Tazarotene

Cat. No.: HY-15388

CAS No.: 118292-40-3

Molecular Formula: $C_{21}H_{21}NO_2S$

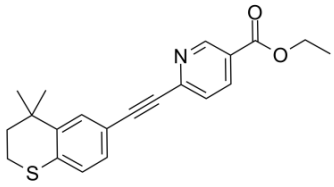
Molecular Weight: 351.46

Target: RAR/RXR; Autophagy

Pathway: Metabolic Enzyme/Protease; Autophagy

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 : 50 mg/mL (142.26 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.8453 mL	14.2264 mL	28.4527 mL
5 mM	0.5691 mL	2.8453 mL	5.6905 mL
10 mM	0.2845 mL	1.4226 mL	2.8453 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 (7.11 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.11 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tazarotene (AGN 190168) is a selective retinoic acid receptor (RAR) agonist for the treatment of plaque psoriasis and acne vulgaris.

In Vitro

Tazarotene (AGN 190168), in both gel and cream formulations, has been used both as monotherapy and as an adjuvant therapy. For psoriasis it has been combined with steroids, calcipotriene and phototherapy, and for acne, with antibiotics. Tazarotene (AGN 190168) has been shown to upregulate the tumor suppressor, Tazarotene (AGN 190168) induced gene 3, which is overexpressed in psoriasis and skin cancer[1]. In human epidermal cell cultures, Tazarotene (AGN 190168) suppresses the gene expression of 2 marker proteins, MRP-8 (calgranulin A) and SKALP (skin derived anti-leukoproteinase), highly elevated in psoriatic epidermis[2].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Topical gel application provides direct delivery of Tazarotene (AGN 190168) into the skin. At 10 hours after a topical application of 0.1% Tazarotene (AGN 190168) gel to the skin of healthy individuals and patients with psoriasis, approximately 4 to 6% of the dose resides in the stratum corneum and 2% of the dose distributed to the viable epidermis and dermis. Tazarotene (AGN 190168) is designed to undergo rapid and complete metabolism to its active metabolite tazarotenic acid. Tazarotenic acid has a short systemic residence time and limited tissue distribution in animals[3].When topically applied, Tazarotene (AGN 190168) blocks the induction of ornithine decarboxylase (ODC) activity by the tumour promoter 12-O-tetradecanoylphorbol 13-acetate (TPA) in the epidermis of the hairless mouse[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Fundam Clin Pharmacol. 2020 Jun;34(3):380-388.

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REFERENCES

[1]. Talpur R, et al. Efficacy and safety of topical tazarotene: a review. Expert Opin Drug Metab Toxicol. 2009 Feb;5(2):195-210.

[2]. Nagpal S, et al. Negative regulation of two hyperproliferative keratinocyte differentiation markers by a retinoic acidreceptor-specific retinoid: insight into the mechanism of retinoid action in psoriasis. Cell Growth Differ. 1996 Dec;7(12):1783-91.

[3]. Tang-Liu DD, et al. Clinical pharmacokinetics and drug metabolism of tazarotene: a novel topical treatment for acne and psoriasis. Clin Pharmacokinet. 1999 Oct;37(4):273-87.

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