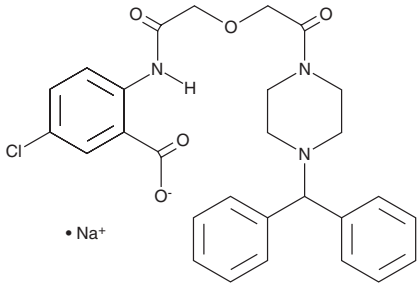
PRODUCT INFORMATION

TM5275

Item No. 23151

CAS Registry No.:	1103926-82-4
Formal Name:	5-chloro-2-[[2-[2-[4-(diphenylmethyl)-1-piperazinyl]-2-oxoethoxy]acetyl]amino]-benzoic acid, monosodium salt
MF:	$C_{28}H_{27}ClN_3O_5 \bullet Na$
FW:	544.0
Purity:	≥95%
Supplied as:	A crystalline 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

TM5275 is supplied as a crystalline solid. A stock solution may be made by dissolving the TM5275 in the solvent of choice. TM5275 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of TM5275 in these solvents is approximately 20 and 33 mg/ml, respectively.

TM5275 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, TM5275 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. TM5275 has a solubility of approximately 0.11 mg/ml in a 1:8 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

TM5275 is an inhibitor of plasminogen activator inhibitor 1 (PAI-1; IC_{50} = 6.95 µM), a serine-protease inhibitor involved in thrombosis.[1] TM5275 inhibits formation of a complex consisting of tissue plasminogen activator (tPA), PAI-1, and GFP on vascular endothelial cells (VECs) *in vitro*, prolonging the time that tPA is retained on VECs.[2] It also enhances fibrin clot dissolution and plasminogen accumulation *in vitro* and has antithrombotic effects in rat models of thrombosis.[1] TM5275 (10 and 50 mg/kg) decreases blood clot weight in an arteriovenous shunt thrombosis model and increases the time to primary occlusion in a ferric chloride-treated carotid artery thrombosis model when used at doses of 1 and 3 mg/kg. In a cynomolgus monkey model of photochemical-induced arterial thrombosis, TM5275 (10 mg/kg) increases the time to primary occlusion. It does not affect platelet activity, activated partial thromboplastin time, prothrombin time, or prolong bleeding time.

References

1. Izuhara, Y., Yamaoka, N., Kodama, H., *et al.* A novel inhibitor of plasminogen activator inhibitor-1 provides antithrombotic benefits devoid of bleeding effect in nonhuman primates. *J. Cereb. Blood Flow Metab.* **30(5)**, 904-912 (2010).
2. Yasui, H., Suzuki, Y., Sano, H., *et al.* TM5275 prolongs secreted tissue plasminogen activator retention and enhances fibrinolysis on vascular endothelial cells. *Thromb. Res.* **132(1)**, 100-105 (2013).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM