

Product Data Sheet

Product Name: Tiplaxtinin(PAI-039)

Cat. No.: GC12387

Chemical Properties

Cas. No. 393105-53-8

Chemical Name 2-(1-benzyl-5-(4-(trifluoromethoxy)phenyl)-1H-indol-3-yl)-2-oxoacetic acid

SMILES FC(F)(OC1=CC=C(C2=CC(C(C(C(O)=O)=O)=CN3CC4=CC=CC=C4)=C3C=C2)C=C1)F

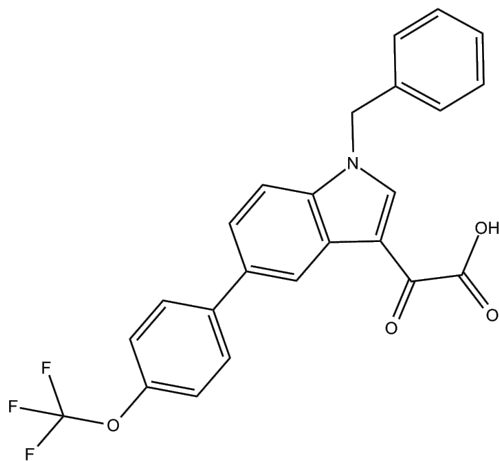
Formula $C_{24}H_{16}F_3NO_4$ M.Wt 439.38

Solubility $\geq 17.6\text{mg/mL}$ in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines Immortalized human keratinocytes (HaCaT cells)

Caution: Product has not been fully validated for medical applications. For research use only.

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Preparation Method	Confluent HaCaT cells were switched to serum free media before scratch wounding and concomitant treatment with 10μM Tiplaxtinin for 24h or 72h.
Reaction Conditions	10μM; 24h or 72h
Applications	Tiplaxtinin reduces PAI-1-stimulated keratinocyte migration in vitro while having no effect on epithelial proliferation, cell cycle progression, or apoptosis.
Animal experiment [2]:	
Animal models	C57BL/6 mice
Preparation Method	C57BL/6 mice were divided into four groups; phosphate-buffered saline (PBS) only (group 1); PBS 1 tiplaxtinin (group 2); OVA only (group 3); and OVA 1 tiplaxtinin (group 4). Mice were sensitized via three intraperitoneal injections (on Days 0, 3, and 6) of 50mg/0.1ml chicken OVA. After sensitization, the mice were exposed to aerosolized PBS or OVA (10mg/15ml OVA in PBS) for 20min/day on 3day/week for 4 weeks, beginning from the 11th day of the study. Tiplaxtinin was mixed with regular chow and administrated orally to groups 2 and 4 at a dose of 5mg/day, from 1 day before challenge until Day 36.
Dosage form	5mg/day; orally administration; from 1 day before challenge until Day 36
Applications	Tiplaxtinin significantly reduced the bronchoalveolar lavage fluid levels of active PAI-1, the degree of inflammation, airway remodeling, and airway hyperresponsiveness in a murine model of chronic asthma

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References:

- [1] Simone T M, Longmate W M, Law B K, Higgins P J. Targeted Inhibition of PAI-1 Activity Impairs Epithelial Migration and Wound Closure Following Cutaneous Injury. *Adv Wound Care* (New Rochelle). 2015 Jun 1;4(6):321-328.
- [2] Lee S H, Eren M, Vaughan D E, et al. A plasminogen activator inhibitor-1 inhibitor reduces airway remodeling in a murine model of chronic asthma. *Am J Respir Cell Mol Biol*. 2012 Jun;46(6):842-6.

Background

Tiplaxtinin (PAI-039) is an orally bioavailable antagonist of plasminogen activator inhibitor-1 (PAI-1) with IC_{50} value of $2.7\mu M$. Tiplaxtinin is commonly employed in studies of antithrombosis, diabetic wound healing, and skeletal-muscle regeneration^{[1][2]}.

In vitro, the human cervical carcinoma (CC) cell lines HeLa and SiHa were treated with Tiplaxtinin at concentrations of $20\mu M$, $40\mu M$, $60\mu M$, $80\mu M$, and $100\mu M$ for 24h to 72h. Tiplaxtinin showed a strong inhibitory effect on both SiHa and HeLa cells, significantly reducing their viability, colony formation, and migratory capacity^[3]. Immortalized human keratinocytes HaCaT cells were incubated with $10\mu M$ Tiplaxtinin for 24h or 72h. Tiplaxtinin reduces PAI-1-stimulated keratinocyte migration in vitro while having no effect on epithelial proliferation, cell cycle progression, or apoptosis^[4].

In vivo, male C57BL/6-*Ins2*^{Akita}/J mice were treated via oral gavage with vehicle (2% Tween-80 and 0.5% methylcellulose in sterile H₂O) or vehicle plus Tiplaxtinin (2mg/kg) after becoming spontaneously diabetic at about 4 weeks of age due to a heterozygous mutation in the *Ins-2* gene. Tiplaxtinin administration reversed the diabetic defect by promoting macrophage and satellite cell infiltration into necrotic areas of the tibialis anterior and gastrocnemius^[5]. Tiplaxtinin (5mg/day) were orally administered into mice model of chronic allergic asthma from 1 day before ovalbumin or PBS challenge until Day 36. Tiplaxtinin significantly reduced the bronchoalveolar lavage fluid levels of active PAI-1, the degree of inflammation, airway remodeling, and airway hyperresponsiveness in a murine model of chronic asthma^[6]. Tiplaxtinin was administered by oral gavage to athymic mice bearing human bladder cancer cell line T24 xenografts and human cervical cancer HeLa cell xenografts. The subcutaneous tumor growth of both T24 and HeLa cell xenografts treated with tiplaxtinin was markedly reduced compared with untreated controls^[7].

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- [1] 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. 2008 Sep;6(9):1558-64.
- [2] Rebalka I R, Raleigh M J, D'Souza D M, et al. Inhibition of PAI-1 Via PAI-039 Improves Dermal Wound Closure in Diabetes. Diabetes. 2015 Jul;64(7):2593-602.
- [3] Wehbe S, Gallwas J, Gründker C. Inhibition of Plasminogen Activator Inhibitor-1 (PAI-1) by Tiplaxtinin Reduces Aggressiveness of Cervical Carcinoma Cells. Anticancer Res. 2025 May;45(5):1793-1805.
- [4] Simone T M, Longmate W M, Law B K, Higgins P J. Targeted Inhibition of PAI-1 Activity Impairs Epithelial Migration and Wound Closure Following Cutaneous Injury. Adv Wound Care (New Rochelle). 2015 Jun 1;4(6):321-328.
- [5] Krause M P, Sajee D A, D'Souza D M, et al. Impaired macrophage and satellite cell infiltration occurs in a muscle-specific fashion following injury in diabetic skeletal muscle. PLoS One. 2013 Aug 12;8(8):e70971.
- [6] Lee S H, Eren M, Vaughan D E, et al. A plasminogen activator inhibitor-1 inhibitor reduces airway remodeling in a murine model of chronic asthma. Am J Respir Cell Mol Biol. 2012 Jun;46(6):842-6.
- [7] Giacoia E G, Miyake M, Goodison S, Rosser C J. Targeting plasminogen activator inhibitor-1 inhibits angiogenesis and tumor growth in a human cancer xenograft model. Mol Cancer Ther. 2013, Dec;12(12):2697-708.

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