Product Data Sheet

Product Name: Pasireotide
Cat. No.: GC10729

Chemical Properties

Cas. No.	396091-73-9
Chemical Name	(E)-(1Z,3S,4Z,6R,7Z,9S,10Z,12S,13Z,15S,19R,20aS)-6-((1H-indol-3-yl)methyl)-9-(4-aminobutyl)-15-benzyl-12-(4-(benzyloxy)benzyl)-1,4,7,10,13-pentahydroxy-16-oxo-3-phenyl-3,6,9,12,15,16,18,19,20,20a-decahydropyrrolo[1,2-a][1,4,7,10,13,16]hexaazacyclooctadeci
SMILES	NCCCC[C@@](/N=C(O)/[C@@](/N=C(O)/[C@](/N=C(O)/[C@]1([H])C[C@](O/C(O)=N/CCN)([H])CN12)([H])C3=CC=CC=C3)([H])CC4=CNC5=CC=CC=C45)([H])/C(O)=N/[C@@](/C(O)=N/[C@@](C2=O)([H])CC6=CC=CC=C6)([H])CC7=CC=C(OCC8=CC=CC=C8)C=C7
Formula	$C_{58}H_{66}N_{10}O_9$	M.Wt	1047.21
Solubility	Soluble in water	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Pasireotide		

Protocol

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Animal experiment:

Mice are anesthetized using halothane and then shaved on their flank for subcutaneous injection of either phosphate buffered saline (PBS) buffer or Pasireotide at a concentration of 160 mg/Kg/month (64 mg/mL) every month for 4 months. The mice underwent a 24-h fast prior to collecting whole blood via a retro-orbital bleeding technique weekly at pre- and post-treatments. Serum glucose is measured by enzymatic colorimetric assay using a GM7 Analyzer. Serum insulin is measured by enzyme-linked immunosorbent assay (ELISA) with the UltrasensitiveMouse Insulin ELISA kit according to the manufacturer’s instructions.

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

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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.
[4]. Lorenzo Pisarello M, et al. The combination of an HDAC6 inhibitor and a somatostatin receptor agonist synergistically reduces hepato-renal cystogenesis in an animal model of polycystic liver disease. Am J Pathol. 2018 Apr;188(4):981-994.

Background

Pasireotide(SOM 230) is a stable cyclohexapeptide somatostatin mimic that exhibits unique high-affinity binding to human somatostatin receptors (subtypes sst1/2/3/4/5, pKi=8.2/9.0/9.1/<7.0/9.9 respectively).IC50 value: 8.2/9.0/9.1/<7.0/9.9(pKi, sst1/2/3/4/5) [1]in vitro: SOM230 showed a lower potency of GH release inhibition (IC(50), 0.5 nM), compared with OCT (IC(50), 0.02 nM) and SRIF-14 (IC(50), 0.02 nM). A positive correlation was found between sst(2) but not sst(5) mRNA levels in the adenoma cells

and the inhibitory potency of OCT on GH release in vivo and in vitro, and the effects of SOM230 and SRIF-14 in vitro [2]. In cultures of human fetal pituitary cells, SOM230 inhibited GH secretion by 42 +/- 9% (P = 0.002) but had no effect on TSH release. SOM230 inhibited GH release from GH-secreting adenoma cultures by 34 +/- 8% (P = 0.002), PRL by 35 +/- 4% from PRL-secreting adenomas (P = 0.01), and alpha-subunit secretion from nonfunctioning pituitary adenomas by 46 +/- 18% (P = 0.34) [3].in vivo: On day 7, there was a decrease in serum insulin levels from 1.06 ± 0.28 μg/L to 0.37 ± 0.17 μg/L (P = .0128) and a significant increase in serum glucose from 4.2 ± 0.45 mmol/L to 7.12 ± 1.06 mmol/L (P = .0075) in the treatment group but no change in the control group [4]. In wild-type mice, both octreotide and pasireotide significantly attenuated knee joint swelling and histopathologic manifestations of arthritis to an extent comparable to that of dexamethasone. In SSTR2(-/-) mice, the antiinflammatory effects of both octreotide and pasireotide were completely abrogated [5].

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]. Hofland LJ, et al. The novel somatostatin analog SOM230 is a potent inhibitor of hormone release by growth hormone- and prolactin-secreting pituitary adenomas in vitro. J Clin Endocrinol Metab. 2004 Apr;89(4):1577-85.
[3]. Murray RD, et al. The novel somatostatin ligand (SOM230) regulates human and rat anterior pituitary hormone secretion. J Clin Endocrinol Metab. 2004 Jun;89(6):3027-32.
[4]. 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.
[5]. 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.