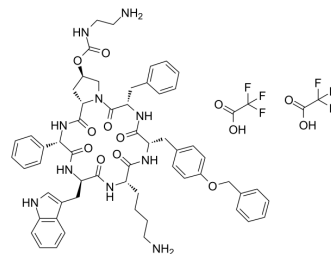


## Pasireotide ditrifluoroacetate

<b>Cat. No.:</b>	HY-79135
<b>Molecular Formula:</b>	C <sub>62</sub> H <sub>68</sub> F <sub>6</sub> N <sub>10</sub> O <sub>13</sub>
<b>Molecular Weight:</b>	1275.25
<b>Target:</b>	Somatostatin Receptor
<b>Pathway:</b>	GPCR/G Protein; Neuronal Signaling
<b>Storage:</b>	-20°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 100 mg/mL (78.42 mM; Need ultrasonic)				
	H <sub>2</sub> O : 33.33 mg/mL (26.14 mM; Need ultrasonic)				
		<b>Mass</b>			
		<b>Solvent</b>			
		<b>Concentration</b>			
<b>Preparing Stock Solutions</b>	<b>1 mM</b>		1 mg	5 mg	10 mg
	<b>5 mM</b>		0.7842 mL	3.9208 mL	7.8416 mL
	<b>10 mM</b>		0.1568 mL	0.7842 mL	1.5683 mL
			0.0784 mL	0.3921 mL	0.7842 mL
Please refer to the solubility information to select the appropriate solvent.					
<b>In Vivo</b>	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (1.96 mM); Clear solution				
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (1.96 mM); Clear solution				
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (1.96 mM); Clear solution				

### BIOLOGICAL ACTIVITY

<b>Description</b>	Pasireotide (SOM230) ditrifluoroacetate, a long-acting cyclohexapeptide somatostatin analogue, can improve agonist activity at somatostatin receptors (subtypes sst1/2/3/4/5, pK <sub>i</sub> =8.2/9.0/9.1/<7.0/9.9, respectively). Pasireotide ditrifluoroacetate exhibits antisecretory, antiproliferative, and proapoptotic activity <sup>[1][2]</sup> .
<b>IC<sub>50</sub> &amp; Target</b>	pK <sub>i</sub> : 8.2 (sst1), 9.0 (sst2), 9.1 (sst3), <7.0 (sst4), 9.9 (sst5) <sup>[1]</sup>
<b>In Vitro</b>	Pasireotide ditrifluoroacetate exhibits unique high-affinity binding to human somatostatin receptors (subtypes sst1/2/3/4/5, pK <sub>i</sub> =8.2/9.0/9.1/<7.0/9.9, respectively) <sup>[1]</sup> .

Pasireotide ditrifluoroacetate effectively inhibits the growth hormone releasing hormone (GHRH) induced growth hormone (GH) release in primary cultures of rat pituitary cells, with an IC<sub>50</sub> of 0.4 nM<sup>[1]</sup>.

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

#### In Vivo

Pasireotide ditrifluoroacetate (160 mg/kg/mouth; s.c. for 4 months) significantly decreases the serum insulin, increases serum glucose, reduces the tumor size and increases apoptosis in Pdx1-Cre<sup>[2]</sup>.

Pasireotide ditrifluoroacetate (2-50 µg/kg; s.c. twice daily for 42 days) exerts the antinociceptive and antiinflammatory actions via the SSTR2 receptor in a mouse model of immune-mediated arthritis<sup>[3]</sup>.

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

Animal Model:	12 month-old conditional Men1 knockout mice with insulinoma <sup>[2]</sup>
Dosage:	160 mg/kg/mouth
Administration:	S.c. every month for 4 months
Result:	Decreased the serum insulin from 1.060 µg/L to 0.3653 µg/L and increased the serum glucose from 4.246 mM to 7.122 mM. Significantly reduced the tumor size and increased apoptosis.

## CUSTOMER VALIDATION

- Hepatology. 2017 Oct;66(4):1197-1218.
- Am J Pathol. 2018 Apr;188(4):981-994.
- Basic Clin Pharmacol Toxicol. 2022 Jun 10.
- Communications Medicine. 2, 80 (2022).

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## REFERENCES

[1]. Lewis I, et, al. A novel somatostatin mimic with broad somatotropin release inhibitory factor receptor binding and superior therapeutic potential. J Med Chem. 2003 Jun 5;46(12):2334-44.

[2]. Quinn TJ, et, al. Pasireotide (SOM230) is effective for the treatment of pancreatic neuroendocrine tumors (PNETs) in a multiple endocrine neoplasia type 1 (MEN1) conditional knockout mouse model. Surgery. 2012 Dec;152(6):1068-77.

[3]. Imhof AK, et, al. Differential antiinflammatory and antinociceptive effects of the somatostatin analogs octreotide and pasireotide in a mouse model of immune-mediated arthritis. Arthritis Rheum. 2011 Aug;63(8):2352-62.

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

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