

Product Data Sheet

Product Name: HS-173
Cat. No.: GC16713

Chemical Properties

Cas. No. 1276110-06-5

SMILES O=S(NC1=CN=CC(C(C=C2)=CN3C2=NC=C3C(OCC)=O)=C1)(C4=CC=CC=C4)=O

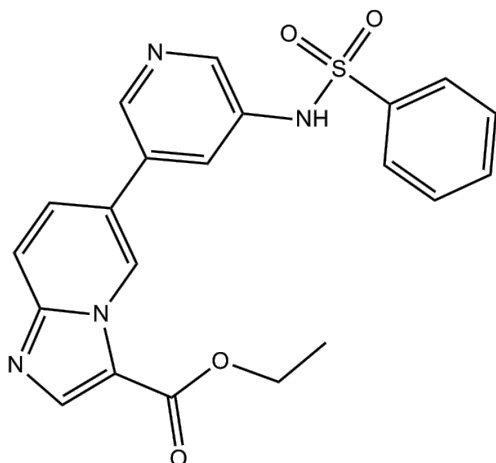
Formula $C_{21}H_{18}N_4O_4S$ M.Wt 422.46

Solubility $\geq 21.1\text{mg/mL}$ in DMSO Storage Desiccate at -20°C

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

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

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- Cell experiment:** Cell viability is performed using an MTT assay. In brief, cells are seeded at a density of 5000-7000 cells/well in a 96-well plates following to 24 h incubation. On the following day the media are removed and the cells are treated with either vehicle as a negative control or various concentrations of HS-173 (0.5-10 μ M) following an incubation for 24, 48, or 72 h. After incubation of respective time 10% of an MTT solution (2 mg/mL) is added to each well and the cells are incubated for another 4 h at 37°C. The formazan crystals that formed are dissolved in DMSO (100 or 300 μ L/well) with constant shaking for 5 min. The absorbance of the plate is then read with a microplate reader at 540 nm. Three replicate wells are evaluated for each analysis.
- Animal experiment:** Male BALB/c mice (4 week old, weighing 18-20 g) are fed with standard rat chow and tap water ad libitum, and are maintained with a 12 h dark/light cycle at 21°C. After one week of adaptation period, Panc-1 cells (5×10^6 cells/mice) are inoculated in the right flank of the mouse. After reaching an average tumor volume of 50 mm³, mice are randomly divided into two groups with five mice in each group; the control group is treated with vehicle and the experimental group is treated with HS-173 (10 mg/kg) intraperitoneally thrice a week for 26 days. The body weight and tumor size are measured thrice a week. At the end of the experiment, mice are sacrificed and primary tumor is harvested. Tumors are weighed, photographed, and divided into two parts for Western blot and IHC analysis. For IHC analysis, tissues are immediately fixed in 4% PFA for overnight and for Western blotting analysis, tissues are snap-frozen in liquid nitrogen.

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References:

- [1]. Rumman M, et al. HS-173, a novel PI3K inhibitor suppresses EMT and metastasis in pancreatic cancer. Oncotarget. 2016 Oct 25
- [2]. Son MK, et al. HS-173, a novel PI3K inhibitor, attenuates the activation of hepatic stellate cells in liver fibrosis. Sci Rep. 2013 Dec 11;3:3470
- [3]. Yun SM, et al. Synergistic anticancer activity of HS-173, a novel PI3K inhibitor in combination with Sorafenib against pancreatic cancer cells. Cancer Lett. 2013 May 1;331(2):250-61
- [4]. Kim O, et al. Design and synthesis of imidazopyridine analogues as inhibitors of phosphoinositide 3-kinase signaling and angiogenesis. J Med Chem. 2011 Apr 14;54(7):2455-66.

Background

Phosphatidylinositol 3-kinase (PI3K) was first identified over 20 years ago as a lipid kinase associated with viral oncoproteins. PI3K signaling pathway regulates various cellular processes such as growth, cell cycle progression, apoptosis, migration, metabolism, and cytoskeleton rearrangement. HS-173 is a novel PI3K inhibitor.

In vitro: HS-173 inhibited the PI3K signaling pathway, and showed anti-proliferative effects on cancer cells. Also, HS-173 induced cell cycle arrest at the G2/M phase and apoptosis. In addition, HS-173 decreased the expression HIF-1 α and VEGF which play an important role in angiogenesis. This effect was confirmed by the suppression of tube formation and migration assay in vitro [1].

In vivo: HS-173 diminished blood vessel formation in the Matrigel plug assay in mice. These results suggest that HS-173 has potent anti-angiogenic activity in vivo [1].

Clinical trials: Currently no clinical data are available.

Reference:

- [1] Lee H, Jung KH, Jeong Y, Hong S, Hong SS. HS-173, a novel phosphatidylinositol 3-kinase (PI3K) inhibitor, has anti-tumor activity through promoting apoptosis and inhibiting angiogenesis. Cancer Lett. 2013 Jan 1;328(1):152-9.

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