# Pasireotide pamoate

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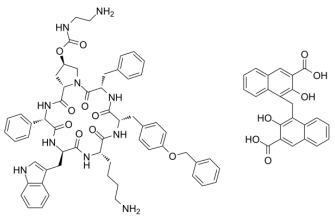
| **Cat. No.:** | HY-108768 |
| **CAS No.:** | 396091-79-5 |
| **Molecular Formula:** | $C_{81}H_{82}N_{10}O_{15}$ |
| **Molecular Weight:** | 1435.58 |
| **Target:** | Somatostatin Receptor |
| **Pathway:** | GPCR/G Protein; Neuronal Signaling |
| **Storage:** | Please store the product under the recommended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



## BIOLOGICAL ACTIVITY

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| **Description** | Pasireotide (SOM230) pamoate, 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 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 (subtypes sst1/2/3/4/5, $pK_i$ =8.2/9.0/9.1/<7.0/9.9, respectively)[1].<br>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 nM[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **In Vivo** | Pasireotide pamoate (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].<br>Pasireotide pamoate (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].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

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| 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.<br>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.

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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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