

Tamibarotene

Catalog No: tcsc0654



Available Sizes

Size: 10mg

Size: 50mg



Specifications

CAS No:

94497-51-5

Formula:

$C_{22}H_{25}NO_3$

Pathway:

Metabolic Enzyme/Protease

Target:

RAR/RXR

Purity / Grade:

>98%

Solubility:

DMSO : 25.5 mg/mL (72.56 mM; Need ultrasonic and warming)

Alternative Names:

Am 80

Observed Molecular Weight:

351.44

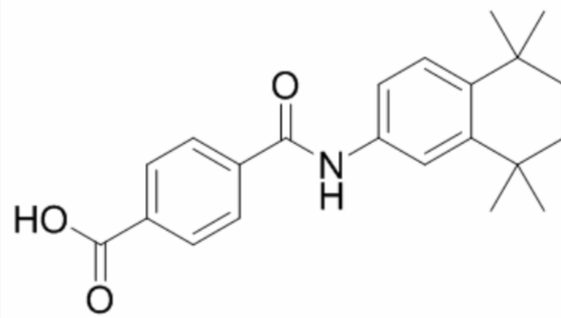
Product Description

Tamibarotene is a **retinoic acid receptor α/β (RAR α/β)** agonist, showing high selectivity over RAR γ .

IC50 & Target: RAR α / β ^[1]

In Vitro: Tamibarotene (20, 40 μ M) down-regulates expression of cell cycle gene in T-cell lymphoma cells. Tamibarotene (5 μ M) increases RARE activity in RARA-overexpressing cells to a much greater degree than in RAR^{low} cells. Moreover, RAR^{wt} overexpression augments the degree of CDK2, CDK4, and CDK6 inhibition caused by Tamibarotene treatment^[1]. Tamibarotene directly reverses the profibrotic phenotype of transforming growth factor- β 1-treated dermal fibroblasts, suppresses ICAM-1 expression in endothelial cells, and promotes M1 macrophage differentiation in vitro^[2]. Tamibarotene (4 μ M) up-regulates apelin mRNA and protein levels dose-dependently in VSMCs. Upon Tamibarotene stimulation, the RAR α (retinoic acid receptor α) is recruited to the apelin promoter by interacting with KLF5 and Sp1 prebound to the TCE site of the apelin promoter to form a transcriptional activation complex, subsequently leading to the up-regulation of apelin expression in VSMCs. KLF5 and Sp1 cooperatively mediate Tamibarotene-induced apelin expression through their direct binding to the TCE on the apelin promoter^[4].

In Vivo: Tamibarotene (1 mg/kg/day) significantly attenuates dermal and hypodermal fibrosis in bleomycin (BLM)-treated mice and tight skin 1 mice, respectively. Consistently, Tamibarotene significantly suppresses the expression of various molecules related to tissue fibrosis, including transforming growth factor- β 1, connective tissue growth factor, IL-4, IL-10, IL-13, IL-17A, tumor necrosis factor- α , IFN- γ , and monocyte chemotactic protein 1 in the lesional skin of BLM-treated mice. Furthermore, Tamibarotene decreases the proportion of effector T cells, while increasing that of naive T cells among CD4⁺ T cells in the draining lymph nodes of BLM-treated mice^[2]. Tamibarotene (2.5 mg/kg, p.o.) does not result in any significant alteration of the AST, ALT, or ALP serum levels in periodontitis-challenged mice compared with that in untreated mice. Tamibarotene ameliorates alveolar bone resorption, significantly reduces the number of *P. gingivalis*-induced osteoclasts in mice. Tamibarotene measurably increases the percentage of CD4⁺ Foxp3⁺ Treg cells as compared to those in EPD mice. Tamibarotene is also effective in reducing the expression of CD4⁺ROR- γ t⁺ (Th17) cells in *P. gingivalis*-infected gingival tissues and CLNs^[3]. Tamibarotene (1 mg/kg, p.o.) increases apelin expression in balloon-injured arteries of rats, consistent with the results from the cultured VSMCs^[4]. In aged SAMP8 mice, hippocampal ADAM10 levels improve after Tamibarotene (1 mg/kg/day) administration. Hes5 and Ki67 are restored and spatial working memory also improves after Tamibarotene administration^[5].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!