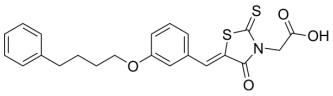
PTP1B/AKR1B1-IN-1

Cat. No.:	HY-149254
Molecular Formula:	$C_{22}H_{21}NO_4S_2$
Molecular Weight:	427.54
Target:	Phosphatase; Aldose Reductase
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	PTP1B/AKR1B1-IN-1 is a dual inhibitor of protein tyrosine phosphatase 1B (PTP1B) and aldose reductase (AKR1B1), with IC_{50} s of 0.06 μM and 4.3 μM, respectively. PTP1B/AKR1B1-IN-1 also inhibits TC-PTP with an IC_{50} value of 9 μM. PTP1B/AKR1B1-IN-1 serves as an insulin-mimetic agent in murine myoblasts, and reduces AKR1B1-dependent sorbitol accumulation. PTP1B/AKR1B1-IN-1 inhibits development of type 2 diabetes mellitus (T2DM) to control blood glucose levels[1].
IC_{50} & Target	IC50: 0.06 μM (Protein tyrosine phosphatase 1B, PTP1B); 4.3 μM (Aldose reductase, AKR1B1); 9 μM (TC-PTP)[1]
In Vitro	PTP1B/AKR1B1-IN-1 (compound 6f) tightly binds to PTP1B and AKR1B1 with K_i values of 4.6 μM, and 0.08 μM, respectively[1]. PTP1B/AKR1B1-IN-1 (20 μM; 24 h) shows insignificant cytotoxicity in differentiated murine C2C12 cells[1]. PTP1B/AKR1B1-IN-1 (20 μM; 24 h) enhances the increases of Akt phosphorylation in murine C2C12 cell line with insulin (10 μM; 15 min)[1]. PTP1B/AKR1B1-IN-1 (2 μM; 24 h) results significant impairment of sorbitol accumulation in human lens epithelial line B3 (HLE) cells, induced with 75 mM d-glucose for 24 h[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	Murine C2C12 cell
Concentration:	20 μM; with or without 10 μM insulin for 15 min
Incubation Time:	24 h
Result:	Increased Akt phosphorylation, and was enhanced by insulin.

REFERENCES

[1]. Maccari R, et al. Designed multiple ligands for the treatment of type 2 diabetes mellitus and its complications: Discovery of (5-arylidene-4-oxo-2-thioxothiazolidin-3-yl)alkanoic acids active as novel dual-targeted PTP1B/AKR1B1 inhibitors. Eur J Med Chem. 2023 Apr 5;252:115270.

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA