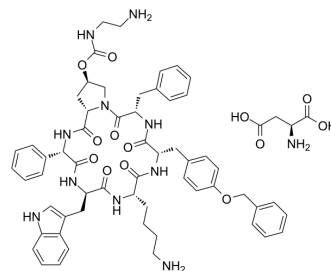


Pasireotide L-aspartate salt

Cat. No.:	HY-79136
CAS No.:	396091-77-3
Molecular Formula:	C ₆₂ H ₇₃ N ₁₁ O ₁₃
Molecular Weight:	1180.31
Target:	Somatostatin Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Sealed storage, away from moisture
	Powder -80°C 2 years
	-20°C 1 year
	* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro	DMSO : 1 mg/mL (0.85 mM; Need ultrasonic)
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BIOLOGICAL ACTIVITY

Description	Pasireotide (SOM230) L-aspartate salt, 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 L-aspartate salt 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	<p>Pasireotide L-aspartate salt 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].</p> <p>Pasireotide L-aspartate salt 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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>								
In Vivo	<p>Pasireotide L-aspartate salt (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].</p> <p>Pasireotide L-aspartate salt (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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="0" style="width: 100%; border-collapse: collapse;"> <tr> <td style="border: 1px dashed gray; padding: 5px;">Animal Model:</td> <td style="padding: 5px;">12 month-old conditional Men1 knockout mice with insulinoma^[2]</td> </tr> <tr> <td style="border: 1px dashed gray; padding: 5px;">Dosage:</td> <td style="padding: 5px;">160 mg/kg/mouth</td> </tr> <tr> <td style="border: 1px dashed gray; padding: 5px;">Administration:</td> <td style="padding: 5px;">S.c. every month for 4 months</td> </tr> <tr> <td style="border: 1px dashed gray; padding: 5px;">Result:</td> <td style="padding: 5px;">Decreased the serum insulin from 1.060 µg/L to 0.3653 µg/L and increased the serum</td> </tr> </table>	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
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.
- Basic Clin Pharmacol Toxicol. 2022 Jun 10.
- Universidad De Salamanca. Biología y Clínica del Cáncer y Medicina Traslacional. 2022 Oct.
- 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.

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

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