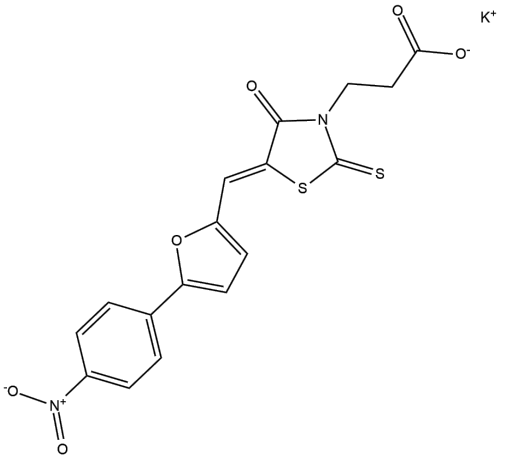
Product Data Sheet

Product Name: KYA1797K
Cat. No.: GC19216

Chemical Properties

Cas. No.	1956356-56-1		
SMILES	O=C([O-])CCN(C/1=O)C(SC1=C/C2=CC=C(C3=CC=C([N+]([O-])=O)C=C3)O2)=S.[K+]		
Formula	$C_{17}H_{11}KN_2O_6S_2$	M.Wt	442.51
Solubility	DMSO : 6 mg/mL (13.56 mM);Water : 1 mg/mL (2.26 mM)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Protocol

Cell experiment: 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].

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Animal experiment: 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].

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.

Background

KYA1797K is a potent and selective Wnt/β-catenin inhibitor with an IC50 of 0.75 uM.

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].

KYA1797K significantly suppresses tumor growth and progression both in mouse xenografts of CRC cells harboring APC and K-Ras mutations and in an Apcmin/+/KrasG12DLA2 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].

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.

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