

Product Data Sheet

Product Name: Treprostinil (diethanolamine salt)

Cat. No.: GC48202

Chemical Properties

Cas. No. 830354-48-8

SMILES O=C([O-])COC1=C(C[C@](C[C@@H](O)[C@@H]2CC[C@@H](O)CCCCC)([H])[C@]2([H])C3)C3=CC=CC=C1.OCC[NH2+][CCO]

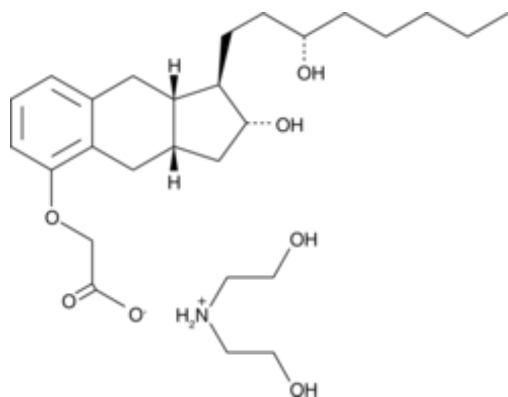
Formula C₂₃H₃₃O₅.C₄H₁₂NO₂ M.Wt 495.7

Solubility DMF: 20 mg/ml, DMSO: 5 mg/ml, Ethanol: 13 mg/ml, PBS (pH 7.2): 5 mg/ml
Storage Store at -20°C

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



Background

Treprostinil is a derivative of prostaglandin I₂ and an agonist of PGI₂, PGD₂, and PGE₂ receptors IP₂, DP₂, and EP₂.^{1,2} It binds selectively to IP₂, DP₂, and EP₂ over EP₁, EP₃, and EP₄ receptors with K_i values of 32, 4.4, 3.6, 212, 2,505, and 826 nM, respectively, in radioligand binding assays.² Treprostinil inhibits LPS-induced production of TNF-α, IL-1β, IL-6, and granulocyte macrophage colony-stimulating factor (GM-CSF) in isolated human alveolar macrophages when used at a

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

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concentration of 200 ng/ml.³ It also prevents LPS-induced nuclear translocation and activation of NF- κ B in the same cells. Treprostinil relaxes isolated small pulmonary arteries and veins precontracted with the thromboxane A₂ (TP) receptor antagonist U-46619, an effect that can be blocked by IP receptor antagonists in the arteries and reduced by IP receptor antagonists in the veins⁴. It reduces right ventricular systolic pressure, but not right ventricular hypertrophy, compared to hypoxic and sham control animals in a mouse model of chronic hypoxic pulmonary hypertension.⁵ Formulations containing treprostinil have been used in the treatment of primary pulmonary hypertension.

1.Syed, N.I., and Jones, R.L.Assessing the agonist profiles of the prostacyclin analogues treprostinil and naxaprostene, particularly their DP₂ activityProstaglandins Leukot. Essent. Fatty Acids9519-29(2015) 2.Clapp, L.H., and Gurung, R.The mechanistic basis of prostacyclin and its stable analogues in pulmonary arterial hypertension: Role of membrane versus nuclear receptorsProstaglandins Other Lipid Mediat.12056-71(2015) 3.Raychaudhuri, B., Malur, A., Bonfield, T.L., et al.The prostacyclin analogue treprostinil blocks NF κ B nuclear translocation in human alveolar macrophagesThe Journal of Biological Chemistry277(36)33344-33348(2002) 4.Orie, N.N., Ledwozyw, A., Williams, D.J., et al.Differential actions of the prostacyclin analogues treprostinil and iloprost and the selexipag metabolite, MRE-269 (ACT-333679) in rat small pulmonary arteries and veinsProstaglandins Other Lipid Mediat.1061-7(2013) 5.Nikam, V.S., Schermuly, R.T., Dumitrascu, R., et al.Treprostinil inhibits the recruitment of bone marrow-derived circulating fibrocytes in chronic hypoxic pulmonary hypertensionEur. Respir. J.36(6)1302-1314(2010)

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