J-2156 TFA

Cat. No.: HY-111615A

CAS No.: 2387505-73-7

Molecular Formula: $C_{26}H_{29}F_3N_4O_6S$

Molecular Weight: 582.59

Target: Somatostatin Receptor

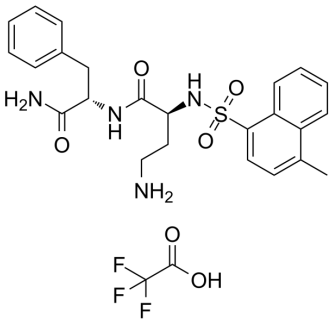
Pathway: GPCR/G Protein; Neuronal Signaling

Storage: Sealed storage, away from moisture and light, under nitrogen

Powder -80°C 2 years

-20°C 1 year

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light, under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 100 mg/mL (171.65 mM; Need ultrasonic)

DMSO : 100 mg/mL (171.65 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.7165 mL	8.5824 mL	17.1647 mL
5 mM	0.3433 mL	1.7165 mL	3.4329 mL
10 mM	0.1716 mL	0.8582 mL	1.7165 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (171.65 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.29 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.29 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.29 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

J-2156 TFA is a high potent, selective somatostatin receptor type 4 (SST_4 receptor) agonist with IC_{50}s of 0.05 nM and 0.07 nM for human and rat SST_4 receptors, respectively. J-2156 TFA has anti-inflammatory activity and it is used for the relief of mechanical allodynia and mechanical hyperalgesia in the ipsilateral hindpaws in rats[1][2].

IC_{50} & Target	IC50: 0.05 nM (human SST_4) and 0.07 nM (rat SST_4)[1]
In Vitro	J-2156 TFA binds with nanomolar affinity to the human somatostatin receptor subtype 4 ($hsst_4$: K_i=1.2 nM) and is over 400-fold subtype-selective against the other somatostatin receptors ($hsst_1$: K_i=0.5 μM; $hsst_2$: K_i>5 μM; $hsst_3$: K_i=1.4 μM; $hsst_5$: K_i =0.54 μM) in Chinese hamster ovary (CHO) cells[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	J-2156 TFA (1-10 mg/kg; i.p.; for 3 hours) of single bolus doses has anti-allodynic effect on ipsilateral and contralateral in BCIBP-rats[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Breast cancer-induced bone pain (BCIBP)-rats[1]
Dosage:	1, 3, 10 mg/kg
Administration:	IP; for 3 hours
Result:	Had anti-allodynic effect on ipsilateral and contralateral in BCIBP-rats.

REFERENCES

[1]. Shenoy PA, et al. The Somatostatin Receptor-4 Agonist J-2156 Alleviates Mechanical Hypersensitivity in a Rat Model of Breast Cancer Induced Bone Pain. Front Pharmacol. 2018 May 15;9:495.

[2]. Mia Engström, et al. Superagonism at the Human Somatostatin Receptor Subtype 4. J Pharmacol Exp Ther. 2005 Jan;312(1):332-8.

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