



上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话: 021-61312973 传真: 021-55068248
网址: www.shyuanye.com
邮箱: shyysw@sina.com

产品名称: **Pasireotide (L-aspartate salt)**

产品别名: **SOM230 L-aspartate**

生物活性:	
Description	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].
Solvent&Solubility	In Vitro: DMSO : 1 mg/mL (0.85 mM; Need ultrasonic)
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.