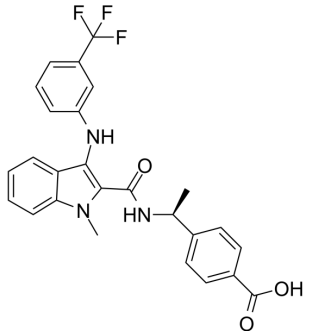
AMX12006

Cat. No.:	HY-149290
CAS No.:	2639775-01-0
Molecular Formula:	$C_{26}H_{22}F_3N_3O_3$
Molecular Weight:	481.47
Target:	Prostaglandin Receptor
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

AMX12006 is a potent, selective and orally active EP4 antagonist with an IC_{50} value of 4.3 nM. AMX12006 shows cytotoxic and antitumor activity[1].

IC_{50} & Target

hEP4
4.3 nM (IC_{50})

In Vitro

AMX12006 (compound 36) (0-100 μM) shows cytotoxic with IC_{50}s of 46.73, 79.47, >100, 41.39, >100 μM for MCF-7, 4T1, HCA-7, CT-26 WT, LLC cells, respectively[1].

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

Cell Cytotoxicity Assay[1]

Cell Line:	MCF-7, 4T1, HCA-7, CT-26 WT, LLC cells
Concentration:	0-100 μM
Incubation Time:	
Result:	Showed cytotoxic with IC_{50}s of 46.73, 79.47, >100, 41.39, >100 μM for MCF-7, 4T1, HCA-7, CT-26 WT, LLC cells, respectively.

In Vivo

AMX12006 (75, 150 mg/kg; p.o.; once daily for 11 days) shows antitumor activity in a dose-dependent manner[1].

Pharmacokinetic Parameters of AMX12006 in Sprague-Dawley rats[1].

	iv, 1 mg/kg	po, 10 mg/kg
T_{max} (h)		0.5
C_{max} (ng/mL)	4627 ± 304	8243 ± 370
$AUC_{(0-t)}$(h·ng/mL)	3375 ± 477	25672 ± 5668

$AUC_{0-\infty}$ (h·ng/mL)	3416 ± 495	25707 ± 5682
$T_{1/2}$(h)	1.4 ± 0.3	2.7 ± 0.2
CL (mL/min/kg)	4.95 ± 0.77	
Vdss (L/kg)	0.41 ± 0.04	
F %		76.1

Sprague-Dawley rats, 1 mg/kg iv ; 10 mg/kg po[1]

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

REFERENCES

[1]. Debasis Das, et al. Discovery of Novel, Selective Prostaglandin EP4 Receptor Antagonists with Efficacy in Cancer Models. ACS Med. Chem. Lett. 2023.

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