

Produktinformation



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Zellkultur & Verbrauchsmaterial



Diagnostik & molekulare Diagnostik



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Proteins

Product Data Sheet

OT-82

Cat. No.: HY-136241 CAS No.: 1800487-55-1 Molecular Formula: $C_{26}H_{21}FN_{4}O$ Molecular Weight: 424.47

Target: NAMPT; Caspase

Pathway: Metabolic Enzyme/Protease; Apoptosis

Storage: -20°C Powder 3 years

4°C 2 years

-80°C In solvent 2 years

> -20°C 1 year

SOLVENT & SOLUBILITY

In Vitro

DMSO: 100 mg/mL (235.59 mM; Need ultrasonic)

	Solvent Mass Concentration	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	2.3559 mL	11.7794 mL	23.5588 mL
	5 mM	0.4712 mL	2.3559 mL	4.7118 mL
	10 mM	0.2356 mL	1.1779 mL	2.3559 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: ≥ 2.08 mg/mL (4.90 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (4.90 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (4.90 mM); Clear solution

BIOLOGICAL ACTIVITY

Description OT-82 is a potent, selective and orally active inhibitor of NAMPT. OT-82 is selectively toxic to cells of hematopoietic origin and induces cell death in a NAD⁺ dependent manner. OT-82 is a promising antineoplastic agent for the study of hematological malignancies^[1].

IC50: Nampt^[1] IC₅₀ & Target

In Vitro OT-82 (0.0001-10 µM; 72 hours) demonstrates tissue-selective (HP vs non-HP) cytotoxicity. It is against HP cell lines MV4-11, U937, RS4;11, HEL92.1.7 and PER485 cell growth with IC $_{50}$ values of 2.11 nM, 2.70 nM, 1.05 nM and 1.36 nM, respectively. It also against nonHP cell lines MCF-7, U87, HT29, and H1299 cell growth with IC $_{50}$ values of 37.92 nM, 29.52 nM, 15.67 nM and 7.95 nM, respectively^[1].

OT-82 demonstrates cancer-selective (tumor vs normal) cytotoxicity. It more sensitive to BMMNC from leukemia patients, the IC $_{50}$ values are 31 nM and 7.10 nM for AML and ALL donors, respectively. The IC $_{50}$ value is 62.69 nM for BMMNC from healthy donors^[1].

OT-82 (0.001-10 μ M; 48 hours) inhibits recombinant NAMPT activity and causes dose-dependent reductions in cellular NAD and ATP concentrations in MV4-11 cells^[1].

OT-82 (0.01-100 nM; 48 hours) results in activation of caspase-3, an increase in the proportion of cells with sub-G1 DNA content, and depolarization of the mitochondrial membrane in MV4-11 cells^[1].

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

Cell Viability Assay^[1]

Cell Line:	HP cell lines (MV4–11, U937, RS4;11, HEL92.1.7, PER485) Non-HP cell lines (MCF-7, U87, HT29, H1299)
Concentration:	0.0001 μΜ-10 μΜ
Incubation Time:	72 hours
Result:	Was against human cell lines derived from hematological malignancies (HP) with IC $_{50}$ values ranging from 1.10 nM to 5.86 nM, and was against non-HP cancers with IC $_{50}$ ranging from 1.10 nM to 37.92 nM $^{[1]}$.

Apoptosis Analysis^[1]

Cell Line:	MV4-11 cells	
Concentration:	0.01-100 nM	
Incubation Time:	48 hours	
Result:	Exhibited hallmarks of apoptotic cell death	

In Vivo

OT-82 (oral gavage; 20 or 40 mg/kg; 3 weeks) treatment increases survival to 100% and 56% at 40 or 20 mg/kg, respectively after treatment discontinuation in SC xenograft model of Burkitt's lymphoma $^{[1]}$.

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

Animal Model:	SC xenograft model of Burkitt's lymphoma in SCID mice $^{[1]}$	
Dosage:	20 or 40 mg/kg	
Administration:	oral gavage; 3 weeks	
Result:	Potently inhibited tumor growth of multiple myeloma mouse model.	

REFERENCES

[1]. Korotchkina L, et al. OT-82, a novel anticancer drug candidate that targets the strong dependence of hematological malignancies on NAD biosynthesis. Leukemia. 2020 Jan 2.

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 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

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