

产品名称: **KYA1797K**

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生物活性:				
Description	KYA1797K is a potent and selective Wnt/ $\beta$ -catenin inhibitor with an $IC_{50}$ of 0.75 $\mu$ M.			
$IC_{50}$ & Target	IC50: 0.75 (Wnt/ $\beta$ -catenin)[1]			
In Vitro	KYA1797K binds directly to the regulators of G-protein signaling domain of axin, initiating $\beta$ -catenin and Ras degradation through enhancement of the $\beta$ -catenin destruction complex activating GSK3 $\beta$ . KYA1797K effectively suppresses the growth of CRCs harboring APC and KRAS mutations. KYA1797K enhances formation of the $\beta$ -catenin destruction complex and induced GSK3 $\beta$ activation, leading to phosphorylation of both $\beta$ -catenin and K-Ras at S33/S37/T41 and T144/T148. KYA1797K degrades both $\beta$ -catenin and Ras SW480, LoVo, DLD1 and HCT15 cells in a dose-dependent manner. KYA1797K destabilizes $\beta$ -catenin and Ras in DLD1 cells expressing WT $\beta$ -catenin or WT K-Ras[1].			
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 <i>Apcmin/+;KrasG12DLA2</i> 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 target [1].			
Solvent&Solubility	<b>In Vitro:</b> <b>DMSO : 6 mg/mL (13.56 mM; Need ultrasonic)</b> <b>H2O : &lt; 0.1 mg/mL (insoluble)</b>			
	Preparing Stock Solutions	<div>Solvent / Mass / Concentration</div>	1 mg	5 mg
		1 mM	2.2598 mL	11.2992 mL
		5 mM	0.4520 mL	2.2598 mL
		10 mM	0.2260 mL	1.1299 mL
	*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液。一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。 储备液的保存方式和期限: -80℃, 6 months; -20℃, 1 month。 -80℃ 储存时，请在 6 个月内使用，-20℃ 储存时，请在 1 个月内使用。			
	<b>In Vivo:</b> 请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 <b>In Vitro</b> 方式配制澄清的储备液，再依次添加助溶剂： ——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶			
	1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline Solubility: 1 mg/mL (2.26 mM); Suspended solution; Need ultrasonic 此方案可获得 1 mg/mL (2.26 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。 以 1 mL 工作液为例，取 100 $\mu$ L 10.0 mg/mL 的澄清 DMSO 储备液加到 400 $\mu$ L PEG300 中，混合均匀 向上述体系中加入 50 $\mu$ L Tween-80，混合均匀；然后继续加入 450 $\mu$ L 生理盐水定容至 1 mL。			
	2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE- $\beta$ -CD in saline)			

	<p>Solubility: 1 mg/mL (2.26 mM); Suspended solution; Need ultrasonic</p> <p>此方案可获得 1 mg/mL (2.26 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。</p> <p>以 1 mL 工作液为例，取 100 <math>\mu</math>L 10.0 mg/mL 的澄清 DMSO 储备液加到 900 <math>\mu</math>L 20% 的 SBE-<math>\beta</math>-CD 生理盐水水溶液中，混合均匀。</p>
References	<p>[1]. Cha PH, et al. Small-molecule binding of the axin RGS domain promotes <math>\beta</math>-catenin and Ras degradation. Nat Chem Biol. 2016 Aug;12(8):593-600.</p>
实验参考：	
Cell Assay	<p>SW480, LoVo, DLD1 and HCT15 cells are treated with KYA1797K (0.2, 1, 5, 25 <math>\mu</math>M) for 24 h or 4 d. MTT assay is used to determine effects of KYA1797K on cell proliferation[1].</p>
Animal Administration	<p>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].</p>
References	<p>[1]. Cha PH, et al. Small-molecule binding of the axin RGS domain promotes <math>\beta</math>-catenin and Ras degradation. Nat Chem Biol. 2016 Aug;12(8):593-600.</p>

源叶生物