FGFR-IN-10

Cat. No.: HY-148597

CAS No.: 2847092-41-3

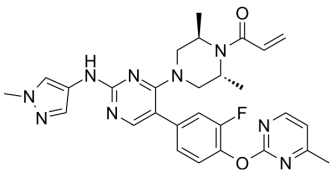
Molecular Formula: $C_{28}H_{30}FN_9O_2$

Molecular Weight: 543.6

Target: FGFR; Cytochrome P450

Pathway: Protein Tyrosine Kinase/RTK; Metabolic Enzyme/Protease

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

FGFR-IN-10 is an orally active inhibitor of FGFR and Cytochrome P450 (CYPs). FGFR-IN-10 inhibits wide type and V564F mutant FGFR2 with IC_{50}s of 104.1 nM and 43.6 nM, respectively. FGFR-IN-10 also inhibits CYPs with IC_{50}s of 3.33 μM (CYP2C9), 18.75 μM (CYP2C19), 4.34 μM (CYP2CD6), and 0.69 μM (CYP3A4), respectively[1].

IC_{50} & Target

CYP2C9 3.33 μM (IC_{50})	CYP2C19 18.75 μM (IC_{50})	CYP2D6 4.34 μM (IC_{50})	CYP3A4 0.69 μM (IC_{50})
FGFR2 104.1 nM (IC_{50})	V564F-FGFR2 43.6 nM (IC_{50})		

In Vitro

FGFR-IN-10 (compound 115) (5 μM; 0-240 min) was stable in the blood of SD rats and human, and its whole blood half-life (t1/2) was >600 min[1].

FGFR-IN-10 (0-10 μM; 72 h) inhibits the growth of SNU-16 and JMSU-1 cells with GI_{50}s of 6 nM and 24 nM, respectively[1].

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

In Vivo

FGFR-IN-10 (10 mg/kg; p.o.; single dose) shows potent oral activity in SD rats, with bioavailability of 60.7%[1].

Pharmacokinetic Analysis in SD Rats[1]

Route	Dose (mg/kg)	C_{max} (ng/mL)	AUC_{last}/D (h·mg/mL)	$T_{1/2}$ (h)	Cl_obs (mL/min/kg)	V_{ss}_obs (L/kg)	F (%)
i.v.	5	/	664	1.02	26.0	1.2	/
p.o.	10	2388	402	/	/	/	60.7

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

REFERENCES

[1]. Zhu Wei, et al. Heterocyclic compound serving as FGFR inhibitor and application thereof: World Intellectual Property Organization, WO2022206939. 2022-10-06.

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

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