Talarozole R enantiomer

Cat. No.: HY-14802
CAS No.: 870093-23-5
Molecular Formula: C₂₁H₂₃N₅S
Molecular Weight: 377.51
Target: Cytochrome P450
Pathway: Metabolic Enzyme/Protease
Storage: Please store the product under the recommended conditions in the COA.

SOLVENT & SOLUBILITY

In Vitro

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Mass</th>
<th>Concentration</th>
</tr>
</thead>
<tbody>
<tr>
<td>DMSO :</td>
<td></td>
<td>≥ 51 mg/mL (135.10 mM)</td>
</tr>
</tbody>
</table>

* “≥” means soluble, but saturation unknown.

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.6489 mL</td>
<td>13.2447 mL</td>
<td>26.4894 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.5298 mL</td>
<td>2.6489 mL</td>
<td>5.2979 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2649 mL</td>
<td>1.3245 mL</td>
<td>2.6489 mL</td>
</tr>
</tbody>
</table>

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: CYP26 in vitro: Talarozole R enantiomer treatment increased the mRNA expression of CRABP2, KRT4, CYP26A1 and CYP26B1 dose dependently, and decreased the expression 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

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