IRL-1620 TFA

Cat. No.: HY-16465A

Molecular Formula: $C_{88}H_{118}F_3N_{17}O_{29}$

Molecular Weight: 1934.97

Sequence: {Suc}-Asp-Glu-Glu-Ala-Val-Tyr-Phe-Ala-His-Leu-Asp-Ile-Ile-Trp

Sequence Shortening: {Suc}-DEEAVYFAHLDIIW

{Suc}-DEEAVYFAHLDIIW (TFA salt)

Target: Endothelin Receptor

Pathway: GPCR/G Protein

Storage: Sealed storage, away from moisture and light

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)

SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (25.84 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (ultrasonic) (insoluble)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	0.5168 mL	2.5840 mL	5.1680 mL
	5 mM	0.1034 mL	0.5168 mL	1.0336 mL
	10 mM	0.0517 mL	0.2584 mL	0.5168 mL

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

BIOLOGICAL ACTIVITY

Description

IRL-1620 (TFA) is a potent and selective endothelin receptor type B (ETB) agonist with a K_i of 16 pM[1].

IC_{50} & Target

ET_B

In Vitro

IRL-1620 (TFA) is the most potent and specific ligand for the ETB receptor (K_iETA/ K_iETB=120,000) as judged by the K_i values for ETA (19 μM) and ETB (16 PM) receptors[1].

IRL-1620 (TFA) is 60 times more selective for the ETB receptor than ET-3 (K_iETA/ K_iETB=1,900)[1].

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

In Vivo

IRL-1620 (TFA) (1-100 nM) induces contractions of the guinea pig trachea. The effective concentration that produces 30 % of 60 mM KCI-induced contraction is estimated to be 28 nM for IRL-1620[1].

IRL-1620 (TFA) (1-100 nM) increases cytosolic Ca^{2+} in the vascular endothelium ([Ca]E) with little effect on resting muscle

tone, and relaxes the norepinephrine-stimulated tone with an increase in [Ca]E, in rat aorta,[1].
IRL-1620 (TFA) improves both acquisition (learning) and retention (memory) on the water maze task and enhances angiogenic and neurogenic remodeling. Rats treated with IRL-1620 significantly reduces the cognitive impairment induced by Aβ. IRL-1620 treatment enhances the number of blood vessels labeled with VEGF compared to vehicle treatment[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Takai M, et al. A potent and specific agonist, Suc-[Glu9,Ala11,15]-endothelin-1(8-21), IRL 1620, for the ETB receptor. Biochem Biophys Res Commun. 1992 Apr 30;184(2):953-9.

[2]. Briyal S, et al. Stimulation of endothelin B receptors by IRL-1620 decreases the progression of Alzheimer's disease. Neuroscience. 2015 Aug 20;301:1-11.

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