



上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话: 021-61312973 传真: 021-55068248
网址: www.shyuanye.com
邮箱: shyysw@sina.com

产品名称: **N-(1-phenylethyl)-2-(pyrrolidin-1-yl)quinazolin-4-aMine**
产品别名: **Importazole**

生物活性:				
Description	Importazole is a small molecule inhibitor of the nuclear transport receptor importin- β .			
In Vitro	Importazole specifically blocks importin- β -mediated nuclear import both in <i>Xenopus</i> egg extracts and cultured cells, without disrupting transportin-mediated nuclear import or CRM1-mediated nuclear export. Importazole impairs the release of an importin- β cargo FRET probe and causes both predicted and novel defects in spindle assembly[1]. Importazole displays an IC50 of approximately 15 μ M for inhibition of NFAT-GFP import. Importazole has an IC50 of approximately 22.5 μ M in HeLa cells following treatment over a 24-hour period[1]. Importazole induces a dose-and time-dependent inhibition of myeloma cells growth. And the IC50 values of importazole on RPMI 8226 and NCI-H929 after 48 hours incubation are (4.43 \pm 0.41) and (4.78 \pm 0.35) μ mol/L, respectively. Treatment of RPMI 8226 and NCI-H929 cells with 8 μ mol/L importazole for 24 h could inhibit NF- κ B import to nucleus and reduce its DNA binding activity[2].			
Solvent&Solubility	In Vitro: DMSO : \geq 33 mg/mL (103.64 mM) * "≥" means soluble, but saturation unknown.			
		<div>SolventMass Concentration</div>	1 mg	5 mg
	Preparing	1 mM	3.1405 mL	15.7025 mL
	Stock Solutions	5 mM	0.6281 mL	3.1405 mL
		10 mM	0.3141 mL	1.5703 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。 In Vivo: 请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液, 再依次添加助溶剂: ——为保证实验结果的可靠性, 澄清的储备液可以根据储存条件, 适当保存; 体内实验的工作液, 建议您现用现配, 当天使用; 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比; 如在配制过程中出现沉淀、析出现象, 可以通过加热和/或超声的方式助溶 1.请依序添加每种溶剂: 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline Solubility: \geq 2.5 mg/mL (7.85 mM); Clear solution 此方案可获得 \geq 2.5 mg/mL (7.85 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μ L 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μ L PEG300 中, 混合均匀; 向上述体系中加入 50 μ L Tween-80, 混合均匀; 然后继续加入 450 μ L 生理盐水定容至 1 mL。 2.请依序添加每种溶剂: 10% DMSO →90% corn oil Solubility: \geq 2.5 mg/mL (7.85 mM); Clear solution 此方案可获得 \geq 2.5 mg/mL (7.85 mM, 饱和度未知) 的澄清溶液, 此方案不适用于实验周期在半个月以上的				



上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话: 021-61312973 传真: 021-55068248
网址: www.shyuanye.com
邮箱: shyysw@sina.com

	实验。 以 1 mL 工作液为例, 取 100 μ L 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μ L 玉米油中, 混合均匀。
References	[1]. Soderholm JF, et al. Importazole, a small molecule inhibitor of the transport receptor importin- β . ACS Chem Biol. 2011 Jul 15;6(7):700-8. [2]. Yan WQ, et al. Effect of nuclear receptor inhibitor importazole on the proliferation and apoptosis of multiple myeloma cells. Zhonghua Xue Ye Xue Za Zhi. 2013 Apr;34(4):323-6.
实验参考:	
Cell Assay	HEK 293 cells stably expressing NFAT-GFP are grown on glass coverslips to approximately 50% confluency prior to drug treatment. In all cases, importazole is used at 40 μ M and leptomycin B is used at 10 ng/mL. For controls, DMSO is used at a concentration of 0.4%. Ionomycin is added at 1.25 μ M. Importazole and leptomycin B treatments are all for 1 hour. In all experiments cells are fixed with 4% formaldehyde prior to fluorescence microscopy. DNA is visualized with 1 μ g/mL Hoechst dye. For quantification, 100 cells from each condition are analyzed and the percentage that shows nuclear accumulation of NFAT-GFP are calculated[1].
References	[1]. Soderholm JF, et al. Importazole, a small molecule inhibitor of the transport receptor importin- β . ACS Chem Biol. 2011 Jul 15;6(7):700-8. [2]. Yan WQ, et al. Effect of nuclear receptor inhibitor importazole on the proliferation and apoptosis of multiple myeloma cells. Zhonghua Xue Ye Xue Za Zhi. 2013 Apr;34(4):323-6.

源叶生物