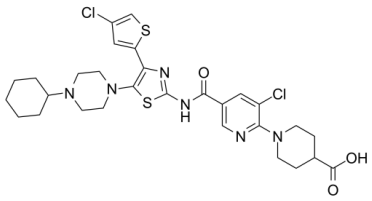
Data Sheet

Product Name:	Avatrombopag
Cat. No.:	CS-3397
CAS No.:	570406-98-3
Molecular Formula:	C29H34Cl2N6O3S2
Molecular Weight:	649.65
Target:	Thrombopoietin Receptor
Pathway:	Immunology/Inflammation
Solubility:	DMSO : ≥ 32 mg/mL (49.26 mM)



BIOLOGICAL ACTIVITY:

Avatrombopag (E5501; AKR-501; YM477) is an orally-active **thrombopoietin (TPO) receptor** agonist with an EC_{50} value of 3.3 nM and may be useful in the treatment of patients with thrombocytopenia[1]. IC50 & Target: EC50: 3.3 nM (**TPO receptor**)[1] **In Vitro:** Avatrombopag (0.003-3 μM; Ba/F3 cells) treatment supports the proliferation of TPO receptor expressing Ba/F3 cell in a concentration-dependent fashion[1].

Avatrombopag (0.003-3 μM; 15 minutes; Ba/F3 cells) treatment induces tyrosine phosphorylation of STAT3 and STAT5, and threonine phosphorylation of ERK in the cells. Avatrombopag activates signal transduction in TPO receptor expressing Ba/F3 cells through the TPO receptor, and supports the proliferation of these cells[1]. **In Vivo:** Avatrombopag (0-3 mg/kg; oral administration; once per day; for 14 days; NOD/SCID mice with human FL $CD34^+$ cells) treatment dose dependently increases the number of human platelets. Withdrawal of Avatrombopag administration causes the human platelet count to return nearly to pretreatment levels[1].

References:

[1]. Fukushima-Shintani M, et al. AKR-501 (YM477) a novel orally-active thrombopoietin receptor agonist. Eur J Haematol. 2009 Apr;82(4):247-54.

CAIndexNames:

4-Piperidinecarboxylic acid, 1-[3-chloro-5-[[[4-(4-chloro-2-thienyl)-5-(4-cyclohexyl-1-piperazinyl)-2-thiazolyl]amino]carbonyl]-2-pyridinyl]-

SMILES:

O=C(C1CCN(C2=NC=C(C(NC3=NC(C4=CC(Cl)=CS4)=C(N5CCN(C6CCCCC6)CC5)S3)=O)C=C2Cl)CC1)O

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