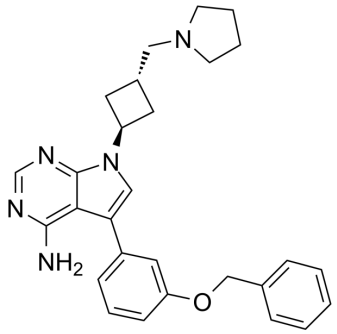
NVP-ADW742

Cat. No.:	HY-10252
CAS No.:	475488-23-4
Molecular Formula:	$C_{28}H_{31}N_5O$
Molecular Weight:	453.58
Target:	IGF-1R; Insulin Receptor; Apoptosis
Pathway:	Protein Tyrosine Kinase/RTK; 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 : 19.23 mg/mL (42.40 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2047 mL	11.0234 mL	22.0468 mL
	5 mM	0.4409 mL	2.2047 mL	4.4094 mL
	10 mM	0.2205 mL	1.1023 mL	2.2047 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: 1.92 mg/mL (4.23 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 1.92 mg/mL (4.23 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.92 mg/mL (4.23 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

NVP-ADW742 (ADW742) is an orally active, selective IGF-1R tyrosine kinase inhibitor with an IC_{50} of 0.17 μM. NVP-ADW742 inhibits insulin receptor (InsR) with an IC_{50} of 2.8 μM. NVP-ADW742 induces pleiotropic antiproliferative/proapoptotic biologic sequelae in tumor cells[1][2].

IC_{50} & Target

IC50: 0.17 μM (IGF-1R) and 2.8 μM (InsR)[1]

In Vitro

NVP-ADW742 (ADW742; 0.1-10 μM; 72 hours) dose-dependently inhibits serum-induced cell growth in all cell lines[1].

NVP-ADW742 (0.1-9 μM; 20 min) blocks IGF-1-induced phosphorylation of IGF-1R and its known downstream target Akt at submicromolar concentrations[1].
NVP-ADW742 has much higher IC_{50} values for other kinases (IC_{50}>10 μM for HER2, PDGFR, VEGFR-2, or Bcr-Abl p210; and IC_{50} >5 μM for c-Kit)[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	A panel of cell lines from multiple myeloma (MM), other hematologic malignancies and solid tumors
Concentration:	0.1, 0.5, 1, 2, 5, 10 μM
Incubation Time:	72 hours
Result:	Dose-dependently inhibited serum-induced cell growth in all cell lines.

Western Blot Analysis[1]

Cell Line:	NWT-21 cells
Concentration:	0.1, 0.3, 1, 3, 9 μM
Incubation Time:	20 min
Result:	Blocked IGF-1-induced phosphorylation of IGF-1R and its known downstream target Akt at submicromolar concentrations.

In Vivo

NVP-ADW742 (ADW742; 10 mg/kg for IP or 50 mg/kg for orally; twice daily for 19 days) significantly suppresses tumor growth and prolongs the survival of mice[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	6- to 8-week-old male SCID/NOD mice with diffuse skeletal lesions of luciferase-expressing MM cells[1]
Dosage:	10 mg/kg (IP) or 50 mg/kg (orally)
Administration:	IP or orally; twice daily for 19 days
Result:	Significantly suppressed tumor growth and prolonged the survival of mice.

CUSTOMER VALIDATION

- Blood. 2018 Jul 12;132(2):210-222.
- Theranostics. 2020 Jul 11;10(19):8834-8850.

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REFERENCES

[1]. Mitsiades CS, et al. Inhibition of the insulin-like growth factor receptor-1 tyrosine kinase activity as a therapeutic strategy for multiple myeloma, other hematologic malignancies, and solid tumors. Cancer Cell. 2004 Mar;5(3):221-30.

[2]. Warshamana-Greene GS, et al. The insulin-like growth factor-I (IGF-I) receptor kinase inhibitor NVP-ADW742, in combination with STI571, delineates a spectrum of dependence of small cell lung cancer on IGF-I and stem cell factor signaling. Mol Cancer Ther.

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