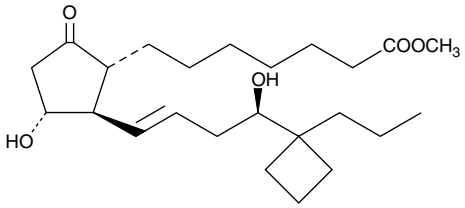
Product Information

(R)-Butaprost

Item No. 13745

CAS Registry No.: 69648-38-0
Formal Name: 9-oxo-11α,16R-dihydroxy-17-cyclobutyl-prost-13E-en-1-oic acid, methyl ester
Synonyms: 15-deoxy-16R-hydroxy-17-cyclobutyl PGE_1 methyl ester; TR 4978
MF: $C_{24}H_{40}O_5$
FW: 408.6
Purity: ≥98%
Stability: ≥1 year at -20°C
Supplied as: A solution in methyl acetate



Laboratory Procedures

For long term storage, we suggest that (R)-butaprost be stored as supplied at -20°C. It will be stable for at least one year.

(R)-Butaprost 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 (R)-butaprost is approximately 50, 30, and 25 mg/ml, respectively.

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 (R)-butaprost is needed, it can be prepared by evaporating the methyl acetate and directly dissolving the neat oil in aqueous buffers. The solubility of (R)-butaprost in PBS (pH 7.2) is approximately 150 µg/ml. We do not recommend storing the aqueous solution for more than one day.

Butaprost is a structural analog of prostaglandin E_2 (PGE_2) with good selectivity for the EP_2 receptor subtype. Butaprost has frequently been used to pharmacologically define the EP receptor expression profile of various human and animal tissues and cells.[1,2] Serious confusion as to the structure of butaprost was generated by Gardiner in 1986,[3] when he reported that the epimer of butaprost showing this selective activity was the C-16 (R)-epimer. (See reference 3 and NOTE) Butaprost binds with about 1/10 the affinity of PGE_2 to the recombinant murine EP_2 receptor, and does not bind appreciably to any of the other murine EP receptors or DP, FP, IP, or TP receptors.[4] The pharmacology of (R)-butaprost has not been carefully studied, but it is generally considered to be the less active epimer.[5]

[NOTE: In the Gardiner paper in the 1986 British Journal of Pharmacology, Butaprost appears on page 46 where it is given the name TR 4979. The structure as drawn is incorrect, in that the author was using and referring to the more active C-16 epimer, which is actually 16(S). The structure on page 46 shows the structure as 16(R). It was not until the late 1990's that careful studies both in the US and Japan correctly identified the actual configuration of C-16 in the compound called Butaprost is 16(S).][3]

References

1. Lawrence, R.A. and Jones, R.L. Investigation of the prostaglandin E (EP-) receptor subtype mediating relaxation of the rabbit jugular vein. *Br. J. Pharmacol.* **105**, 817-824 (1992).
2. Talpain, E., Armstrong, R.A., Coleman, R.A., *et al.* Characterization of the PGE receptor subtype mediating inhibition of superoxide production in human neutrophils. *Br. J. Pharmacol.* **114**, 1459-1465 (1995).
3. Gardiner, P.J. Characterization of prostanoid relaxant/inhibitory receptors (XyX) using a highly selective agonist, TR4979. *Br. J. Pharmacol.* **87**, 45-56 (1986).
4. Kiriyama, M., Ushikubi, F., Kobayashi, T., *et al.* Ligand binding specificities of the eight types and subtypes of the mouse prostanoid receptors expressed in Chinese hamster ovary cells. *Br. J. Pharmacol.* **122**, 217-224 (1997).
5. Regan, J.W., Bailey, T.J., Pepperl, D.J., *et al.* Cloning of a novel human prostaglandin receptor with characteristics of the pharmacologically defined EP_2 subtype. *Mol. Pharmacol.* **46**, 213-220 (1994).

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Cayman Chemical

Mailing address
1180 E. Ellsworth Road
Ann Arbor, MI
48108 USA

Phone
(800) 364-9897
(734) 971-3335

Fax
(734) 971-3640

E-Mail
custserv@caymanchem.com

Web
www.caymanchem.com