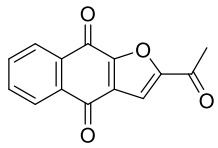
Product data sheet

MedKoo Cat#: 206601 Name: Napabucasin CAS#: 83280-65-3 Chemical Formula: $C_{14}H_8O_4$ Exact Mass: 240.0423 Molecular Weight: 240.21	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Napabucasin, also known as BBI-608, is an orally available cancer cell stemness inhibitor with potential antineoplastic activity. Even though the exact target has yet to be fully elucidated, BBI608 appears to target and inhibit multiple pathways involved in cancer cell stemness. This may ultimately inhibit cancer stemness cell (CSC) growth as well as heterogeneous cancer cell growth. CSCs, self-replicating cells that are able to differentiate into heterogeneous cancer cells, appear to be responsible for the malignant growth, recurrence and resistance to conventional chemotherapies.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	10.0	41.63

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	4.16 mL	20.82 mL	41.63 mL
5 mM	0.83 mL	4.16 mL	8.33 mL
10 mM	0.42 mL	2.08 mL	4.16 mL
50 mM	0.08 mL	0.42 mL	0.83 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Li Y, Han Q, Zhao H, Guo Q, Zhang J. Napabucasin Reduces Cancer Stem Cell Characteristics in Hepatocellular Carcinoma. Front Pharmacol. 2020 Dec 3;11:597520. doi: 10.3389/fphar.2020.597520. PMID: 33343368; PMCID: PMC7744694.
2. Schmidtova S, Dorssers LCJ, Kalavska K, Gillis AJM, Oosterhuis JW, Stoop H, Miklikova S, Kozovska Z, Burikova M, Gercakova K, Durinikova E, Chovanec M, Mego M, Kucerova L, Looijenga LHJ. Napabucasin overcomes cisplatin resistance in ovarian germ cell tumor-derived cell line by inhibiting cancer stemness. Cancer Cell Int. 2020 Aug 3;20:364. doi: 10.1186/s12935-020-01458-7. PMID: 32774158; PMCID: PMC7397611.

In vivo study

1. Huang X, Jin A, Wang X, Gao X, Xu H, Chung M, Dai Q, Yang Y, Jiang L. Napabucasin Induces Mouse Bone Loss by Impairing Bone Formation via STAT3. Front Cell Dev Biol. 2021 Mar 18;9:648866. doi: 10.3389/fcell.2021.648866. PMID: 33816498; PMCID: PMC8014090.
2. Leijten NM, Bakker P, Spaink HP, den Hertog J, Lemeer S. Thermal Proteome Profiling in Zebrafish Reveals Effects of Napabucasin on Retinoic Acid Metabolism. Mol Cell Proteomics. 2021 Feb 13;20:100033. doi: 10.1074/mcp.RA120.002273. Epub ahead of print. PMID: 33594990; PMCID: PMC7950114.

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7. Bioactivity

Biological target:

Napabucasin is a STAT3 inhibitor which blocks stem cell activity.

In vitro activity

As shown in Figure 2A, napabucasin significantly decreased the viability of Huh7 and Hepa1-6 cells in a time- and concentration-dependent manner. Consistent with this, the proportion of napabucasin-treated cells in the G1-phase was significantly lower compared to that of the untreated controls, which corresponded to an increase in the G2/M-phase cells ($P < 0.05$; Figure 2B). Furthermore, the apoptosis rates in Huh7 cells increased to 11.11%, 14.38% and 62.99% respectively within 12 h of exposure to 1, 2 and 5 μM napabucasin (Figure 2C), and similar results were obtained with Hepa1-6 cells as well. Taken together, napabucasin inhibited HCC cell growth in a concentration-dependent manner by inducing apoptosis and G2/M-phase arrest.

Reference: Front Pharmacol. 2020; 11: 597520. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7744694/

In vivo activity

After injecting Napabucasin (10 mg/kg) for 1 month, the terminal mouse body weights were greatly reduced in the Napabucasin group compared with mice in the control group (Figure 4A). As shown in Figures 4B,C, the phosphorylation of STAT3 was obviously blocked after one-month of Napabucasin injections in this study's immunofluorescence investigation. H&E staining shown that Napabucasin injection-induced bone loss in the femora (Figure 4D). Then this study assessed the bone mass of femora from mice injected with vehicle or Napabucasin by micro-CT. Parameters such as BMD (Figure 4G), BV/TV (Figure 4H), Tb.Th. (Figure 4I), and Tb.N. (Figure 4J) were apparently reduced after 1-month injections of Napabucasin, while Tb.Sp. (Figure 4K) increased. However, Ct.Th. (Figure 4L) did not differ in this experiment. These results implied that the STAT3 inhibitor Napabucasin decreased the bone mass of WT mice.

Reference: Front Cell Dev Biol. 2021; 9: 648866. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC8014090/