Lanifibranor

Cat. No.: HY-104049

CAS No.: 927961-18-0

Molecular Formula: $C_{19}H_{15}ClN_2O_4S_2$

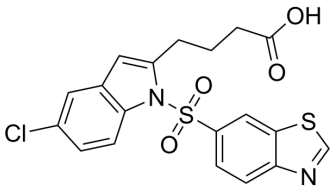
Molecular Weight: 434.92

Target: PPAR

Pathway: Cell Cycle/DNA Damage; Vitamin D Related/Nuclear Receptor

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 : 100 mg/mL (229.93 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2993 mL	11.4964 mL	22.9927 mL
	5 mM	0.4599 mL	2.2993 mL	4.5985 mL
	10 mM	0.2299 mL	1.1496 mL	2.2993 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: ≥ 2.5 mg/mL (5.75 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (4.78 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.78 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Lanifibranor is a pan peroxisome proliferator-activated receptor (PPAR) agonist with EC_{50}s of 1.5, 0.87 and 0.21 μM for human PPARα, PPARσ and PPARγ, respectively.

IC_{50} & Target

PPARγ	PPARδ	PPARα
206 nM (EC50, Human PPARγ)	866 nM (EC50, Human PPARδ)	1537 nM (EC50, Human PPARα)

In Vivo	Lanifibranor is a pan peroxisome proliferator-activated receptor (PPAR) agonist with EC_{50}s of 1.5, 0.87 and 0.21 μM for human PPARα, PPARσand PPARγ[1]. Skin fibrosis is attenuated by Lanifibranor (IVA337) ($p<0.05$, vehicle vs Lanifibranor at 30 mg/kg and $p<0.001$, vehicle vs Lanifibranor at 100 mg/kg). Both low and high doses of Lanifibranor cause a significant decrease of collagenous matrix deposition. Administration of high (100 mg/kg) doses of Lanifibranor results in reduced body weight compare with vehicle controls ($p<0.05$; Lanifibranor at 100 mg/kg vs vehicle). Results demonstrate that activation of Peroxisome proliferator-activated receptors (PPARs) with Lanifibranor induces a significant reduction in the infiltration of macrophages, CD45+ leucocytes and lymphocytes in Lanifibranor-treated mice compare with Rosiglitazone (HY-17386)-treated counterparts[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [2]	Male, aged 6 weeks, C57BL/6 mice are used in different animal trials. (i) Experimental dermal fibrosis (preventative model) is induced with bleomycin (n=6 each group). Concurrent treatment with local injections of bleomycin (0.5 mg/mL) and either Lanifibranor (IVA337) (30 mg/kg), Lanifibranor (100 mg/kg) or vehicle by daily oral gavage continued for 3 weeks. (ii) Experimental dermal fibrosis (curative model) is induced using subcutaneous bleomycin for 6 weeks, but 3 weeks after the first injection, mice are given a daily dose of either Lanifibranor (30 mg/kg), Lanifibranor (100 mg/kg) or vehicle by oral gavage for the remaining 3 weeks[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Biomaterials. 2022 Sep 28;290:121817.
- Cell Biol Toxicol. 2020 Jul 1.
- Cells. 2020 Apr 14;9(4):964.

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REFERENCES

[1]. Boubia B, et al. Design, Synthesis, and Evaluation of a Novel Series of Indole Sulfonamide Peroxisome Proliferator Activated Receptor (PPAR) α/γ/δ Triple Activators: Discovery of Lanifibranor, a New Antifibrotic Clinical Candidate. J Med Chem. 2018 Feb 27.

[2]. Ruzehaji N, et al. Pan PPAR agonist IVA337 is effective in prevention and treatment of experimental skin fibrosis. Ann Rheum Dis. 2016 Dec;75(12):2175-2183.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA