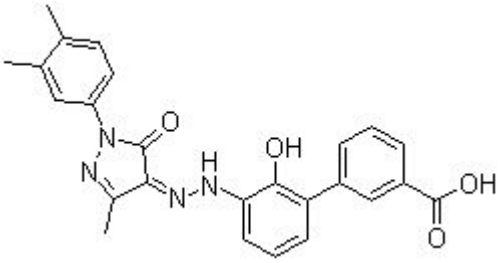
Product Introduction

Eltrombopag

Eltrombopag is a member of the biarylhydrazone class, which is a nonpeptide agonist of the **thrombopoietin receptor (TpoR)**.

Technical Data:

Molecular Weight (MW):	442.47	
Formula:	$C_{25}H_{22}N_4O_4$	
Solubility (25°C) *** <1 mg/ml means slightly soluble or insoluble:**	DMSO 89 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	496775-61-2	

Biological Activity

Eltrombopag demonstrates a half maximal effective concentration (EC50) of 0.27 μM in murine BAF3 cells transfected with the luciferase reporter gene under direction of the STAT-activated IRF-1 promoter and human TpoR (BAF3/IRF-1/hTpoR). Eltrombopag activates the receptor by association with metal ions (i.e., Zn2+) and specific amino acids within the transmembrane and juxtamembrane domains of the TpoR. Eltrombopag (30 μM) results in activation of STAT5 in N2C-Tpo cells, as detected with an antiphospho-STAT5 antibody on Western blots. Eltrombopag stimulates proliferation after a 2-day

incubation with an EC50 of 0.03 μM in a BrdU assay conducted in BAF3/hTpoR cells. Eltrombopag also induces differentiation of hematopoietic stem cells into committed megakaryocyte progenitor cells. Eltrombopag increases the differentiation of bone marrow CD34+ cells into CD41+ megakaryocytes in a dose-dependent manner with an EC50 of 0.1 μM. [1] Eltrombopag inhibits N2C-Tpo cell and HEL92.1.7 cell proliferating with IC50 of 20.7 μg/mL and 2.3 μg/mL. [2] Eltrombopag (20 μg/mL) leads to a decreased cell division rate, a block in G(1) phase of cell cycle, and increased differentiation in human and murine leukemia cells. Eltrombopag (5 μg/mL) shows clear signs of differentiation, significant changes in the organization of the nuclear contents, and an increase in the cytoplasm/nucleus ratio in HL60 cells. Eltrombopag (5 μg/mL) causes an increase in CD11b, which is consistent with a premacrophage state in U937 cells, and also causes an increase in CD11b in URE cells. Eltrombopag leads to a reduction in free intracellular iron in leukemic cells in a dose-dependent manner in HL60 cells. [3]

Eltrombopag (10 mg/kg per day) increases platelet counts over twofold approximately 1 week after the last dose for one chimpanzee and approximately 1.5-fold for the other two chimpanzees. [1] Eltrombopag (1 mg/mL) prolongs survival in mouse models of leukemia. [3]

References

[1] Erickson-Miller CL, et al. Stem Cells, 2009, 27(2), 424-430.
[2] Erickson-Miller CL, et al. Leuk Res, 2010, 34(9), 1224-1231.
[3] Roth M, et al. Blood, 2012, 120(2), 386-394.

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