Product Data Sheet

Product Name: RP-6685
Cat. No.: GC67865

Chemical Properties

Cas. No.	2832047-80-8		
Formula	$C_{22}H_{14}F_7N_5O$	M.Wt	497.37
Solubility	DMSO : 125 mg/mL (251.32 mM; Need ultrasonic)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	RP-6685		

Background

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 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].

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

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

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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

[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.

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