

产品名称: **NVP-BVU972**

产品别名: **NVP-BVU972**

生物活性:					
Description	<p>NVP-BVU972 is a selective and potent Met inhibitor (IC50 = 14 nM). Antitumor agents. IC50 value: 14 nM</p> <p>[1] Target: Met NVP-BVU972 potently inhibits MET kinase but displays low inhibition against other kinases including the most closely related kinase RON with IC50 values of more than 1000 nM. NVP-BVU972 also suppresses constitutive MET phosphorylation in GTL-16 cells or HGF-stimulated MET phosphorylation in A549 cells with IC50 values of 7.3 nM and 22 nM, respectively. NVP-BVU972 potently prevents the growth of the MET gene amplified cell lines GTL-16, MKN-45 and EBC-1 with IC50 values of 66 nM, 82 nM and 32 nM, respectively. In line with their high frequency in the NVP-BVU972 screen, Y1230 and D1228 mutations give rise to dramatic shifts in the measured IC50 values for NVP-BVU972 in BaF3 cell line. Resistance triggered by V1155L is more limited to NVP-BVU972. A dose-dependent reduction in TPR-MET phosphorylation when applying NVP-BVU972 to BaF3 cells expressing wild-type TPR-MET. Both Y1230H and D1228A mutations abrogated the effect of NVP-BVU972 but not AMG 458. However, F1200I and L1195V interferes with the potency of NVP-BVU972 to prevent TPR-MET phosphorylation.</p>				
Solvent&Solubility	<p><b>In Vitro:</b></p> <p><b>DMSO : ≥ 42 mg/mL (123.39 mM)</b></p> <p>* "≥" means soluble, but saturation unknown.</p>				
	Preparing  Stock Solutions	<div>Solvent / Mass / Concentration</div>	1 mg	5 mg	10 mg
		1 mM	2.9379 mL	14.6895 mL	29.3789 mL
		5 mM	0.5876 mL	2.9379 mL	5.8758 mL
		10 mM	0.2938 mL	1.4689 mL	2.9379 mL
<p>*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。</p> <p>储备液的保存方式和期限 -80℃, 6 months; -20℃, 1 month。 -80℃ 储存时, 请在 6 个月内使用, -20℃ 储存时, 请在 1 个月内使用。</p>					
References	<p>[1]. <a href="#">Tiedt, Ralph, et al. A Drug Resistance Screen Using a Selective MET Inhibitor Reveals a Spectrum of Mutations That Partially Overlap with Activating Mutations Found in Cancer Patients. Cancer Research (2011), 71(15), 5255-5264.</a></p> <p>[2]. <a href="#">Shin JS, et al. NPS-1034, a novel MET inhibitor, inhibits the activated MET receptor and its constitutively active mutants. Invest New Drugs. 2013 Oct 31.</a></p>				