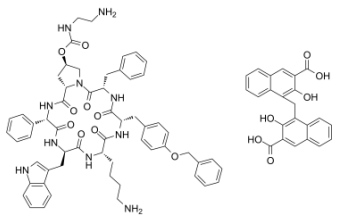
Pasireotide pamoate

Cat. No.:	HY-108768
CAS No.:	396091-79-5
Molecular Formula:	$C_{81}H_{82}N_{10}O_{15}$
Molecular Weight:	1435.58
Target:	Somatostatin Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the COA.



BIOLOGICAL ACTIVITY

Description	Pasireotide pamoate (SOM230 pamoate) is a stable cyclohexapeptide somatostatin mimic that improves 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 pamoate exhibits antisecretory, antiproliferative, and proapoptotic activity[1][2].
IC_{50} & Target	pKi: 8.2 (sst1), 9.0 (sst2), 9.1 (sst3), <7.0 (sst4), 9.9 (sst5)[1]
In Vitro	Pasireotide pamoate exhibits unique high-affinity binding to human somatostatin receptors[1]. Pasireotide pamoate effectively inhibits the growth hormone releasing hormone (GHRH) induced growth hormone (GH) release in primary cultures of rat pituitary cells with an IC_{50} of 0.4±0.1 nM[1].
In Vivo	Pasireotide pamoate (160mg/Kg/month; s.c.; for 4 months) decreases serum insulin levels and increases serum glucose levels in Pdx1-Cre[2]. Pasireotide pamoate displays a reduction in tumor activity and reduces Pancreatic Neuroendocrine Tumor (PNET) size in Pdx1-Cre[2]. Pasireotide pamoate increases apoptosis in PNETs in Pdx1-Cre[2]. Pasireotide pamoate exerts the antinociceptive and antiinflammatory actions via the SSTR2 receptor in in a mouse model of immune-mediated arthritis[3].

Animal Model:	Eight 12-month old mice carrying the Men1 allele, Men1 floxed/floxed conditional knockout (Pdx1-Cre)[2]
Dosage:	60mg/kg
Administration:	Subcutaneous injection; very month; for 4 months
Result:	Decreased serum insulin levels and increases serum glucose levels in Pdx1-Cre.

CUSTOMER VALIDATION

- **Hepatology**. 2017 Oct;66(4):1197-1218.

- **Am J Pathol**. 2018 Apr;188(4):981-994.

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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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