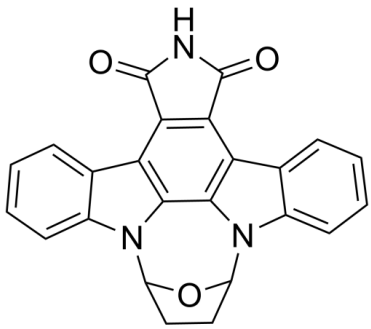
Data Sheet

Product Name:	SB-218078
Cat. No.:	CS-0028421
CAS No.:	135897-06-2
Molecular Formula:	$C_{24}H_{15}N_3O_3$
Molecular Weight:	393.39
Target:	Apoptosis; CDK; Checkpoint Kinase (Chk); PKC
Pathway:	Apoptosis; Cell Cycle/DNA Damage; Epigenetics; TGF-beta/Smad
Solubility:	10 mM in DMSO



BIOLOGICAL ACTIVITY:

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]. **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]. **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].

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.

CAIndexNames:

9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocine-1,3(2H)-dione, 9,10,11,12-tetrahydro-

SMILES:

O=C1NC(C(C2=C3N(C4CCC5O4)C6=CC=CC=C62)=C1C7=C3N5C8=CC=CC=C78)=O

Caution: Product has not been fully validated for medical applications. For research use only.

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