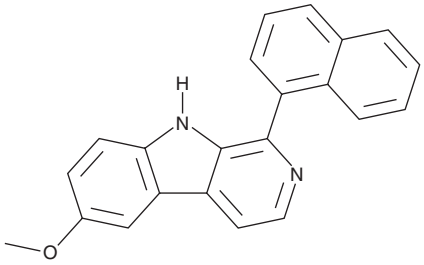
PRODUCT INFORMATION

SP-141

Item No. 17003

CAS Registry No.: 1253491-42-7
Formal Name: 6-methoxy-1-(1-naphthalenyl)-9H-pyrido[3,4-b]indole
Synonym: AGN-PC-0D106I
MF: $C_{22}H_{16}N_2O$
FW: 324.4
Purity: ≥98%
UV/Vis.: λ_{max}: 221, 300, 365 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

SP-141 is supplied as a crystalline solid. A stock solution may be made by dissolving the SP-141 in the solvent of choice. SP-141 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of SP-141 in these solvents is approximately 100, 30, and 50 mg/ml, repsectively.

SP-141 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, SP-141 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. SP-141 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Mouse double minute 2 protein (MDM2) is an E3 ubiquitin-protein ligase that binds and ubiquitinates the tumor suppressor p53, leading to its degradation by the proteasome.[1] SP-141 is a cell-permeable inhibitor of MDM2 (K_i = 28 nM).[2] Binding of MDM2 by SP-141 promotes its auto-ubiquitination and proteasomal degradation.[2,3] SP-141 induces cell cycle arrest and apoptosis in breast and pancreatic cancer cell lines and inhibits xenograft tumor growth *in vivo*.[2,3] This compound has a short half-life in plasma and wide tissue distribution in tumor-bearing nude mice.[4]

References

1. Vassilev, L.T., Vu, B.T., Graves, B., *et al.* *In vivo* activation of the p53 pathway by small-molecule antagonists of MDM2. *Science* **303**, 844-848 (2004).
2. Wang, W., Qin, J.-J., Voruganti, S., *et al.* The pyrido[b]indole MDM2 inhibitor SP-141 exerts potent therapeutic effects in breast cancer models. *Nat. Commun.* **5**, 1-12 (2014).
3. Wang, W., Qin, J.J., Voruganti, S., *et al.* Identification of a new class of MDM2 inhibitor that inhibits growth of orthotopic pancreatic tumors in mice. *Gastroenterology* **147(4)**, 893-902 (2014).
4. Nag, S., Qin, J.J., Voruganti, S., *et al.* Development and validation of a rapid HPLC method for quantitation of SP-141, a novel pyrido[b]indole anticancer agent, and an initial pharmacokinetic study in mice. *Biomed. Chromatogr.* **29(5)**, 654-663 (2015).

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