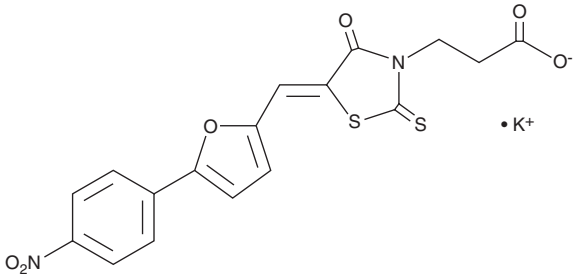
PRODUCT INFORMATION

KYA1797K

Item No. 29221

CAS Registry No.:	1956356-56-1
Formal Name:	(5Z)-5-[[5-(4-nitrophenyl)-2-furanyl]methylene]-4-oxo-2-thioxo-3-thiazolidinepropanoic acid, monopotassium salt
MF:	$C_{17}H_{11}N_2O_6S_2 \bullet K$
FW:	442.5
Purity:	≥95%
UV/Vis.:	λ_{max}: 240, 327, 436 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

KYA1797K is supplied as a crystalline solid. A stock solution may be made by dissolving the KYA1797K in the solvent of choice, which should be purged with an inert gas. KYA1797K is soluble in the organic solvent DMSO at a concentration of approximately 0.5 mg/ml. KYA1797K is slightly soluble in ethanol and dimethyl formamide.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of KYA1797K can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of KYA1797K in PBS, pH 7.2, is approximately 0.3 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

KYA1797K is an inhibitor of Wnt/β-catenin signaling.[1] It inhibits expression of a reporter induced by Wnt3a-conditioned medium in HEK293 cells (IC_{50} = 0.75 µM). KYA1797K binds to the regulators of G-protein signaling (RGS) domain of axin and increases activation of GSK3β, as well as phosphorylation of β-catenin and Ras, in HEK293 cells when used at a concentration of 25 µM. It decreases β-catenin and Ras protein levels and inhibits colony formation in SW480 cells in a concentration-dependent manner. KYA1797K inhibits the growth of SW480, LoVo, DLD1, and HCT15 cells (GI_{50}s = 5, 4.8, 4.5, and 4.2 µM, respectively). It reduces tumor growth in a D-MT colorectal cancer mouse xenograft model when administered at a dose of 20 mg/kg.

Reference

1. Cha, P.-H., Cho, Y.-H., Lee, S.-K., *et al.* Small-molecule binding of the axin RGS domain promotes β-catenin and ras degradation. *Nat. Chem. Biol.* **12(8)**, 593-600 (2016).

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