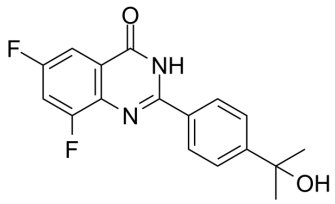
Tankyrase-IN-2

Cat. No.:	HY-126248
CAS No.:	1588870-36-3
Molecular Formula:	$C_{17}H_{14}F_2N_2O_2$
Molecular Weight:	316.3
Target:	PARP
Pathway:	Cell Cycle/DNA Damage; Epigenetics
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 : 83.33 mg/mL (263.45 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.1616 mL	15.8078 mL	31.6156 mL
	5 mM	0.6323 mL	3.1616 mL	6.3231 mL
	10 mM	0.3162 mL	1.5808 mL	3.1616 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 (6.58 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tankyrase-IN-2 (compound 5k) is a potent, selective, and orally active tankyrase inhibitor (IC_{50}s of 10, 7, and 710 nM for TNKS1, TNKS2 as well as PARP1, respectively). Tankyrase-IN-2 has favorable physicochemical profile and pharmacokinetic properties modulating Wnt pathway activity in a colorectal xenograft model[1].

IC_{50} & Target

IC50: 10 nM (TNKS1), 7 nM (TNKS2), 710 nM (PARP1)[1]

In Vitro

Tankyrase-IN-2 (1-10000 nM; 24 hours) leads to a dose-dependent increase of tankyrase protein abundance with an EC_{50} of 320 nM in DLD1 cells. This is in the same potency range as the value for axin2 increase (EC_{50}=319 nM)[1].

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

REFERENCES

[1]. Buchstaller HP, et al. Discovery and Optimization of 2-Arylquinazolin-4-ones into a Potent and Selective TankyraseInhibitor Modulating Wnt Pathway Activity. J Med Chem. 2019 Aug 5.

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