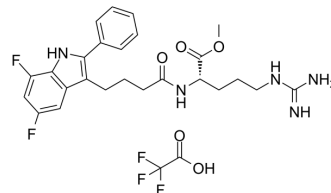


## L-803087 TFA

<b>Cat. No.:</b>	HY-108497A
<b>CAS No.:</b>	1786412-46-1
<b>Molecular Formula:</b>	C <sub>27</sub> H <sub>30</sub> F <sub>5</sub> N <sub>5</sub> O <sub>5</sub>
<b>Molecular Weight:</b>	599.55
<b>Target:</b>	Somatostatin Receptor
<b>Pathway:</b>	GPCR/G Protein; Neuronal Signaling
<b>Storage:</b>	Please store the product under the recommended conditions in the Certificate of Analysis.



### BIOLOGICAL ACTIVITY

<b>Description</b>	L-803087 TFA is a potent and selective somatostatin sst4 receptor agonist with a K <sub>i</sub> of 0.7 nM. L-803087 TFA is >280-fold more selective for sst4 receptor than other somatostatin receptors. L-803087 TFA facilitates AMPA-mediated hippocampal synaptic responses in vitro and increases kainate-induced seizures in mice <sup>[1][2]</sup> .
<b>IC<sub>50</sub> &amp; Target</b>	Ki: 0.7 nM (sst4 receptor), 199 nM (sst1 receptor), 4720 nM (sst2 receptor), 1280 nM (sst3 receptor) and 3880 nM (sst5 receptor) <sup>[1]</sup>
<b>In Vitro</b>	L-803087 has K <sub>i</sub> values for cloned human sst1, sst2, sst3 and sst5 receptors of 199, 4720, 1280 and 3880 nM, respectively <sup>[1]</sup> . 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 <sup>[1]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
<b>In Vivo</b>	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) <sup>[2]</sup> . 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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**Caution: Product has not been fully validated for medical applications. For research use only.**

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