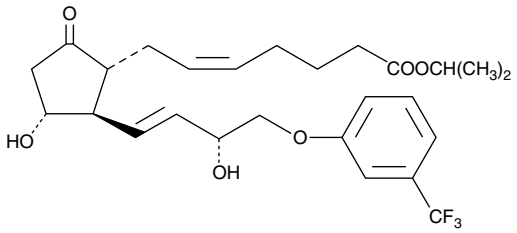
Product Information

9-keto Fluprostenol isopropyl ester

Item No. 16782

CAS Registry No.: 1219032-18-4
Formal Name: (+)-9-oxo-11α,15R-dihydroxy-16-(3-trifluoromethyl)phenoxy)-17,18,19,20-tetranor-prosta-5Z,13E-dien-1-oic acid, isopropyl ester
Synonym: Fluprostenol Prostaglandin E_2
MF: $C_{26}H_{33}F_3O_6$
FW: 498.5
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A solution in ethanol
UV/Vis.: λ_{max}: 222, 277 nm



Laboratory Procedures

For long term storage, we suggest that 9-keto Fluprostenol isopropyl ester (9-keto Flu IE) be stored as supplied at -20°C. It should be stable for at least two years.

9-keto Flu IE is supplied as a solution in ethanol. To change the solvent, simply evaporate the ethanol under a gentle stream of nitrogen and immediately add the solvent of choice. Solvents such as DMSO and dimethyl formamide (DMF) purged with an inert gas can be used. The solubility of 9-keto Flu IE in these solvents is approximately 20 mg/ml. 9-keto Flu IE is stable for at least six months in these solvents if stored at -20°C.

9-keto Flu IE is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, 9-keto Flu IE should first be dissolved in DMF and then diluted with the aqueous buffer of choice. 9-keto Flu IE has a solubility of 500 µg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Fluprostenol is a well-studied, potent analog of $PGF_{2\alpha}$ and acts primarily through the FP receptor.[1] Oxidation at C-9 of Fluprostenol yields 9-keto-Fluprostenol. It is anticipated that this analog will have strong affinity for EP receptors and act as a PGE_2 agonist. However, no studies on the pharmacology of this compound have been published to date.

Prostaglandin esters are known to be hydrolyzed in the eye to the corresponding free acids.[2] However, the use of prostaglandin esters as prodrugs outside the eye is relatively unexplored. 9-keto Fluprostenol is an analog of PGE_2 with structural modifications intended to give it a prolonged half life and greater potency. 9-keto Fluprostenol isopropyl ester (9-keto Flu IE) has the potential to act as an EP agonist in prodrug form. In addition 9-keto Flu IE is a potential metabolite of Travoprost, which is the Alcon trade name for Fluprostenol isopropyl ester. In monkey cornea, this transformation was observed as a product of $NADP^+$-dependent 15-hydroxy prostaglandin dehydrogenase when the closely related analog Latanoprost was used as substrate.[3] Certain F-series prostaglandins have been shown to be converted to the corresponding E-series compounds in human liver and platelet preparations.[4]

References

1. Dukes, M., Russell, W., and Walpole, A.L. Potent luteolytic agents related to prostaglandin $F_{2\alpha}$. *Nature* **250**, 330-331 (1974).
2. Goh, Y. and Kishino, J. Pharmacological characterization of prostaglandin-related ocular hypotensive agents. *Jpn. J. Ophthamol.* **38**, 236-245 (1994).
3. Fujimori, K., Okada, T., and Urade, Y. Expression and $NADP^+$-dependent 15-hydroxyprostaglandin dehydrogenase mRNA in monkey ocular tissues and characterization of its recombinant enzyme. *J. Biochem.* **131**, 383-389 (2002).
4. Wong, P.Y.-K., Malik, K.U., Desiderio, D.M., *et al.* Hepatic metabolism of prostacyclin (PGI_2) in the rabbit: Formation of a potent novel inhibitor of platelet aggregation. *Biochem. Biophys. Res. Commun.* **93**, 486-494 (1980).

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