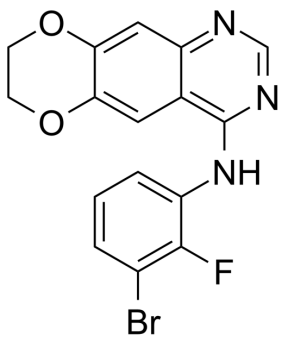
JCN037

Cat. No.:	HY-136430
CAS No.:	2305154-31-6
Molecular Formula:	$C_{16}H_{11}BrFN_3O_2$
Molecular Weight:	376.18
Target:	EGFR
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK
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 (664.58 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6583 mL	13.2915 mL	26.5830 mL
	5 mM	0.5317 mL	2.6583 mL	5.3166 mL
	10 mM	0.2658 mL	1.3292 mL	2.6583 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: ≥ 6.25 mg/mL (16.61 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

JCN037 (JGK037) is non-covalent and BBB-penetrant EGFR tyrosine kinase inhibitor, with IC_{50} values of 2.49 nM, 3.95 nM, 4.48 nM for EGFR, p-wtEGFR and pEGFRv⊠, respectively[1].

IC_{50} & Target

2.49 nM (EGFR), 3.95 nM (p-wtEGFR), 4.48 nM (pEGFRv⊠)[1].

In Vitro

JCN037 exhibits GI_{50} values of 329 nM and 1116 nM in HK301 cells and GBM39 cells, respectively[1].

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

Western Blot Analysis[1].

Cell Line:	GBM39 and GS025 cells.
Concentration:	0-3333 nM

	Incubation Time:	
	Result:	Downregulated pEGFRvⅢ, p Akt, p-ERK, and p-S6 protein levels, significantly.
In Vivo	JCN037 (compound 5) exhibits low oral bioavailability due to a rapid hydroxylation of the fused 1,4-dioxane ring, suggesting first pass metabolism[1]. JCN037 (compound 5, 300 mg/kg, BID) treatment provides a significant survival benefit, whereby median survival increased by 47% from 37.5 days to 55 days with 5 treatment[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	

REFERENCES

[1]. Jonathan E. Tsang, et al. Development of a Potent Brain-Penetrant EGFR Tyrosine Kinase Inhibitor against Malignant Brain Tumors. ACS Med. Chem. Lett. 2020. May 1.

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

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