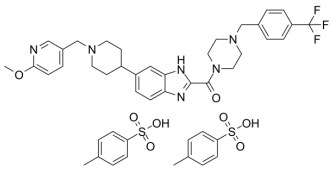
ASP4132

Cat. No.:	HY-136447
CAS No.:	1640294-30-9
Molecular Formula:	$C_{46}H_{51}F_3N_6O_8S_2$
Molecular Weight:	937.06
Target:	AMPK
Pathway:	Epigenetics; PI3K/Akt/mTOR
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (106.72 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.0672 mL	5.3358 mL	10.6717 mL
	5 mM	0.2134 mL	1.0672 mL	2.1343 mL
	10 mM	0.1067 mL	0.5336 mL	1.0672 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (2.67 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (2.67 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (2.67 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ASP4132 is an orally active, potent AMPK activator with an EC_{50} of 18 nM. ASP4132 has anti-cancer activity and makes tumor regression in breast cancer xenograft mouse models[1].

IC_{50} & Target

AMPK
18 nM (EC50)

In Vitro

ASP4132 shows comparable cell growth inhibitory (IC_{50}=0.014 μM) activity against MDA-MB-453 breast cancer cell[1].
ASP4132 shows relatively weak antiproliferative activity against SK-BR-3 (IC_{50}>3 μM)[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

ASP4132 (0.5-8 mg/kg; PO; once daily; for 21 days) causes the tumor growth inhibition and regression[1].
ASP4132 (1 mg/kg; IV or PO) has a $T_{1/2}$ of 3.6 hours, a CL_{tot} of 19 mL/min•kg, and a V_{ss} of 4.6 L/kg for rats for IV[1].
ASP4132 is stable in human liver microsomes (HLM $CL_{int,\ vitro}$=61 mL/min•kg)[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Five-week-old male nude mice with MDA-MB-453[1]
Dosage:	0.5, 1, 2, 4, 8 mg/kg
Administration:	PO; once daily; for 21 days
Result:	The tumor growth inhibition (TGI) rate was 29% at 1 mg/kg, and the tumor regression rate was 26%, 87% and 96% at 2, 4 and 8 mg/kg, respectively.

Animal Model:	Male SD rats[1]
Dosage:	1 mg/kg (Pharmacokinetic Analysis)
Administration:	IV or PO
Result:	Had a $T_{1/2}$ of 3.6 hours, a CL_{tot} of 19 mL/min•kg, and a V_{ss} of 4.6 L/kg for rats for IV. Had a C_{max} of 72 ng/mL and an AUC_{24h} of 705 ng·h/mL for PO.

CUSTOMER VALIDATION

- Cell Death Dis. 2021 Apr 6;12(4):365.

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REFERENCES

[1]. Kazuyuki Kuramoto, et al. Development of a Potent and Orally Active Activator of Adenosine Monophosphate-Activated Protein Kinase (AMPK), ASP4132, as a Clinical Candidate for the Treatment of Human Cancer. Bioorg Med Chem. 2020 Mar 1;28(5):115307.

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