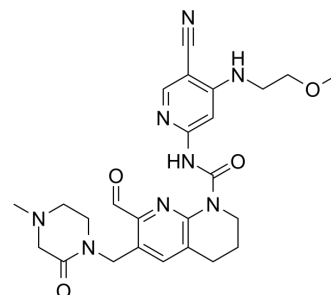


Roblitinib

Cat. No.:	HY-101568		
CAS No.:	1708971-55-4		
Molecular Formula:	C ₂₅ H ₃₀ N ₈ O ₄		
Molecular Weight:	506.56		
Target:	FGFR		
Pathway:	Protein Tyrosine Kinase/RTK		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 5 mg/mL (9.87 mM; ultrasonic and warming and heat to 60°C)

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM		1.9741 mL	9.8705 mL	19.7410 mL
	5 mM		0.3948 mL	1.9741 mL	3.9482 mL
	10 mM		---	---	---

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

BIOLOGICAL ACTIVITY

Description	Roblitinib (FGF-401) is an orally active and highly selective FGFR4 inhibitor with an IC ₅₀ of 1.9 nM ^[1] . Roblitinib has antitumor activity ^[2] .			
IC ₅₀ & Target	FGFR4 1.9 nM (IC ₅₀)	FGFR1 >10 μM (IC ₅₀)	FGFR2 >10 μM (IC ₅₀)	FGFR3 >10 μM (IC ₅₀)
	rat FGFR4 >10 μM (IC ₅₀)			
In Vitro	Roblitinib (FGF-401; Compound Example 83) is a highly selective and potent FGFR4 inhibitor (IC ₅₀ = 1.9 nM) ^[1] . Roblitinib shows no activity FGFR1, FGFR2, FGFR3, rat FGFR4, C552A FGFR4 (all IC ₅₀ >10 uM) ^[1] . Roblitinib inhibits HUH7 (IC ₅₀ =12 nM), Hep3B (IC ₅₀ =9 nM), JHH7 (IC ₅₀ =9 nM), HEPG2 (IC ₅₀ >10 uM), JHH (IC ₅₀ >10 uM) ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.			
In Vivo	Roblitinib (gavage; 10-100 mg/kg; b.i.d.; for 10 days) with the 30 mg/kg has the maximal level of inhibition of FGFR4-			

dependent tumor growth in the Hep3B xenograft model^[1].

Roblitinib causes blood concentrations dropped below the IC90 threshold level within 8 h of dosing, and controls tumor growth to the level of stasis at the lowest dose of 10 mg/kg for 6 days^[1].

Roblitinib (iv at 1 mg/kg; po at 3 mg/kg) has a $T_{1/2}$ of 1.4 hours, a CL of 28 mL/min•kg, and a V_{ss} of 2.3 L/kg for Male mice (C57BL/6) ^[1].

Roblitinib (iv at 0.5 mg/kg; po at 3 mg/kg) has a $T_{1/2}$ of 4.4 hours, a CL of 19 mL/min•kg, and a V_{ss} of 3.9 L/kg for male SD rats ^[1].

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

Animal Model:	Male Wistar Hannover rats (Hep3B xenograft model) ^[1]
Dosage:	10, 30, 100 mg/kg
Administration:	Gavage; for 10 days
Result:	Caused blood concentrations dropped below the IC90 threshold between 8 and 12 h following dosing. Had the maximal level of inhibition of FGFR4-dependent tumor growth in the Hep3B xenograft model.

Animal Model:	Male mice (C57BL/6) ^[1]
Dosage:	1 mg/kg or 3 mg/kg (Pharmacokinetic Analysis)
Administration:	IV at 1 mg/kg; PO at 3 mg/kg
Result:	Had a $T_{1/2}$ of 1.4 hours, a CL of 28 mL/min•kg, and a V_{ss} of 2.3 L/kg.

CUSTOMER VALIDATION

- Nat Commun. 2022 May 13;13(1):2672.
- Mol Syst Biol. 2023 Dec 18.
- J Cancer. 2022 Feb 14;13(4):1370-1384.
- Biochemistry for Health, NOVA University of Lisbon. 2019 Jul.

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REFERENCES

[1]. Nicole Buschmann, et al. Ring-fused bicyclic pyridyl derivatives as fgfr4 inhibitors. WO201505968A1.

[2]. Robin A Fairhurst, et al. Discovery of Roblitinib (FGF401) as a Reversible-Covalent Inhibitor of the Kinase Activity of Fibroblast Growth Factor Receptor 4. J Med Chem. 2020 Nov 12;63(21):12542-12573.

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

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