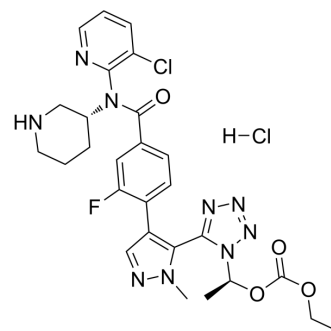


PF-06815345 hydrochloride

Cat. No.:	HY-112598A
CAS No.:	2334434-49-8
Molecular Formula:	C ₂₇ H ₃₀ Cl ₂ FN ₉ O ₄
Molecular Weight:	634.49
Target:	Ser/Thr Protease
Pathway:	Metabolic Enzyme/Protease
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (78.80 mM; Need ultrasonic)

Concentration	Mass			
	1 mg	5 mg	10 mg	
1 mM	1.5761 mL	7.8803 mL	15.7607 mL	
5 mM	0.3152 mL	1.5761 mL	3.1521 mL	
10 mM	0.1576 mL	0.7880 mL	1.5761 mL	

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

BIOLOGICAL ACTIVITY

Description

PF-06815345 hydrochloride is an orally active and potent inhibitor of proprotein convertase subtilisin/kexin type 9 (PCSK9) with an IC₅₀ value of 13.4 μM. PF-06815345 hydrochloride significantly decreases the PCSK9 level in vivo in mouse^{[1][2]}.

In Vitro

PF-06815345 hydrochloride (Example 7) (1-30 μM; 5-1440 min) in human enterocyte and hepatocyte with CL_{int} values of <82.9 μL/min/mg and 97.6 μL/min/mg, respectively^[2].

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

In Vivo

PF-06815345 hydrochloride (Example 7) (100-500 mg/kg; p.o; single dose) lowers the level of PCSK9 in humanized PCSK9 mouse model. It lowers plasma PCSK9 to 72% at 500 mg/kg 4 hr later treatment^[2].

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

REFERENCES

[1]. Akin A, et al. Overcoming the Challenges of Making a Single Enantiomer N-1 Substituted Tetrazole Prodrug Using a Tin-Mediated Alkylation and Enzymatic Resolution[J]. Organic Process Research & Development, 2019, 23(6): 1167-1177.

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

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