

[Open Peer Review on Qeios](#)

Trodesquimine

National Cancer Institute

Source

National Cancer Institute. *Trodesquimine*. NCI Thesaurus. Code C123882.

A naturally-occurring cholestane and non-competitive, allosteric inhibitor of protein tyrosine phosphatase 1B (PTP1B), with potential hypoglycemic, anti-diabetic, anti-obesity, and antineoplastic activities. Upon administration, trodesquimine selectively targets and inhibits PTP1B, thereby preventing PTP1B-mediated signaling. This prevents the dephosphorylation of the insulin receptor, which improves insulin signaling and insulin sensitivity, and decreases blood glucose levels. In susceptible cancer cells, inhibition of PTP1B causes a reduction of tumor cell proliferation. In addition, as trodesquimine can cross the blood-brain barrier (BBB), it centrally suppresses appetite and causes weight loss. PTP1B, a tyrosine phosphatase, is elevated in certain cancer cells; it is specifically upregulated in human epidermal growth factor receptor 2 (HER2)-driven cancers where it promotes cell growth, and is correlated with a poor prognosis and increased metastatic potential. In diabetes, PTP1B upregulation plays a major role in insulin resistance.