

Product Datasheet

TK-129

Catalog No. **BP-02243** · CAS **3031476-73-7** · Form **free base** · Physical state **other** · Color **White to off-white** · Version **1.0** · Revision **2026-09-03**

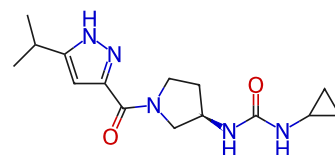
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Target Wnt; Histone Demethylase	Research area Cardiovascular Disease
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Molecular formula **C₁₅H₂₃N₅O₂**

Molecular weight **305.3754**

STRUCTURE



DESCRIPTION

TK-129 is an orally active, low-toxicity, potent KDM5B inhibitor (with high affinity; IC₅₀=44 nM). TK-129 exerts cardioprotective effects by inhibiting KDM5B and blocking the KDM5B-associated Wnt pathway. TK-129 reduces Ang II-induced activation of cardiac fibroblasts in vitro and reduces isoprenaline-induced myocardial remodelling and fibrosis in vivo. TK-129 can be used in studies of cardiovascular disease.

BIOLOGICAL ACTIVITY

In Vitro

TK-129 mediates inhibition of KDM5B activity significantly reduces the activation, migration, and proliferation of myofibroblasts induced by Ang II in vitro.

TK-129 (10 μM; 48 h) shows low cytotoxicity in NRCFs and NRCMs.

TK-129 (0.1, 0.2, 0.3, 0.4, 0.5 μM; 48 h) can engage to and inhibit KDM5B activity in NRCFs.

In Vivo

TK-129 (2 g/kg; p.o.; single) shows good bio-safety in mice.

TK-129 (50 mg/kg; p.o.; twice daily for 24 days) effectively reduces isoproterenol-induced pathological myocardial remodeling in vivo.

TK-129 (2 or 10 mg/kg; i.v. or p.o.; single) demonstrates favorable PK properties in vivo.

SOLUBILITY

Soluble in DMSO

In vitro DMSO 100 mg/mL

REFERENCES

[1]. Tang K, et al. Discovery of Novel Pyrazole-Based KDM5B Inhibitor TK-129 and Its Protective Effects on Myocardial Remodeling and Fibrosis. J Med Chem. 2022 Sep 16.

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