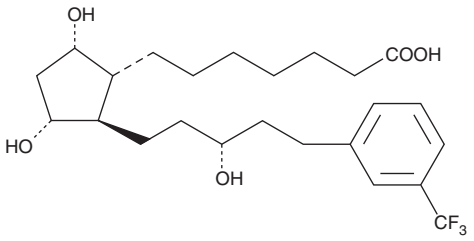
PRODUCT INFORMATION

17-trifluoromethylphenyl-13,14-dihydro trinor Prostaglandin $F_{1\alpha}$

Item No. 15895

CAS Registry No.: 1027401-98-4
Formal Name: 9α,11α,15S-trihydroxy-17-trifluoromethylphenyl-18,19,20-trinor-prostan-1-oic acid
Synonym: 17-TFM-$PGF_{1\alpha}$
MF: $C_{24}H_{35}F_3O_5$
FW: 460.5
Purity: ≥98%
Supplied as: A solution in methyl acetate
Storage: -20°C
Stability: ≥1 year



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

Laboratory Procedures

17-trifluoromethylphenyl-13,14-dihydro trinor Prostaglandin $F_{1\alpha}$ (17-TFM-$PGF_{1\alpha}$) 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 17-TFM-$PGF_{1\alpha}$ in these solvents is approximately 30 mg/ml.

17-TFM-$PGF_{1\alpha}$ is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, the methyl acetate solution of 17-TFM-$PGF_{1\alpha}$ should be diluted with the aqueous buffer of choice. The solubility of 17-TFM-$PGF_{1\alpha}$ in PBS (pH 7.2) is approximately 2 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

A number of 17-aryl trinor and 16-aryloxy tetranor prostaglandin $F_{2\alpha}$ derivatives have been approved for the treatment of glaucoma.[1-3] These "ring" prostaglandin (PG) analogs have improved efficacy over the PGs with an n-alkyl lower side chain. Of these, the ones wherein the 13,14-double bond has been hydrogenated retain relatively good potency, but show a significantly reduced incidence of local irritant side effects.[4] 17-TFM-$PGF_{1\alpha}$ is a typical "ring" analog reminiscent of the trifluoromethyl-phenoxy ring of Travoprost. The a chain of 17-TFM-$PGF_{1\alpha}$ is saturated, making this compound a formal member of the one-series PGs. Recent work has shown that in the "ring" series of analogs, this modification has little impact on FP receptor binding.[5] As an ocular hypotensive agent, it is expected that 17-TFM-$PG_{F1\alpha}$ would act very much like the free acid of latanoprost.

References

1. Woodward, D.F., Krauss, A.H., Chen, J., *et al.* The pharmacology of bimatoprost (Lumigan™). *Surv. Ophthalmol.* **45(Suppl. 4)**, S337-S345 (2001).
2. Stjernschantz, J.W. From $PGF_{2\alpha}$-isopropyl ester to latanoprost: A review of the development of xalatan. The proper lecture. *Invest. Ophthalmol. Vis. Sci.* **42(6)**, 1134-1145 (2001).
3. Sorbera, L.A. and Castañer, J. Travoprost. *Drugs Future* **25(1)**, 41-45 (2000).
4. Resul, B., Stjernschantz, J., No, K., *et al.* Phenyl-substituted prostaglandins: Potent and selective antiglaucoma agents. *J. Med. Chem.* **36(2)**, 243-248 (1993).
5. deLong, M.A., Amburgey, J., Taylor, C., et al. Synthesis and in vitro evaluation of human FP-receptor selective prostaglandin analogues. *Bioorg. Med. Chem. Lett.* **10(14)**, 1519-1522 (2000).

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