碧云天生物技术/Beyotime Biotechnology
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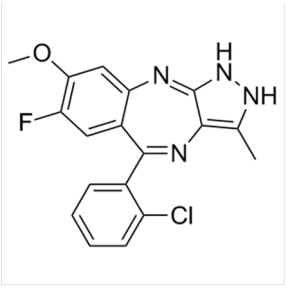
R1530 (VEGFR抑制剂)

产品编号	产品名称	包装
SF5404-10mM	R1530 (VEGFR抑制剂)	10mM×0.2ml
SF5404-5mg	R1530 (VEGFR抑制剂)	5mg
SF5404-25mg	R1530 (VEGFR抑制剂)	25mg

产品简介：

➢ **化学信息：**

化学名	5-(2-chlorophenyl)-7-fluoro-8-methoxy-3-methyl-1,2-dihydropyrazolo[3,4-b][1,4]benzodiazepine
简称	R1530
别名	UNII-XQJ55R5PPQ, CHEMBL1980391, R1530, $C_{18}H_{14}ClFN_4O$
中文名	N/A
化学式	$C_{18}H_{14}ClFN_4O$
分子量	356.78
CAS号	882531-87-5
纯度	98%
溶剂/溶解度	Water <1mg/ml; DMSO 54mg/ml; Ethanol <1mg/ml
溶液配制	5mg加入1.40ml DMSO，或每3.57mg加入1ml DMSO，配制成10mM溶液。SF5404-10mM用DMSO配制。



➢ **生物信息：**

产品描述	R1530 is the multikinase inhibitor with potential antiangiogenesis and antineoplastic activities. R1530 is also a mitosis-angiogenesis inhibitor (MAI) that inhibits multiple receptor tyrosine kinases involved in angiogenesis, such as vascular endothelial				
信号通路	Protein Tyrosine Kinase				
靶点	VEGFR	PDGFR	—	—	—
IC50	—	—	—	—	—
体外研究	In the presence of R1530, polyploid cancer cells underwent apoptosis or became senescent which translated into potent in vitro and in vivo efficacy. Normal proliferating cells were resistant to R1530-induced polyploidy thus supporting the rationale for cancer therapy by induced polyploidy. Mitotic checkpoint kinase BubR1 was found downregulated during R1530-induced exit from mitosis, a likely consequence of PLK4 inhibition. R1530 strongly inhibited human tumor cell proliferation. Growth factor-driven proliferation of endothelial and fibroblast cells was also inhibited.				
体内研究	Significant tumor growth inhibition was demonstrated in a lung cancer xenograft model with a range of once daily, weekly and twice-weekly doses of R1530 (3.125-50mg/kg qd, 100mg/kg qw, 100mg/kg biw). Daily doses were most effective in the lung cancer model and also had significant growth inhibitory effects in models of colorectal, prostate, and breast tumors. Tumor regression occurred in all models treated with the maximum tolerated daily dose (50mg/kg). The doses of 25 and 50mg/kg qd resulted in biologically significant increased survival in all tested models. After oral administration in nude mice, R1530 showed good tissue penetration. Exposure was dose dependent up to 100mg/kg with oral administration.				
临床实验	A Multiple Ascending Dose Study of R-1530 in Patients With Advanced Solid Tumors. Phase 1.				
特征	N/A				

➢ **相关实验数据(此数据来自于公开文献，碧云天并不保证其有效性)：**

酶活性检测实验	
方法	N/A

细胞实验

细胞系	N/A
浓度	N/A
处理时间	N/A
方法	N/A

动物实验	
动物模型	N/A
配制	N/A
剂量	N/A
给药方式	N/A

- **参考文献：**

1. Tovar C, et al. Cell Cycle. 2010 Aug 15, 9(16), 3364-75.
2.Kolinsky K, et al. Cancer Chemother Pharmacol. 2011 Dec, 68(6), 1585-94.

包装清单：

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SF5404-25mg	R1530 (VEGFR抑制剂)	25mg
—	说明书	1份

保存条件：

-20ºC保存，至少一年有效。5mg和25mg包装也可以室温保存，至少6个月有效。如果溶于非DMSO溶剂，建议分装后-80ºC保存，预计6个月有效。

注意事项：

- 本产品对人体有刺激性，操作时请小心，并注意适当防护以避免直接接触人体或吸入体内。
- 本产品仅限于专业人员的科学研究用，不得用于临床诊断或治疗，不得用于食品或药品，不得存放于普通住宅内。
- 为了您的安全和健康，请穿实验服并戴一次性手套操作。

使用说明：

1. 收到产品后请立即按照说明书推荐的条件保存。使用前可以在2,000-10,000g离心数秒，以使液体或粉末充分沉淀至管底后再开盖使用。
2. 对于10mM溶液，可直接稀释使用。对于固体，请根据本产品的溶解性及实验目的选择相应溶剂配制成高浓度的储备液(母液)后使用。
3. 具体的最佳工作浓度请参考本说明书中的体外、体内研究结果或其他相关文献，或者根据实验目的，以及所培养的特定细胞和组织，通过实验进行摸索和优化。
4. 不同实验动物依据体表面积的等效剂量转换表请参考如下网页：
   http://www.beyotime.com/support/animal-dose.htm

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