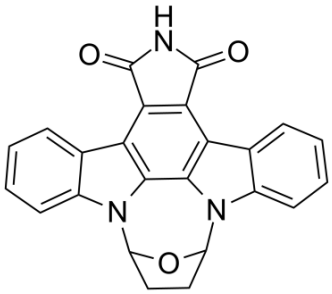
SB-218078

Cat. No.:	HY-107407
CAS No.:	135897-06-2
Molecular Formula:	$C_{24}H_{15}N_3O_3$
Molecular Weight:	393.39
Target:	Checkpoint Kinase (Chk); CDK; PKC; Apoptosis
Pathway:	Cell Cycle/DNA Damage; Epigenetics; TGF-beta/Smad; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



BIOLOGICAL ACTIVITY

Description	SB-218078 is a potent, selective, ATP-competitive and cell-permeable checkpoint kinase 1 (Chk1) inhibitor that inhibits Chk1 phosphorylation of cdc25C with an IC_{50} of 15 nM. SB-218078 is less potently inhibits Cdc2 (IC_{50} of 250 nM) and PKC (IC_{50} of 1000 nM). SB-218078 causes apoptosis by DNA damage and cell cycle arrest[1][2][3].

IC_{50} & Target	Chk1	Cdc2	PKC	Apoptosis
	15 nM (IC_{50})	250 nM (IC_{50})	1000 nM (IC_{50})	

In Vitro

SB-218078 (2.5-5 μM; 18 hours; HeLa cells) treatment abrogates G2 cell cycle arrest caused by either γ-irradiation or a topoisomerase I Topotecan inhibition[1].

SB-218078 (500-625 μM; 96 hours; HeLa and HT-29 cells) treatment significantly increases the cytotoxicity of DNA damage [1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cycle Analysis[1]

Cell Line:	HeLa cells
Concentration:	2.5 μM, 5 μM
Incubation Time:	18 hours
Result:	Abrogated G2 cell cycle arrest caused by γ-irradiation and topoisomerase I inhibition.

Cell Cytotoxicity Assay[1]

Cell Line:	HeLa and HT-29 cells
Concentration:	500 nM, 625 nM
Incubation Time:	96 hours
Result:	Enhanced cytotoxicity of DNA damage.

In Vivo

SB-218078 (5 mg/kg; intraperitoneal injection; for 16 hours; C57/Bl6 mice) treatment could promote a strong increase of γ-H2AX and apoptosis throughout the lymphoma, while having no effect on a healthy spleen in Myc-induced lymphomas

mouse model[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	C57/Bl6 mice injected with ARF$^{-/-}$ lymphomas[2]
Dosage:	5 mg/kg
Administration:	Intraperitoneal injection; for 16 hours
Result:	Promoted a strong increase of γ-H2AX and apoptosis throughout the lymphoma.

CUSTOMER VALIDATION

- J Cell Biol. 2021 Feb 1;220(2):e201911025.

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REFERENCES

[1]. Jackson JR, et al. An indolocarbazole inhibitor of human checkpoint kinase (Chk1) abrogates cell cycle arrest caused by DNA damage. Cancer Res. 2000 Feb 1;60(3):566-72.

[2]. Murga M, et al. Exploiting oncogene-induced replicative stress for the selective killing of Myc-driven tumors. Nat Struct Mol Biol. 2011 Nov 27;18(12):1331-1335.

[3]. Preet R, et al. 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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA