TOCRIS bioscience

Print Date: Dec 16th 2011

Certificate of Analysis

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Product Name: SB 218078 **Catalog No.: 2560** **Batch No.: 1**

CAS Number: 135897-06-2

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

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{24}H_{15}N_3O_3$

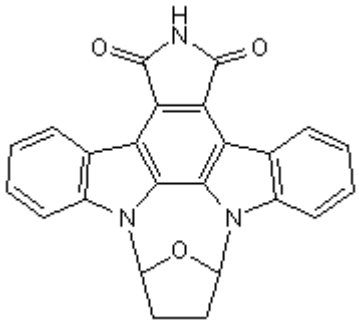
Batch Molecular Weight: 393.39

Physical Appearance: Yellow solid

Solubility: DMSO to 100 mM

Storage: Store at RT

Batch Molecular Structure:



2. ANALYTICAL DATA

TLC: R_f = 0.43 (Diethyl ether)

HPLC: Shows >98.3% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	73.27	3.84	10.68
Found	72.99	3.85	10.67

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Description:

Inhibitor of checkpoint kinase 1 (Chk1) that displays selectivity over other protein kinases (IC_{50} values are 15, 250 and 1000 nM for Chk1, cdc2 and PKC respectively). Abrogates G_2 cell cycle arrest caused by γ-irradiation and topoisomerase I inhibition. Potentiates cytotoxicity of DNA-damaging drugs, enhancing the efficacy of some chemotherapeutics.

Physical and Chemical Properties:

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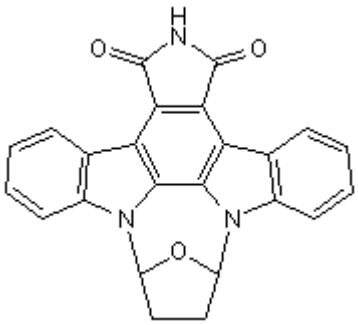
Minimum Purity: >98%

Batch Molecular Structure:



Storage: Store at RT

Solubility & Useage Info:

DMSO to 100 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

References:

Jackson *et al* (2000) An indolocarbazole inhibitor of human checkpoint kinase (Chk1) abrogates cell cycle arrest caused by DNA damage. Cancer Res. ***60*** 566. PMID: 10676638.

Kawabe (2004) G_2 checkpoint abrogators as anticancer drugs. Mol.Cancer Ther. ***3*** 513. PMID: 15078995.

Chen *et al* (2006) Checkpoint kinase 1-mediated phosphorylation of cdc25C and bad proteins are involved in antitumor effects of loratadine-induced G_2/M phase cell-cycle arrest and apoptosis. Mol.Carcinogenesis ***45*** 461.