Elabela(19-32) TFA

Cat. No.: HY-P2106A

Molecular Formula: $C_{77}H_{120}F_3N_{25}O_{19}S_2$

Molecular Weight: 1821.05

Target: Apelin Receptor (APJ); Arrestin

Pathway: GPCR/G Protein

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)

{Glp}RRCMPLHSRVPFP (TFA salt)

SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (54.91 mM; Need ultrasonic)
H_2O : 33.33 mg/mL (18.30 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	0.5491 mL	2.7457 mL	5.4913 mL
	5 mM	0.1098 mL	0.5491 mL	1.0983 mL
	10 mM	0.0549 mL	0.2746 mL	0.5491 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (1.37 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (1.37 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (1.37 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Elabela(19-32) TFA is an active fragment of ELABELA (ELA) that binds to apelin receptor (APJ). Elabela(19-32) TFA activates the $G_{\alpha i1}$ and β-arrestin-2 signaling pathways with EC_{50}s of 8.6 nM and 166 nM. Elabela(19-32) TFA induces receptor internalization and reduces arterial pressure, exerts positive inotropic effects on the heart[1].

IC_{50} & Target

IC50: 8.6 nM ($G_{\alpha i1}$) and 166 nM (β-arrestin-2)[1]

In Vitro

Elabela(19-32) TFA (analogue 3) has a K_i of 0.93 nM for binding of radioligand apelin-13[Glp^{65}, Nle^{75}, Tyr^{77}][^{125}I][1].

	Elabela(19-32) TFA has an EC_{50} of 36 nM in HEK293 cells transiently expressing the HA-hAPJ receptor. Elabela(19-32) TFA is slightly less potent than apelin-13 and ELA to elicit receptor internalization[1]. Elabela(19-32) TFA (0.001 to 0.3 nM) has an EC_{50} of 1.5 pM in inducing changes in left ventricular developed pressure (LVDP) on the Langendorff perfused isolated rat heart[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Elabela(19-32) TFA (analogue 3) is rapidly metabolized in rat plasma ($t_{1/2}$<2 min)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Free Radic Biol Med. 2022 Feb 2;S0891-5849(22)00031-4.
- J Cardiovasc Transl Res. 2022 Feb 16;1-13.
- Cell Stress Chaperones. 2022 Dec 13.

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REFERENCES

[1]. Alexandre Murza, et al. Discovery and Structure-Activity Relationship of a Bioactive Fragment of ELABELA That Modulates Vascular and Cardiac Functions. J Med Chem. 2016 Apr 14;59(7):2962-72.

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