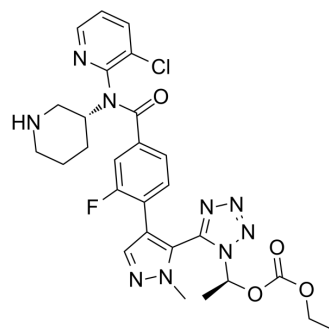


PF-06815345

Cat. No.:	HY-112598
CAS No.:	1900686-46-5
Molecular Formula:	C ₂₇ H ₂₉ ClFN ₉ O ₄
Molecular Weight:	598.03
Target:	Ser/Thr Protease
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	PF-06815345 is an orally active and potent inhibitor of proprotein convertase subtilisin/kexin type 9 (PCSK9) with an IC ₅₀ value of 13.4 μM. PF-06815345 significantly decreases the PCSK9 level in vivo in mouse ^{[1][2]} .
IC₅₀ & Target	IC ₅₀ : >20 μM (cell based), 13.4 (cell free) for Proprotein convertase subtilisin/kexin type 9 (PCSK9) ^[2]
In Vitro	PF-06815345 (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 (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.

[2]. Darout E, et al. Preparation of substituted amide compounds as PCSK9 inhibitors: Canada, CA2907071 A1 2016-04-08.

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

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