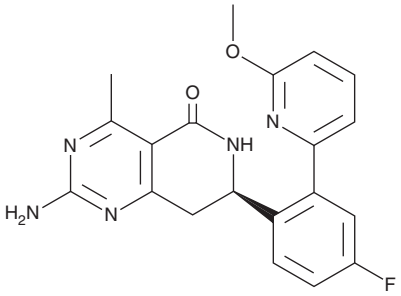
PRODUCT INFORMATION

HSP-990

Item No. 19149

CAS Registry No.:	934343-74-5
Formal Name:	(7R)-2-amino-7-[4-fluoro-2-(6-methoxy-2-pyridinyl)phenyl]-7,8-dihydro-4-methyl-pyrido[4,3-d]pyrimidin-5(6H)-one
Synonym:	NVP-HSP990
MF:	$C_{20}H_{18}FN_5O_2$
FW:	379.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 269 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

HSP-990 is supplied as a crystalline solid. A stock solution may be made by dissolving the HSP-990 in the solvent of choice, which should be purged with an inert gas. HSP-990 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of HSP-990 in these solvents is approximately 25 mg/ml.

HSP-990 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, HSP-990 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. HSP-990 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

The heat shock proteins (Hsps) act as molecular chaperones. Hsp90 is an abundant protein with roles in protein folding, cell signaling, and cancer. HSP-990 is an Hsp90 inhibitor with IC_{50} values of 0.6, 0.8, and 8.5 nM for Hsp90α, Hsp90β, and GRP94, respectively.[1] It inhibits the TRAP1 ATPase with an IC_{50} value of 320 nM and demonstrates IC_{50} values of >5 µM in a panel of 51 unrelated kinases.[1] In c-Met amplified GTL-16 gastric tumor cells, HSP-990 has been shown to dissociate the Hsp90-p23 complex, depleting the client protein c-Met and inducing Hsp70.[1] HSP-990 can inhibit proliferation of various human tumor cell lines with GI_{50} values of 4-40 nM.[1] Single oral administration of 15 mg/kg of HSP-990 was shown to induce sustained downregulation of c-Met and upregulation of Hsp70 in a GTL-16 xenograft model.[1]

Reference

1. Menezes, D.L., Taverna, P., Jensen, M.R., *et al*. The novel oral Hsp90 inhibitor NVP-HSP990 exhibits potent and broad-spectrum antitumor activities *in vitro* and *in vivo*. *Mol. Cancer Ther.* **11(3)**, 730-739 (2012).

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