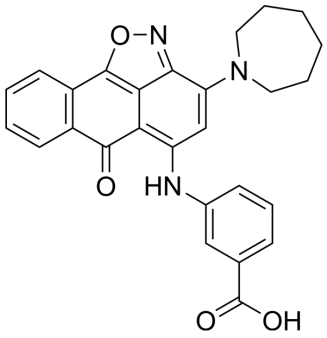
IPR-803

Cat. No.:	HY-111192
CAS No.:	892243-35-5
Molecular Formula:	$C_{27}H_{23}N_3O_4$
Molecular Weight:	453.49
Target:	Ser/Thr Protease
Pathway:	Metabolic Enzyme/Protease
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 : 7.69 mg/mL (16.96 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2051 mL	11.0256 mL	22.0512 mL
	5 mM	0.4410 mL	2.2051 mL	4.4102 mL
	10 mM	0.2205 mL	1.1026 mL	2.2051 mL

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

In Vivo

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 5 mg/mL (11.03 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.77 mg/mL (1.70 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

IPR-803 is a potent inhibitor of the uPAR•uPA protein-protein interaction (PPI). IPR-803 binds directly to uPAR with sub-micromolar affinity. IPR-803 displays anti-tumor activity[1].

IC_{50} & Target

Ki: 0.2 μM (PPI)[1]

In Vitro

IPR-803 blocks invasion of breast cancer cells line MDA-MB-231, and inhibits matrix metalloproteinase (MMP) breakdown of the extracellular matrix (ECM)[1].
IPR-803 impairs MDA-MB-231 cell adhesion and migration[1].
IPR-803 induces a concentration-dependent impairment of cell adhesion with an IC_{50} of approximately 30 μM[1].
IPR-803 inhibits MDA-MB-231 cells growth with an IC_{50} of 58 μM[1].

IPR-803 (0-200 μM; 3 days) blocks the invasion of MDA-MB-231 cells, and most of the inhibition of cell invasion is unlikely due to cytotoxicity of the compound[1].
IPR-803 (1-50 μM; 24 hours) does not have a significant effect on apoptosis or necrosis[1].
IPR-803 (50 μM; 30 minutes) shows inhibition of MAPK phosphorylation[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	MDA-MB-231 cells
Concentration:	0 μM, 50 μM, 150 μM, 200 μM
Incubation Time:	3 days
Result:	Displays 90 percent blockage of invasion that is observed at 50 μM.

In Vivo

IPR-803 (200 mg/kg; i.g.; three times a week; for 5 weeks) impairs breast cancer metastasis, but no statistical significance to the differences in body weight between treated and untreated[1].
IPR-803 has a low oral bioavailability at 4 percent, and remains high concentration even after 10 hours in tumor tissue[1].
IPR-803 exhibits a half-life (t1/2) of 5 hours[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	NSG mice with MDA-MB-231 cells xenograft[1]
Dosage:	200 mg/kg
Administration:	Oral gavage; three times a week; for 5 weeks
Result:	Impaired metastasis to the lungs.

Animal Model:	NOD/SCID mice[1]
Dosage:	200 mg/kg (Pharmacokinetic Study)
Administration:	Oral administration
Result:	t1/2=5 hours.

CUSTOMER VALIDATION

- Sci Adv. 2021 Jun 18;7(25):eabf4885.

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REFERENCES

[1]. Mani T, et al. Small-molecule inhibition of the uPAR•uPA interaction: synthesis, biochemical, cellular, in vivo pharmacokinetics and efficacy studies in breast cancer metastasis. Bioorg Med Chem. 2013 Apr 1;21(7):2145-55.

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

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