

Certificate of Analysis

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Product Name: PRT 4165

Catalog No.: 5047

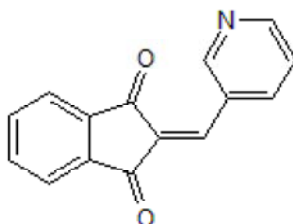
Batch No.: 1

CAS Number: 31083-55-3

IUPAC Name: 2-(3-Pyridinylmethylene)-1*H*-Indene-1,3(2*H*)-dione

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula:	C ₁₅ H ₉ NO ₂ ·0.1H ₂ O
Batch Molecular Weight:	237.04
Physical Appearance:	Yellow solid
Solubility:	DMSO to 100 mM ethanol to 10 mM with gentle warming 1eq. HCl to 5 mM with gentle warming
Storage:	Store at +4°C
Batch Molecular Structure:	



2. ANALYTICAL DATA

HPLC:	Shows 100% purity
¹H NMR:	Consistent with structure
Mass Spectrum:	Consistent with structure
Microanalysis:	

	Carbon	Hydrogen	Nitrogen
Theoretical	76	3.91	5.91
Found	75.92	3.79	5.97

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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Description:

PRT 4165 is an inhibitor of Bmi1/Ring1A, subunits of the polycomb repressive complex 1 (PRC1); PRT 4165 inhibits self-ubiquitination ($IC_{50} = 3.9 \mu\text{M}$) but does not increase cellular levels of either subunit. PRT 4165 prevents Bmi1/Ring1A-mediated ubiquitination and drug-induced degradation of topoisomerase 2 α (Top2 α). PRT 4165 inhibits the in vitro E3 ubiquitin ligase activity of RNF2 and a Bmi1/RNF2 complex, inhibiting H2A/H2AX ubiquitination. PRT 4165 blocks polycomb repressor complex (PRC) 1-mediated histone H2A ubiquitination in vitro.

Physical and Chemical Properties:

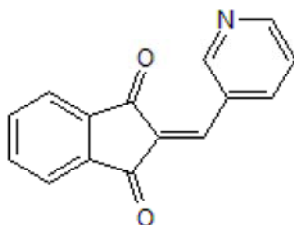
Batch Molecular Formula: C₁₅H₉NO₂·0.1H₂O

Batch Molecular Weight: 237.04

Physical Appearance: Yellow solid

Minimum Purity: ≥99%

Batch Molecular Structure:



References:

Ismail *et al* (2013) A small molecule inhibitor of polycomb repressive complex 1 inhibits ubiquitin signaling at DNA double-strand breaks. *J.Biol.Chem.* **288** 26944. PMID: 23902761.

Alchanati *et al* (2009) The E3 ubiquitin-ligase Bmi1/Ring1A controls the proteasomal degradation of Top2 α cleavage complex - a potentially new drug target. *PLoS One* **4** e8104. PMID: 19956605.

Storage: Store at +4°C

Solubility & Usage Info:

DMSO to 100 mM

ethanol to 10 mM with gentle warming

1eq. HCl to 5 mM with gentle warming

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.

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