

Pasireotide (ditrifluoroacetate)

Catalog No: tcsc3338



Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg



Specifications

Formula:

$C_{62}H_{68}F_6N_{10}O_{13}$

Pathway:

GPCR/G Protein

Target:

Somatostatin Receptor

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Alternative Names:

SOM230 ditrifluoroacetate; Pasireotide TFA salt

Observed Molecular Weight:

1275.25

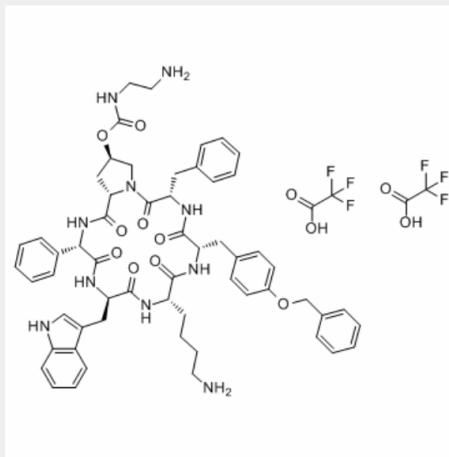
Product Description

Pasireotide (ditrifluoroacetate) is a stable cyclohexapeptide somatostatin mimic that exhibits unique high-affinity binding to human **somatostatin receptors** (subtypes sst1/2/3/4/5, $pK_i=8.2/9.0/9.1/$ IC50 & Target: pK_i : 8.2 (sst1), 9.0 (sst2), 9.1 (sst3),

In Vitro:

Pasireotide 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 potently suppresses GH secretion in rats. The ED_{50} values determined at 1 and 6 h after injection of pasireotide indicates its very long duration of action in vivo. In the rat, pasireotide strongly decreases IGF-1 plasma levels, with the efficacy being markedly enhanced compared with the effects elicited by SMS 201-995 after 7 days of treatment. Furthermore, in rats, dogs, and rhesus monkeys, pasireotide potently and dose-dependently decreases IGF-1 levels for prolonged periods of time without desensitization^[1]. Pasireotide (160 mg/kg/month, s.c.) decreases serum insulin levels and increases serum glucose levels, reduces PNET tumor size, and demonstrates a reduction in tumor activity on PET/CT scan in Pdx1-Cre; Men1 floxed/floxed conditional knockout mice^[2]. Pasireotide (50 μ g/kg) inhibits arthritic joint swelling in a dose-dependent manner, strongly inhibits joint swelling during the acute phase of AIA. Pasireotide- and octreotide-treated mice show significantly increased mechanical thresholds on the inflamed side. Pasireotide potently decreases secondary hyperalgesia to mechanical and thermal stimuli. Mechanical thresholds in the pasireotide-treated mice are significantly higher than those in the saline-treated or octreotide-treated animals^[3].



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