4 receptor agonist with a K_i of 0.7 nM. L-803087 is >280-fold higher than other somatostatin receptors. L-803087 facilitates AMPA-mediated hippocampal synaptic responses in vitro and increases kainate-induced seizures in mice[1][2].

IC_{50} & Target

Ki: 0.7 nM (sst4 receptor), 199 nM (sst1 receptor), 4720 nM (sst2 receptor), 1280 nM (sst3 receptor) and 3880 nM (sst5 receptor)[1]

In Vitro

L-803087 has K_i values for cloned human sst1, sst2, sst3 and sst5 receptors of 199, 4720, 1280 and 3880 nM, respectively[1]. L-803087 has a diamine moiety that maps to lysine on the phmacophore, but relation of this molecule to the aromatic and the Trp substituents of the phmacophore are not obvious. L-803087 does not inhibit secretion of growth hormone, insulin, or glucagon[1].

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

In Vivo

L-803087 (5 nmol) is doubled seizure activity in wild-type mice on average. Interestingly, this effect is blocked by 3 nmol Octreotide. In hippocampal slices from wild-type mice, Octreotide (2 μM) does not modify AMPA-mediated synaptic responses while facilitation occurred with L-803087 (2 μM)[2].

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

REFERENCES

[1]. Rohrer SP, et al. Rapid identification of subtype-selective agonists of the somatostatin receptor through combinatorial chemistry. Science. 1998 Oct 23;282(5389):737-40.

[2]. Moneta D, et al. Somatostatin receptor subtypes 2 and 4 affect seizure susceptibility and hippocampal excitatory neurotransmission in mice. Eur J Neurosci. 2002 Sep;16(5):843-9.

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