Veldoreotide TFA

Cat. No.: HY-P0024A

CAS No.: 2126831-23-8

Molecular Formula: $C_{62}H_{75}F_3N_{12}O_{12}$

Molecular Weight: 1237.33

Target: Somatostatin Receptor

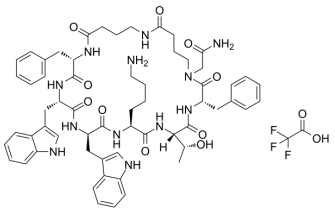
Pathway: GPCR/G Protein; Neuronal Signaling

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

H_2O : 25 mg/mL (20.20 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.8082 mL	4.0410 mL	8.0819 mL
	5 mM	0.1616 mL	0.8082 mL	1.6164 mL
	10 mM	0.0808 mL	0.4041 mL	0.8082 mL

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

BIOLOGICAL ACTIVITY

Description

Veldoreotide (DG3173) TFA a somatostatin analogue, binds to and activate the somatostatin receptors (SSTR) 2, 4, and 5. Veldoreotide TFA inhibits growth hormone (GH) secretion in adenomas compared with Octreotide (HY-P0036). Veldoreotide has the potential to be used as pain modulating agent[1]

IC_{50} & Target

SSTR2	SSTR3	SSTR4
37.6 nM (EC50)	31.3 nM (EC50)	10.5 nM (EC50)

In Vitro

Veldoreotide stimulates the SST2, SST4, and SST5 receptors with high potency and efficacy in the HEK293 cells, co-expressing these receptors with the GIRK2 channels; EC_{50}s of 37.6 ± 4.5 nM, 31.3 ± 14.4 nM and 10.5 ± 3.4 nM for GIRK2-SST2, GIRK2-SST4 and GIRK2-SST5, respectively[1].

Veldoreotide (10 μM; 24 h) inhibits SST4-expressing BON-1 cells[1].

Veldoreotide (DG3173) (100 nM or 1 μM; 6 h) inhibits GH secreation in adenomas with an IC_{50} of 0.49 nM[2].

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

Cell Viability Assay[1]

Cell Line:	SST4-expressing BON-1 cells.
Concentration:	10 μM.
Incubation Time:	24 h.
Result:	Inhibited SST4-expressing BON-1 cells, while did not significantly inhibited wild type BON-1 cells.

REFERENCES

[1]. Dasgupta P, et al. Pharmacological Characterization of Veldoreotide as a Somatostatin Receptor 4 Agonist. Life (Basel). 2021 Oct 12;11(10):1075.

[2]. Plöckinger U, et al. DG3173 (somatoprim), a unique somatostatin receptor subtypes 2-, 4- and 5-selective analogue, effectively reduces GH secretion in human GH-secreting pituitary adenomas even in Octreotide non-responsive tumours. Eur J Endocrinol. 2012 Feb;166(2):223-34.

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