

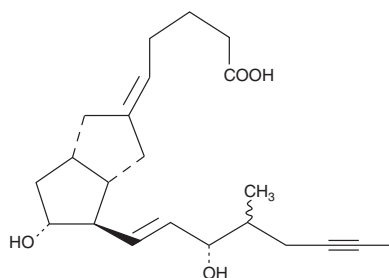
Product Information



Iloprost

Catalog No. 18215

CAS Registry No.:	78919-13-8
Formal Name:	6,9 α -methylene-11 α ,15S-dihydroxy-16-methyl-prosta-5E,13E-dien-18-yn-1-oic acid
Synonym:	Ciloprost
MF:	C ₂₂ H ₃₂ O ₄
FW:	360.5
Purity:	≥97%
Stability:	≥1 year at -20°C
Supplied as:	A solution in methyl acetate



Laboratory Procedures

For long term storage, we suggest that iloprost be stored as supplied at -20°C. It should be stable for at least one year.

Iloprost 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 ethanol, DMSO, and dimethyl formamide purged with an inert gas can be used. The solubility of iloprost in these solvents is approximately 25 mg/ml.

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. If an organic solvent-free solution of iloprost is needed, it can be prepared by evaporating the methyl acetate and directly dissolving the neat oil in aqueous buffers. The solubility of iloprost in PBS (pH 7.2) is approximately 1 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Iloprost is a second generation structural analog of prostacyclin (PGI) with about ten-fold greater potency than the first generation stable analogs, typified by carbaprostacyclin.¹ Iloprost binds with equal affinity to the human recombinant IP and EP₁ receptors with a K_i of 11 nM.² Iloprost constricts the isolated guinea pig ileum and fundus circular smooth muscle (an EP₁ receptor preparation) as strongly as prostaglandin E₂ (PGE₂) itself.³

Iloprost inhibits the ADP, thrombin, and collagen-induced aggregation of human platelets with an ED₅₀ of about 13 nM.¹ In whole animals, iloprost acts as a vasodilator, hypotensive, antidiuretic, and prolongs bleeding time.⁴ It has been evaluated in several human clinical studies as a treatment for idiopathic pulmonary hypertension.^{5,6} In these studies, an aerosolized dose of 30 µg/day was effective, and doses as high as 150 µg/day for up to a year were well tolerated.

References

1. Schrör, K., Darius, H., Matzky, R., *et al.* The antiplatelet and cardiovascular actions of a new carbacyclin derivative (ZK36374) - equipotent to PGI₂ *in vitro*. *Naunyn-Schmiedeberg's Arch. Pharmacol.* **316**, 252-255 (1981).
2. Abramovitz, M., Adam, M., Boie, Y., *et al.* The utilization of recombinant prostanoid receptors to determine the affinities and selectivities of prostaglandins and related analogs. *Biochim. Biophys. Acta* **1483**, 285-293 (2000).
3. Sheldrick, R. L. G., Coleman, R.A., and Lumley, P. Iloprost - a potent EP₁- and IP-receptor agonist. *Br. J. Pharmacol.* **94**, 334P (1988).
4. Schering, A. G., Ciloprost. *Drugs of the Future* **7**, 839-977 (1982).
5. Hoepfer, M. M., Schwarze, M., Ehlerding, S., *et al.* Long-term treatment of primary pulmonary hypertension with aerosolized iloprost, a prostacyclin analogue. *N. Engl. J. Med.* **342**, 1866-1870 (2000).
6. Olschewski, H., Simonneau, G., Galié, N., *et al.* Inhaled iloprost for severe pulmonary hypertension. *N. Engl. J. Med.* **347**(5), 322-329 (2002).

Related Products

Carbaprostacyclin - Cat. No. 18210 • Prostaglandin I₂ (sodium salt) - Cat. No. 18220

WARNING: THIS PRODUCT IS NOT FOR HUMAN OR ANIMAL DISEASE DIAGNOSIS OR THERAPEUTIC DRUG USE.

MATERIAL SAFETY DATA

This material should be considered hazardous until information to the contrary becomes available. Do not ingest, swallow, or inhale. Do not get in eyes, on skin, or on clothing. Wash thoroughly after handling. This information contains some, but not all, of the information required for the safe and proper use of this material. Before use, the user must review the complete Material Safety Data Sheet, which has been sent under separate cover to the MSD supervisor at your institution.

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Cayman Chemical

Mailing address

1180 E. Ellsworth Road
Ann Arbor, MI
48108 USA

Phone

(800) 364-9897
(734) 971-3335

Fax

(734) 971-3640

E-Mail

custserv@caymanchem.com

Web

www.caymanchem.com