

Darbufelone mesylate

Catalog No: tcsc6555



Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg

Size: 20mg



Specifications

CAS No:

139340-56-0

Formula:

$C_{19}H_{28}N_2O_5S_2$

Pathway:

GPCR/G Protein;GPCR/G Protein

Target:

Leukotriene Receptor;Prostaglandin Receptor

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Alternative Names:

CI-1004 mesylate

Observed Molecular Weight:

428.57

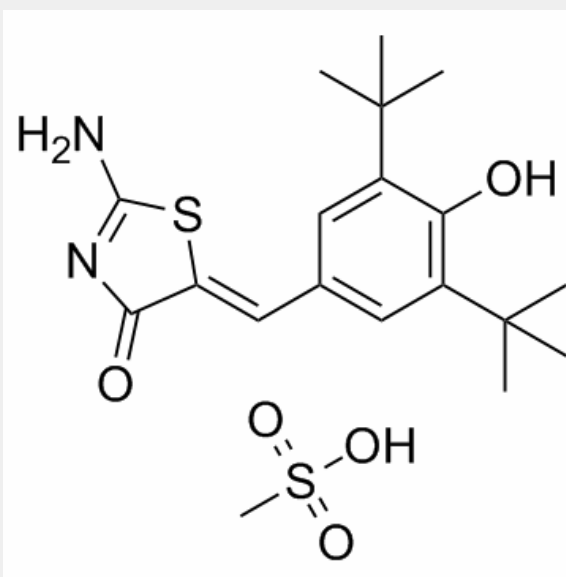
Product Description

Darbufelone mesylate is a dual inhibitor of cellular **PGF_{2α}** and **LTB₄** production. Darbufelone potently inhibits **PGHS-2** (**IC₅₀** = 0.19 μM) but is much less potent with **PGHS-1** (**IC₅₀** = 20 μM).

IC50 & Target: IC50: 0.19 μM (PGHS-2), 20 μM (PGHS-1)^[1]

In Vitro: Darbufelone is a noncompetitive inhibitor of PGHS-2 ($K_i=10\pm5$ μM). Darbufelone quenches the fluorescence of PGHS-2 at 325 nm (lambda(ex)=280 nm) with $K_d=0.98\pm0.03$ μM^[1]. To test the putative anti-proliferative effect of Darbufelone, A549, H520 and H460 cell lines are used, which are established from three distinct pathological subtypes of NSCLC (adenocarcinoma, squamous and large cell lung cancer respectively). Increasing concentrations of Darbufelone, ranging from 5 to 60 μM, are tested for 72 h. The cell growth inhibition of these three cell lines gradually increases with higher drug concentration. The IC₅₀ of A549 and H520 are 20±3.6 and 21±1.8 μM, respectively, while the H460 has much lower IC₅₀ (15±2.7 μM)^[2].

In Vivo: Darbufelone is a dual inhibitor of cellular PGF_{2R} and LTB₄ production. Darbufelone is orally active and nonulcerogenic in animal models of inflammation and arthritis^[1]. When mice are treated with Darbufelone at dosage of 80 mg/kg/day, the tumor volumes decrease in a time-dependent manner. In contrast, lower dose of Darbufelone (20 or 40 mg/kg/day) dos not show any significant inhibition of tumor weight. At necropsy, the tumor weight in mice treated with Darbufelone (80 mg/kg/day) is reduced by 30.2% in comparison with control group^[2].



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