Talarozole (R enantiomer)

Cat. No.: HY-14802

CAS No.: 870093-23-5

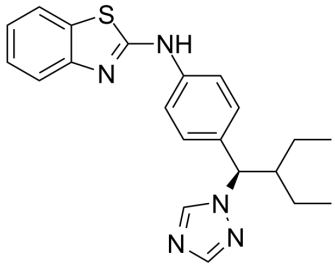
Molecular Formula: $C_{21}H_{23}N_5S$

Molecular Weight: 377.51

Target: Cytochrome P450

Pathway: Metabolic Enzyme/Protease

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 51 mg/mL (135.10 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6489 mL	13.2447 mL	26.4894 mL
	5 mM	0.5298 mL	2.6489 mL	5.2979 mL
	10 mM	0.2649 mL	1.3245 mL	2.6489 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Talarozole R enantiomer is a potent and selective inhibitor of cytochrome P450 26-mediated breakdown of endogenous all-trans retinoic acid for the treatment of psoriasis and acne.Target: CYP26in vitro: Talarozole R enantiomer treatment increased the mRNA expression of CRABP2, KRT4, CYP26A1 and CYP26B1 dose dependently, and decreased theexpression of KRT2 and IL-1alpha compared with vehicle-treated skin. No mRNA change in retinol-metabolizing enzymes was obtained. There was no induction of epidermal thickness or overt skin inflammation in talarozole-treated skin. Immunofluorescence analysis confirmed an upregulation of KRT4 protein, but no upregulation of CYP26A1 and CYP26B1 expression was detected [1] [2].in vivo: Talarozole R enantiomer slightly diffused into the skin only when dissolved in propylene glycol, isopropyl myristate or ethanol. Although only 0.1% of the dose applied was found in the skin itself after 12-24 h, this was sufficient to achieve local concentrations well above the half-maximal inhibitory concentration value for talarozole. The distribution of talarozole within the skin was investigated: 80% was located in the epidermis, while the remaining 20% was found in the dermis [3].

REFERENCES

[1]. Pavez Loriè E, Cools M, Borgers M, Topical treatment with CYP26 inhibitor talarozole (R115866) dose dependently alters the expression of retinoid-regulated genes in normal human epidermis. Br J Dermatol. 2009 Jan;160(1):26-36

[2]. Geria AN, Scheinfeld NS. Talarozole, a selective inhibitor of P450-mediated all-trans retinoic acid for the treatment of psoriasis and acne. Curr Opin Investig Drugs. 2008 Nov;9(11):1228-37.

[3]. Baert B, De Spiegeleer B. Local skin pharmacokinetics of talarozole, a new retinoic acid metabolism-blocking agent. Skin Pharmacol Physiol. 2011;24(3):151-9.

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