sst2 Receptor agonist-1

Cat. No.: HY-110161

CAS No.: 1021912-42-4

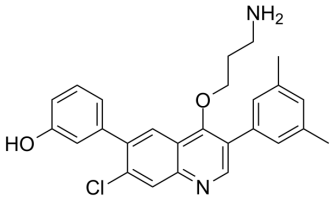
Molecular Formula: $C_{26}H_{25}ClN_2O_2$

Molecular Weight: 432.94

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

Description

sst2 Receptor agonist-1 is a potent somatostatin receptor subtype 2 (sst_2) agonist with a K_i value of 0.025 nM and a cAMP IC_{50} value of 4.8 nM. sst2 Receptor agonist-1 can inhibit rat growth hormone (GH) secretion and ocular neovascular lesion formation. Antiangiogenic effect[1].

IC_{50} & Target

sst_2	sst_2
0.025 nM (Ki)	4.8 nM (IC_{50})

In Vivo

sst2 Receptor agonist-1 (compound 21) (0.2 or 2 mg/kg; IV; single dosage) shows a dose-dependent decrease in growth hormone (GH) secretion[1].

sst2 Receptor agonist-1 (5 or 15 μg/per eye; intraocular; once every 4 days) reduces neovascular lesion area in laser choroidal neovascularization (CNV) rat model[1].

Pharmacokinetic Parameters of sst2 Receptor agonist-1 (compound 21) in dogs and rats[1].

species	dog (IV 0.125 mg/kg)	rat (IV 2 mg/kg or 5 mg/kg)
CL_{plasma} (mL/min/kg)	7.1	52
$t_{1/2}$ (h)	11	2.9
Vd_{SS} (L/kg)	5.7	9.4
F (%)	ND	17

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female Wistar rats (200-250 g; IP injection with 50 mg/kg pentobarbital, then injected with tested compound via jugular cannula, after 40 or 50 min administration, injected with GH secretagogue via jugular cannula)[1]
Dosage:	0.2 or 2 mg/kg

Administration:	IV; single dosage
Result:	Caused a dose-dependent decrease in GH secretion (38 and 91% reduction in plasma GH AUC following administration of 0.2 and 2 mg/kg, respectively).

Animal Model:	Male Brown Norway rats (175-225 g; lasered and perfused, a 27G needle was used to make a small hole in the eye 3 mm posterior to iris angled 45° toward the optic nerve)[1]
Dosage:	5 or 15 μg/per eye, 5 μL
Administration:	Intraocular administration; inject at day 0, 4 and 8
Result:	Exhibited a dose-dependent antiangiogenic effect by a 35 and 53% reduction in neovascular lesion area with 5 or 15 μg per eye, respectively.

REFERENCES

[1]. Wolkenberg SE, et al. Design, synthesis, and evaluation of novel 3,6-diaryl-4-aminoalkoxyquinolines as selective agonists of somatostatin receptor subtype 2. J Med Chem. 2011 Apr 14;54(7):2351-8.

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