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Garadacimab

Cat. No.:	HY-P99631
CAS No.:	2162134-62-3
Target:	Factor Xa
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.

BIOLOGICAL ACTIVITY

Description	Garadacimab (CSL312) is a first-in-class, fully human IgG4 monoclonal antibody targeting activated factor XII (FXIIa). Garadacimab has the potential for hereditary angioedema research[1].
In Vitro	Garadacimab (CSL312) displays high affinity to activated βFXIIa with a K_D of 140 pM and shows potency in an activated partial thromboplastin time (aPTT) assay with human plasma. Garadacimab shows high selectivity over a panel of relevant human serine proteases (FVIIa, FIXa, FXa, FXIa, kallikrein, tissue plasminogen activator, activated protein C, and urokinase plasminogen activator)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	In male and female cynomolgus monkeys, Garadacimab (0.5, 1, and 3 mg/kg i.v. and 6 and 20 mg/kg s.c. over 8 weeks) plasma concentrations increased in a dose-dependent manner[1]. Garadacimab has an inhibitory effect on FXII-mediated kallikrein activity. Furthermore, Garadacimab causes a clear dose-dependent prolongation of activated partial thromboplastin time (aPTT) with no associated effect on the prothrombin time [1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Dipti Pawaskar, et al. Pharmacokinetic/pharmacodynamic modeling for dose selection for the first-in-human trial of the activated Factor XII inhibitor garadacimab (CSL312). Clin Transl Sci. 2022 Mar;15(3):709-720.

[2]. Helen Cao, et al. Antibody-mediated inhibition of FXIIa blocks downstream bradykinin generation. J Allergy Clin Immunol. 2018 Oct;142(4):1355-1358.

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