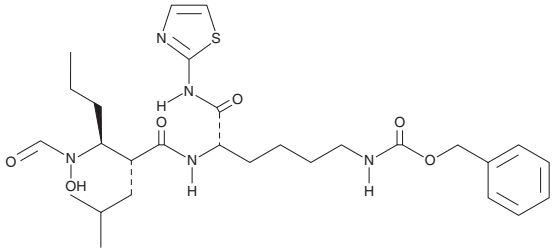
PRODUCT INFORMATION

GW 280264X

Item No. 31388



CAS Registry No.:	866924-39-2
Formal Name:	N-[(5S)-5-[[(2R,3S)-3-(formylhydroxyamino)-2-(2-methylpropyl)-1-oxohexyl]amino]-6-oxo-6-(2-thiazolylamino)hexyl]-carbamic acid, penthylmethyl ester
MF:	$C_{28}H_{41}N_5O_6S$
FW:	575.7
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

GW 280264X is supplied as a crystalline solid. A stock solution may be made by dissolving the GW 280264X in the solvent of choice, which should be purged with an inert gas. GW 280264X is soluble in DMSO.

Description

GW 280264X is an inhibitor of disintegrin and metalloproteinase domain-containing protein 17/TNF-α converting enzyme (ADAM17/TACE) and ADAM10 (IC_{50}s = 8 and 11.5 nM, respectively).[1] It inhibits TNF-α-induced cleavage of endomucin in human umbilical vein endothelial cells (HUVECs) when used at a concentration of 10 µM.[2] GW 280264X (1 and 10 µM) inhibits angiotensin-converting enzyme 2 (ACE2) ectodomain shedding induced by phorbol 12-myristate 13-acetate (PMA; Item No. 10008014) in HEK-ACE2 cells.[3] It reduces ACE2 shedding and prevents severe acute respiratory syndrome coronavirus 2 (SARS-CoV-2) infection in HK-2 cells.[4]

References

1 Hundhausen, C., Misztela, D., Berkout, T.A., *et al*. The disintegrin-like metalloproteinase ADAM10 is involved in constitutive cleavage of CX3CL1 (fractalkine) and regulates CX3CL1-mediated cell-cell adhesion. *Blood* **102(4)**, 1186-1195 (2003).

2 Yang, J., LeBlanc, M.E., Cano, I., *et al*. ADAM10 and ADAM17 proteases mediate proinflammatory cytokine-induced and constitutive cleavage of endomucin from the endothelial surface. *J. Biol. Chem.* **295(19)**, 6641-6651 (2020).

3 Lambert, D.W., Yarski, M., Warner, F.J., *et al*. Tumor necrosis factor-alpha convertase (ADAM17) mediates regulated ectodomain shedding of the severe-acute respiratory syndrome-coronavirus (SARS-CoV) receptor, angiotensin-converting enzyme-2 (ACE2). *J. Biol. Chem.* **280(34)**, 30113-30119 (2005).

4 Yeung, M.L., Teng, J.L.L., Jia, L., *et al*. Soluble ACE2-mediated cell entry of SARS-CoV-2 *via* interaction with proteins related to the renin-angiotensin system. *Cell* **184**, 1-17 (2021).

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