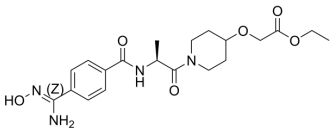
Sibrafiban

Cat. No.:	HY-10309
CAS No.:	172927-65-0
Molecular Formula:	$C_{20}H_{28}N_4O_6$
Molecular Weight:	420.46
Target:	Integrin
Pathway:	Cytoskeleton
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (118.92 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3783 mL	11.8917 mL	23.7835 mL
	5 mM	0.4757 mL	2.3783 mL	4.7567 mL
	10 mM	0.2378 mL	1.1892 mL	2.3783 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.95 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.95 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.95 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Sibrafiban (RO 48-3657) is the orally active, nonpeptide, double-proagent of Ro 44-3888 and a selective glycoprotein IIb/IIIa receptor antagonist. Sibrafiban inhibits platelet aggregation[1][2][3].

IC_{50} & Target

Glycoprotein IIb/IIIa receptor[1]

In Vitro

The effects of site occupancy by Sibrafiban on platelet activation are assessed using P-selectin expression, fibrinogen binding and microaggregate formation. Sibrafiban inhibits ADP and TRAP-stimulated fibrinogen binding and

	microaggregate formation in a concentration-dependent manner, whereas P-selectin expression is relatively unaltered. A decrease in site occupancy from peak to trough of Sibrafiban does not result in increased activation of platelets[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	The effects of Ro 44-3888 on the platelet aggregation response to ADP (17 μmol) and on cutaneous bleeding times is determined in 8 rhesus monkeys given Sibrafiban 0.25 mg/kg/day or 0.5 mg/kg/day orally for 8 days. The maximum inhibition of ex vivo platelet aggregation and prolongation of bleeding time by Ro 44-3888 are dose dependent[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. M Dooley, et al. Sibrafiban. Drugs. 1999 Feb;57(2):225-30; discussion 231-2.

[2]. B Wittke, et al. Pharmacokinetics and pharmacodynamics of sibrafiban alone or in combination with ticlopidine and aspirin. Br J Clin Pharmacol. 2000 Mar;49(3):231-9.

[3]. Jeffrey T Billheimer, et al. Effects of glycoprotein IIb/IIIa antagonists on platelet activation: development of a transfer method to mimic peak to trough receptor occupancy. Thromb Res. 2002 Sep 15;107(6):303-17.

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