Delparantag

Cat. No.:	HY-105240
CAS No.:	872454-31-4
Molecular Formula:	$C_{56}H_{79}N_{13}O_{12}$
Molecular Weight:	1126.31
Sequence Shortening:	K-{Oaa}-K-{Oaa}-K-{Oaa}-K
Target:	Factor Xa
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.

BIOLOGICAL ACTIVITY

Description

Delparantag (PMX-60056) is a salicylamide derivative and an effective unfractionated heparin (UFH) and low molecular weight heparin (LMWH) reversing agent. Delparantag shows ability to neutralize the anticoagulation and bleeding effects of UFH and LMWH[1][2].

In Vitro

Delparantag is designed to restore coagulation by specifically binding to the pentasaccharide and disrupting UFH and LMWH interaction with antithrombin[1].

In heparinized plasma, Delparantag (PMX-60056) is more potent on a gravimetric basis than protamine at neutralizing both anti-Xa and anti-IIa activities. Delparantag is able to completely neutralize heparin at an approximate 2:1 gravimetric ratio. The amount of residual anti-IIa and anti-Xa activity was significantly less with Delparantag at a concentration of 50 mg/mL. In plasma anticoagulated with enoxaparin, Delparantag produces a concentration-dependent neutralization of anti-Xa activity. The amount of anti-Xa activity remaining after supplementation of the neutralizing agent is significantly less with Delparantag at concentrations above 25 mg/mL[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Delparantag (PMX-60056; 0.5 mg/kg, 1.0 mg/kg, or 2.0 mg/kg; intravenous injection; once; male Sprague-Dawley rats) treatment neutralizes the antithrombotic, anticoagulant, and bleeding effects of heparins as effectively as protamine sulfate and may be slightly more efficacious against LMWHs[2].

Plasma half-life elimination of Delparantag is between 3 and 5 min[1].

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Animal Model:	Male Sprague-Dawley rats (250-275 g) injected with UFH or LMWH (2.0 mg/kg)[2]
Dosage:	0.5 mg/kg, 1.0 mg/kg, or 2.0 mg/kg
Administration:	Intravenous injection; once
Result:	Neutralizes the antithrombotic, anticoagulant, and bleeding effects of heparins as effectively as protamine sulfate and may be slightly more efficacious against LMWHs.

REFERENCES

[1]. Mahan CE. A 1-year drug utilization evaluation of protamine in hospitalized patients to identify possible future roles of heparin and low molecular weight heparin reversal agents. J Thromb Thrombolysis. 2014 Apr;37(3):271-8.

[2]. Kuziej J, et al. In vivo neutralization of unfractionated heparin and low-molecular-weight heparin by a novel salicylamide derivative. Clin Appl Thromb Hemost. 2010 Aug;16(4):377-86.

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