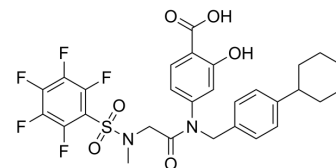


## BP-1-102

<b>Cat. No.:</b>	HY-100493		
<b>CAS No.:</b>	1334493-07-0		
<b>Molecular Formula:</b>	C <sub>29</sub> H <sub>27</sub> F <sub>5</sub> N <sub>2</sub> O <sub>6</sub> S		
<b>Molecular Weight:</b>	626.59		
<b>Target:</b>	STAT		
<b>Pathway:</b>	JAK/STAT Signaling; Stem Cell/Wnt		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : ≥ 33 mg/mL (52.67 mM)  
 \* "≥" means soluble, but saturation unknown.

Concentration	Mass		
	1 mg	5 mg	10 mg
<b>1 mM</b>	1.5959 mL	7.9797 mL	15.9594 mL
<b>5 mM</b>	0.3192 mL	1.5959 mL	3.1919 mL
<b>10 mM</b>	0.1596 mL	0.7980 mL	1.5959 mL

Please refer to the solubility information to select the appropriate solvent.

#### In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
 Solubility: ≥ 2.5 mg/mL (3.99 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
 Solubility: ≥ 2.5 mg/mL (3.99 mM); Clear solution

### BIOLOGICAL ACTIVITY

#### Description

BP-1-102 is an orally available, small-molecule inhibitor of transcription factor Stat3, with an IC<sub>50</sub> of 6.8 μM.

#### IC<sub>50</sub> & Target

STAT3  
 6.8 μM (IC<sub>50</sub>)

#### In Vitro

BP-1-102 binds Stat3 with an affinity K<sub>D</sub> of 504 nM. BP-1-102 inhibits Stat3 DNA-binding activity in vitro, with an IC<sub>50</sub> 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<sup>[1]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### In Vivo

Mice therapeutically given BP-1-102, an orally bioavailable compound targeting STAT3/NF- $\kappa$ B activation and cross-talk, exhibit reduced colon tumorigenesis and diminished expression of STAT3/NF- $\kappa$ B-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<sup>[1]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## PROTOCOL

#### Cell Assay <sup>[1]</sup>

Proliferating cells in 6- or 96-well plates are treated once with 0-30  $\mu$ M BP-1-102 for 24 h or with 10  $\mu$ M 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<sup>[1]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### Animal Administration <sup>[1]</sup>

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  $\mu$ L) 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 <sup>[1]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## CUSTOMER VALIDATION

- Cell Metab. 2023 Oct 3;35(10):1688-1703.e10.
- Nat Commun. 2022 Nov 29;13(1):7345.
- Cell Commun Signal. 2020 Jul 8;18(1):104.
- Oncogene. 2018 Nov;37(45):5952-5966.
- Exp Ther Med. 2023 Mar 15.

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## 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- $\alpha$  synergistically activate STAT3 and NF- $\kappa$ B to promote colorectal cancer cell growth. Oncogene. 2015 Jul;34(27):3493-503.

**Caution: Product has not been fully validated for medical applications. For research use only.**

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: [tech@MedChemExpress.com](mailto:tech@MedChemExpress.com)

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA