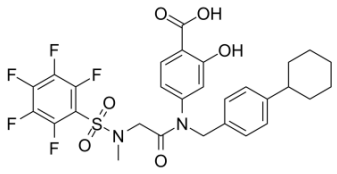
BP-1-102

Cat. No.:	HY-100493
CAS No.:	1334493-07-0
Molecular Formula:	$C_{29}H_{27}F_5N_2O_6S$
Molecular Weight:	626.59
Target:	STAT
Pathway:	JAK/STAT Signaling; Stem Cell/Wnt
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



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

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

In Vivo

1. 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
2. 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_{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].

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

PROTOCOL

Cell Assay [1]	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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Oncogene. 2018 Nov;37(45):5952-5966.
- Cell Commun Signal. 2020 Jul 8;18(1):104.

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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-α synergistically activate STAT3 and NF-kB to promote colorectal cancer cell growth. Oncogene. 2015 Jul;34(27):3493-503.

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