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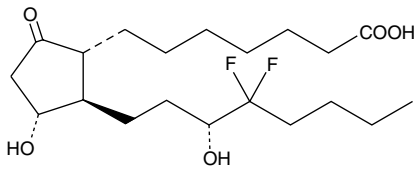
Product Information

13,14-dihydro-16,16-difluoro Prostaglandin E_1

Item No. 9000405

CAS Registry No.: 475992-30-4
Formal Name: 9-oxo-11α,15S-dihydroxy-16,16-difluoro-prostan-1-oic acid
Synonym: 15-hydroxy Lubiprostone
MF: $C_{20}H_{34}F_2O_5$
FW: 392.5
Purity: ≥98%
Stability: ≥1 year at -20°C
Supplied as: A solution in methyl acetate



Laboratory Procedures

For long term storage, we suggest that 13,14-dihydro-16,16-difluoro prostaglandin E_1 (PGE_1) be stored as supplied at -20°C. It should be stable for at least one year.

13,14-dihydro-16,16-difluoro PGE_1 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 (DMF) purged with an inert gas can be used. The solubility of 13,14-dihydro-16,16-difluoro PGE_1 in ethanol and DMF is approximately 10 mg/ml and approximately 5 mg/ml in DMSO.

13,14-dihydro-16,16-difluoro PGE_1 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, the ethanolic solution of 13,14-dihydro-16,16-difluoro PGE_1 should be diluted with the aqueous buffer of choice. 13,14-dihydro-16,16-difluoro PGE_1 has a solubility of approximately 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.

Prostaglandin E_1 (PGE_1) is produced by the metabolism of dihomo-γ-linolenic acid (DGLA) by the cyclooxygenase pathway. PGE_1 inhibits platelet aggregation (IC_{50} = 40 nM)[1,2] and increases vasodilation. 13,14-dihydro-16,16-difluoro PGE_1 is an analog of PGE_1. 13,14-dihydro PGE_1 is a biologically active metabolite of PGE_1, inhibiting platelet aggregation with comparable potency to the parent compound.[2,3] The addition of two electron-withdrawing fluorine atoms, which should stabilize the molecule against hydrolytic cleavage, may be expected to delay degradation *in vivo*.[4]

References

1. Kobzar, G., Mardla, V., Järving, I., *et al.* Anti-aggregating potency of E-type prostaglandins in human and rabbit platelets. *Proc. Estonian Acad. Sci. Chem.* **40**, 179-180 (1991).
2. Westwick, J. The effect of pulmonary metabolites of prostaglandins E_1, E_2 and $F_{2\alpha}$ on ADP-induced aggregation of human and rabbit platelets. *Br. J. Pharmacol.* **58**, 297P-298P (1976).
3. Peskar, B.A., Cawello, W., Rogatti, W., *et al.* On the metabolism of prostaglandin E_1 administered intravenously to human volunteers. *J. Physiol. Pharmacol.* **42**, 327-331 (1991).
4. Hatano, Y., Kohli, J.D., Goldberg, L.I., *et al.* Vascular relaxing activity and stability studies of 10,10-difluoro-13,14-dehydroprostacyclin. *Proc. Natl. Acad. Sci. USA* **77(11)**, 6846-6850 (1980).

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