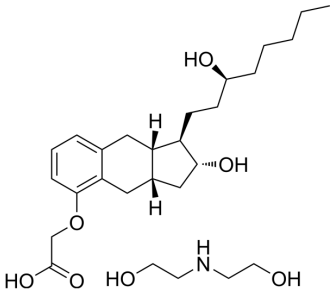
Treprostinil diethanolamine

Cat. No.:	HY-B0813
CAS No.:	830354-48-8
Molecular Formula:	$C_{27}H_{45}NO_7$
Molecular Weight:	495.65
Target:	Prostaglandin Receptor
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Treprostinil (UT-15C) diethanolamine is a potent EP2, DP1 and IP agonist with K_i values of 3.6, 4.4, 32.1, 212, 826, 2505 and 4680 nM for EP2, DP1, IP, EP1, EP4, EP3 and FP, respectively. Treprostinil (UT-15C) diethanolamine increases upregulation of cAMP toward maintaining homeostasis within the vasculature. Treprostinil (UT-15C) diethanolamine can result in vasodilatation of human pulmonary arteries[1][2][3].

IC_{50} & Target

DP1 4.4 nM (Ki)	EP1 212 nM (Ki)	EP4 826 nM (Ki)	EP3 2505 nM (Ki)
IP 32.1 nM (Ki)	FP 4680 nM (Ki)	EP2 3.6 nM (Ki)	

In Vitro

Treprostinil diethanolamine (UT-15C; 0.001-10,000 nM; 60 min; HEK293 cells) has high potency in activating DP1 and EP2 receptors as well as the IP receptor with EC_{50} values of 0.6 nM, 6.2 nM and 1.9 nM, respectively, 36-fold less active at the EP3 receptor, 95-fold less active at the EP4 and 150-fold less active at the EP1 site than at the IP receptor[1].

Treprostinil diethanolamine (10 μM) increases cAMP accumulation in murine and human hematopoietic stem and progenitor cells (HSPCs) [2].

Treprostinil diethanolamine (10 μM; 2-6 h; PC3 cells) enhances the action of SDF-1 via CXCR4[2].

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

In Vivo

Treprostinil diethanolamine (UT-15C; 0.15 mg/kg; i.h.; every 8 h; for 10 d; BALB/c mice) enhances the ability of HSPCs to repopulate the bone marrow and increases bone marrow reconstitution[2].

Treprostinil diethanolamine (0.15 mg/kg; i.h.; every 8 h; for 10 d; BALB/c mice) increases survival of lethally irradiated recipient mice[2].

Treprostinil diethanolamine (0.1 mg/kg; i.h.; for 24h; male lewis rats) inhibits the mRNA expression of TNF-α and IFN-γ and increases in IL-10 expression[3].

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

Animal Model:	BALB/c mice[2]
Dosage:	0.15 mg/kg
Administration:	Subcutaneous injection; every 8 hours; for 10 days

Result:	Increased survival of lethally irradiated recipient mice.

Animal Model:	Male lewis rats[3]
Dosage:	0.1 mg/kg
Administration:	Subcutaneous injection; for 24 hours
Result:	Decreased the mRNA expression of TNF-α and IFN-γ and increased the expression of IL-10.

CUSTOMER VALIDATION

- Cell. 2023 Dec 7;186(25):5500-5516.e21.

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REFERENCES

[1]. Whittle BJ, et, al. Binding and activity of the prostacyclin receptor (IP) agonists, treprostinil and iloprost, at human prostanoid receptors: treprostinil is a potent DP1 and EP2 agonist. Biochem Pharmacol. 2012 Jul 1;84(1):68-75.

[2]. Kazemi Z, et, al. Repurposing Treprostinil for Enhancing Hematopoietic Progenitor Cell Transplantation. Mol Pharmacol. 2016 Jun;89(6):630-44.

[3]. Ghonem N, et, al. Treprostinil, a prostacyclin analog, ameliorates ischemia-reperfusion injury in rat orthotopic liver transplantation. Am J Transplant. 2011 Nov;11(11):2508-16.

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