

## Product Data Sheet

Product Name: SB 218078

Cat. No.: GC11022

### Chemical Properties

Cas. No. 135897-06-2

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

SMILES O=C(NC1=O)C2=C1C3=C4C5=C2C6=C(C=CC=C6)N5C7OC(CC7)N4C8=C3C=CC=C8

Formula  $C_{24}H_{15}N_3O_3$

M.Wt 393.4

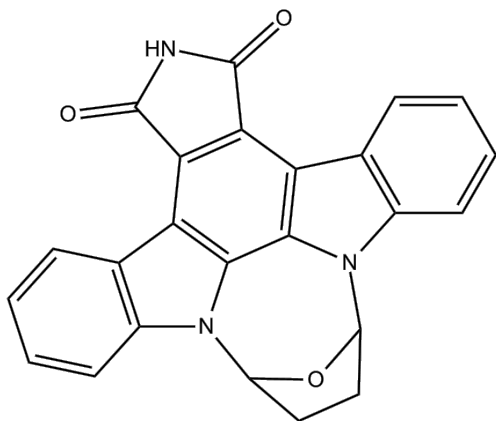
Solubility  $\leq 5\text{mg/ml}$  in DMSO;  $5\text{mg/ml}$  in dimethyl formamide

Storage Store at  $-20^\circ\text{C}$

General tips For obtaining a higher solubility, please warm the tube at  $37^\circ\text{C}$  and shake it in the ultrasonic bath for a while. Stock solution can be stored below  $-20^\circ\text{C}$  for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



### Background

Targets: Chk1, cdc2 and PKC

IC<sub>50</sub>: 15, 250 and 1000 nM for Chk1, cdc2 and PKC respectively

SB 218078 is a potent inhibitor of checkpoint kinase 1, with the IC<sub>50</sub> values of 15, 250 and 1000 nM

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

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for Chk1, cdc2 and PKC, respectively.

**In Vitro:** An in vitro kinase assay found that SB 218078 could potently inhibit the phosphorylation of Chk1, with the IC<sub>50</sub> of 15 nM. In Hela cells, SB 218078 could cause complete abrogation of G2 cell cycle arrest induced by topotecan, with the minimum concentration of 2.5  $\mu$ M [1]. Besides, 15 nM SB 218078 could effectively inhibit NKG2D ligand upregulation induced by aphidicolin in fibroblasts [2]. Furthermore, treated GM02188 cells with 2.5  $\mu$ M SB 218078 could inhibit the formation of HU-induced 53bp1 foci and prevent recovery from replication fork stalling induced by APH [3].

**In Vivo:** In Myc-induced lymphomas mouse model, treatment with SB 218078 with the dose of 5 mg/kg could promote a strong increase of  $\gamma$ -H2AX and apoptosis throughout the lymphoma, while having no effect on a healthy spleen [4].

**Clinical trial:** No data available recently

### References:

- [1] Jackson J R, Gilmartin A G, Imburgia C S, et al. An Indolocarbazole Inhibitor of Human Checkpoint Kinase (Chk1) Abrogates Cell Cycle Arrest Caused by DNA Damage[J]. Cancer Research, 2000, 60(3): 566-572.
- [2] Gasser S, Orsulic S, Brown E J, et al. The DNA damage pathway regulates innate immune system ligands of the NKG2D receptor[J]. Nature, 2005, 436(7054): 1186-1190.
- [3] Alderton G K, Galbiati L, Griffith E, et al. Regulation of mitotic entry by microcephalin and its overlap with ATR signalling[J]. Nature Cell Biology, 2006, 8(7): 725-733.
- [4] Murga M, Campaner S, Lopez-Contreras A J, et al. Exploiting oncogene-induced replicative stress for the selective killing of Myc-driven tumors[J]. Nature structural & molecular biology, 2011, 18(12): 1331-1335.

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