产品名称：**BIBX 1382**
产品别名：**Falnidamol**

生物活性：

Description	Falnidamol (BIBX 1382) is an orally active, selective EGFR tyrosine kinase inhibitor with an IC50 of 3 nM. Falnidamol displays > 1000-fold lower potency against ErbB2 (IC50=3.4 μM) and a range of other related tyrosine kinases (IC50>10 μM). Falnidamol is a pyrimido-pyrimidine compound and has anti-cancer activity[1][2].	
IC_{50} & Target	EGFR	ErbB2
	3 nM (IC_{50})	3.4 μM (IC50)
In Vitro	Falnidamol (BIBX 1382) demonstrates antiproliferative activity in mitogenic assays performed with KB cells[2].	
In Vivo	Falnidamol (BIBX 1382; p.o.; 10 mg/kg/day; 16 days) completely suppressed tumor growth of human A431 xenografts with respective a T/C value of 15% after 2 weeks of treatment[2]. Falnidamol (50 mg/kg/day for 2 weeks) results in dephosphorylation of the EGF receptor in A431 xenograft-bearing mice[2]. With Falnidamol (p.o.; 10 mg/kg/day; 16 days), the C4h is 2222 nM and the C24h is 244 nM[2].	
	Animal Model:	Five- to six-week-old athymic NMRI-nu/nu female mice (21-31 g) with A431, FaDu, or HN5 cells[2]
	Dosage:	10 mg/kg
	Administration:	p.o.; daily; 16 days
	Result:	Completely suppressed tumor growth of human A431 xenografts with respective T/C values of 15 and 6% after 2 weeks of treatment.
	Animal Model:	Five- to six-week-old athymic NMRI-nu/nu female mice (21–31 g) with A431 cells[2]
	Dosage:	10 mg/kg (Pharmacokinetic Analysis)
	Administration:	p.o.; daily; 16 days
	Result:	The C_{4h} is 2222 nM and the C_{24h} is 244 nM.

In Vitro:

DMSO： ≥ 41 mg/mL (105.71 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5784 mL	12.8919 mL	25.7838 mL
	5 mM	0.5157 mL	2.5784 mL	5.1568 mL
	10 mM	0.2578 mL	1.2892 mL	2.5784 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：

Solvent&Solubility	——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: ≥ 1.67 mg/mL (4.31 mM); Clear solution 此方案可获得 ≥ 1.67 mg/mL (4.31 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 16.699999 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。
References	[1]. Dittrich Ch, et al. Phase I and pharmacokinetic study of BIBX 1382 BS, an epidermal growth factor receptor (EGFR) inhibitor, given in a continuous daily oral administration. Eur J Cancer. 2002 May;38(8):1072-80. [2]. Solca FF, et al. Inhibition of epidermal growth factor receptor activity by two pyrimidopyrimidine derivatives. J Pharmacol Exp Ther. 2004 Nov;311(2):502-9.