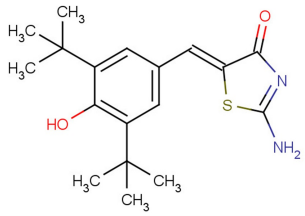
Data Sheet (Cat.No.T10960)

TargetMol
A DRUG SCREENING EXPERT

Darbufelone

Chemical Properties



CAS No.:	139226-28-1
Formula:	C18H24N2O2S
Molecular Weight:	332.46
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Darbufelone is a dual inhibitor of cellular PGF2α and LTB4 production. Dabfilon effectively inhibited PGHS-2 (IC50 = 0.19 μM), but had a much smaller effect on PGHS-1 (IC50 = 20 μM).
Targets(IC_{50})	PGHS-2: 0.19 μM PGHS-1: 20 μM
In vitro	Darbufelone is a non-competitive inhibitor of PGHS-2 (Ki = 10 ± 5μM). Darbufelone can quench the fluorescence of PGHS-2 at a concentration of Kd = 0.98 ± 0.03 μM at 325 nm (λ (ex) = 280 nm). To test the putative antiproliferative effect of Darbufelone, A549, H520 and H460 cell lines were used, which were established from three different pathological subtypes of NSCLC (adenocarcinoma, squamous carcinoma and large cell lung cancer). The increased concentration of bufafenone (5 to 60 μM) was tested for 72 hours. As the drug concentration increased, the cell growth inhibitory effects of these three cell lines gradually increased. The IC50 of A549 and H520 is 20 ± 3.6 and 21 ± 1.8μM respectively, while the IC50 of H460 is much lower (15 ± 2.7μM).
In vivo	Darbufelone is a dual inhibitor of cellular PGF2R and LTB4 production. Dabfilon has oral activity in animal models of inflammation and arthritis and does not cause ulcers. When the mice were treated with bufafenone at a dose of 80 mg / kg / day, the tumor volume decreased in a time-dependent manner. In contrast, the lower dose of bufafenone (20 or 40 mg / kg / day) did not show any significant inhibition of tumor weight. At necropsy, the tumor weight of the mice treated with bufafenone (80 mg / kg / day) was reduced by 30.2% compared to the control group.

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.008 mL	15.039 mL	30.079 mL
5 mM	0.602 mL	3.008 mL	6.016 mL
10 mM	0.301 mL	1.504 mL	3.008 mL
50 mM	0.06 mL	0.301 mL	0.602 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Johnson AR, et al. Slow-binding inhibition of human prostaglandin endoperoxide synthase-2 with darbufelone, an isoform-selective antiinflammatory di-tert-butyl phenol. Biochemistry. 2001 Jun 26;40(25):7736-45.
2. Ye X, et al. Darbufelone, a novel anti-inflammatory drug, induces growth inhibition of lung cancer cells both in vitro and in vivo. Cancer Chemother Pharmacol. 2010 Jul;66(2):277-85.

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