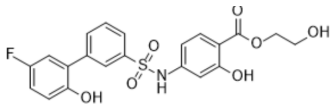
KAN0438757

Cat. No.:	HY-112808
CAS No.:	1451255-59-6
Molecular Formula:	$C_{21}H_{18}FNO_7S$
Molecular Weight:	447.43
Target:	Autophagy
Pathway:	Autophagy

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 130 mg/mL (290.55 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2350 mL	11.1749 mL	22.3499 mL
5 mM	0.4470 mL	2.2350 mL	4.4700 mL
10 mM	0.2235 mL	1.1175 mL	2.2350 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: 2.17 mg/mL (4.85 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.17 mg/mL (4.85 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.17 mg/mL (4.85 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

KAN0438757 is a potent and selective inhibitor of the metabolic kinase PFKFB3 with an IC_{50} of 0.19 μM[1].

IC_{50} & Target

IC50: 0.19 μM (PFKFB3)[1]

In Vitro

KAN0438757 (72 h) inhibits cell viability of Miapaca-2, PANC1, SW620, U-266, AMO-1 (IC_{50}: 2.75, 3.83, 7.50, 5.08, 11.53 μM respectively)[1].
KAN0438757 (10 μM, 6 h) decreases homologous recombination (HR) activity and increases ionizing radiation (IR) induced γ

	H2AX foci level in U2OS cells[1]. KAN0438757 (50 μM, 12 h) reduces PFKFB3 protein expression in HCT-116, SW-1463 and HUVECs[2]. KAN0438757 (0-50 μM, 24 h) reduces glycolysis in HCT-116 cancer cells and HUVECs[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	KAN0438757 (i.p., 10; 25; 50 mg/kg) is well tolerated, and shows no relevant systemic toxic effects in C57BL6/N mice[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Prolif. 2022 Sep 20;e13337.
- Oxid Med Cell Longev. 2022 Sep 21;2022:7548145.

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REFERENCES

[1]. De Oliveira T, et al. Effects of the Novel PFKFB3 Inhibitor KAN0438757 on Colorectal Cancer Cells and Its Systemic Toxicity Evaluation In Vivo. Cancers (Basel). 2021 Feb 28;13(5):1011.

[2]. Gustafsson NMS, et al. Targeting PFKFB3 radiosensitizes cancer cells and suppresses homologous recombination. Nat Commun. 2018 Sep 24;9(1):3872.

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