

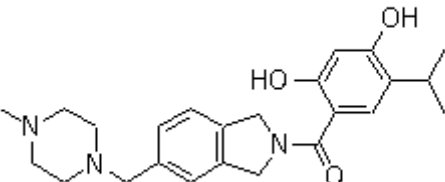


Product Introduction

AT13387

AT13387 is a selective potent **Hsp90** inhibitor with **IC50** of 18 nM in A375 cells, displays a long duration of anti-tumor activity.

Technical Data:

Molecular Weight (MW):	409.52	
Formula:	C ₂₄ H ₃₁ N ₃ O	
Solubility (25 °C)	DMSO 25 mg/mL	
* <1 mg/ml means slightly soluble or insoluble:	Water <1mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20°C Powder 6 months-80°C in DMSO	
CAS No.:	912999-49-6	

Biological Activity

The K_d for AT13387 binding is 0.7 nM. This compares to a K_d of 6.7 nM for the binding of the ansamycin 17-AAG to the same site. The mean stoichiometry of binding for AT13387 is 1.03. The inhibition of a number of isolated kinases by AT13387 is also investigated including CDK 1, CDK 2, CDK4, FGFR3, PKB-b, JAK2, VEGFR2, PDGFRβ and Aurora B. None of the tested kinases are significantly inhibited at concentrations below 30 μM. AT13387 is a potent inhibitor of the proliferation and survival of many different cell lines (such as MES-SA cell line) from a variety of different tumor types. Across a panel of 30

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tumor cell lines, AT13387 potently inhibits cell proliferation with GI50 values in the range 13-260 nM. AT13387 inhibits proliferation of the non-tumorigenic human prostate epithelial cell line PNT2 with a GI50 value of 480 nM. [2]

When given on an intermittent basis, AT13387 could be tolerated at doses of up to 70 mg/kg twice weekly or 90 mg/kg once weekly. Body weight loss in mice does not exceed 20% before recovering in all cases except one, and loss is highest following the second dose. Tumor growth inhibition is similar in NCI-H1975 for both dosing regimens. The maintenance of antitumor effects with such a prolonged off-treatment period is consistent with the extended pharmacodynamic action of AT13387 observed for mutant EGFR and other biomarkers in vitro and in vivo and the extended retention of AT13387 in tumors. [2]

References

[1] Lyons J, et al. Poser A217.

[2] Graham B, et al. Cancer Sci. 2012, 103(3), 522-527.

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