

Product Data Sheet

Chemical Properties

Product Name:	SP 141
Cas No.:	1253491-42-7
M.Wt:	324.4
Formula:	C22H16N2O
Synonyms:	AGN-PC-0D106I
Chemical Name:	6-methoxy-1-(1-naphthalenyl)-9H-pyrido[3,4-b]indole
Canonical SMILES:	COC1=CC2=C(C=C1)NC(C2=CC=N3)=C3C4=C(C=CC=C5)C5=CC=C4
Solubility:	Soluble in DMSO
Storage:	Store at -20°C
General tips:	For obtaining a higher solubility , please warm the tube at 37 $^\circ$ C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20 $^\circ$ C for several months.
Shopping Condition:	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request

Biological Activity

Targets :	Apoptosis
Pathways:	MDM2

Description:

SP 141 is a specific, potent and selective small-molecule antagonist of MDM2 with Ki value of 28±6 nM [2][3][4].

Mouse double minute 2 protein (Mdm2) is an ubiquitin ligase that promotes p53 degradation, a tumor suppressor that controls a major pathway protecting cells from malignant transformation [1].

SP 141 is a potent and selective MDM2 inhibitor. In breast cancer cell lines, SP-141 reduced cell viability with IC50 less than 1 μ M (0.39-0.91 μ M) and inhibited cancer cell colony formation in a

concentration-dependent way. SP-141 also increased apoptosis and concentration-dependently inhibited cell proliferation. In both MCF-7 and MDA-MB-468 cells, SP-141 increased the degradation rate of the MDM2 protein [2].

In nude mice bearing MCF-7 and MDA-MB-468 xenograft tumours, intraperitoneal (i.p.) injection of SP-141 inhibited tumour growth by ~82% and ~80%, respectively [2]. In pancreatic xenograft and orthotopic mouse models, intraperitoneal (i.p.) injections of SP141 significantly inhibited the growth of pancreatic xenograft tumors and caused complete tumor regression of orthotopic pancreatic tumors [3]. In tumor-bearing nude mice, SP-141 had a short half-life in plasma and wide tissue distribution [4].

Reference:

[1]. Vassilev LT, Vu BT, Graves B, et al. In vivo activation of the p53 pathway by small-molecule antagonists of MDM2. Science. 2004 Feb 6;303(5659):844-8.

[2]. Wang W, Qin JJ, Voruganti S, et al. The pyrido[b]indole MDM2 inhibitor SP-141 exerts potent therapeutic effects in breast cancer models. Nat Commun. 2014 Oct 1;5:5086.

[3]. Wang W, Qin JJ, Voruganti S, et al. Identification of a new class of MDM2 inhibitor that inhibits growth of orthotopic pancreatic tumors in mice. Gastroenterology. 2014 Oct;147(4):893-902.e2.

[4]. Nag S, Qin JJ, 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. 2015 May;29(5):654-63.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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