Insulin efsitora alfa

Cat. No.:	HY-P99665
CAS No.:	2131038-11-2
Target:	Insulin Receptor
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.

BIOLOGICAL ACTIVITY

Description	Insulin efsitora alfa (LY-3209590) is a selective agonist of insulin receptor (IR). Insulin efsitora alfa is a fusion protein composed of human IR agonists fused with the crystallizable (Fc) domain of human immunoglobulin G2 (IgG2) fragment, with a molecular weight of 64.1 kDa. Insulin efsitora alfa is well tolerated and has potential applications in diabetes[1].
In Vitro	Insulin efsitora alfa (0.01-100 nM) stimulates human insulin receptor isoform A (hIR-A) and human insulin receptor isoform B (hIR-B) phosphorylation in HEK293 cells with EC_{50} values of 4241 nM and 391 nM, respectively[2]. Insulin efsitora alfa (20 μM; 30 min) significantly promotes the dephosphorylation of hIR-A and hIR-B[2]. Insulin efsitora alfa stimulates the lipogenesis of 3T3-L1 adipocytes and the proliferation of SAOS-2 and H4IIE cells with EC_{50} values of 19 nM, 134 nM and 20 nM, respectively[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Insulin efsitora alfa (3, 10 and 30 nmol/kg; s.c.; single dose) significantly reduces blood glucose in diabetes rats treated with Streptozotocin (HY-13753)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Heise T, et al. Pharmacokinetic and pharmacodynamic properties of the novel basal insulin Fc (insulin efsitora alfa), an insulin fusion protein in development for once-weekly dosing for the treatment of patients with diabetes. Diabetes Obes Metab. 2023 Apr;25(4):1080-1090.

[2]. Moyers JS, et al. Preclinical Characterization of LY3209590, a Novel Weekly Basal Insulin Fc-Fusion Protein. J Pharmacol Exp Ther. 2022 Sep;382(3):346-355.

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