LG100268

Cat. No.: HY-15340

CAS No.: 153559-76-3

Molecular Formula: $C_{24}H_{29}NO_2$

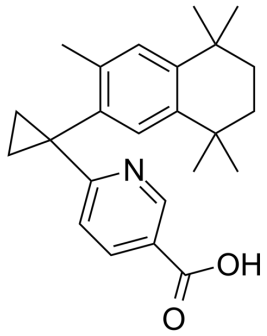
Molecular Weight: 363.49

Target: RAR/RXR; Autophagy

Pathway: Metabolic Enzyme/Protease; Vitamin D Related/Nuclear Receptor; Autophagy

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 5.56 mg/mL (15.30 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7511 mL	13.7555 mL	27.5111 mL
	5 mM	0.5502 mL	2.7511 mL	5.5022 mL
	10 mM	0.2751 mL	1.3756 mL	2.7511 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.56 mg/mL (1.54 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 0.56 mg/mL (1.54 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.56 mg/mL (1.54 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

LG100268 (LG268) is a potent, selective and orally active retinoid X receptor (RXR) agonist with EC_{50} values of 4 nM, 3 nM, and 4 nM for RXR-α, RXR-β, and RXR-γ, respectively[1]. LG100268 displays >1000-fold selectivity for RXR over RAR, the K_i values are 3.4 nM, 6.2 nM and 9.2 nM for RXR-α, RXR-β, and RXR-γ, respectively[2]. LG100268 activates RXR homodimers to induce transcriptional activation. LG100268 can be used for the study of lung carcinogenesisy[3].

In Vitro

LG100268 (100 nM-1 μM; 24 hours) shows a downregulation of CSF3 and a 2.5-fold decrease of CXCL2 and IL-1β mRNA expression in RAW264.7 cells[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[3]

Cell Line:	RAW264.7 cells
Concentration:	100 nM-1 μM
Incubation Time:	24 hours
Result:	Decreased LPS induced cytokine mRNA levels.

In Vivo

LG100268 (oral diet; 100 mg/kg; once daily; 7 weeks) combines with C/P presents a more markedly reduced average tumor burden than LG268 or C/P alone. The combination establish a reduced lung tumors, which represents a reduction of 82% (vs. 59%-67% with the single drugs) in comparison with the controls[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	A/J mice[3]
Dosage:	50 mg/kg (Combines with carboplatin (50 mg/kg i.p.) starts 1 week after the LG268 treatment diet)
Administration:	Oral diet; once daily; 7 weeks
Result:	Decreased lung tumors growth significantly in mice.

CUSTOMER VALIDATION

- J Steroid Biochem Mol Biol. 2022 Nov 8;226:106219.
- Exp Eye Res. 2022 Sep 20;109251.

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REFERENCES

[1]. M F Boehm, et al. Design and Synthesis of Potent Retinoid X Receptor Selective Ligands That Induce Apoptosis in Leukemia Cells. J Med Chem

[2]. D S Lala, et al. Activation of Specific RXR Heterodimers by an Antagonist of RXR Homodimers.Nature. 1996 Oct 3;383(6599):450-3.

[3]. Martine Cao,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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