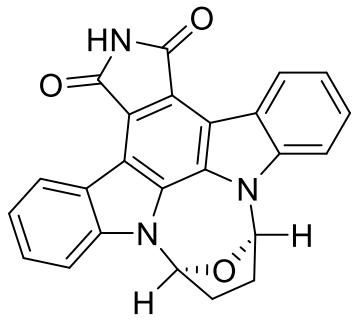
Product data sheet

MedKoo Cat#: 406348 Name: SB-218078 CAS#: 135897-06-2 Chemical Formula: $C_{24}H_{15}N_3O_3$ Exact Mass: 393.1113 Molecular Weight: 393.40	
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:
SB-218078 is a potent and selective indolocarbazole checkpoint kinase 1 (Chk1) inhibitor.

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	5	12.71
DMSO	5	12.71

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	2.54 mL	12.71 mL	25.42 mL
5 mM	0.51 mL	2.54 mL	5.08 mL
10 mM	0.25 mL	1.27 mL	2.54 mL
50 mM	0.05 mL	0.25 mL	0.51 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. Preet R, Siddharth S, Satapathy SR, Das S, Nayak A, Das D, Wyatt MD, Kundu CN. Chk1 inhibitor synergizes quinacrine mediated apoptosis in breast cancer cells by compromising the base excision repair cascade. Biochem Pharmacol. 2016 Apr 1;105:23-33. doi: 10.1016/j.bcp.2016.01.017. Epub 2016 Feb 2. PMID: 26850987.
2. Akasaka T, Tsujii M, Kondo J, Hayashi Y, Ying J, Lu Y, Kato M, Yamada T, Yamamoto S, Inoue T, Tsujii Y, Maekawa A, Fujinaga T, Shiraishi E, Hiyama S, Inoue T, Shinzaki S, Watabe K, Nishida T, Iijima H, Takehara T. 5-FU resistance abrogates the amplified cytotoxic effects induced by inhibiting checkpoint kinase 1 in p53-mutated colon cancer cells. Int J Oncol. 2015 Jan;46(1):63-70. doi: 10.3892/ijo.2014.2693. Epub 2014 Oct 6. PMID: 25310623.

In vivo study

1. Lee Y, Muddaluru V, Anwar S, Wilson JY, Campos AR. A screen of kinase inhibitors reveals a potential role of Chk1 in regulating Hydra head regeneration and maintenance. Int J Dev Biol. 2021;65(10-11-12):523-536. doi: 10.1387/ijdb.210087yl. PMID: 34549798.
2. Fishler T, Li YY, Wang RH, Kim HS, Sengupta K, Vassilopoulos A, Lahusen T, Xu X, Lee MH, Liu Q, Elledge SJ, Ried T, Deng CX. Genetic instability and mammary tumor formation in mice carrying mammary-specific disruption of Chk1 and p53. Oncogene. 2010 Jul 15;29(28):4007-17. doi: 10.1038/onc.2010.163. Epub 2010 May 17. PMID: 20473325; PMCID: PMC7316381.

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7. Bioactivity

Biological target:

SB-218078 blocks phosphorylation of cdc25 with an IC50 value of 15 nM. It inhibits cdc2 and PKC (IC50s = 250 and 1,000 nM, respectively). SB-218078 releases G2 cell cycle arrest induced by γ-irradiation or topotecan. SB-218078 enhances the cytotoxicity of DNA-damaging compounds.

In vitro activity

When combined with SB218078, quinacrine led to enhanced apoptosis in breast cancer cells by causing G2/M cell cycle arrest, mitotic catastrophe, and increased DNA damage. These effects were accompanied by RPA level decreases. The quinacrine/SB218078 combination disrupted BER induction, offering a potential novel approach for breast cancer treatment.

Reference: Biochem Pharmacol. 2016 Apr 1;105:23-33. https://pubmed.ncbi.nlm.nih.gov/26850987/

In vivo activity

When Chk1 in was inhibited by SB-218078, the cnidarian Hydra displayed an inability to regenerate the head and maintain head-specific structures. This treatment also led to a reduction in the proportion of epithelial cells, although it did not significantly affect interstitial stem cells or their derivatives. SB-216763 had no noticeable impact on the rates of mitosis or apoptosis.

Reference: Int J Dev Biol. 2021;65(10-11-12):523-536. https://pubmed.ncbi.nlm.nih.gov/34549798/

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.