NAMPT inhibitor-linker 1

Cat. No.: HY-112615

CAS No.: 2241019-57-6

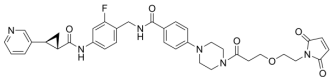
Molecular Formula: $C_{36}H_{37}FN_6O_6$

Molecular Weight: 668.71

Target: Drug-Linker Conjugates for ADC

Pathway: Antibody-drug Conjugate/ADC Related

Storage: -20°C, stored under nitrogen
* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 12.5 mg/mL (18.69 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.4954 mL	7.4771 mL	14.9542 mL
	5 mM	0.2991 mL	1.4954 mL	2.9908 mL
	10 mM	0.1495 mL	0.7477 mL	1.4954 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: ≥ 1.25 mg/mL (1.87 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.25 mg/mL (1.87 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (1.87 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

NAMPT inhibitor-linker 1 is a agent-linker conjugates for ADC, composed of an NAMPT inhibitor as a payload, and a linker. ADC-3 consists of an NAMPT inhibitor-linker 1 and an anti-c-Kit monoclonal antibody, exihibits potent activity against c-Kit expressing cell lines such as GIST-T1 and NCI-H526 cells, with IC_{50}s of <3 pM and 9 pM, respectively.

IC_{50} & Target

Traditional Cytotoxic Agents

In Vitro

ADC-3 exihibits potent activity against c-Kit expressing cell lines such as GIST-T1 and NCI-H526, with IC_{50}s of <3 pM and 9 pM, respectively[1].

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

In Vivo

ADC-3 (20 mg/kg, i.v. for 28 days) is tolerated, and causes tumor stasis in mice bearing GIST-T1 cells[1].

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

Animal Model:	Female scid-beige (SCID bg) mice[1]
Dosage:	20 mg/kg
Administration:	A single intravenous injection (i.v.) for 28 days
Result:	Significantly inhibited the growth of tumor in mice.

REFERENCES

[1]. Karpov AS, et al. Nicotinamide Phosphoribosyltransferase Inhibitor as a Novel Payload for Antibody-Drug Conjugates. ACS Med Chem Lett. 2018 Jun 28;9(8):838-842.

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