

Product Data Sheet

Product Name: LG 100268

Cat. No.: GC44059

Chemical Properties

Cas. No. 153559-76-3

SMILES CC1(C)C2=C(C=C(C)C(C3(CC3)C4=NC=C(C(C(O)=O)C=C4)=C2)C(C)(C)CC1

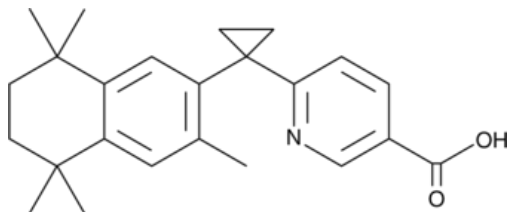
Formula C₂₄H₂₉NO₂ M.Wt 363.5

Solubility DMF: 20mg/mL, DMSO: 20mg/mL, Ethanol: 1mg/mL Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines Mouse meibomian gland epithelial cells

Preparation Method Mouse meibomian gland epithelial cells were treated with latanoprost in the absence or presence of 1 μM LG 100268 for three days.

Reaction Conditions 1 μM; 3 days

Caution: Product has not been fully validated for medical applications. For research use only.

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Applications LG100268 could inhibit the production of IL-6 induced by latanoprost and suppressed the expression of Keratin-17.

Animal experiment [2]:

Animal models Female MMTV-erbB2 transgenic mice

Preparation Method Mice were randomized into three experimental groups and treated with sesame oil or LG 100268 (10 or 100mg/kg) for 6 days per week starting at 3 months of age for 4 months or a long term of 18 months. LG 100268 was suspended in purified sesame oil and administered by daily gastric gavage using a 20-gauge gavage needle in a volume of 0.1mL.

Dosage form 10 or 100mg/kg; gastric gavage; daily for 4 months or 18 months

Applications Short-term treatment of LG 100268 significantly prevented the development of preinvasive mammary lesions including hyperplasia and ductal carcinoma in situ. Long-term treatment with LG 100268 significantly prevented invasive mammary tumor development.

References:

- [1] Jiang X Y, Yang P S, Xiao O, et al. Effects of PPAR- γ and RXR- α on mouse meibomian gland epithelial cells during inflammation induced by latanoprost. Exp Eye Res.2022 Nov;224:109251.
[2] Li Y X, Zhang Y, Hill J, et al. The Retinoid LG100268 prevents the development of preinvasive and invasive estrogen receptor negative tumors in MMTV-erbB2 mice. Clin Cancer Res. 2007 Oct 15;13(20):6224-31.

Background

LG 100268 is a highly potent and selective retinoid X receptor (RXR) agonist which strongly activates RXR homodimers with EC₅₀ value of 4nM^[1].

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In vitro, LG 100268 (0.1-10 μ M) treatment on human normal mammary epithelial cells for 10 days significantly suppressed cell growth at 10 μ M, upregulated the expression of pro-apoptotic BAX and E-cadherin and repressed the expression of cell division cycle 42 (CDC42) and collagen type VI α 3^[2]. LG 100268 (1 μ M) incubation on human leukemia cells (HL-60 cells) for 72h significantly elevated tissue transglutaminase (TGase) activity without inducing apoptosis^[3]. LG 100268 (1 μ M) incubated mouse meibomian gland epithelial cells for three days after latanoprost pre-treatment. LG 100268 exerted anti-inflammatory effect by significantly suppressing IL-6 secretion induced by latanoprost and down-regulating the expression of hyperkeratinization marker Keratin-17^[4].

In vivo, LG 100268 (10mg/kg or 100mg/kg) was administrated into MMTV-erbB2 transgenic mice daily with gastric gavage for 4 months or a long term of 18 months. Short-term treatment of LG 100268 significantly prevented the development of preinvasive mammary lesions including hyperplasia and ductal carcinoma in situ. Long-term treatment with LG 100268 significantly prevented invasive mammary tumor development^[5]. LG 100268 (100mg/kg) was fed to MMTV-Neu mice of breast cancer for 5 days. LG 100268 reduced tumor burden, down-regulated the tumor-promoting macrophage marker CD206 and increased the percentage of naive and central memory CD8 T cells^[6]. LG 100268 (100mg/kg or 40mg/kg) was fed to vinyl carbamate induced lung cancer mice model for 16 weeks. LG 100268 reduced tumor number, shrinked average tumor size and altered lipid levels by decreasing plasma triglycerides levels and free glycerol content^[7].

References:

- [1] Lala D S, Mukherjee R, Schulman G, et al. Activation of specific RXR heterodimers by an antagonist of RXR homodimers. Nature. 1996 Oct 3;383(6599):450-3.
- [2] Seo H S, Woo J K, Shin Y C, Ko S G. Identification of biomarkers regulated by rexinoids (LGD1069, LG100268 and Ro25-7386) in human breast cells using Affymetrix microarray. Mol Med Rep. 2015 Jul;12(1):800-18.
- [3] Boehm M F, Zhang L, Zhi L, et al. Design and synthesis of potent retinoid X receptor selective ligands that induce apoptosis in leukemia cells. J Med Chem. 1995 Aug 4;38(16):3146-55.
- [4] Jiang X Y, Yang P S, Xiao O, et al. Effects of PPAR- γ and RXR- α on mouse meibomian gland epithelial cells during inflammation induced by latanoprost. Exp Eye Res. 2022 Nov;224:109251.
- [5] Li Y X, Zhang Y, Hill J, et al. The Rexinoid LG100268 prevents the development of preinvasive and invasive estrogen receptor negative tumors in MMTV-erbB2 mice. Clin Cancer Res. 2007 Oct 15;13(20):6224-31.

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- [6] Leal A S, Zydeck K, Carapellucci S, et al. Retinoid X receptor agonist LG100268 modulates the immune microenvironment in preclinical breast cancer models. NPJ Breast Cancer. 2019 Nov 1:5:39.
- [7] Cao M, Royce D B, Risingsong R, et al. The Rexinoids LG100268 and LG101506 Inhibit Inflammation and Suppress Lung Carcinogenesis in A/J Mice. Cancer Prev Res (Phila). 2016 Jan;9(1):105-14.

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