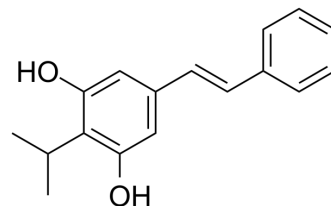


Tapinarof

Cat. No.:	HY-109044
CAS No.:	79338-84-4
Molecular Formula:	C ₁₇ H ₁₈ O ₂
Molecular Weight:	254.32
Target:	Aryl Hydrocarbon Receptor
Pathway:	Immunology/Inflammation
Storage:	4°C, protect from light * In solvent : -80°C, 1 years; -20°C, 6 months (protect from light)



SOLVENT & SOLUBILITY

In Vitro	DMSO : 100 mg/mL (393.21 mM; Need ultrasonic)				
	Preparing Stock Solutions	Solvent Concentration	Mass	1 mg	
				5 mg	
				10 mg	
				10 mM	
			1 mg	5 mg	10 mg
	1 mM		3.9321 mL	19.6603 mL	39.3205 mL
	5 mM		0.7864 mL	3.9321 mL	7.8641 mL
	10 mM		0.3932 mL	1.9660 mL	3.9321 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 (9.83 mM); Clear solution				
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (9.83 mM); Clear solution				
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (9.83 mM); Clear solution				

BIOLOGICAL ACTIVITY

Description	Tapinarof (WBI-1001) is a natural aryl hydrocarbon receptor (AhR) agonist with an EC ₅₀ of 13 nM. Tapinarof resolves skin inflammation in mice ^[1] .
IC ₅₀ & Target	EC ₅₀ : 13 nM (AhR) ^[1]
In Vitro	Tapinarof activates the AhR pathway through direct binding. Tapinarof dose-dependently induces nuclear translocation of AhR in immortalized keratinocytes (HaCaT) (EC ₅₀ =0.16 nM) ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	<p>Tapinarof acts through AhR to reduce inflammation in IMQ-treated mice. AhR-sufficient mice on a C57Bl/6 background exhibit a reduced clinical score after treatment with Tapinarof or 6-formylindolo(3,2-b)carbazole (FICZ). In contrast, AhR KO mice do not respond to the anti-inflammatory effects of Tapinarof. FICZ is used as a comparator in these studies and yields similar results, with dramatically reduced inflammatory responses in wild-type, but not AhR KO mice^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
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PROTOCOL

Cell Assay ^[1]	<p>HaCaT cells (10,000 cells/well) are cultured in 96-well Greiner µCLEAR plates in 100 µL DMEM with HEPES, Glutamax and 10% fetal bovine serum to confluence. Media is replaced with 100 µL media containing 0.2% heat-inactivated, charcoal-stripped fetal bovine serum and incubated overnight. Titrating concentrations of Tapinarof (10⁻⁸ µM, 10⁻⁶ µM, 10⁻⁴ µM, 0.01 µM, and 1 µM) are added for 30 minutes followed by washing and fixing in ice-cold methanol:acetone (50:50). Samples are blocked with 3% BSA for 1 hour, and then washed again in phosphate buffered saline with 0.1% Tween-20. Next, cells are stained with 50 µL of 1:50 dilution anti-AhR antibody in 3% BSA, followed by 50 µL secondary antibody (1:500 dilution chicken anti-rabbit AlexaFluor488 and 1:2,000 dilution Hoechst 33342) in 3% BSA/phosphate buffered saline. Images are acquired on InCell 2000 and/or Opera. Image analysis is performed using InCell Analyzer Workstation and/or Columbus^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
Animal Administration ^[1]	<p>Mice^[1]</p> <p>Female BALB/c mice (BALB/cByJRj) are used. Studies are performed using 100 µL of Tapinarof (1%) or FICZ (0.01%, at the limit of solubility) in 60% ethanol: 40% water^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

CUSTOMER VALIDATION

- Redox Biol. October 2021, 102110.
- JCI Insight. 2021 Jan 26;145185.
- J Invest Dermatol. 2023 Mar 31;S0022-202X(23)01949-8.
- Exp Dermatol. 2023 Jun 23.
- Immun Inflamm Dis. 2023 Jun; 11(6): e903.

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REFERENCES

[1]. Smith SH, et al. Tapinarof Is a Natural AhR Agonist that Resolves Skin Inflammation in Mice and Humans. J Invest Dermatol. 2017 Oct;137(10):2110-2119.

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

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