PRODUCT INFORMATION



Eltrombopag

Item No. 13247

CAS Registry No.: 496775-61-2

Formal Name: 3'-[2-[(2Z)-1-(3,4-dimethylphenyl)-1,5-

> dihydro-3-methyl-5-oxo-4H-pyrazol-4-ylidene]hydrazinyl]-2'-hydroxy-[1,1'-

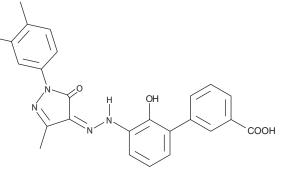
biphenyl]-3-carboxylic acid

Synonym: SB 497115 $C_{25}H_{22}N_4O_4$ MF: 442.5 FW: **Purity:** ≥98%

 λ_{max} : 248, 417 nm UV/Vis.: A crystalline solid Supplied as:

-20°C Storage: ≥4 years Stability:

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.



Laboratory Procedures

Eltrombopag is supplied as a crystalline solid. A stock solution may be made by dissolving the eltrombopag in the solvent of choice, which should be purged with an inert gas. Eltrombopag is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of eltrombopag in these solvents is approximately 0.1, 20, and 1 mg/ml, respectively.

Eltrombopag is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, eltrombopag should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Eltrombopag has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Eltrombopag is an orally bioavailable nonpeptide agonist of the thrombopoietin receptor (EC₅₀ = $0.27 \mu M$ in a reporter assay) and an iron chelator. 1 It increases STAT5 phosphorylation in N2C-Tpo cells when used at a concentration of 30 μ M and p42/44 MAPK phosphorylation when used at 10 μ M. Eltrombopag binds to the transmembrane domain of the thrombopoietin receptor and stimulates megakaryocytopoiesis in human primary bone marrow cells. It increases platelet production in chimpanzees when administered at a dose of 10 mg/kg per day for five days. Eltrombopag also binds to iron (III) and mobilizes cellular iron and ferritin in H9C2, Huh7, and RINm5F cells in a concentration-dependent manner.² It enhances cellular iron mobilization when used in combination with the iron chelators deferasirox (Item No. 16753) and CP40.

Reference

- 1. Erickson-Miller, C.L., Delorme, E., Tian, S.-S., et al. Preclinical activity of eltrombopag (SB-497115), an oral, non-peptide thrombopoietin receptor agonist. Stem Cells 27(2), 424-430 (2009).
- Vlachodimitropoulou, E., Chen, Y.L., Garbowski, M., et al. Eltrombopag: a powerful chelator of cellular or extracellular iron(III) alone or combined with a second chelator. Blood 130(17), 1923-1933 (2017).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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