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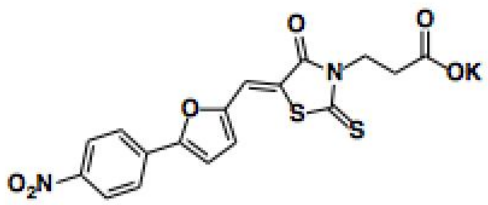
Wnt/β-catenin Inhibitor – KYA1797K

Chemical Name: potassium (Z)-3-(5-((5-(4-nitrophenyl)furan-2-yl)methylene)-4-oxo-2-thioxothiazolidin-3-yl)propanoate



Molecular Weight:	442.50
Formula:	$C_{17}H_{11}KN_2O_6S_2$
Purity:	≥98%
CAS#:	n/a
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

KYA1797K is a highly potent and selective Wnt/β-catenin inhibitor with IC_{50}~0.75 μM (TOPflash assay). It binds directly to the regulators of G-protein signaling domain of axin, initiating β-catenin and Ras degradation through enhancement of the β-catenin destruction complex activating GSK3β. KYA1797K can effectively suppress the growth of CRCs harboring APC and KRAS mutations, as shown by various in vitro studies and by in vivo studies using xenograft and transgenic mouse models of tumors induced by APC and KRAS mutations. Destabilization of both β-catenin and Ras via targeting axin is a potential therapeutic strategy for treatment of CRC and other type cancers activated Wnt/β-catenin and Ras pathways.

How to Use:

In vitro: KYA1797K was suggested to be used at 25 μM final concentration in vitro.

In vivo: KYA1797K was used to dose mice at 20-25 mg/kg by intraperitoneal injection in xenograft model of D-MT cell line that harbors both APC and KRAS mutations, or in $Apc^{min/+}$/$Kras^{G12D}$ LA2 mouse model.

Reference:

1. Cha PH, et al.Small-molecule binding of the axin RGS domain promotes β-catenin and Ras degradation. (2016) Nat Chem Biol. 12(8):593-600.