Iloprost

Cat. No.: HY-A0096

CAS No.: 78919-13-8

Molecular Formula: $C_{22}H_{32}O_4$

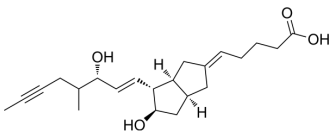
Molecular Weight: 360.49

Target: Prostaglandin Receptor; Endogenous Metabolite

Pathway: GPCR/G Protein; Metabolic Enzyme/Protease

Storage:

Pure form	-20°C	3 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (277.40 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7740 mL	13.8700 mL	27.7400 mL
	5 mM	0.5548 mL	2.7740 mL	5.5480 mL
	10 mM	0.2774 mL	1.3870 mL	2.7740 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.94 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.94 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.94 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Iloprost (ZK 36374; Ciloprost) is a prostacyclin (PGI2) analogue, involves in embryo development and inflammation improvement, and inhibits tumor metastasis. Iloprost can be used for peripheral vascular research[1][2][3][4].

IC_{50} & Target

IP

In Vitro

Prostacyclin (PGI2) is synthesised in oviductal fluid and enhance the embryo development[1].
Iloprost is an PGI2 analog, and affects maturation and developmental competence of bovine oocytes[1].
Iloprost (0.5 μM; 22-24 h) increases blastocyst rates of bovine embryos as well as proportion of expanded blastocysts[1].

Iloprost (0.5 μM; 22-24 h) assists maturation rates and cumulus cell expansion of bovine oocytes, and increases the mRNA expression of genes related to cumulus expansion[1].
Iloprost (0.5 μM; 22-24 h) reduces the occurrence of apoptosis in COCs and promotes an anti-apoptotic balance in the transcription of genes involved in apoptosis (BAX and BCL2) [1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

RT-PCR[1]

Cell Line:	Bovine oocytes: cumulus oocyte complexes (COCs)
Concentration:	0.5 μM
Incubation Time:	22-24 hours
Result:	Increased mRNA expression levels of cysteine proteinases cathepsins, including ADAM17, AREG, and TNFAIP6 23 and cathepsin genes (CTSK and CTSS).

In Vivo

Iloprost (0.3 mg/kg/min; via s.c. mini pumps; 33 d) has a significant anti-metastatic activity in a spontaneously metastasizing tumor model in rat[2].
Iloprost (0.2 mg/kg/d; i.p.; 10 d) attenuates hyperoxia effects and reduces inflammation in the newborn mouse lung, with Cyclooxygenase-2 (COX-2/PTGS2) mediates hyperoxia-induced impairment[3].
Iloprost (0.2 mg/kg; i.v. or i.p.) exhibits short half-life, and is often administered by means of frequent (every 2-4h) inhalation in treatment[4].
Comparison of pharmacokinetic parameter in rats and mice[4]

Animal	Route	Dose (mg/kg)	AUC (ng•h/mL)	F (%)	CL (range) (mL/min/kg)	$t_{1/2\lambda i}$ (min)	$t_{1/2\lambda z}$ (min)
Mice	i.v.	0.2	21.9	100	152	3	15
Rat	i.g.	0.2	2.2	10	/	4	58

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

Animal Model:	Spontaneously metastasizing R 3327 MAT Lu prostate carcinoma in Cop rat[2]
Dosage:	0.3 mg/kg/min
Administration:	Subcutaneous administration via Alzet mini pumps; continuously for 33 days
Result:	Reduced the number of visible lung metastases, but had no effect on the growth of the primary tumor. This action was based on the ability to reduce the attachment of tumor cells to platelets and to inhibit adhesion of tumor cells-platelet aggregates to the endothelial lining.

Animal Model:	Newborn C57BL/6 mice (4-day-old)[3]
Dosage:	0.2 mg/kg
Administration:	Intraperitoneal injection; once daily; 10 days
Result:	Reduced markedly pro-inflammatory cytokines IL-1β and TNF-α mRNA and protein. Inhibited alveolar septation, reduced hyperoxia-induced total lung resistance and myeloperoxidase, prevented hyperoxia-reduced lung microvascular density.

CUSTOMER VALIDATION

- Cells. 2024 Jan 23, 13(3), 206.
- Eur J Pharmacol. 2023 Nov 27:176199.
- J Dent Sci. 2021 May 11.

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REFERENCES

[1]. Kowalczyk-Zieba I, et al. Iloprost affects in vitro maturation and developmental competence of bovine oocytes. Theriogenology. 2020 Nov;157:286-296.

[2]. Hildebrand M. Pharmacokinetics of iloprost and cicaprost in mice. Prostaglandins. 1992 Nov;44(5):431-42.

[3]. Olave N, et al. Iloprost attenuates hyperoxia-mediated impairment of lung development in newborn mice. Am J Physiol Lung Cell Mol Physiol. 2018 Oct 1;315(4):L535-L544.

[4]. Schneider MR, et al. Effects of prostacyclin analogues in in vivo tumor models. Adv Prostaglandin Thromboxane Leukot Res. 1991;21B:901-8.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA