

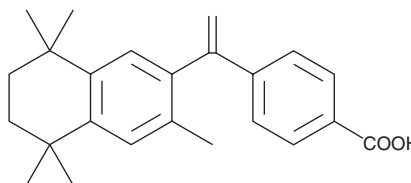
# PRODUCT INFORMATION



## Bexarotene

Item No. 11571

**CAS Registry No.:** 153559-49-0  
**Formal Name:** 4-[1-(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)ethenyl]-benzoic acid  
**Synonyms:** LG 100069, LGD 1069, Ro 26-4455, SR 11247  
**MF:** C<sub>24</sub>H<sub>28</sub>O<sub>2</sub>  
**FW:** 348.5  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 256 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

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

Bexarotene is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, bexarotene should first be dissolved in DMF and then diluted with the aqueous buffer of choice. 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

Bexarotene is an agonist of retinoid X receptors (RXRs; EC<sub>50</sub>s = 28, 25, and 20 nM for RXR $\alpha$ , RXR $\beta$ , and RXR $\gamma$ , respectively, in reporter assays).<sup>1</sup> It is selective for RXRs over retinoic acid receptors (RARs; EC<sub>50</sub>s = >10  $\mu$ M for RAR $\alpha$ , RAR $\beta$ , and RAR $\gamma$ ). Bexarotene (10  $\mu$ M) induces apoptosis in MJ, HuT 78, and HH cutaneous T cell lymphoma (CTCL) cells, as well as inhibits lung metastasis and angiogenesis in A549 and MDA-MB-231 mouse xenograft models when administered at a dose of 100 mg/kg per day.<sup>2,3</sup> It reduces increased brain interstitial fluid levels of amyloid- $\beta$  (1-40) (A $\beta$ 40) and A $\beta$ 42 in the APP/PS1 transgenic mouse model of Alzheimer's disease.<sup>4</sup> It also reduces viral load in the culture supernatant of Vero E6 cells infected with severe acute respiratory syndrome coronavirus 2 (SARS-CoV-2; EC<sub>90</sub> = 9.4  $\mu$ M) and inhibits SARS-CoV-2 replication in a plaque reduction assay (EC<sub>50</sub> = 2.01  $\mu$ M).<sup>5</sup> Formulations containing bexarotene have been used in the treatment of CTCL.

### References

1. Boehm, M.F., Zhang, L., Zhi, L., *et al. J. Med. Chem.* **38**(16), 3146-3155 (1995).
2. Zhang, C., Hazarika, P., Ni, X., *et al. Clin. Cancer Res.* **8**(5), 1234-1240 (2002).
3. Yen, W.-C., Prudente, R.Y., Corpuz, M.R., *et al. Br. J. Cancer* **94**(5), 654-660 (2006).
4. Cramer, P.E., Cirrito, J.R., Wesson, D.W., *et al. Science* **335**(6057), 1503-1506 (2012).
5. Yuan, S., Chan, J.F.W., Chik, K.K.H., *et al. Pharmacol. Res.* **159**, 104960 (2020).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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