Data Sheet (Cat.No.T60713)

TargetMol

TK-129

Chemical Properties

CAS No. :

Formula: C15H23N5O2

Molecular Weight: 305.38

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	TK-129 is an orally active, potent inhibitor of KDM5B with an IC50 of 44 nM and is low-toxicity. TK-129 exhibits cardioprotective effects by inhibiting KDM5B and blocking the KDM5B-associated Wnt pathway. TK-129 can be used in cardiovascular disease studies to reduce isoprenaline-induced myocardial remodelling and fibrosis in vivo, as well as to reduce ang II-induced activation of cardiac fibroblasts in vitro [1].
Targets(IC50)	Histone Demethylase,Others
In vitro	TK-129 significantly inhibits KDM5B activity, thereby substantially reducing the activation, migration, and proliferation of myofibroblasts that Ang II induces in vitro [1]. At a concentration of 10 μM over 48 hours, TK-129 demonstrates low cytotoxicity in NRCFs and NRCMs, maintaining cell survival rates above 90% [1]. Furthermore, when applied to NRCFs at concentrations ranging from 0.1 to 0.5 μM for 48 hours, TK-129 effectively targets and inhibits KDM5B activity. This engagement is evidenced by a dose-dependent increase in the expression of the KDM5B substrate, the H3K4me3 protein, indicating TK-129's precision in modulating specific histone modifications [1].
In vivo	TK-129 demonstrates good bio-safety and efficacy in various mouse models. At a dosage of 2 g/kg administered orally in a single dose, TK-129 was proven safe in mice, with all subjects surviving and exhibiting normal weight gain over two weeks. The compound, at 50 mg/kg given orally twice daily for 24 days, significantly mitigated isoproterenol-induced myocardial remodeling in C57BL/6 mice. Pharmacokinetic (PK) properties were favorable, evidenced by administration at 2 mg/kg intravenously or 10 mg/kg orally in male SD rats, yielding promising pharmacokinetic parameters such as clearance (CL), volume of distribution at steady-state (Vss), half-life (T1/2), time to reach maximum concentration (Tmax), maximum concentration (Cmax), area under the curve from 0 to 24 hours (AUC0-24), and bioavailability (F%).

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.2746 mL	16.373 mL	32.7461 mL
5 mM	0.6549 mL	3.2746 mL	6.5492 mL
10 mM	0.3275 mL	1.6373 mL	3.2746 mL
50 mM	0.0655 mL	0.3275 mL	0.6549 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.