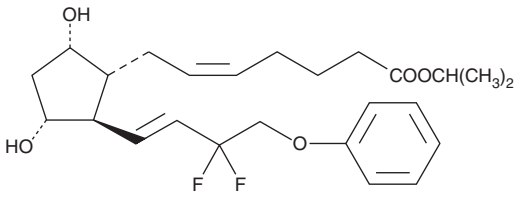
PRODUCT INFORMATION

Tafluprost

Item No. 10005440

CAS Registry No.: 209860-87-7
Formal Name: 15,15-difluoro-9α,11α-dihydroxy-16-phenoxy-17,18,19,20-tetranor-prosta-5Z,13E-dien-1-oic acid, isopropyl ester
Synonyms: AFP-168
MF: $C_{25}H_{34}F_2O_5$
FW: 452.5
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A solution in methyl acetate



Laboratory Procedures

For long term storage, we suggest that tafluprost be stored as supplied at -20°C. It will be stable for at least two years.

Tafluprost 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 tafluprost in these solvents is at least 30 mg/ml.

Tafluprost is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, the methyl acetate solution of tafluprost should be diluted with the aqueous buffer of choice. Tafluprost has a solubility of 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

A number of 17-phenyl trinor prostaglandin $F_{2\alpha}$ (Item No. 16810) derivatives have been approved for the treatment of glaucoma.[1-4] 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] Alternatively, it was recently reported that analogs incorporating a 15-deoxy-15,15-difluoro modification also had a favorable ophthalmic activity profile.[6] Tafluprost is a 2-series, 16-phenoxy analog of $PGF_{2\alpha}$ with the 15,15-difluoro substitution. Tafluprost free acid is a very potent FP receptor agonist, with a K_i value of 0.4 nM.[6] The ester prodrug forms of tafluprost are also potent ocular hypotensives in monkeys.

References

1. Woodward, D.F., Krauss, A.H.-P., Chen, J., *et al. Survey of Ophthalmology* **45**, S337-S345 (2001).
2. Abramovitz, M., Adam, M., Boie, Y., *et al. Biochim. Biophys. Acta* **1483**, 285-293 (2000).
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. Survey of Ophthalmology* **47(4)**, 34-40 (2002).
5. Resul, B., Stjernschantz, J., No, K., *et al. J. Med. Chem.* **36**, 243-248 (1993).
6. Nakajima, T., Matsugi, T., Goto, W., *et al. Biol. Pharm. Bull.* 26(12), 1691-1695 (2003).

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