



生物活性:																						
Description		KY1220 is a compound that destabilizes both β -catenin and Ras, via targeting the Wnt/ β -catenin pathway; with an IC ₅₀ of 2.1 μ M in HEK293 reporter cells.																				
IC ₅₀ & Target		IC50: 2.1 μ M (HEK293 reporter cells)[1]																				
In Vitro		KY1220 shows an IC ₅₀ of 2.1 μ M in HEK293 reporter cells. KY1220 dose dependently decreases Wnt3a-CM-induced TOPflash reporter activation and mRNA expression of Wnt target genes CCND1 and MYC in HEK293 cells. In HEK293 cells, both β -catenin and panRas protein levels are similarly reduced in a dose-dependent manner after treatment with KY1220, whereas the mRNA levels of CTNNB1 (which encodes β -catenin), NRAS, KRAS and HRAS remain unchanged. K-Ras, which has a critical role in progression of CRCs, is also destabilized by KY1220 via polyubiquitin-dependent proteasomal degradation. KY1220 accelerates the degradation rates of both β -catenin and Ras in SW480 cell lines. Ras destabilization by KY1220 consequently inhibits the activities of both ERK and Akt, which are downstream effectors of Ras in SW480 cells harboring a KRAS mutation. The proliferation and transformation of the HCT15, SW480, D-WT and D-MT CRC cells are efficiently inhibited after treatment with KY1220[1].																				
Solvent&Solubility		In Vitro: DMSO : \geq 100 mg/mL (318.15 mM) * "≥" means soluble, but saturation unknown.																				
		<table><tr><td rowspan="4">Preparing Stock Solutions</td><td><div>Solvent / Mass / Concentration</div></td><td>1 mg</td><td>5 mg</td><td>10 mg</td></tr><tr><td>1 mM</td><td>3.1815 mL</td><td>15.9074 mL</td><td>31.8147 mL</td></tr><tr><td>5 mM</td><td>0.6363 mL</td><td>3.1815 mL</td><td>6.3629 mL</td></tr><tr><td>10 mM</td><td>0.3181 mL</td><td>1.5907 mL</td><td>3.1815 mL</td></tr></table>				Preparing Stock Solutions	<div>Solvent / Mass / Concentration</div>	1 mg	5 mg	10 mg	1 mM	3.1815 mL	15.9074 mL	31.8147 mL	5 mM	0.6363 mL	3.1815 mL	6.3629 mL	10 mM	0.3181 mL	1.5907 mL	3.1815 mL
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*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。																						
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.																				
实验参考:																						
Cell Assay		HCT15 or SW480 cells are treated with 25 μ M KY1220 or KYA1797K or with control (DMSO) for 72 h. Cell proliferation is measured using the MTT assay. The absorbance of the formazan product is determined at 590 nm every 24 h[1].																				
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.																				