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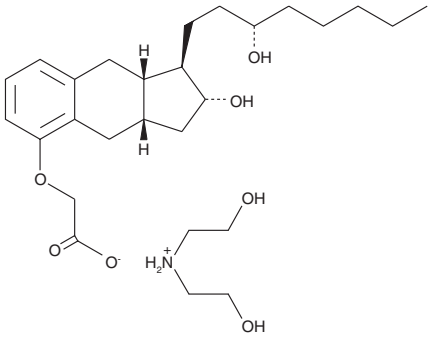
PRODUCT INFORMATION

Treprostinil (diethanolamine salt)

Item No. 11927

CAS Registry No.: 830354-48-8
Formal Name: 2-[[(1R,2R,3aS,9aS)-2,3,3a,4,9,9a-hexahydro-2-hydroxy-1-[(3S)-3-hydroxyoctyl]-1H-benz[f]inden-5-yl]oxy]-acetic acid, diethanolamine salt
Synonyms: Treprostinil diolamine, UT 15C
MF: $C_{23}H_{33}O_5 \bullet C_4H_{12}NO_2$
FW: 495.7
Purity: ≥98%
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Treprostinil (diethanolamine salt) is supplied as a crystalline solid. A stock solution may be made by dissolving the treprostinil (diethanolamine salt) in the solvent of choice. Treprostinil (diethanolamine salt) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of treprostinil (diethanolamine salt) in these solvents is approximately 13, 5, and 20 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of treprostinil (diethanolamine salt) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of treprostinil (diethanolamine salt) in PBS, pH 7.2, is approximately 5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Treprostinil is a derivative of prostaglandin I_2 (PGI_2/prostacyclin; Item No. 18220) and an agonist of PGI_2, PGD_2, and PGE_2 receptors IP_2, DP_2, and EP_2.[1,2] It binds selectively to IP_2, DP2, and EP_2over EP_1, EP_3, and EP_4 receptors with K_i values of 32, 4.4, 3.6, 212, 2,505, and 826 nM, respectively, in radioligand binding assays.[1] 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 concentration of 200 ng/ml.[3] It also prevents LPS-induced nuclear translocation and activation of NF-κB in the same cells. Treprostinil relaxes isolated small pulmonary arteries and veins precontracted with the thromboxane A_2 (TP) receptor antagonist U-46619 (Item No. 16450), an effect that can be blocked by IP receptor antagonists in the arteries and reduced by IP receptor antagonists in the veins[2]. 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.[4] Formulations containing treprostinil have been used in the treatment of primary pulmonary hypertension.

References

1. Syed, N.I. and Jones, R.L. *Prostaglandins Leukot. Essent. Fatty Acids* **95**, 19-29 (2015).
2. Clapp, L.H. and Gurung, R. *Prostaglandins Other Lipid Mediat.* **120**, 56-71 (2015).
3. Wegener, D., Hildmann, C., Riester, D., *et al. Anal. Biochem.* **321**, 202-208 (2003).
4. Nikam, V.S., Schermuly, R.T., Dumitrascu, R., *et al. Eur. Respir. J.* **36(6)**, 1302-1314 (2010).

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