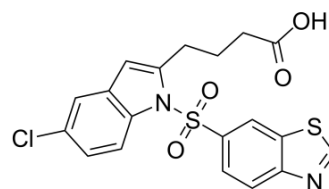


## Lanifibranor

Cat. No.:	HY-104049		
CAS No.:	927961-18-0		
Molecular Formula:	C <sub>19</sub> H <sub>15</sub> ClN <sub>2</sub> O <sub>4</sub> S <sub>2</sub>		
Molecular Weight:	434.92		
Target:	PPAR		
Pathway:	Cell Cycle/DNA Damage		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



### SOLVENT & SOLUBILITY

In Vitro	DMSO : 100 mg/mL (229.93 mM; Need ultrasonic and warming)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	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 <sub>50</sub> s of 1.5, 0.87 and 0.21 μM for human PPARα, PPARσ and PPARγ, respectively.		
IC <sub>50</sub> & Target	PPARγ 206 nM (EC50, Human PPARγ)	PPARδ 866 nM (EC50, Human PPARδ)	PPARα 1537 nM (EC50, Human PPARα)

## In Vivo

Lanifibranor is a pan peroxisome proliferator-activated receptor (PPAR) agonist with EC<sub>50</sub>s of 1.5, 0.87 and 0.21 μM for human PPAR $\alpha$ , PPAR $\sigma$  and PPAR $\gamma$ <sup>[1]</sup>. 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-treated counterparts<sup>[2]</sup>.

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

## PROTOCOL

### Animal Administration <sup>[2]</sup>

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<sup>[2]</sup>.

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

## CUSTOMER VALIDATION

- 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)  $\alpha/\gamma/\delta$  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.

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

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