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产品名称：AVN-944
产品别名：VX-944

生物活性：

Description

AVN-944(VX-944) is a selective, noncompetitive inhibitor of the enzyme directed against human IMPDH with Ki of 6-10 nM for IMPDH1/IMPDH2. IC50 value: 6-10 nM (Ki) [1] Target: IMPDH in vitro: AVN-944 strikingly inhibit RNA synthesis within 2 h of exposure. Depletion of guanine nucleotides by MPA and AVN-944 also causes an early and near-complete reduction in levels of the 45S precursor rRNA synthesis and the concomitant translocation of nucleolar proteins including nucleolin, nucleophosmin, and nucleostemin from the nucleolus to the nucleoplasm [2]. AVN944 induced caspase-dependentand caspase-independent cell death in LNCaP, CWR22Rv1, and DU145 cells. AVN944 induced expression of p53-target proteins Bok, Bax and Noxa in androgen-responsive cell lines and suppressed expression of survivin in prostate cancer cells regardless of their androgen sensitivity. AVN944 also induced differentiation of androgen-independent prostate cancer cells as indicated by morphological changes and increased expression of genes coding for prostasomal proteins, keratins and other proteins, including tumor suppressor genes MIG-6 and NDRG1. AVN944-differentiated androgen-independent DU145 and PC-3 cells are sensitized to TRAIL-induced apoptosis as demonstrated by induction of caspases and PARP cleavage [3].

Solvent&Solubility

In Vitro:

DMSO : ≥ 31 mg/mL (64.92 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0942 mL	10.4710 mL	20.9420 mL
	5 mM	0.4188 mL	2.0942 mL	4.1884 mL
	10 mM	0.2094 mL	1.0471 mL	2.0942 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

References

[1]. Zimmermann AG, et al. Inosine-5'-monophosphate dehydrogenase: regulation of expression and role in cellular proliferation and T lymphocyte activation. Prog Nucleic Acid Res Mol Biol. 1998;61:181-209.

[2]. Huang M, et al. Guanine nucleotide depletion inhibits pre-ribosomal RNA synthesis and causes nucleolar disruption. Leuk Res. 2008 Jan;32(1):131-41.

[3]. Floryk D, et al. Antiproliferative effects of AVN944, a novel inosine 5-monophosphate dehydrogenase inhibitor, in prostate cancer cells. Int J Cancer. 2008 Nov 15;123(10):2294-302.