产品名称：**BP-1-102**
产品别名：**BP-1-102**

生物活性：

Description	BP-1-102 is an orally available, small-molecule inhibitor of transcription factor Stat3, with an IC_{50} of 6.8 μM.
IC_{50} & Target	STAT3
	6.8 μM (IC_{50})
In Vitro	BP-1-102 binds Stat3 with an affinity K_D of 504 nM. BP-1-102 inhibits Stat3 DNA-binding activity *in vitro*, with an IC_{50}value of 6.8±0.8 μM. It blocks Stat3-phospho-tyrosine peptide interactions and Stat3 activation at 4-6.8 μM, and selectively inhibits growth, survival, migration, and invasion of Stat3-dependent tumor cells. BP-1-102-mediated inhibition of aberrantly active Stat3 in tumor cells suppresses the expression of c-Myc, Cyclin D1, Bcl-xL, Survivin, VEGF, and Krüppel-like factor 8[1].
In Vivo	Mice therapeutically given BP-1-102, an orally bioavailable compound targeting STAT3/NF-kB activation and cross-talk, exhibit reduced colon tumorigenesis and diminished expression of STAT3/NF-kB-activating cytokines in the neoplastic areas[2]. BP-1-102 is orally bioavailable and that the agent accumulates in tumor tissues at levels sufficient to inhibit aberrantly active Stat3 functions and inhibit tumor growth[1].

Solvent&Solubility

In Vitro:

DMSO : ≥ 33 mg/mL (52.67 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.5959 mL	7.9797 mL	15.9594 mL
	5 mM	0.3192 mL	1.5959 mL	3.1919 mL
	10 mM	0.1596 mL	0.7980 mL	1.5959 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: ≥ 2.5 mg/mL (3.99 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (3.99 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 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: ≥ 2.5 mg/mL (3.99 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (3.99 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 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Zhang X, et al. Orally bioavailable small-molecule inhibitor of transcription factor Stat3 regresses human breast and lung cancer xenografts. Proc Natl Acad Sci U S A. 2012 Jun 12;109(24):9623-8. [2]. De Simone V, et al. Th17-type cytokines, IL-6 and TNF-α synergistically activate STAT3 and NF-kB to promote colorectal cancer cell growth. Oncogene. 2015 Jul;34(27):3493-503.

实验参考：

Cell Assay	Proliferating cells in 6- or 96-well plates are treated once with 0-30 μM BP-1-102 for 24 h or with 10 μM BP-1-102 for up to 96 h. Viable cells are counted by trypan blue exclusion/phase-contrast microscopy or assessed by a cell proliferation kit[1].
Animal Administration	Mice: Athymic nude mice with established tumors are grouped and then given BP-1-102 (in 0.05% DMSO in water) at 1 or 3mg/kg (i.v.) every 2 or every 3 d or 3 mg/kg (oral gavage, 100 μL) every day for 15 or 20 d. Animals are monitored every day, and tumor sizes are measured with calipers and body weights are taken every 2 or 3 d. For each treatment group, the tumor volumes for each set of measurements are statistically analyzed in comparison with the control group using a paired T test[1].
References	[1]. Zhang X, et al. Orally bioavailable small-molecule inhibitor of transcription factor Stat3 regresses human breast and lung cancer xenografts. Proc Natl Acad Sci U S A. 2012 Jun 12;109(24):9623-8. [2]. De Simone V, et al. Th17-type cytokines, IL-6 and TNF-α synergistically activate STAT3 and NF-kB to promote colorectal cancer cell growth. Oncogene. 2015 Jul;34(27):3493-503.