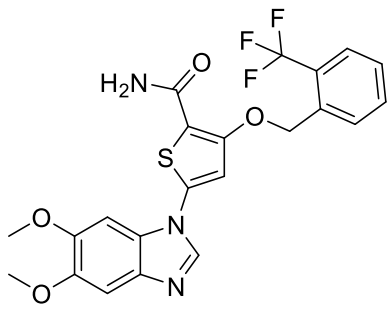
Product data sheet

MedKoo Cat#: 406798 Name: GW843682X CAS: 660868-91-7 Chemical Formula: $C_{22}H_{18}F_3N_3O_4S$ Exact Mass: 477.097 Molecular Weight: 477.4582	
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:

GW843682X is a selective inhibitor of polo-like kinase 1 (PLK1) and polo-like kinase 3 (PLK3) (IC50 values are 2.2 and 9.1 nM respectively). GW843682X inhibited the proliferation and induced apoptosis of 5-8F cells in a dose-dependent manner (IC50=62.5-125nmol/L). GW843682X exhibited remarkable cytotoxic effects on nasopharyngeal carcinoma 5-8F cells by down-regulating IAP gene expression, suggesting that GW843682X may become a novel therapeutic agent for nasopharyngeal carcinoma.

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	36.38	76.20
Ethanol	3.20	6.69

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.09 mL	10.47 mL	20.94 mL
5 mM	0.42 mL	2.09 mL	4.19 mL
10 mM	0.21 mL	1.05 mL	2.09 mL
50 mM	0.04 mL	0.21 mL	0.42 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. Hu J, Wang G, Liu X, Zhou L, Jiang M, Yang L. Polo-like kinase 1 (PLK1) is involved in toll-like receptor (TLR)-mediated TNF-α production in monocytic THP-1 cells. PLoS One. 2013 Oct 18;8(10):e78832. doi: 10.1371/journal.pone.0078832. PMID: 24205328; PMCID: PMC3799749.
2. Ikezoe T, Yang J, Nishioka C, Takezaki Y, Tasaka T, Togitani K, Koeffler HP, Yokoyama A. A novel treatment strategy targeting polo-like kinase 1 in hematological malignancies. Leukemia. 2009 Sep;23(9):1564-76. doi: 10.1038/leu.2009.94. Epub 2009 May 7. PMID: 19421227.

In vivo study

TBD

7. Bioactivity

Biological target:

GW843682X is a selective, ATP-competitive inhibitor of PLK1 and PLK3, with IC_{50}s of 2.2 nM and 9.1 nM, respectively, and is also >100-fold selective against ~30 other kinases.

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In vitro activity

The qRT-PCR and ELISA results showed that GW843682X down-regulated the expression of TNF-α induced by Pam3CSK4 or LPS and the expression of IL-8 induced by LPS at both the gene and protein levels (Figures 2A-D), but it did not affect the expression of IL-1β induced by Pam3CSK4 or LPS, or the expression of IL-8 induced by Pam3CSK4 (Figures 2A-D).

Reference: PLoS One. 2013 Oct 18;8(10):e78832. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC3799749/

In vivo activity

TBD

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.