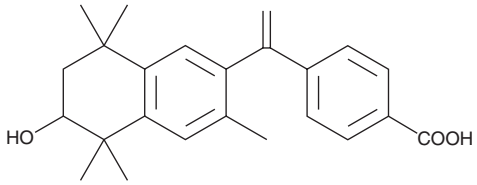
PRODUCT INFORMATION

6-hydroxy Bexarotene

Item No. 22098

CAS Registry No.:	368451-07-4
Formal Name:	4-[1-(5,6,7,8-tetrahydro-6-hydroxy-3,5,5,8,8-pentamethyl-2-naphthalenyl)ethenyl]-benzoic acid
MF:	$C_{24}H_{28}O_3$
FW:	364.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 204, 264 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



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

Laboratory Procedures

6-hydroxy Bexarotene is supplied as a crystalline solid. A stock solution may be made by dissolving the 6-hydroxy bexarotene in the solvent of choice, which should be purged with an inert gas. 6-hydroxy Bexarotene is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of 6-hydroxy bexarotene in these solvents is approximately 0.5, 10, and 20 mg/ml, respectively.

6-hydroxy Bexarotene is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, 6-hydroxy bexarotene should first be dissolved in DMF and then diluted with the aqueous buffer of choice. 6-hydroxy Bexarotene has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

6-hydroxy Bexarotene is an oxidative metabolite of bexarotene (Item No. 11571), a high-affinity ligand for retinoid X receptors (RXRs).[1] 6-hydroxy Bexarotene binds to RXRα, RXRβ, and RXRγ as well as retinoic acid receptor α (RARα; K_ds = 3.46, 4.21, 4.83, and 8.17 µM, respectively). It selectively activates RXRα, RXRβ, and RXRγ over RARα, RARβ, and RARγ *in vitro* (EC_{50}s = 398, 356, 420, 4,414, 2,121, and 2,043 nM, respectively).

Reference

1. Howell, S.R., Shirley, M.A., Grese, T.A., *et al.* Bexarotene metabolism in rat, dog, and human, synthesis of oxidative metabolites, and in vitro activity at retinoid receptors. *Drug Metab. Dispos.* **29(7)**, 990-998 (2001).

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