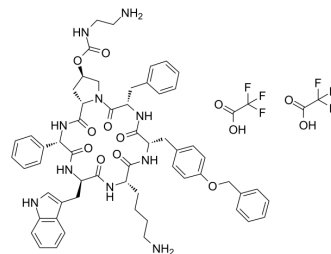


Pasireotide ditrifluoroacetate

Cat. No.:	HY-79135		
Molecular Formula:	C ₆₂ H ₆₈ F ₆ N ₁₀ O ₁₃		
Molecular Weight:	1275.25		
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 : 100 mg/mL (78.42 mM; Need ultrasonic)																													
	<table border="1"> <thead> <tr> <th rowspan="2">Solvent Concentration</th> <th colspan="4">Mass</th> </tr> <tr> <th>1 mg</th> <th>5 mg</th> <th colspan="2">10 mg</th> </tr> </thead> <tbody> <tr> <td>Preparing Stock Solutions</td> <td></td> <td></td> <td></td> <td></td> </tr> <tr> <td>1 mM</td> <td>0.7842 mL</td> <td>3.9208 mL</td> <td colspan="2">7.8416 mL</td> </tr> <tr> <td>5 mM</td> <td>0.1568 mL</td> <td>0.7842 mL</td> <td colspan="2">1.5683 mL</td> </tr> <tr> <td>10 mM</td> <td>0.0784 mL</td> <td>0.3921 mL</td> <td colspan="2">0.7842 mL</td> </tr> </tbody> </table>	Solvent Concentration	Mass				1 mg	5 mg	10 mg		Preparing Stock Solutions					1 mM	0.7842 mL	3.9208 mL	7.8416 mL		5 mM	0.1568 mL	0.7842 mL	1.5683 mL		10 mM	0.0784 mL	0.3921 mL	0.7842 mL	
Solvent Concentration	Mass																													
	1 mg	5 mg	10 mg																											
Preparing Stock Solutions																														
1 mM	0.7842 mL	3.9208 mL	7.8416 mL																											
5 mM	0.1568 mL	0.7842 mL	1.5683 mL																											
10 mM	0.0784 mL	0.3921 mL	0.7842 mL																											
	Please refer to the solubility information to select the appropriate solvent.																													
In Vivo	<ol style="list-style-type: none"> 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 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 Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (1.96 mM); Clear solution 																													

BIOLOGICAL ACTIVITY

Description	Pasireotide (SOM230) ditrifluoroacetate, a long-acting cyclohexapeptide somatostatin analogue, can improve agonist activity at somatostatin receptors (subtypes sst1/2/3/4/5, pK _i =8.2/9.0/9.1/<7.0/9.9, respectively). Pasireotide ditrifluoroacetate exhibits antisecretory, antiproliferative, and proapoptotic activity ^{[1][2]} .
IC₅₀ & Target	pK _i : 8.2 (sst1), 9.0 (sst2), 9.1 (sst3), <7.0 (sst4), 9.9 (sst5) ^[1]
In Vitro	Pasireotide ditrifluoroacetate exhibits unique high-affinity binding to human somatostatin receptors (subtypes sst1/2/3/4/5, pK _i =8.2/9.0/9.1/<7.0/9.9, respectively) ^[1] . Pasireotide ditrifluoroacetate effectively inhibits the growth hormone releasing hormone (GHRH) induced growth hormone

(GH) release in primary cultures of rat pituitary cells, with an IC₅₀ of 0.4 nM^[1].
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^[2].
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^[3].
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 ^[2]
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.

See more customer validations on www.MedChemExpress.com

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.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA