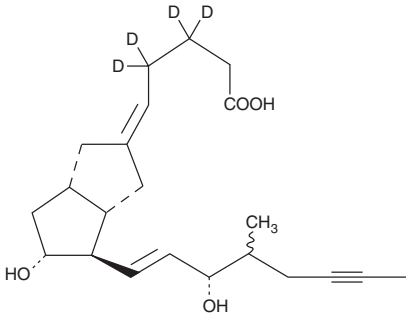
PRODUCT INFORMATION

Iloprost-d_4

Item No. 9000366

Formal Name: 5-((3aS,4R,5R,6aS,E)-5-hydroxy-4-((3S,E)-3-hydroxy-4-methyloct-1-en-6-yn-1-yl) hexahydropentalen-2(1H)-ylidene)pentanoic-3,3,4,4-d_4 acid
Synonym: Ciloprost-d_4
MF: $C_{22}H_{28}D_4O_4$
FW: 364.5
Chemical Purity: ≥95% (Iloprost)
Deuterium Incorporation: ≥99% deuterated forms (d_1-d_4); ≤1% d_0
Supplied as: A solution in methyl acetate
Storage: -20°C
Stability: ≥1 year
Special Conditions: Vasodilator



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

Laboratory Procedures

Iloprost-d_4 is intended for use as an internal standard for the quantification of iloprost (Item No. 18215) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Iloprost-d_4 is supplied as a solution in methyl acetate. To change the solvent, simply evaporate the methyl acetate under a gentle stream of nitrogen and immediately add the solvent of choice. Solvents such as chloroform and dichloromethane purged with an inert gas can be used. Iloprost-d_4 is slightly soluble (0.1-1 mg/ml) in chloroform and dichloromethane.

Description

Iloprost is an agonist of the IP receptor and the prostaglandin E_2 (PGE_2) receptor subtype EP_1 and a derivative of PGI_2.[1,2] It selectively binds to IP and EP_1 receptors (K_i = 11 nM for both) over EP_2, EP_4, DP, FP, and TP receptors (K_is = 1,870, 284, 1,035, 619, and 6,487 nM, respectively) but also binds to the EP_3 receptor (K_i = 56 nM).[1] Iloprost increases cAMP levels in HEK293 cells expressing IP or EP_3 receptors (EC_{50}s = 0.37 and 27.5 nM, respectively) and increases calcium levels in HEK293 cells expressing the EP_1 receptor (EC_{50} = 0.3 nM).[2] It inhibits ADP-, thrombin-, and collagen-induced platelet aggregation in isolated human platelet-rich plasma (IC_{50}s = 1.07, 0.71, and 0.24 nM, respectively).[3] Iloprost (100 ng/kg per minute) increases the time to occlusive coronary artery thrombosis in a porcine model of electrically induced coronary artery thrombosis.[4] Aerosolized administration of iloprost (130-1,300 ng/kg per minute) reduces right ventricular systolic pressure and reverses vascular remodeling in rats in a model of chronic pulmonary hypertension induced by the alkaloid monocrotaine (Item No. 16666).[5] Formulations containing iloprost have been used in the treatment of pulmonary arterial hypertension and severe frostbite.

References

1. Abramovitz, M., Adam, M., Boie, Y., *et al. Biochim. Biophys. Acta* **1483(2)**, 285-293 (2000).
2. Whittle, B.J., Silverstein, A.M., Mottola, D.M., *et al. Biochem. Pharmacol.* **84(1)**, 68-75 (2012).
3. Schrör, K., Darius, H., Matzky, R., *et al. Naunyn Schmiedebergs Arch. Pharmacol.* **316(3)**, 252-255 (1981).
4. van der Giessen, W.J., Mooi, W.J., Rutteman, A.M., *et al. Thromb. Res.* **36(1)**, 45-51 (1984).
5. Schermuly, R.T., Yilmaz, H., Ghofrani, H.A., *et al. Am. J. Respir. Crit. Care Med.* **172(3)**, 358-363 (2005).

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