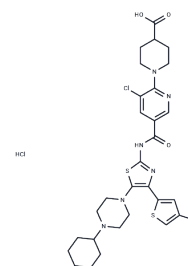


## Avatrombopag hydrochloride

## Chemical Properties

CAS No. :	570403-17-7
Formula:	C <sub>29</sub> H <sub>35</sub> Cl <sub>3</sub> N <sub>6</sub> O <sub>3</sub> S <sub>2</sub>
Molecular Weight:	686.11
Storage:	Powder: -20°C for 3 years   In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



## Biological Description

Description	Avatrombopag hydrochloride (AKR-501) is an orally active, nonpeptide agonist of the thrombopoietin (TPO) receptor with an EC <sub>50</sub> of 3.3 nM. It effectively mimics TPO by stimulating platelet production through activation of the intracellular signaling system, facilitating platelet and megakaryocyte generation from hemopoietic precursor cells. Additionally, Avatrombopag hydrochloride serves as a substrate for cytochrome P450 [CYP] 2C9 and CYP3A.
Targets(IC50)	Others,Thrombopoietin Receptor
In vitro	Avatrombopag hydrochloride, also known as E5501 and AKR-501, interacts specifically with the TPO receptor, mirroring the effects of recombinant human TPO (rhTPO) by stimulating megakaryocytopoiesis and aiding in the development and maturation of megakaryocytes. This activity was observed to be effective in humans and chimpanzees only[1]. Additionally, Avatrombopag hydrochloride facilitates the concentration-dependent growth of Ba/F3 cells expressing the TPO receptor, ranging from 0-100 nM. It also initiates the phosphorylation of STAT3, STAT5 (tyrosine), and ERK (threonine) within these cells, comparable to the effects seen with rhTPO[1]. Moreover, it supports the formation of megakaryocyte colonies from human CB CD34+ cells in a concentration-dependent manner, with an EC <sub>50</sub> value of 25 nM. The maximal activity of Avatrombopag hydrochloride parallels that of rhTPO[1].
In vivo	Avatrombopag hydrochloride, administered orally at doses ranging from 0.3 to 3 mg/kg daily for 14 days, dose-dependently increases the number of human platelets in NOD/SCID mice transplanted with human FL CD34+ cells. Specifically, administration results in approximately a 2.7-fold increase in platelet numbers at a dosage of 1 mg/kg/day and a 3.0-fold increase at 3 mg/kg/day by day 14 after the initiation of treatment. This effect was observed in an animal model involving NOD/SCID mice implanted with human FL CD34+ cells.

### Preparing Stock Solutions

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	1mg	5mg	10mg
1 mM	1.4575 mL	7.2875 mL	14.5749 mL
5 mM	0.2915 mL	1.4575 mL	2.915 mL
10 mM	0.1457 mL	0.7287 mL	1.4575 mL
50 mM	0.0291 mL	0.1457 mL	0.2915 mL

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Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

- Fukushima-Shintani M, et al. AKR-501 (YM477) a novel orally-active thrombopoietin receptor agonist. *Eur J Haematol.* 2009;82(4):247-254.
- Xu H, et al. Avatrombopag for the treatment of thrombocytopenia in patients with chronic liver disease. *Expert Rev Clin Pharmacol.* 2019 Sep;12(9):859-865.
- Nomoto M, et al. Pharmacokinetic/pharmacodynamic drug-drug interactions of avatrombopag when coadministered with dual or selective CYP2C9 and CYP3A interacting drugs. *Br J Clin Pharmacol.* 2018;84(5):952-960.

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