产品名称：NCB-0846
产品别名：NCB-0846

生物活性：

Description	NCB-0846 is an orally available TNIK inhibitor with an IC50 of 21 nM.	
IC_{50} & Target	Wnt	TNIK
		21 nM (IC_{50})
In Vitro	NCB-0846 has anti-Wnt activity. NCB-0846 binds to TNIK in an inactive conformation, and this binding mode seems to be essential for Wnt inhibition. NCB-0846 shows inhibitory activity against TNIK with an IC50 of 21 nM. NCB-0846 also inhibits FLT3, JAK3, PDGFRα, TRKA, CDK2/CycA2, and HGK. NCB-0846 induces faster migration of TCF4 phosphorylated by TNIK within a concentration range of 0.1-0.3 μM and completely inhibits the phosphorylation of TCF4 at a concentration of 3 μM. NCB-0846 inhibits HCT116 cell growth and shows much higher (-20-fold) inhibitory activity against colony formation by the same cells in soft agar[1].	
In Vivo	NCB-0846 suppresses the growth of tumors established by inoculating HCT116 cells into immunodeficient mice. The expression of Wnt-target genes (AXIN2, MYC and CCND1) in xenografts is reduced following the administration of NCB-0846. NCB-0846 induces an increase in the sub-G1 cell population. Cleavage of poly (ADP-ribose) polymerase 1 indicates the induction of apoptosis[1].	

Solvent&Solubility

In Vitro:

DMSO : ≥ 30 mg/mL (79.91 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6637 mL	13.3184 mL	26.6368 mL
	5 mM	0.5327 mL	2.6637 mL	5.3274 mL
	10 mM	0.2664 mL	1.3318 mL	2.6637 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: ≥ 2.25 mg/mL (5.99 mM); Clear solution

此方案可获得 ≥ 2.25 mg/mL (5.99 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 22.5 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。

2.请依序添加每种溶剂： 10% DMSO →90% corn oil

	Solubility: ≥ 2.25 mg/mL (5.99 mM); Clear solution 此方案可获得 ≥ 2.25 mg/mL (5.99 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 22.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Masuda M, et al. TNIK inhibition abrogates colorectal cancer stemness. Nat Commun. 2016 Aug 26;7:12586.

实验参考：

Animal Administration	Mice: NCB-0846 is suspended in DMSO/polyethylene glycol#400/30% 2-hydroxypropyl-β-cyclodextrin solution (10:45:45v/v). Five million HCT116 cells suspended in medium containing 25% Matrigel are inoculated into the subcutaneous tissues of 9-week-old female BALB/c nude mice. Mice are randomized according to tumour volume (9 mice per group). NCB-0846 was administered daily by oral gavage at 0 (vehicle alone), 40 or 80 mg/kg (body weight) BID (bis in die) for 14 days[1].
References	[1]. Masuda M, et al. TNIK inhibition abrogates colorectal cancer stemness. Nat Commun. 2016 Aug 26;7:12586.