产品名称：BMS-265246
产品别名：BMS-265246

生物活性：

Description

BMS-265246 is a potent and selective CDK1/2 inhibitor for CDK1/cyclin B and CDK2/cyclin E with IC50 of 6 nM and 9 nM, respectively. IC50 Value: 6 nM(for CDK1/cyclin B); 9 nM(for CDK2/cyclin E) Target: CDK1/2 in vitro: BMS-265246 inhibits the activity of Cdk4/cycD (IC50 = 0.23 μM) and prevents A2780 Cytox with IC50 of 0.76 μM. BMS-265246 when bound to Cdk2, shows the inhibitor resides within the ATP purine binding site and forms important H-bonds with Leu83 on the protein backbone. BMS-265246 represents the most potent Cdk/Cdk2 selective analogue from this chemotype. A recent study shows that BMS-265246 inhibits cell proliferation with EC50 ranging from 0.293 μM-0.492 μM in HCT-116 cells. After treatment of BMS-265246, the dominant cell populations are G2-arrested cells having 4N DNA content, large round nuclei, and low DNA intensity. in vivo:

IC_{50} & Target

CDK1/cycB	CDK2/Cyc E	CDK4/cycD
6 nM (IC_{50})	9 nM (IC_{50})	230 nM (IC_{50})

Solvent&Solubility

In Vitro:

DMSO : 12.5 mg/mL (**36.20 mM;** Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8957 mL	14.4785 mL	28.9570 mL
	5 mM	0.5791 mL	2.8957 mL	5.7914 mL
	10 mM	0.2896 mL	1.4478 mL	2.8957 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 →90% corn oil

Solubility: ≥ 1.25 mg/mL (3.62 mM); Clear solution

此方案可获得 ≥ 1.25 mg/mL (3.62 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实验。

以 1 mL 工作液为例，取 100 μL 12.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。

References

[1]. Misra RN, Xiao H, Rawlins DB et al. 1H-Pyrazolo[3,4-b]pyridine inhibitors of cyclin-dependent kinases: highly potent 2,6-Difluorophenacyl analogues. Bioorg Med Chem Lett. 2003 Jul 21;13(14):2405-8.