
Product Data Sheet

Product Name: ACT 178882

Cat. No.: GC31621

Chemical Properties

Cas. No. 1007392-69-9

SMILES O=C([C@H]1CNCC[C@@H]1C2=CC=C(OCCOC3=C(Cl)C=C(C)C=C3Cl)N=C2)N(CC4=CC(CCOC)=CC=C4Cl)C5CC5Formula $C_{33}H_{38}Cl_3N_3O_4$

M.Wt

647.03

Solubility Soluble in DMSO

Storage

Store at -20°C

General For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure

Protocol

Cell experiment:

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].

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.

Background

ACT 178882 is a new Renin inhibitor with an IC₅₀ of 1.4 nM.

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

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

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].

[1]. Corminboeuf O, et al. Piperidine-based renin inhibitors: upper chain optimization. *Bioorg Med Chem Lett*. 2010 Nov 1;20(21):6291-6. [2]. Dingemanse 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.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA