Description: Sarpogrelate (MCI-9042) hydrochloride, a selective 5-HT2 antagonist, has been widely used as an anti-platelet agent for the treatment of PAD. Target: 5-HT2 Receptor Sarpogrelate is a drug which acts as an antagonist at the 5HT2A and 5-HT2B receptors. Sarpogrelate was shown to have the same affinity as ritanserin for 5-HT2A receptors, with a Ki value of 8.39 nM. Sarpogrelate lacked prominent 5-HT1-like, 5-HT3, beta, H1, H2 and M3 antagonist activity and weakly blocked alpha 1-adrenoceptors (pKB = 6.30). (S)-M-1 showed weak affinity for 5-HT1-like receptors (pKB = 6.30), alpha 1- (pKB = 6.80) and beta- (pKB = 6.54) adrenoceptors, while (R)-M-1 was a weak antagonist at histamine H1 receptors (pKB = 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-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.

Storage: 2 years -80°C in solvent; 3 years -20°C powder;

Solubility

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Solubility</th>
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<tr>
<td>DMSO</td>
<td>46.6 mg/mL (100 mM)</td>
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<td>( &lt; 1 mg/ml refers to the product slightly soluble or insoluble )</td>
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Receptor (IC50)

5-HT2

Reference
1. Pertz, H. and S. Elz, In-vitro pharmacology of sarpogrelate and the enantiomers of its major metabolite: 5-HT2A receptor specificity, stereoselectivity and modulation of ritanserin-induced depression of 5-HT contractions in rat tail artery. J Pharm Pharma

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