IRL-1620

Cat. No.:	HY-16465
CAS No.:	142569-99-1
Molecular Formula:	$C_{86}H_{117}N_{17}O_{27}$
Molecular Weight:	1820.95
Sequence:	{Suc}-Asp-Glu-Glu-Ala-Val-Tyr-Phe-Ala-His-Leu-Asp-Ile-Ile-Trp
Sequence Shortening:	{Suc}-DEEAVYFAHLDIIW
Target:	Endothelin Receptor
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.

BIOLOGICAL ACTIVITY

Description	IRL-1620 is a potent and selective endothelin receptor type B (ETB) agonist with a K_i of 16 pM.
IC_{50} & Target	ET_B
In Vitro	IRL-1620 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 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 (1-100 nM) induces contractions of the guinea pig trachea. The effective concentration that produces 30 % of 60 mM KCl-induced contraction is estimated to be 28 nM for IRL 1620[1]. IRL-1620 (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 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.

PROTOCOL

Kinase Assay [1]	The plasma membrane of porcine lung (2 ug of protein) is incubated at 37°C for 1 hr with 30 pM [^{125}I]ET-1 or 10 pM [^{125}I]ET-3 in the absence or presence of various amounts of nonlabeled ligands (IRL-1620) in a total volume of 1 mL of assay buffer. After the incubation, unbound [^{125}I]ETs are separated and radioactivity in the membrane pellet is measured in an autogamma counter[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2][3]	Rats: Specific ETB receptor agonist, IRL-1620 (5 μg/kg) and specific ETB receptor antagonist, BQ788 (1 mg/kg) are administered intravenously (i.v.) on day 8. IRL-1620 is administered on day 8 three times at a dose of 5 μg/kg, i.v. at 2-h intervals between each injection[2].

Mice: Tolerance to morphine is induced using a 3-day cumulative dosing regimen. Morphine treatment schedule consisted of twice-daily s.c. injections of morphine for three days given at (i) 30 mg/kg (a.m.) and 45 mg/kg (p.m.) on day 1; (ii) 60 mg/kg (a.m.) and 90 mg/kg (p.m.) on day 2; and (iii) 120 mg/kg twice (a.m. and p.m.) on day 3. The IRL-1620 treatment schedule consists of three times-daily injections of IRL-1620 for two days given at 5 μg/kg, i.v. spaced apart every 2 h on days 1 and 3. At the end of the treatment schedule, a challenge dose of morphine (5 mg/kg, s.c.) is administered on day 4 to assess tolerance[3].

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

CUSTOMER VALIDATION

- Biol Reprod. 2023 Oct 12:ioad139.

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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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