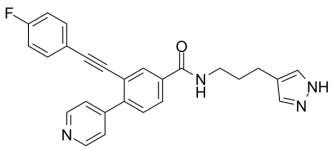
OT-82

Cat. No.:	HY-136241
CAS No.:	1800487-55-1
Molecular Formula:	$C_{26}H_{21}FN_4O$
Molecular Weight:	424.47
Target:	NAMPT; Caspase
Pathway:	Metabolic Enzyme/Protease; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

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

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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].

IC_{50} & Target

IC50: Nampt[1]

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 μM; 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 μM-10 μM
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.

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

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