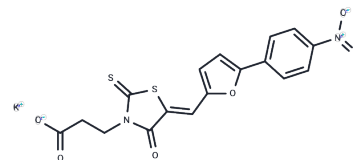


KYA1797K

Chemical Properties

CAS No. : 1956356-56-1
Formula: C₁₇H₁₁N₂O₆S₂·K
Molecular Weight: 442.51
Appearance: no data available
Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	KYA1797K is a highly potent and selective inhibitor. Wnt/β-catenin IC ₅₀ is 0.75 μM through TOPflash assay.
Targets(IC ₅₀)	Wnt/beta-catenin
In vitro	KYA1797K significantly decreases reporter activities for the Wnt/β-catenin and MAPK/ERK pathways but does not affect the reporter activities of other cancer-related pathways, such as the Notch and TGFβ pathways, thus, KYA1797K selectively regulates the Wnt/β-catenin and Ras/ERK pathways. KYA1797K enhances the β-catenin binding affinity of endogenous axin, GSK3β and β-TrCP. Interaction between APC and β-catenin is not enhanced by KYA1797K. It promotes the formation of the β-catenin destruction complex. KYA1797K degrades both β-catenin and Ras in these cells(CRC lines SW480, LoVo, DLD1 and HCT15) in a dose-dependent manner, cell proliferation is also suppressed by KYA1797K treatment. KYA1797K inhibits proliferation of CRC cells mainly via destabilization of β-catenin with additional Ras degradation. KYA1797K directly targeted axin and modulated conformation of the β-catenin destruction complex[1].
In vivo	KYA1797K administration by intraperitoneally injection(i.p.) (25 mg/kg) reduces both weight and volume of the tumor by 70% in mice carrying xenografted tumors from the D-MT cell line that harbors both APC and KRAS mutations. KYA1797K treatment significantly reduces levels of β-catenin and Ras proteins as well as Wnt/β-catenin and Ras signaling target. No change in the weight and no abnormalities in liver tissues of mice treated with KYA1797K. KYA1797K significantly reduces the subcellular localization of β-catenin in the nuclei and pan-Ras on the membrane of tumor cells. Thus, KYA1797K has anti-tumor effect[1].
Cell Research	To assay cell proliferation, HCT15 or SW480 cells are plated at a density of 2 × 10 ⁴ cells/well, and D-WT or D-MT cells were seeded at a density of 1 × 10 ⁴ cells/well in a 24-well plate. The cells are then treated with 25 μM KYA1797K or with control (DMSO) for 72 h. In a 96-well plate, cells are seeded at a density of 3 × 10 ³ cells/well. After 24 h, the cells are treated with KY1220, KYA1797K, IWR-1 or XAV939 for 4 d. Next, MTT reagent is added to each well at a concentration of 0.25 mg/ml. After incubation for 2 h at 37°C, insoluble purple formazan is obtained by removing the medium and incubating in 1 ml (24-well) or 200 μl (96-well) of DMSO for 1 h. The absorbance of the formazan product is determined at 590 nm every 24 h. (Only for Reference)

Solubility Information

Solubility	DMSO: 6 mg/mL (13.56 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2598 mL	11.2992 mL	22.5984 mL
5 mM	0.452 mL	2.2598 mL	4.5197 mL
10 mM	0.226 mL	1.1299 mL	2.2598 mL
50 mM	0.0452 mL	0.226 mL	0.452 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Cha PH, et al. Nat Chem Biol. 2016, 12(8):593-600.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only· Not for Human or Veterinary or Therapeutic Use

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