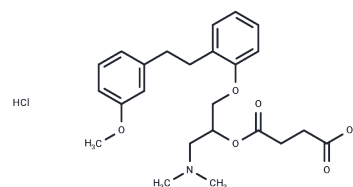


Sarpogrelate hydrochloride

Chemical Properties

CAS No. :	135159-51-2
Formula:	C ₂₄ H ₃₂ ClNO ₆
Molecular Weight:	465.97
Appearance:	no data available
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year



Biological Description

Description	Sarpogrelate hydrochloride (MCI-9042) , a selective 5-HT ₂ antagonist, has been widely used as an anti-platelet agent for the treatment of PAD. Target: 5-HT ₂ Receptor Sarpogrelate is a drug which acts as an antagonist at the 5HT _{2A} and 5-HT _{2B} receptors. Sarpogrelate hydrochloride was shown to have the same affinity as ritanserin for 5-HT _{2A} receptors, with a K _i value of 8.39 nM. Sarpogrelate hydrochloride lacked prominent 5-HT ₁ -like, 5-HT ₃ , beta, H ₁ , H ₂ and M ₃ antagonist activity and weakly blocked alpha 1-adrenoceptors (pK _B = 6.30). (S)-M-1 showed weak affinity for 5-HT ₁ -like receptors (pK _B = 6.30), alpha 1- (pK _B = 6.80) and beta- (pK _B = 6.54) adrenoceptors, while (R)-M-1 was a weak antagonist at histamine H ₁ receptors (pK _B = 6.49). After 12 weeks of sarpogrelate administration, FBF and LBF responses during RH showed significant increases from 13.2 +/- 1.7 to 18.1 +/- 2.2 mL/min per 100 mL tissue (P < 0.01) and from 8.2 +/- 0.9 to 14.2 +/- 2.1 mL/min per 100 mL tissue (P < 0.05), respectively. Sarpogrelate hydrochloride -induced augmentation of FBF and LBF responses to RH was maintained at 24 weeks. Long-term oral administration of sarpogrelate improves vascular function in patients with PAD.
Targets(IC ₅₀)	5-HT Receptor

Solubility Information

Solubility	DMSO: 46.6 mg/mL(100 mM), (< 1 mg/ml refers to the product slightly soluble or insoluble)
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A DRUG SCREENING EXPERT

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1461 mL	10.7303 mL	21.4606 mL
5 mM	0.4292 mL	2.1461 mL	4.2921 mL
10 mM	0.2146 mL	1.073 mL	2.1461 mL
50 mM	0.0429 mL	0.2146 mL	0.4292 mL

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.

Reference

Pertz, H. and S. Elz, In-vitro pharmacology of sarpogrelate and the enantiomers of its major metabolite: 5-HT_{2A} receptor specificity, stereoselectivity and modulation of ritanserin-induced depression of 5-HT contractions in rat

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