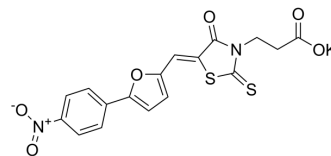


## KYA1797K

<b>Cat. No.:</b>	HY-101090
<b>CAS No.:</b>	1956356-56-1
<b>Molecular Formula:</b>	C <sub>17</sub> H <sub>11</sub> KN <sub>2</sub> O <sub>6</sub> S <sub>2</sub>
<b>Molecular Weight:</b>	442.51
<b>Target:</b>	Wnt; β-catenin
<b>Pathway:</b>	Stem Cell/Wnt
<b>Storage:</b>	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 6 mg/mL (13.56 mM; Need ultrasonic)					
	H <sub>2</sub> O : 1 mg/mL (2.26 mM; Need ultrasonic)					
	<b>Preparing Stock Solutions</b>	<b>Solvent</b>	<b>Mass</b>	<b>1 mg</b>	<b>5 mg</b>	<b>10 mg</b>
		<b>Concentration</b>				
		<b>1 mM</b>		2.2598 mL	11.2992 mL	22.5984 mL
<b>5 mM</b>			0.4520 mL	2.2598 mL	4.5197 mL	
	<b>10 mM</b>		0.2260 mL	1.1299 mL	2.2598 mL	
Please refer to the solubility information to select the appropriate solvent.						
<b>In Vivo</b>	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

<b>Description</b>	KYA1797K is a potent and selective Wnt/β-catenin inhibitor with an IC <sub>50</sub> of 0.75 μM.
<b>IC<sub>50</sub> &amp; Target</b>	IC <sub>50</sub> : 0.75 (Wnt/β-catenin) <sup>[1]</sup>
<b>In Vitro</b>	KYA1797K binds directly to the regulators of G-protein signaling domain of axin, initiating β-catenin and Ras degradation through enhancement of the β-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 <sup>[1]</sup> .

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<sup>min/+</sup>/KRAS<sup>G12D</sup>LA2 mouse model. KYA1797K administration (25 mg/kg) reduces both weight and volume of the tumor by 70%. KYA1797K treatment significantly reduces levels of  $\beta$ -catenin and Ras proteins as well as Wnt/ $\beta$ -catenin and Ras signaling targets [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  $\mu$ M) 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

- J Neuroinflammation. 2021 Oct 13;18(1):229.
- Cell Death Dis. 2020 Aug 18;11(8):644.
- Cell Death Dis. 2019 Sep 12;10(9):681.
- Biomed Pharmacother. 2020 Mar;123:109807.
- Cell Death Discov. 2023 Aug 25;9(1):312.

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## REFERENCES

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

**Caution: Product has not been fully validated for medical applications. For research use only.**

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