

Product Data Sheet

Product Name: IRL-1620 TFA

Cat. No.: GC38641

Chemical Properties

Cas. No.

Formula $C_{88}H_{118}F_3N_{17}O_{29}$ M.Wt 1934.97

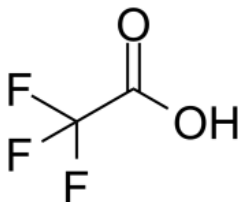
Solubility DMSO : 50 mg/mL (25.84 mM; Need ultrasonic) Storage -20°C, protect from light

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure

Suc-DEEAVYFAHLDIIW



Protocol

Caution: Product has not been fully validated for medical applications. For research use only.

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Cell experiment [1]:

Cell lines Human umbilical vein endothelial cells (HUVEC)

Preparation Method HUVEC were cultured in M199 medium supplemented with heparin, endothelial cell growth factor, and 10% fetal bovine serum. For nitric oxide (NO) release assays, cells were preincubated in Krebs-Ringer buffer, and a precalibrated amperometric NO-selective electrode was introduced to continuously monitor baseline NO release. After reaching steady state, IRL-1620 TFA (0.1-10nM) were added to the incubation medium, and NO release was recorded.

Reaction
Conditions 0.1–10nM; NO release was measured immediately.

Applications IRL-1620 TFA (0.1–10nM) induced an immediate, dose-dependent, and long-lasting NO generation in HUVEC.

Animal experiment [2]:

Animal models Adult male Sprague-Dawley rats (weight range 350-390g)

Preparation Method Rats were subjected to permanent middle cerebral artery occlusion (MCAO) to induce focal cerebral ischemia. IRL-1620 TFA (5µg/kg) was administered intravenously into the tail vein at 4, 6, and 8 hours post MCAO.

Dosage form 5µg/kg; i.p.; administered at 4, 6, and 8 hours post MCAO.

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Applications IRL-1620 TFA treatment improved survival rate, significantly reduced neurological deficit scores, and improved motor functions at 24h and day 7 post MCAO.

References:

- [1] Tsukahara H, Ende H, Magazine HI, et al. Molecular and functional characterization of the non-isopeptide-selective ETB receptor in endothelial cells. Receptor coupling to nitric oxide synthase. J Biol Chem. 1994 Aug 26;269(34):21778-85.
- [2] Ranjan AK, Briyal S, Gulati A. Sovateltide (IRL-1620 TFA) activates neuronal differentiation and prevents mitochondrial dysfunction in adult mammalian brains following stroke. Sci Rep. 2020 Jul 29;10(1):12737.

Background

IRL-1620 TFA is a potent and selective endothelin B receptor (ETB) agonist ($K_i=16\text{pM}$). IRL-1620 TFA stimulates angiogenesis and neuroregeneration by activating ETB receptors on the vascular endothelium^[1-2]. IRL-1620 TFA can be used in research related to acute ischemic stroke and Alzheimer's disease^[3-4].

In vitro, IRL-1620 TFA (100-1000nM) stimulated ND7/104 and HEK 293T cells. Under real-time monitoring conditions, IRL-1620 TFA did not cause an increase in intracellular calcium concentration ($[\text{Ca}^{2+}]_{\text{in}}$)^[5]. IRL-1620 TFA (0.1-10nM) was incubated with human umbilical vein endothelial cells (HUVEC). Under real-time monitoring conditions, IRL-1620 TFA promoted a dose-dependent production of NO^[6].

In vivo, IRL-1620 TFA (3nmol/kg) was co-administered intravenously with PEGylated liposomes to BALB/c mice inoculated with CT-26 colon carcinoma, and detection was performed 48 hours after injection. IRL-1620 TFA significantly enhanced the accumulation of liposomes in the tumor^[7]. IRL-1620 TFA (5 $\mu\text{g/kg}$) was administered intravenously to rats at 4, 6, and 8 hours after middle cerebral artery occlusion (MCAO). IRL-1620 TFA improved the survival rate of the rats and significantly ameliorated neurological deficits and motor function^[8].

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References:

- [1] Takai M, Umemura I, Yamasaki K, 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] Gulati A, Hornick MG, Briyal S, et al. A novel neuroregenerative approach using ET(B) receptor agonist, IRL-1620 TFA, to treat CNS disorders. *Physiol Res*. 2018 Jun 27;67(Suppl 1):S95-S113.
- [3] Ramos MD, Briyal S, Prazad P, et al. Neuroprotective Effect of Sovateltide (IRL 1620, PMZ 1620) in a Neonatal Rat Model of Hypoxic-Ischemic Encephalopathy. *Neuroscience*. 2022 Jan 1;480:194-202.
- [4] Keam SJ. Sovateltide: First Approval. *Drugs*. 2023 Sep;83(13):1239-1244.
- [5] Montmayeur JP, Barr TP, Kam SA, et al. ET-1 induced Elevation of intracellular calcium in clonal neuronal and embryonic kidney cells involves endogenous endothelin-A receptors linked to phospholipase C through G α (q/11). *Pharmacol Res*. 2011 Sep;64(3):258-67.
- [6] Tsukahara H, Ende H, Magazine HI, et al. Molecular and functional characterization of the non-isopeptide-selective ETB receptor in endothelial cells. Receptor coupling to nitric oxide synthase. *J Biol Chem*. 1994 Aug 26;269(34):21778-85.
- [7] Feng H, Nam LT, Yoshikawa T, et al. Effect of an Endothelin B Receptor Agonist on the Tumor Accumulation of Nanocarriers. *Biol Pharm Bull*. 2020;43(9):1301-1305.
- [8] Ranjan AK, Briyal S, Gulati A. Sovateltide (IRL-1620 TFA) activates neuronal differentiation and prevents mitochondrial dysfunction in adult mammalian brains following stroke. *Sci Rep*. 2020 Jul 29;10(1):12737.

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