Fulacimstat

Cat. No.: HY-109059

CAS No.: 1488354-15-9

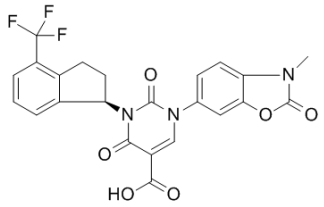
Molecular Formula: $C_{23}H_{16}F_3N_3O_6$

Molecular Weight: 487.38

Target: Others

Pathway: Others

Storage: Please store the product under the recommended conditions in the COA.



Solvent & Solubility

In Vitro

DMSO : 5 mg/mL (10.26 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0518 mL	10.2589 mL	20.5179 mL
	5 mM	0.4104 mL	2.0518 mL	4.1036 mL
	10 mM	0.2052 mL	1.0259 mL	2.0518 mL

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

In Vivo

1. Add each solvent one by one: **10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline**
   Solubility: ≥ 0.5 mg/mL (1.03 mM); Clear solution
2. Add each solvent one by one: **10% DMSO >> 90% (20% SBE-β-CD in saline)**
   Solubility: ≥ 0.5 mg/mL (1.03 mM); Clear solution
3. Add each solvent one by one: **10% DMSO >> 90% corn oil**
   Solubility: ≥ 0.5 mg/mL (1.03 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Fulacimstat is an orally available **chymase** inhibitor, with **IC_{50}s** of 4, 3 nM for human and hamster chymase enzyme, respectively.

IC_{50} & Target

IC50: 4 nM (human chymase enzyme), 3 nM (hamster chymase enzyme)[1][2].

In Vitro

Fulacimstat inhibits human and hamster chymase enzyme with IC_{50}s of 4 nM and 3 nM, respectively[1][2].

In Vivo

Isoprenaline induces cardiac fibrosis (24.4±1.8%) in hamsters, which is reduced dose dependently by Fulacimstat

	(16.4±1.2%, 12.4 ± 1.3%, 10.9±1.4% at 1, 3 and 10 mg/kg respectively) and by enalapril (17.7±1.5% at 20 mg/kg). Four weeks after MI, hamster hearts show an increased end diastolic pressure, and reduce contractility and relaxation. Compared to placebo (19.3±2 mmHg), Fulacimstat at 10 mg/kg reduce significantly the end diastolic pressure (13.2±1.4 mmHg) without any effects on blood pressure or heart rate. Moreover, treatment with Fulacimstat reduce the fibrotic area and improve the cardiac response to adrenergic stimulation[1].

REFERENCES

[1]. Hanna Tinel, et al. Abstract 13624: A Novel Chymase Inhibitor BAY 1142524 Reduces Fibrosis and Improves Cardiac Function After Myocardial Infarction in Hamster. Circulation. 2018;136:A13624.

[2]. Kanefendt F, et al. Pharmacokinetics, Safety, and Tolerability of the Novel Chymase Inhibitor BAY 1142524 in Healthy Male Volunteers. Clin Pharmacol Drug Dev. 2018 Jun 7.

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