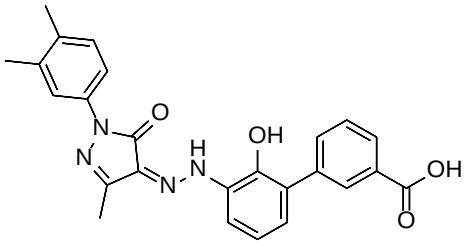
Product data sheet

MedKoo Cat#: 201240 Name: Eltrombopag free base CAS#: 496775-61-2 (free base) Chemical Formula: $C_{25}H_{22}N_4O_4$ Exact Mass: 442.1641 Molecular Weight: 442.47	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Eltrombopag is a small-molecule, nonpeptide thrombopoietin receptor agonist with megakaryopoiesis-stimulating activity. Eltrombopag binds to and stimulates the transmembrane domain of the platelet thrombopoietin receptor (TPO-R or CD110), a member of the hematopoietin receptor superfamily. Activation of TPO-R leads to the proliferation and differentiation of cells in the megakaryocytic lineage and an increase in platelet production. Eltrombopag was approved by FDA in November 20, 2008.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	22.44	50.72
DMF	1.0	2.26
DMF:PBS (pH 7.2) (1:3)	0.25	0.57
Ethanol	0.10	0.23

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	2.26 mL	11.30 mL	22.60 mL
5 mM	0.45 mL	2.26 mL	4.52 mL
10 mM	0.23 mL	1.13 mL	2.26 mL
50 mM	0.05 mL	0.23 mL	0.45 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Zhu Y, Yang L, Xu J, Yang X, Luan P, Cui Q, Zhang P, Wang F, Li R, Ding X, Jiang L, Lin G, Zhang J. Discovery of the anti-angiogenesis effect of eltrombopag in breast cancer through targeting of HuR protein. Acta Pharm Sin B. 2020 Aug;10(8):1414-1425. doi: 10.1016/j.apsb.2020.02.007. Epub 2020 Feb 24. PMID: 32963940; PMCID: PMC7488360.
2. Di Paola A, Palumbo G, Merli P, Argenziano M, Tortora C, Strocchio L, Roberti D, Santoro C, Perrotta S, Rossi F. Effects of Eltrombopag on In Vitro Macrophage Polarization in Pediatric Immune Thrombocytopenia. Int J Mol Sci. 2020 Dec 24;22(1):97. doi: 10.3390/ijms22010097. PMID: 33374151; PMCID: PMC7796119.

In vivo study

1. Zhu Y, Yang L, Xu J, Yang X, Luan P, Cui Q, Zhang P, Wang F, Li R, Ding X, Jiang L, Lin G, Zhang J. Discovery of the anti-angiogenesis effect of eltrombopag in breast cancer through targeting of HuR protein. Acta Pharm Sin B. 2020 Aug;10(8):1414-1425. doi: 10.1016/j.apsb.2020.02.007. Epub 2020 Feb 24. PMID: 32963940; PMCID: PMC7488360.

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2. Di Paola A, Palumbo G, Merli P, Argenziano M, Tortora C, Strocchio L, Roberti D, Santoro C, Perrotta S, Rossi F. Effects of Eltrombopag on In Vitro Macrophage Polarization in Pediatric Immune Thrombocytopenia. Int J Mol Sci. 2020 Dec 24;22(1):97. doi: 10.3390/ijms22010097. PMID: 33374151; PMCID: PMC7796119.

7. Bioactivity

Biological target: Eltrombopag is a thrombopoietin (TPO) receptor agonist.

In vitro activity

Eltrombopag's cell toxicity to five cancer cell lines and one macrophage cell line (4T1, A549, H1299, LLC, SMMC7721 and RAW264.7) was evaluated. As shown in Fig. 3A, eltrombopag could inhibit the in vitro cell viability of all the detected cell lines.

Reference: Acta Pharm Sin B. 2020 Aug;10(8):1414-1425. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7488360/

In vivo activity

To detect the in vivo anti-tumor effect of eltrombopag, mice bearing allografts with 4T1 cell lines were used. Over the course of the experiment, eltrombopag was well tolerated, and no signs of acute toxicity were observed (Fig. 3B and Supporting Information Fig. S2). Obvious anti-tumor effects of eltrombopag were observed for the 75 mg/kg dose ($P < 0.01$) and 150 mg/kg dose ($P < 0.01$) (Fig. 3C and D).

Reference: Acta Pharm Sin B. 2020 Aug;10(8):1414-1425. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7488360/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.