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## Product Data Sheet

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Product Name: PU-H71 hydrochloride

Cat. No.: GC37040

### Chemical Properties

Cas. No.

SMILES CC(C)NCCCN1C(SC2=C(C=C3OCOC3=C2)I)=NC4=C(N=CN=C14)N.ClFormula  $C_{18}H_{22}ClIN_6O_2S$  M.Wt 548.83Solubility Soluble in DMSO Storage Store at  $-20^{\circ}C$ 

General tips For obtaining a higher solubility , please warm the tube at  $37^{\circ}C$  and shake it in the ultrasonic bath for a while. Stock solution can be stored below  $-20^{\circ}C$  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 

### Background

PU-H71 hydrochloride is a potent Hsp90 inhibitor, with an  $IC_{50}$  of 51 nM in MDA-MB-468 cells. HSP90|51 nM ( $IC_{50}$ , MDA-MB-468 cells)

PU-H71 hydrochloride is a potent Hsp90 inhibitor, with an  $IC_{50}$  of 51 nM in MDA-MB-468 cells. PU-H71 inhibits the growth of several tumor cells, such as MDA-MB-468, MDA-MB-231 and HCC-1806 cells, with  $IC_{50}$ s of  $65 \pm 8$  nM,  $140 \pm 5$  nM and  $87 \pm 3$  nM, respectively, and such inhibition is associated with a G2-M block arrest. PU-H71 (10-1000 nM) induces significant apoptosis in triple-negative breast cancers (TNBCs). PU-H71 (0.5, 1  $\mu$ M) also downregulates oncoproteins involved in the invasive potential of TNBCs[1]. PU-H71 (0.5  $\mu$ M) decreases and depletes the BCR signaling kinases. PU-H71 (0.25-10  $\mu$ M) is cytotoxic to CLL cells but shows minimal effects on PBMC or resting B cells. In addition, PU-H71 (0-1  $\mu$ M) reduces CLL viability via the induction of mitochondrial apoptosis, and antagonizes the survival signals from CLL microenvironment at 0.5  $\mu$ M[2]. PU-H71 (0.05  $\mu$ M) induces apoptosis of MDA-MB-231, BT-474, and MCF7 cells, and such induction is enhanced by TNF- $\alpha$ . PU-H71 (0.05  $\mu$ M) degrades IKK $\beta$ , and down-regulates the NF- $\kappa$ B transcriptional activity induced by TNF- $\alpha$  treatment[3].

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

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PU-H71 (75 mg/kg, i.p.) causes intratumor accumulation, extends down-regulation of anti-tumor driving molecules, completes and retains responses at nontoxic doses in MDA-MB-468 tumor-bearing mice. PU-H71 (75 mg/kg 3×week, i.p.) suppresses the growth of tumors, and such an effect is associated with down-regulation of several Hsp90-regulated malignancy driving proteins[1].

[1]. Caldas-Lopes E, et al. Hsp90 inhibitor PU-H71, a multimodal inhibitor of malignancy, induces complete responses in triple-negative breast cancer models. Proc Natl Acad Sci U S A. 2009 May 19;106(20):8368-73. [2]. Guo A, et al. HSP90 stabilizes B-cell receptor kinases in a multi-client interactome: PU-H71 induces CLL apoptosis in a cytoprotective microenvironment. Oncogene. 2017 Jun 15;36(24):3441-3449. [3]. Qu Z, et al. PU-H71 effectively induces degradation of I $\kappa$ B kinase  $\beta$  in the presence of TNF- $\alpha$ . Mol Cell Biochem. 2014 Jan;386(1-2):135-42.

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