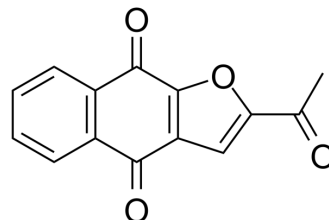


Napabucasin

Cat. No.:	HY-13919		
CAS No.:	83280-65-3		
Molecular Formula:	C ₁₄ H ₈ O ₄		
Molecular Weight:	240.21		
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 : 4.44 mg/mL (18.48 mM; Need ultrasonic)
 H₂O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	4.1630 mL	20.8151 mL	41.6302 mL
	5 mM	0.8326 mL	4.1630 mL	8.3260 mL
	10 mM	0.4163 mL	2.0815 mL	4.1630 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: 0.5 mg/mL (2.08 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: 0.5 mg/mL (2.08 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 0.5 mg/mL (2.08 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Napabucasin (BBI608) is a STAT3 inhibitor which blocks stem cell activity in cancer cells.
IC₅₀ & Target	STAT3
In Vitro	Napabucasin inhibits the expressions of stemness markers and kill stemness-high cancer cells isolated from several kinds of tumors except PCa. Napabucasin not only inhibits cell proliferation, cell motility, cell survival, colony formation ability, and

tumorigenic potential of PCa cells, and increases cell apoptosis and sensitivity to docetaxel, but also effectively blocks sphere formation of PrCSCs and kill them as well as inhibits stemness gene expression. Napabucasin inhibits cell proliferation in PC-3 cells and 22RV1 cells at 48, 72, 96, and 120 h ($P < 0.05$). Cell motility and colony formation ability are closely correlated with the process of tumor metastasis. Napabucasin significantly decreases colony formation and cell motility ability of PCa cell lines in vitro ($P < 0.05$). The proliferation of PC-3 and 22RV1 cells treated with 1 μM Napabucasin are significantly decreased from day 2 to 5 compared with the control group ($P < 0.05$)^[1].

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

In Vivo

Napabucasin (40 mg/kg) or Docetaxel significantly reduces xenograft tumor growth and tumor volume (TV) compared with PBS ($P < 0.05$). Notably, while no differences are observed between the Napabucasin and the docetaxel groups in PC-3 mouse xenograft models, the TV in Napabucasin group is even lower than docetaxel group in 22RV1 mouse xenograft models ($P < 0.05$). Additionally, Napabucasin or docetaxel also significantly reduces tumor weight compared with PBS ($P < 0.05$)^[1].

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

PROTOCOL

Cell Assay ^[1]

The antiproliferative activity of Napabucasin against the PCa cell lines PC-3 and 22RV1 is examined. For cell proliferation assay, the PCa cell lines (22RV1 and PC-3) are seeded in 96-well plates at 2×10^3 cells/well in a final volume of 100 μL and incubated overnight. The proliferation of PC-3 and 22RV1 cells treated with 1 μM Napabucasin. The viability of cells is determined with CellTiter 96 non-radioactive cell proliferation assay (MTS). For colony formation assay, cells are placed in a six-well plate and maintained in RPMI-1640 supplemented with 10% FBS for 2 weeks. The colonies are fixed with 4% paraformaldehyde, stained with 0.1% crystal violet and counted^[1].

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

Animal Administration ^[1]

Mice^[1]

A total of 1×10^6 PC-3 cells or 8×10^6 22RV1 cells in 100 μL of PBS are injected subcutaneously into dorsal flanks of an immunodeficient nude mouse. The animals are treated i.p. with Napabucasin (40 mg/kg), Docetaxel (10 mg/kg), or PBS q3d once the tumors have reached 50 mm^3 . The tumor volume (TV) is calculated every 4 days according to the following standard formula: $\text{TV} (\text{mm}^3) = \text{length} \times \text{width}^2 \times 0.5$.

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

CUSTOMER VALIDATION

- J Exp Clin Cancer Res. 2019 Jul 5;38(1):289.
- J Med Chem. 2020 Sep 10;63(17):9838-9855.
- Cells. 2020 Mar 15;9(3):722.
- Cancer Med. 2016 Jun;5(6):1251-8.
- Oncotargets Ther. 2020 Oct 16;13:10567-10580.

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REFERENCES

[1]. Zhang Y, et al. Suppression of prostate cancer progression by cancer cell stemness inhibitor napabucasin. Cancer Med. 2016 Jun;5(6):1251-8.

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

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