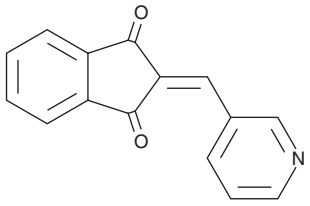
PRODUCT INFORMATION

PRT4165

Item No. 19093

CAS Registry No.:	31083-55-3
Formal Name:	2-(3-pyridinylmethylene)-1H-indene-1,3(2H)-dione
Synonym:	NSC 600157
MF:	$C_{15}H_9NO_2$
FW:	235.2
Purity:	≥98%
UV/Vis.:	λ_{max}: 245, 264, 330 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

PRT4165 is supplied as a crystalline solid. A stock solution may be made by dissolving the PRT4165 in the solvent of choice, which should be purged with an inert gas. PRT4165 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of PRT4165 in these solvents is approximately 1, 2, and 10 mg/ml, respectively.

PRT4165 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PRT4165 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. PRT4165 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

BMI1/RING1A and BMI1/RNF2 are E3 ubiquitin ligase complexes that mediate the monoubiquitination of histone 2A (H2A). This is an essential function of polycomb repressive complex 1 (PRC1).[1] PRT4165 is an inhibitor of the ubiquitin ligase activity of PRC1.[2,3] It blocks BMI1/RING1A self-ubiquitination (IC_{50} = 3.9 µM), as well as polyubiquitination of topoisomerase 2α in cells.[2] PRT4165 inhibits ubiquitination of H2A by either RNF2 or RING1. Through these actions, PRT4165 prevents the accumulation of detectable ubiquitin at DNA double-strand breaks, the retention of response proteins around breaks, and the repair of breaks.[3]

References

1. Cao, J. and Yan, Q. Histone ubiquitination and deubiquitination in transcription, DNA damage response, and cancer. *Frontiers in Oncology* **2(26)**, 1-9 (2012).
2. Alchanati, I., Teicher, C., Cohen, G., *et al.* The E_3 ubiquitin-ligase Bmi1/Ring1A controls the proteasomal degradation of Top2α cleavage complex - a potentially new drug target. *PLoS One* **4(12)**, (2009).
3. Ismail, I.H., McDonald, D., Strickfaden, H., *et al.* A small molecule inhibitor of polycomb repressive complex 1 inhibits ubiquitin signaling at DNA double-strand breaks. *J. Biol. Chem.* **288(37)**, 26944-26954 (2013).

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