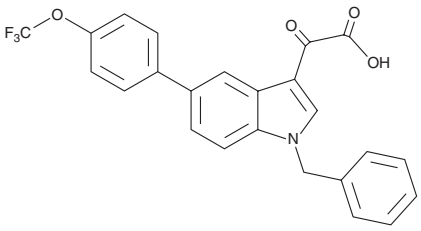
PRODUCT INFORMATION

Tiplaxtinin

Item No. 9000450

CAS Registry No.:	393105-53-8
Formal Name:	α-oxo-1-(phenylmethyl)-5-[4-(trifluoromethoxy) phenyl]-1H-indole-3-acetic acid
Synonyms:	PAI-039, Tiplasinin
MF:	$C_{24}H_{16}F_3NO_4$
FW:	439.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 252, 328 nm
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

Tiplaxtinin is supplied as a crystalline solid. A stock solution may be made by dissolving the tiplaxtinin in the solvent of choice, which should be purged with an inert gas. Tiplaxtinin is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of tiplaxtinin in these solvents is approximately 14, 25, and 33 mg/ml, respectively.

Tiplaxtinin is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, tiplaxtinin should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Tiplaxtinin has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Tiplaxtinin is an inhibitor of plasminogen activator inhibitor 1 (PAI-1; IC_{50} = 2.7 µM for the human enzyme).[1] It inhibits differentiation of human adipocytes when used at a concentration of 5 µM.[2] Tiplaxtinin (5 and 20 mg/kg) reduces tumor growth and microvessel density in a T24 bladder cancer mouse xenograft model.[3] It prevents carotid artery occlusion, increases the time to occlusive thrombosis, and decreases the thrombus size in a rat model of ferric chloride-induced arterial thrombosis when administered at a dose of 1 mg/kg.[4]

References

1. Elokdah, H., Abou-Gharbia, M., Hennan, J.K., *et al.* Tiplaxtinin, a novel, orally efficacious inhibitor of plasminogen activator inhibitor-1: Design, synthesis, and preclinical characterization. *J. Med. Chem.* **47(14)**, 3491-3494 (2004).
2. Crandall, D.L., Quinet, E.M., El Ayachi, S., *et al.* Modulation of adipose tissue development by pharmacological inhibition of PAI-1. *Arterioscler. Thromb. Vasc. Biol.* **26(10)**, 2209-2215 (2006).
3. Gomes-Giacoia, E., Miyake, M., Goodison, S., *et al.* Targeting plasminogen activator inhibitor-1 inhibits angiogenesis and tumor growth in a human cancer xenograft model. *Mol. Cancer Ther.* **12(12)**, 2697-2708 (2013).
4. Hennan, J.K., Morgan, G.A., Swillo, R.E., *et al.* Effect of tiplaxtinin (PAI-039), an orally bioavailable PAI-1 antagonist, in a rat model of thrombosis. *J. Thromb. Haemost.* **6(9)**, 1558-1564 (2008).

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