KYA1797K

Cat. No.: HY-101090

CAS No.: 1956356-56-1

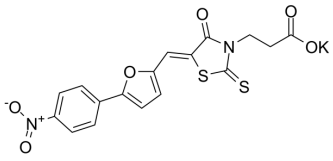
Molecular Formula: $C_{17}H_{11}KN_2O_6S_2$

Molecular Weight: 442.51

Target: Wnt; β-catenin

Pathway: Stem Cell/Wnt

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 6 mg/mL (13.56 mM; Need ultrasonic)
H_2O : 1 mg/mL (2.26 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2598 mL	11.2992 mL	22.5984 mL
5 mM	0.4520 mL	2.2598 mL	4.5197 mL
10 mM	0.2260 mL	1.1299 mL	2.2598 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: 1 mg/mL (2.26 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 1 mg/mL (2.26 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

KYA1797K is a potent and selective Wnt/β-catenin inhibitor with an IC_{50} of 0.75 μM.

IC_{50} & Target

IC50: 0.75 (Wnt/β-catenin)[1]

In Vitro

KYA1797K binds directly to the regulators of G-protein signaling domain of axin, initiating b-catenin and Ras degradation through enhancement of the b-catenin destruction complex activating GSK3b. KYA1797K effectively suppresses the growth of CRCs harboring APC and KRAS mutations. KYA1797K enhances formation of the β-catenin destruction complex and induced GSK3β activation, leading to phosphorylation of both β-catenin and K-Ras at S33/S37/T41 and T144/T148. KYA1797K degrades both β-catenin and Ras SW480, LoVo, DLD1 and HCT15 cells in a dose-dependent manner. KYA1797K destabilizes β-catenin and Ras in DLD1 cells expressing WT β-catenin or WT K-Ras[1].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	KYA1797K significantly suppresses tumor growth and progression both in mouse xenografts of CRC cells harboring APC and K-Ras mutations and in an $Apc^{min/+}$/$Kras^{G12D}$LA2 mouse model. KYA1797K administration (25 mg/kg) reduces both weight and volume of the tumor by 70%. KYA1797K treatment significantly reduces levels of β-catenin and Ras proteins as well as Wnt/β-catenin and Ras signaling target [1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	SW480, LoVo, DLD1 and HCT15 cells are treated with KYA1797K (0.2, 1, 5, 25 μM) for 24 h or 4 d. MTT assay is used to determine effects of KYA1797K on cell proliferation[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice: KYA1797K (20 mg/kg) is injected intraperitoneally (i.p.) into mice carrying xenografted tumors from the D-MT cell line that harbors both APC and KRAS mutations for 28 days. Tumor weight is measured at time of sacrifice and tumor volumes of mice are measured every 4 d[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Death Dis. 2020 Aug 18;11(8):644.
- Cell Death Dis. 2019 Sep 12;10(9):681.
- J Neuroinflammation. 2021 Oct 13;18(1):229.
- J Immunol. 2019 Nov 15;203(10):2630-2643.
- J Cell Sci. 2019 May 16;132(10):jcs228478.

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REFERENCES

[1]. Cha PH, et al. Small-molecule binding of the axin RGS domain promotes β-catenin and Ras degradation. Nat Chem Biol. 2016 Aug;12(8):593-600.

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