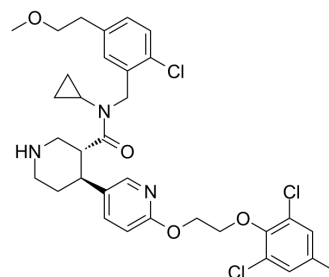


ACT 178882

Cat. No.:	HY-U00262
CAS No.:	1007392-69-9
Molecular Formula:	C ₃₃ H ₃₈ Cl ₃ N ₃ O ₄
Molecular Weight:	647.03
Target:	Renin
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	ACT 178882 is a new Renin inhibitor with an IC ₅₀ of 1.4 nM.
IC₅₀ & Target	IC ₅₀ : 1.4 nM (Renin) ^[1]
In Vitro	The median time to C _{max} (t _{max}) for ACT 178882 is prolonged from 3.5 to 5.0 h by diltiazem whereas its apparent terminal half-life (t _{1/2}) is unaffected by diltiazem, 22.9 and 24.2 h for treatments A and B, respectively. Using treatment A as reference, the geometric mean ratio (90% CI) is 1.62 (1.36 to 1.94) for C _{max} and 2.02 (1.75 to 2.34) for AUC _∞ , indicating a significant interaction between ACT 178882 and diltiazem ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay ^[2]	Venous blood samples for the determination of ACT 178882 are drawn at the following time points: pre-dose and 1, 2, 3, 4, 5, 6, 8, 12, 16, 24, 36, 48, 72, 96 and 120 h after dosing with ACT 178882 in treatment A and pre-dose and 1, 2, 3, 4, 5, 6, 8, 12, 16, 24, 36, 48, 72, 96, 120, 144, 168, 192, 216 and 240 h after dosing with ACT178882 in treatment B. For diltiazem as soon as possible after collection, and for ACT-178882 within maximally 30 minutes after collection, the tubes are centrifuged and the plasma separated. All samples are stored in an upright position at -20 °C (ACT 178882) or -80 °C (diltiazem) or below pending analysis ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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REFERENCES

- [1]. Corminboeuf O, et al. Piperidine-based renin inhibitors: upper chain optimization. *Bioorg Med Chem Lett*. 2010 Nov 1;20(21):6291-6.
- [2]. Dingemans J, et al. Drug-drug interaction study of ACT-178882, a new renin inhibitor, and diltiazem in healthy subjects. *Clin Drug Investig*. 2013 Mar;33(3):207-13.

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

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