Proteins

Product Data Sheet

HPK1-IN-31

Cat. No.: HY-152257 CAS No.: 3003026-16-9 Molecular Formula: $C_{30}H_{33}N_{7}O_{3} \\$

Molecular Weight: 539.63 MAP4K Target:

Pathway: MAPK/ERK Pathway

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.

BIOLOGICAL ACTIVITY

Description

HPK1-IN-31 (compound 5i) is an orally active hematopoietic progenitor kinase 1 (HPK1) inhibitor with an IC₅₀ value of 0.8 nM. HPK1-IN-31 has anti-tumour activity and has great potential for immunoresearch $^{[1]}$.

In Vivo

HPK1-IN-31(compound 5i) (p.o., 30 or 100 mpk twice daily, 14 days) inhibits tumour growth in the CT26 tumour-bearing female BALB/c mouse model and the MC38 tumour-bearing female C57BL/6 mouse model, and is followed by a significant effect when combined with anti-PD-1 monotherapy^[1].

The pharmacokinetic parameters of HPK1-IN-31(compound 5i)in Mice and Beagles

	mice		beagles	
5i	1 mg/kg (i.v.)	20 mg/kg (i.g.)	1 mg/kg (i.v.)	5 mg/kg (i.g.)
T _{1/2} (h)	1.3	3.7	8.1	6.2
T _{max} (h)	-	0.8	-	1.0
C _{max} (ng/mL)	1118	2048	1811.2	539.3
AUC _(0-inf) (ng*h/mL)	860	8528	3132.2	4094.9
MRT (h)	1.0	3.9	7.3	8.1
CL (mL/min/kg)	19.7	-	5.9	-
F (%)	-	49	-	27

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

REFERENCES

1]. Ming-Shu Wang, et al. Disco	very of Macrocycle-Based HPK1 Inh	nibitors for T-Cell-Based Immur	notherapy. J Med Chem. 2023 Jan 12;66	(1):611-626.
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