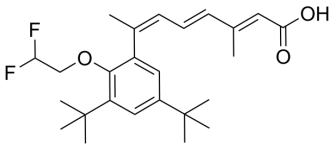
LG101506

Cat. No.:	HY-108524
CAS No.:	331248-11-4
Molecular Formula:	$C_{25}H_{34}F_2O_3$
Molecular Weight:	420.53
Target:	RAR/RXR
Pathway:	Metabolic Enzyme/Protease; Vitamin D Related/Nuclear Receptor
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	LG101506 is a selective and orally active RXR modulator with a K_i of 2.7 nM for RXRα. LG101506 can be used for the research of type 2 diabetes and cancer[1][2].
IC_{50} & Target	Ki: 2.7 nM (RXRα)[1]

In Vitro

LG101506 synergizes with BRL 49653 (HY-17386) to enhance activation at the RXR/PPARγ heterodimer with an EC_{50} of 3.1 nM [1].

LG101506 (15.6-1000 nM) blocks the production of NO in a dose-dependent manner in RAW264.7 stimulated with LPS (HY-D1056) for 24 hours[2].

LG101506 (100-1000 nM; 24 h) inhibits inflammatory pathways induced by LPS (HY-D1056) or TNFα in RAW264.7 cells[2].

LG101506 (30 and 100 nM; 1-24 h) induces differentiation in U937 leukemia cells[2].

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

Western Blot Analysis[2]

Cell Line:	RAW264.7 cells
Concentration:	100, 300 and 1000 nM
Incubation Time:	24 h
Result:	Markedly reduced protein levels of COX-2. Pretreatment prevented the degradation of IκBα in RAW cells stimulated with TNFα. Enhanced Erk phosphorylation, which peaked at 8 hours.

Western Blot Analysis[2]

Cell Line:	U937 leukemia cells
Concentration:	30 and 100 nM
Incubation Time:	1, 2, 8 and 24 h
Result:	Enhanced phosphorylation of Akt in U937 cells within 1 hour, which increased further at 8 hours.

In Vivo

LG101506 (10 mg/kg; in diet for 16 weeks) suppresses lung carcinogenesis in A/J mice[2].

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

Animal Model:	A/J mice, lung carcinogenesis model[2]
Dosage:	40 mg/kg diet or approximately 10 mg/kg body weight
Administration:	Oral, for 16 weeks
Result:	Reduced the number of lung tumors, the average tumor burden, the size and histopathology of lung tumors.

Animal Model:	Male ICR mice[1]
Dosage:	30 mg/kg
Administration:	Oral (Pharmacokinetic Analysis)
Result:	In vivo evaluation of oral exposure of LG101506[1]

Compd	Dose (mg/kg)	Oral $AUC_{(0\text{-}6\ h)}$ (μg•h/mL)	T_{max} (h)	C_{max} (μg•h/mL)
LG101506	30	2.09±0.45	1	1.2±0.28

Data collected in male ICR mouse using a dose formulation of the free acid in CMC/SLS/Povidone (30 mg/kg). Timepoints: 1, 3, 8 h (serial sacrifice, n=3/time point).

REFERENCES

[1]. Gernert DL, et al. Design and synthesis of fluorinated RXR modulators. Bioorg Med Chem Lett. 2003 Oct 6;13(19):3191-5.

[2]. Cao M, 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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