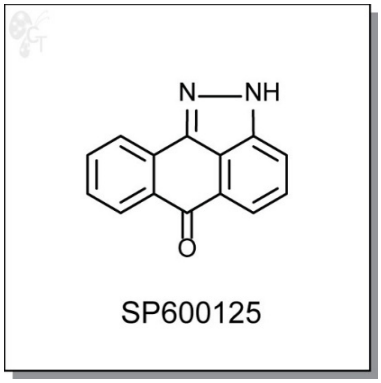
Product Specification Sheet

Product Name: SP600125

Catalog Number: C7760



Technical information:

Chemical Formula:	$C_{14}H_8N_2O$
CAS #:	129-56-6
Molecular Weight:	220.23
Purity:	> 98%
Appearance:	Yellow solid
Solubility:	Soluble in DMSO up to 100mM
Chemical Name:	2H-Dibenzo[cd,g]indazol-6-one
Storage:	Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.
Shelf Life:	In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.

Handling:

- To make 10 mM stock solution, add 0.454mL of DMSO for each mg of SP600125.
- For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity:

SP600125 is a reversible ATP-competitive, anthrapyrazolone-based inhibitor of JNK2 and JNK3, with IC50 values of 110 and 190 nM, respectively. In a selectivity panel, SP600125 was 10-fold selecxtive over MKK4, 25-fold selective over MKK3, MKK6, PKB, and PKCa, and 100-fold selective for all other kinases tested. [1] SP600125 dose-dependently inhibits phosphorylation fo c-Jun and the expression of inflammatory genes COX-2 (5 uM), IL-2 (6 uM), IFN-g (7 uM), and TNF-a (10 uM).

Independent of JNK activity, SP600125 has been shown to prevent the entry of cells into mitosis and leads to endoreplication of DNA from the G2 phase. This inhibition predominantly occurs upstream of AIK and PLK1. [2]

SP600125 has also been shown to be a ligand and antagonist of the aryl hydrocarbon receptor (AhR). In a dose-dependent manner, SP600125 suppressed the inducdtion of CYP1A1 by TCDD and TCDD-induced AhR-DNA complexes. Addition of SP600125 to cytosol just prior to TCDD addition completely suppresses AhR transformation and DNA binding (IC50 ~ 7 uM) [3].

Reference:

1. Bennett et al., SP600125, an anthrapyrazolone inhibitor of Jun N-terminal kinase. Proc. Natl. Acad. Sci., 2001, 98(24), 13681-13686. Pubmed ID: 11717429
2. Kim et al., SP600125 suppresses Cdk1 and induces endoreplication directly from G2 phase, independent of JNK inhibition. Oncogene, 2010, 29(11), 1702-1716. Pubmed ID: 20062077
3. Joiakim et al., The Jun N-terminal kinase inhibitor SP600125 is a ligand and antagonist of the aryl hydrocarbon receptor. Drug Metab. Dispos. 2003, 31(11), 1279-1282. Pubmed ID: 14570754

To reorder: http://www.cellagentech.com/SP600125/

For Technical Support: technical@cellagentech.com

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