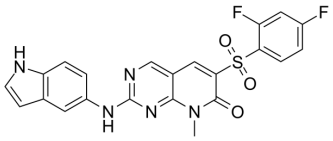
ON1231320

Cat. No.:	HY-100789
CAS No.:	1312471-39-8
Molecular Formula:	$C_{22}H_{15}F_2N_5O_3S$
Molecular Weight:	467.45
Target:	Polo-like Kinase (PLK); Apoptosis
Pathway:	Cell Cycle/DNA Damage; Apoptosis
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 : 50 mg/mL (106.96 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1393 mL	10.6963 mL	21.3927 mL
5 mM	0.4279 mL	2.1393 mL	4.2785 mL
10 mM	0.2139 mL	1.0696 mL	2.1393 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.35 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

ON1231320 is a highly specific polo like kinase 2 (PLK2) inhibitor with an IC_{50} of 0.31 μM. ON1231320 blocks tumor cell cycle progression in the G2/M phase in mitosis, causing apoptotic cell death. ON1231320, an arylsulfonyl pyrido-pyrimidinone, has antitumor activity[1][2].

IC_{50} & Target

PLK2	PLK1	PLK3	PLK4
0.31 μM (IC_{50})	>10 μM (IC_{50})	>10 μM (IC_{50})	>10 μM (IC_{50})

In Vitro

ON1231320 (Compound 7ao) has no inhibitory activity against PLK1, PLK3 and PLK4 (all IC_{50}>10 μM)[1].
ON1231320 (0-5 μM; 24 hours) activates programmed cell death in human tumor cells[1].
ON1231320 inhibits cell proliferation in 16 tumor cell lines (DU145, MCF-7, BT474, SK-OV-3, MIA-PaCa-2, SK-MEL-28, A549, U87, COLO-205, HELA, H1975, RAJI, U205, K562, GRANTA-519; IC_{50}= 0.035-0.2 μM)[1].
ON1231320 does not appreciably inhibit tubulin polymerization[1]. ON1231320 does not affect normal human fibroblasts[2].

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

Apoptosis Analysis[1]

Cell Line:	U2OS cells
Concentration:	0-5 μM
Incubation Time:	24 hours
Result:	Increased the activity of Caspases 3/7 in a dose-dependent manner. Induced apoptosis.

In Vivo

ON1231320 (Compound 7ao; 75 mg/kg; IP; alternate days (Q2D) for 20 days) results in significant inhibition of tumor growth [1].

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

Animal Model:	6-8 week old NCR nu/nu female mice with MDAMB-231 triple negative breast cancer cells[1]
Dosage:	75 mg/kg
Administration:	IP; alternate days (Q2D) for 20 days
Result:	Resulted in significant inhibition of tumor growth (86.5%)

CUSTOMER VALIDATION

- Comput Struct Biotec. 2023 Jan 16.

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REFERENCES

[1]. M V Ramana Reddy, et al. Discovery of 2-(1H-indol-5-ylamino)-6-(2,4-difluorophenylsulfonyl)-8-methylpyrido[2,3-d]pyrimidin-7(8H)-one (7ao) as a potent selective inhibitor of Polo like kinase 2 (PLK2). Bioorg Med Chem. 2016 Feb 15;24(4):521-44.

[2]. Shashidhar S. Jatiani, et al. Abstract 643: Targeting cancer with a selective ATP-mimetic inhibitor of polo like kinase-2.

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