

Product Data Sheet

Product Name: HPK1-IN-7

Cat. No.: GC63006

Chemical Properties

Cas. No. 2320462-65-3

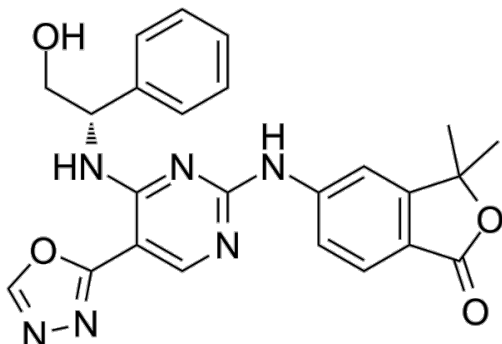
Formula $C_{24}H_{22}N_6O_4$ M.Wt 458.47

Solubility DMSO : 125 mg/mL (272.65 mM; Need ultrasonic) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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



Background

HPK1-IN-7 is a potent, orally active HPK1 (hematopoietic progenitor kinase 1, MAP4K1) inhibitor

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

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(IC₅₀=2.6 nM) with excellent family and kinome selectivity. HPK1-IN-7 shows selectivity against IRAK4 (59 nM) and GLK (140 nM). HPK1-IN-7 shows robust efficacy against MC38 syngeneic tumor model in combination with anti-PD1[1].

HPK1-IN-7 (100 mg/kg; p.o.; twice daily for 28 days) shows robust enhancement of anti-PD1 efficacy in a syngeneic tumor model of colorectal cancer[1]. HPK1-IN-7 (compound 24) (1 mg/kg; intravenous; mice) is characterized by moderate plasma clearance (43 mL/min/kg) and a large volume of distribution (4.4 L/kg). After oral administration (20 mg/kg), the C_{max} was 5.3 μM and the AUC_{0-24h} was 19 μM•h. The calculated oral bioavailability based on these pharmacokinetics studies is approximately 100%[1].

[1]. Degnan AP, et al. Discovery of Orally Active Isofuranones as Potent, Selective Inhibitors of Hematopoietic Progenitor Kinase 1. ACS Med Chem Lett. 2021;12(3):443-450. Published 2021 Feb 19.

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