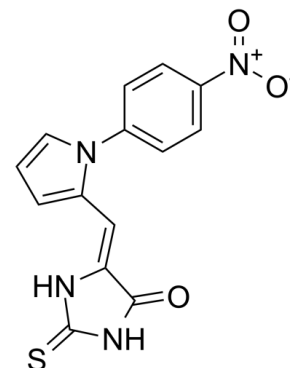


Data Sheet

Product Name:	KY1220
Cat. No.:	CS-7573
CAS No.:	292168-79-7
Molecular Formula:	C ₁₄ H ₁₀ N ₄ O ₃ S
Molecular Weight:	314.32
Target:	Wnt; β -catenin
Pathway:	Stem Cell/Wnt
Solubility:	DMSO : \geq 100 mg/mL (318.15 mM)



BIOLOGICAL ACTIVITY:

KY1220 is a compound that destabilizes both β -catenin and Ras, via targeting the **Wnt/ β -catenin** pathway; with an **IC₅₀** of 2.1 μ M in HEK293 reporter cells. **IC₅₀ & Target:** IC₅₀: 2.1 μ M (HEK293 reporter cells)^[1] **In Vitro:** KY1220 shows an **IC₅₀** 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].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: KY1220 is prepared in DMSO.^[1] 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.

CAIndexNames:

4-Imidazolidinone, 5-[[1-(4-nitrophenyl)-1H-pyrrol-2-yl]methylene]-2-thioxo-

SMILES:

O=C/C(N1)=C/C2=CC=CN2C3=CC=C([N+])([O-])C=C3)NC1=S

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

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA