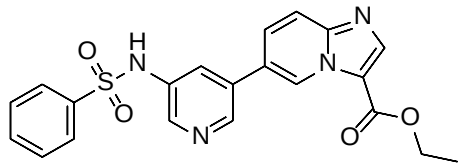
Product data sheet

MedKoo Cat#: 406355 Name: HS-173 CAS#: 1276110-06-5 Chemical Formula: $C_{21}H_{18}N_4O_4S$ Exact Mass: 422.1049 Molecular Weight: 422.46	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

HS-173 is a potent PI3Kα inhibitor with potential anticancer activity. HS-173 inhibited the PI3K signaling pathway, and showed anti-proliferative effects on cancer cells. Also, HS-173 induced cell cycle arrest at the G(2)/M phase and apoptosis. In addition, HS-173 decreased the expression HIF-1α and VEGF which play an important role in angiogenesis. This effect was confirmed by the suppression of tube formation and migration assay in vitro. Furthermore, HS-173 diminished blood vessel formation in the Matrigel plug assay in mice. Therefore, HS-173 is considered as a novel drug candidate to treat cancer patients.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	53.0	125.46
DMF	25.0	59.18
DMF:PBS (pH 7.2) (1:1)	0.50	1.18
Ethanol	1.0	2.37

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.37 mL	11.84 mL	23.67 mL
5 mM	0.47 mL	2.37 mL	4.73 mL
10 mM	0.24 mL	1.18 mL	2.37 mL
50 mM	0.05 mL	0.24 mL	0.47 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Foki E, Stanisz I, Kadletz L, Kotowski U, Seemann R, Schmid R, Heiduschka G. HS-173, a selective PI3K inhibitor, induces cell death in head and neck squamous cell carcinoma cell lines. Wien Klin Wochenschr. 2021 Jan;133(1-2):26-31. doi: 10.1007/s00508-020-01729-3. Epub 2020 Sep 2. PMID: 32876741.
2. Rumman M, Jung KH, Fang Z, Yan HH, Son MK, Kim SJ, Kim J, Park JH, Lim JH, Hong S, Hong SS. HS-173, a novel PI3K inhibitor suppresses EMT and metastasis in pancreatic cancer. Oncotarget. 2016 Nov 22;7(47):78029-78047. doi: 10.18632/oncotarget.12871. PMID: 27793006; PMCID: PMC5363641.

In vivo study

1. Rumman M, Jung KH, Fang Z, Yan HH, Son MK, Kim SJ, Kim J, Park JH, Lim JH, Hong S, Hong SS. HS-173, a novel PI3K inhibitor suppresses EMT and metastasis in pancreatic cancer. Oncotarget. 2016 Nov 22;7(47):78029-78047. doi: 10.18632/oncotarget.12871. PMID: 27793006; PMCID: PMC5363641.

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7. Bioactivity

Biological target: HS-173 is a PI3Kα inhibitor with IC50 of 0.8 nM.

In vitro activity

In order to evaluate the effect of HS-173 on the cell growth of pancreatic cancer, three cell lines (Panc-1, Miapaca-2 and Aspc-1) were used. When pancreatic cancer cells were treated with various concentrations (0.1-10 μM) of HS-173, it reduced the cell viability in a dose- and time-dependent manner (Figure 1A). In particular, 1 μM of HS-173 inhibited the cell growth by 40-50% in Miapaca-2 and Aspc-1 cells at 48 h. To further determine the sensitivity of HS-173, clonogenic assay was performed in Miapaca-2 cells for 14 days. In agreement with the MTT assay, HS-173 showed a significant drug response by the inhibition of colony formation in pancreatic cancer cells dose-dependently. Additionally, it was observed that colony formation by HS-173 was less than 50% in Miapaca-2 cells at dose of 1 μM (Figure 1B).

Reference: Oncotarget. 2016 Nov 22;7(47):78029-78047. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC5363641/

In vivo activity

To determine whether HS-173 is involved in tumorigenesis in vivo, xenograft and orthotopic pancreatic tumor models were used in the Balb/c nude mice. HS-173 dramtically reduced tumor volume and weight, compared with the control group in two mouse models (Figure 6A and 6B). Also, HS-173 significantly increased expression of TUNEL, cleaved caspase-3 along with decreased expression of PCNA in tumor tissues (Figure 6C). To further confirm whether or not HS-173 inhibits tumor growth through the regulation of EMT, the expression levels of Ecadherin, Vimentin, ZEB1 along with p-AKT and p-Smad2 were identified. Ecadherin was increased, whereas Vimentin and ZEB1 were downregulated in the HS-173 treated group (Figure 6D and 6E). Furthermore, HS-173 treatment decreased p-AKT and p-Smad2 in tumor tissues. These results demonstrate that HS-173 has potent anti-tumor efficacy by inhibiting EMT via regulation of PI3K/AKT and TGF/Smads pathways.

Reference: Oncotarget. 2016 Nov 22;7(47):78029-78047. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC5363641/