

## Product Data Sheet

Product Name: KY1220  
Cat. No.: GC32923

### Chemical Properties

Cas. No. 292168-79-7

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

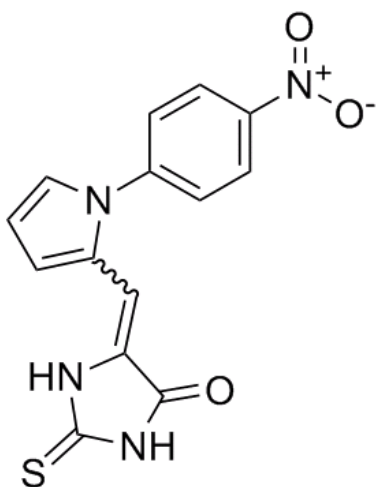
Formula  $C_{14}H_{10}N_4O_3S$  M.Wt 314.32

Solubility DMSO :  $\geq 100$  mg/mL (318.15 mM) Storage Store at  $-20^{\circ}\text{C}$

General tips For obtaining a higher solubility, please warm the tube at  $37^{\circ}\text{C}$  and shake it in the ultrasonic bath for a while. Stock solution can be stored below  $-20^{\circ}\text{C}$  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:** HCT15 or SW480 cells are treated with 25  $\mu\text{M}$  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].

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

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### References:

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

### Background

KY1220 is a compound that destabilizes both  $\beta$ -catenin and Ras, via targeting the Wnt/ $\beta$ -catenin pathway; with an IC<sub>50</sub> of 2.1  $\mu$ M in HEK293 reporter cells.

KY1220 shows an IC<sub>50</sub> of 2.1  $\mu$ 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  $\beta$ -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  $\beta$ -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  $\beta$ -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].

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

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