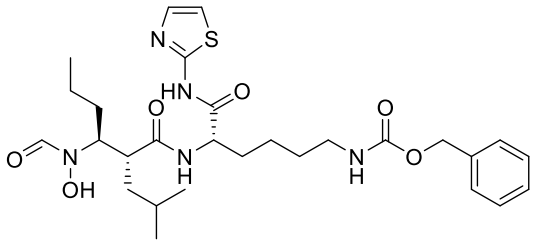
Product data sheet

MedKoo Cat#: 555806 Name: GW280264X CAS: 866924-39-2 Chemical Formula: $C_{28}H_{41}N_5O_6S$ Exact Mass: 575.2778 Molecular Weight: 575.725	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
GW280264X is an ADAM17 inhibitor.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	91.29	158.56

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.74 mL	8.68 mL	17.37 mL
5 mM	0.35 mL	1.74 mL	3.47 mL
10 mM	0.17 mL	0.87 mL	1.74 mL
50 mM	0.04 mL	0.17 mL	0.35 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Hedemann N, Herz A, Schiepanski JH, Dittrich J, Sebens S, Dempfle A, Feuerborn J, Rogmans C, Tribian N, Flörkemeier I, Weimer J, Krüger S, Maass N, Bauerschlag DO. ADAM17 Inhibition Increases the Impact of Cisplatin Treatment in Ovarian Cancer Spheroids. Cancers (Basel). 2021 Apr 23;13(9):2039. doi: 10.3390/cancers13092039. PMID: 33922533; PMCID: PMC8122950.
2. Hundhausen C, Misztela D, Berkhout TA, Broadway N, Saftig P, Reiss K, Hartmann D, Fahrenholz F, Postina R, Matthews V, Kallen KJ, Rose-John S, Ludwig A. The disintegrin-like metalloproteinase ADAM10 is involved in constitutive cleavage of CX3CL1 (fractalkine) and regulates CX3CL1-mediated cell-cell adhesion. Blood. 2003 Aug 15;102(4):1186-95. doi: 10.1182/blood-2002-12-3775. Epub 2003 Apr 24. PMID: 12714508.

In vivo study

1. Sommer D, Corstjens I, Sanchez S, Dooley D, Lemmens S, Van Broeckhoven J, Bogie J, Vanmierlo T, Vidal PM, Rose-John S, Gou-Fabregas M, Hendrix S. ADAM17-deficiency on microglia but not on macrophages promotes phagocytosis and functional recovery after spinal cord injury. Brain Behav Immun. 2019 Aug;80:129-145. doi: 10.1016/j.bbi.2019.02.032. Epub 2019 Mar 6. PMID: 30851378.

7. Bioactivity
Biological target:

GW280264X potently blocks TACE (ADAM17) and ADAM10 with IC_{50}s of 8.0 nM and 11.5 nM, respectively.

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In vitro activity

In further agreement with the differential ability of the 2 compounds to block the PMA-mediated shedding, only the mixed TACE/ADAM10 inhibitor GW280264X substantially restored adhesion to PMA-stimulated cells, whereas the selective ADAM10 inhibitor GI254023X had a minimal effect.

Reference: Blood. 2003 Aug 15;102(4):1186-95. https://pubmed.ncbi.nlm.nih.gov/12714508/

In vivo activity

C57BL/6 mice were subjected to SCI (spinal cord injury) and were treated either with GW280264x (combined ADAM10/ADAM17 inhibition), GI254023x (specific ADAM10 inhibition), or PBS + DMSO (control) (Fig. 1A). Mice treated with GW280264x showed significantly improved functional recovery compared to the control group (Fig. 1B).

Reference: Brain Behav Immun. 2019 Aug;80:129-145. https://pubmed.ncbi.nlm.nih.gov/30851378/