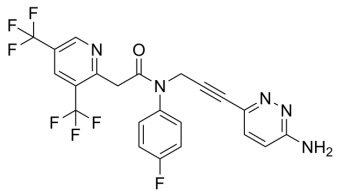
RP-6685

Cat. No.:	HY-151462
CAS No.:	2832047-80-8
Molecular Formula:	$C_{22}H_{14}F_7N_5O$
Molecular Weight:	497.37
Target:	DNA/RNA Synthesis
Pathway:	Cell Cycle/DNA Damage
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (201.06 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0106 mL	10.0529 mL	20.1058 mL
5 mM	0.4021 mL	2.0106 mL	4.0212 mL
10 mM	0.2011 mL	1.0053 mL	2.0106 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.18 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

RP-6685 is a potent, selective and orally active DNA polymerase theta (Polθ) inhibitor with an IC_{50} value of 5.8 nM (PicoGreen assay). RP-6685 shows antitumor efficacy in mouse tumor xenograft model[1]. RP-6685 is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

IC_{50} & Target

IC_{50}: 5.8 nM (Polθ)[1]

In Vitro

RP-6685 is extremely potent with an IC_{50} of 550 pM against the pol activity of full-length Polθ and inactive on the ATPase activity[1].

?RP-6685 inhibits Polθ in HEK293 $LIG4^{-/-}$ cellswith an IC_{50} of 0.94 μM[1].

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

In Vivo

RP-6685 (80 mg/kg; p.o.; BID for 21 days) exhibits potent antitumor efficacy in BRCA2-deficient HCT116 mice[1].

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Animal Model:	Female CD1 nude mice (HCT116 $BRCA2^{+/+}$ and $BRCA2^{-/-}$ xenograft tumor models)[1]
Dosage:	80 mg/kg
Administration:	p.o.; BID for 21 days
Result:	Showed tumor regression during the first 8 days of treatment in $BRCA2^{-/-}$ HCT116 model, while did not inhibit tumor growth in $BRCA2^{+/+}$ HCT116 tumors mice.

Animal Model:	CD1 mice (20-30 g)[1]
Dosage:	2.5 mg/kg
Administration:	i.v. or p.o.; single dosage
Result:	

CL (mL/min/kg)	V_{dss} (L/kg)	$t_{1/2}$ (h)	F (%)
36.8	1.1	0.4	66

REFERENCES

[1]. Bubenik M, et al. Identification of RP-6685, an Orally Bioavailable Compound that Inhibits the DNA Polymerase Activity of Polθ. J Med Chem. 2022 Sep 20.

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA