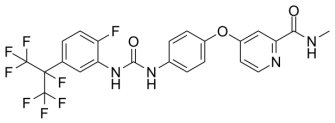
APS6-45

Cat. No.:	HY-124944
CAS No.:	2188236-41-9
Molecular Formula:	$C_{23}H_{16}F_8N_4O_3$
Molecular Weight:	548.39
Target:	Ras
Pathway:	GPCR/G Protein

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (455.88 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8235 mL	9.1176 mL	18.2352 mL
5 mM	0.3647 mL	1.8235 mL	3.6470 mL
10 mM	0.1824 mL	0.9118 mL	1.8235 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 (3.79 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

APS6-45 is an orally active tumor-calibrated inhibitor (TCI). APS6-45 inhibits RAS/MAPK signaling and exhibits antitumor activity[1].

In Vitro

APS6-45 (3-30 nM; 3 weeks) strongly suppresses TT human Medullary Thyroid Carcinoma (MTC) cells colony formation in a soft agar assay[1].

APS6-45 (1 μM; 1 h) strongly inhibits RAS pathway activity signaling in human MTC cell lines TT and MZ-CRC-1[1].

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

In Vivo

APS6-45 (10 mg/kg; p.o. daily for 30 d) inhibits growth of TT tumors in mice and does not affect body weight[1].

APS6-45 (0.1-160 mg/kg; a single p.o.) does not cause detectable toxic effects in mice[1].

APS6-45 (20 mg/kg; a single p.o.) exhibits long half-life (5.6 h), C_{max} (9.7 μM) and AUC_{0-24} (123.7 μM•h) in mice[1].

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

Animal Model:	Female nude mice (6 weeks) are implanted with TT cells[1]
Dosage:	10 mg/kg
Administration:	P.o. daily for 30 days
Result:	Led to partial or complete responses in 75% and was well tolerated.

Animal Model:	Male ICR mice (6 weeks of age)[1]
Dosage:	20 mg/kg (Pharmacokinetic Analysis)
Administration:	A single p.o.
Result:	$T_{1/2}$=5.6 h, C_{max}=9.7 μM, AUC_{0-24}=123.7 μM•h.

REFERENCES

[1]. Sonoshita M, et, al. A whole-animal platform to advance a clinical kinase inhibitor into new disease space. Nat Chem Biol. 2018 Mar;14(3):291-298.

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