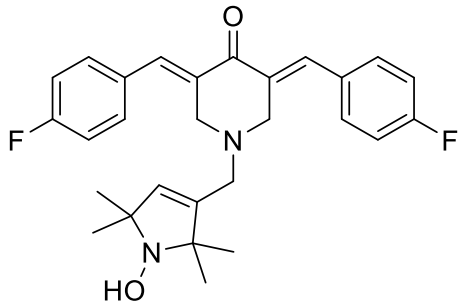
Product data sheet

MedKoo Cat#: 406527 Name: HO-3867 CAS: 1172133-28-6 Chemical Formula: $C_{28}H_{30}F_2N_2O_2$ Exact Mass: 464.2275 Molecular Weight: 464.5568	
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:

HO-3867 is a selective and potent STAT3 inhibitor. HO-3867 selectively inhibited STAT3 phosphorylation, transcription, and DNA binding without affecting the expression of other active STATs. HO-3867 exhibited minimal toxicity toward noncancerous cells and tissues but induced apoptosis in ovarian cancer cells. Pharmacologic analysis revealed greater bioabsorption and bioavailability of the active (cytotoxic) metabolites in cancer cells compared with normal cells. HO-3867 may be useful to treat ovarian cancer and other solid tumors where STAT3 is widely upregulated.

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
DMF	20.0	43.05
DMF:PBS (pH 7.2) (1:2)	0.33	0.71
DMSO	18.33	39.46
Ethanol	6.0	12.92

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	2.15 mL	10.76 mL	21.53 mL
5 mM	0.43 mL	2.15 mL	4.31 mL
10 mM	0.22 mL	1.08 mL	2.15 mL
50 mM	0.04 mL	0.22 mL	0.43 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. Lu PW, Chou CH, Yang JS, Hsieh YH, Tsai MY, Lu KH, Yang SF. HO-3867 Induces Apoptosis via the JNK Signaling Pathway in Human Osteosarcoma Cells. Pharmaceutics. 2022 Jun 13;14(6):1257. doi: 10.3390/pharmaceutics14061257. PMID: 35745828; PMCID: PMC9229449.
2. Chen CW, Hsieh MJ, Ju PC, Hsieh YH, Su CW, Chen YL, Yang SF, Lin CW. Curcumin analog HO-3867 triggers apoptotic pathways through activating JNK1/2 signalling in human oral squamous cell carcinoma cells. J Cell Mol Med. 2022 Apr;26(8):2273-2284. doi: 10.1111/jcmm.17248. Epub 2022 Feb 21. PMID: 35191177; PMCID: PMC8995445.

In vivo study

1. Das A, Kamran M, Ali N. HO-3867 Induces ROS-Dependent Stress Response and Apoptotic Cell Death in Leishmania donovani. Front Cell Infect Microbiol. 2021 Dec 3;11:774899. doi: 10.3389/fcimb.2021.774899. PMID: 34926321; PMCID: PMC8677699.

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2. Tierney BJ, McCann GA, Naidu S, Rath KS, Saini U, Wanner R, Kuppusamy P, Suarez A, Goodfellow PJ, Cohn DE, Selvendiran K. Aberrantly activated pSTAT3-Ser727 in human endometrial cancer is suppressed by HO-3867, a novel STAT3 inhibitor. Gynecol Oncol. 2014 Oct;135(1):133-41. doi: 10.1016/j.ygyno.2014.07.087. Epub 2014 Jul 16. PMID: 25038288; PMCID: PMC4283766.

7. Bioactivity

Biological target:

HO-3867 is a selective and potent STAT3 inhibitor.

In vitro activity

After treatment with HO-3867 for 24 h, U2OS, HOS, and MG-63 cells' viability in concentrations of 2, 4, 8, 16, and 32 μM of HO-3867 was significantly unlike that of controls (0 μM) and showed dose-dependently (U2OS: $p < 0.001$; HOS: $p < 0.001$; MG-63: $p < 0.001$). (Figure 1B) After 24 h of HO-3867 (4, 8, and 16 μM) treatment, cytotoxicity in U2OS and HOS cells had dose-dependent increases, and their half maximal inhibitory concentrations (IC_{50}) of HO-3867 were 6.91 μM in U2OS cells, 7.60 μM in HOS cells, and 12.24 μM in MG-63 cells. Moreover, cell proliferation was assessed by using the CCK-8 method in U2OS and HOS cells. As shown in Figure 1C,D, treatment of cells with HO-3867 for 24 h significantly decreased the proportion of viable cells in a concentration-dependent manner.

Reference: Pharmaceutics. 2022 Jun 13;14(6):1257. https://pubmed.ncbi.nlm.nih.gov/35745828/

In vivo activity

This study further observed a significantly increased TUNEL-positive staining in tumor tissues treated with HO-3867, when compared to untreated controls (Fig 6D), suggesting that HO-3867 induced apoptosis in vivo. Quantitation of the TUNEL positive cells showed a fourfold increase after HO-3867 treatment in tumor mice as compared to the untreated control (Fig. 6E). The data supports the conclusion that HO-3867 inhibits endometrial cancer through targeting STAT3 and its targeting proteins of cell-cycle and apoptosis.

Reference: Gynecol Oncol. 2014 Oct;135(1):133-41. https://pubmed.ncbi.nlm.nih.gov/25038288/