

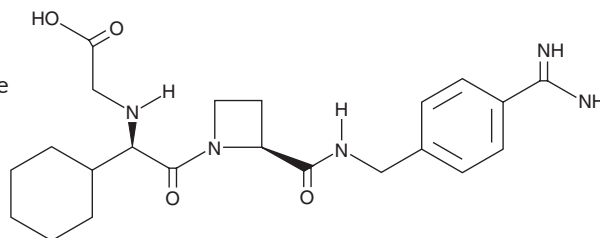
# PRODUCT INFORMATION



## Melagatran

Item No. 9002300

**CAS Registry No.:** 159776-70-2  
**Formal Name:** N-[(1R)-2-[(2S)-2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]carbonyl]-1-azetidiny]-1-cyclohexyl-2-oxoethyl]-glycine  
**MF:** C<sub>22</sub>H<sub>31</sub>N<sub>5</sub>O<sub>4</sub>  
**FW:** 429.5  
**Purity:** ≥90%  
**Supplied as:** A solid  
**Storage:** -20°C  
**Stability:** ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

### Laboratory Procedures

Melagatran is supplied as a solid. A stock solution may be made by dissolving the melagatran in the solvent of choice, which should be purged with an inert gas. Melagatran is slightly soluble in chloroform, DMSO, and methanol.

### Description

Melagatran is an inhibitor of thrombin ( $K_i = 0.002 \mu\text{M}$ ) and an active metabolite of the prodrug ximelagatran (Item No. 16862).<sup>1</sup> It is selective for thrombin over a variety of serine proteases ( $K_i$ s = 0.6-10.2  $\mu\text{M}$ ) but also inhibits trypsin ( $K_i = 0.004 \mu\text{M}$ ). Melagatran inhibits thrombin-induced aggregation of isolated washed human platelets ( $\text{IC}_{50} = 1.9 \text{ nM}$ ). It decreases the dry weight of thrombi in rats ( $\text{ED}_{50} = 16 \mu\text{g/kg}$ ).<sup>2</sup> Melagatran increases total bleeding time and blood loss in rats ( $\text{ID}_{50}$ s = 300 and 6.8  $\mu\text{g/kg}$ , respectively).<sup>3</sup> Melagatran (5  $\mu\text{mol/kg}$  per hour), alone or in combination with tissue plasminogen activator (tPA), reduces brain infarct volume and increases blood reperfusion in a rat model of ischemia-induced traumatic brain injury (TBI).<sup>4</sup>

### References

1. Gustafsson, D., Antonsson, T., Bylund, R., *et al.* Effects of melagatran, a new low-molecular-weight thrombin inhibitor, on thrombin and fibrinolytic enzymes. *Thromb. Haemost.* **79(1)**, 110-118 (1998).
2. Eriksson, B.I., Carlsson, S., Halvarsson, M., *et al.* Antithrombotic effect of two low molecular weight thrombin inhibitors and a low-molecular weight heparin in a caval vein thrombosis model in the rat. *Thromb. Haemost.* **78(5)**, 1404-1407 (1997).
3. Elg, M., Gustafsson, D., and Carlsson, S. Antithrombotic effects and bleeding time of thrombin inhibitors and warfarin in the rat. *Thromb. Res.* **94(3)**, 187-197 (1999).
4. Wang, C.X., Ding, X., and Shuaib, A. Treatment with melagatran alone or in combination with thrombolytic therapy reduced ischemic brain injury. *Exp. Neurol.* **213(1)**, 171-175 (2008).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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