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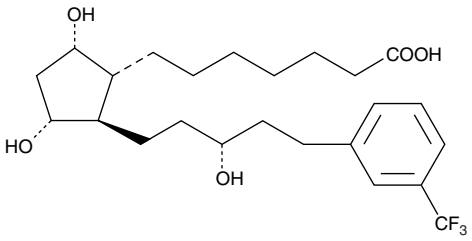
Product Information

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%
Stability: ≥1 year at -20˚C
Supplied as: A solution in methyl acetate



Laboratory Procedures

For long term storage, we suggest that 17-trifluoromethylphenyl-13,14-dihydro trinor prostaglandin $F_{1\alpha}$ (17-TFM-$PGF_{1\alpha}$) be stored as supplied at -20˚C. It will be stable for at least one year.

17-TFM-$PG_{1\alpha}$ is supplied as a solution in methyl acetate. To change the solvent, simply evaporate the 17-TFM-$PGF_{1\alpha}$ 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 stable for at least six months in these solvents if stored at -20°C.

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 17-TFM-$PGF_{1\alpha}$ is needed, it can be prepared by evaporating the methyl acetate and directly dissolving the neat oil in aqueous buffers. 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.

A number of 17-aryl trinor and 16-aryloxy tetranor $PGF_{2\alpha}$ derivatives have been approved for the treatment of glaucoma.[1-4] These "ring" prostaglandin analogs have improved efficacy over the prostaglandins 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.[5] 17-TFM-$PGF_{1\alpha}$ is a typical "ring" analog reminiscent of the trifluoromethyl-phenoxy ring of Travoprost. The α 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.[6] As an ocular hypotensive agent, it is expected that 17-TFM-$PGF_{1\alpha}$ would act very much like the free acid of Latanoprost.

References

1. Woodward, D.F., Krauss, A.H.-P., Chen, J., *et al.* The pharmacology of Bimatoprost (Lumigan™). *Survey of Ophthalmology* **45**, S337-S345 (2001).
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3. Sorbera, L.A. and Castañer, J. Travoprost. *Drugs of the Future* **25**, 41-45 (2000).
4. Maxey, K.M., Johnson, J., Camras, C.B., *et al.* The hydrolysis of bimatoprost in corneal tissue generates a potent prostanoid FP receptor agonist. *Survey of Ophthalmology* **47**(**4**), 34-40 (2001).
5. Resul, B., Stjernschantz, J., No, K., *et al.* Phenyl-substituted prostagladins: Potent and selective antiglaucoma agents. *J. Med. Chem.* **36**, 243-248 (1993).
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