Trifarotene

Cat. No.: HY-100256

CAS No.: 895542-09-3

Molecular Formula: $C_{29}H_{33}NO_4$

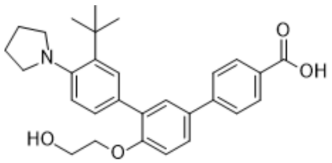
Molecular Weight: 459.58

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 : 250 mg/mL (543.97 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1759 mL	10.8795 mL	21.7590 mL
	5 mM	0.4352 mL	2.1759 mL	4.3518 mL
	10 mM	0.2176 mL	1.0879 mL	2.1759 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: ≥ 2.08 mg/mL (4.53 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.53 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Trifarotene (CD5789) is a potent and selective RARγ agonist. Trifarotene (CD5789) shows ~65-fold and ~16-fold selectivitiy for the RARγ (EC_{50}=7.7 nM) over RARα (EC_{50}=500 nM) and RARβ (EC_{50}=125 nM), respectively[1].

In Vitro

Trifarotene (CD5789) (3.3 μL 0.33 cm^2 ; 24 hours) involves in keratinization, desquamation, cornification and cell adhesion in reconstructed human epidermis (RHE). The mean EC_{50} on the combined target genes is 0.0048% for Trifarotene[2].

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

In Vivo

Trifarotene (0.001%-0.01% in a cream at 25 mg/mouse) shows dose-dependent comedolytic activity, being fully efficacious at 0.01% (98% reduction)[2].

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

Animal Model:	Rhino mice [2]
Dosage:	0.001%, 0.0025%, 0.005% and 0.01% in a cream at 25 mg/mouse (5 cm^2 surface on the back skin on a 5 mg/cm^2 basis)
Administration:	Topical application; once a day; 11 days
Result:	Increased the epidermis thickness by 275% (66 μm) and the transepidermal water loss (TEWL) by 285% (26 $g/h/m^2$).

REFERENCES

[1]. Etienne Thoreau, et al. Structure-based design of Trifarotene (CD5789), a potent and selective RARγ agonist for the treatment of acne. Bioorg Med Chem Lett. 2018 Jun 1;28(10):1736-1741.

[2]. J Aubert, et al. Nonclinical and human pharmacology of the potent and selective topical retinoic acid receptor-γ agonist trifarotene. Br J Dermatol. 2018 Aug;179(2):442-456.

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