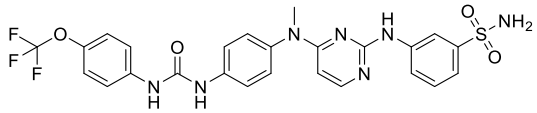
Product data sheet

MedKoo Cat#: 408128 Name: GW806742X CAS: 579515-63-2 Chemical Formula: $C_{25}H_{22}F_3N_7O_4S$ Exact Mass: 573.1406 Molecular Weight: 573.5512	
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:

GW806742X is a potent MLKL inhibitor, also a human and murine necroptosis inhibitor. GW806742X binds the MLKL pseudokinase domain with a Kd value of 9.3 μM and has anti-necroptosis activity. GW806742X has activity against VEGFR2. GW806742X blocked necroptosis and IL-33 release in vitro and reduced eosinophilia in Aspergillus fumigatus extract-induced asthma in vivo, an allergic inflammation model that is highly dependent on IL-33.

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	100.0	174.35

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.74 mL	8.72 mL	17.44 mL
5 mM	0.35 mL	1.74 mL	3.49 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. Moreira-Nunes CA, Mesquita FP, Portilho AJS, Mello Júnior FAR, Maués JHDS, Pantoja LDC, Wanderley AV, Khayat AS, Zuercher WJ, Montenegro RC, de Moraes-Filho MO, de Moraes MEA. Targeting aurora kinases as a potential prognostic and therapeutical biomarkers in pediatric acute lymphoblastic leukaemia. Sci Rep. 2020 Dec 4;10(1):21272. doi: 10.1038/s41598-020-78024-8. PMID: 33277547; PMCID: PMC7718893.

In vivo study

1. Shlomovitz I, Erlich Z, Speir M, Zargarian S, Baram N, Engler M, Edry-Botzer L, Munitz A, Croker BA, Gerlic M. Necroptosis directly induces the release of full-length biologically active IL-33 in vitro and in an inflammatory disease model. FEBS J. 2019 Feb;286(3):507-522. doi: 10.1111/febs.14738. Epub 2019 Jan 4. PMID: 30576068.

7. Bioactivity

Biological target:

GW806742X, an ATP mimetic and a potent MLKL (Mixed Lineage Kinase Domain-Like protein) inhibitor, binds the MLKL pseudokinase domain with a K_d of 9.3 μM. GW806742X has activity against VEGFR2 (IC_{50}=2 nM).

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In vitro activity

Firstly, it was proved that non-selective *AURKA* and *AURKB* inhibitors GW809897X and GW806742X treatment reduced cell proliferation of leukemia cell line (K-562) with a potency constant IC50 of > 5 μM and 1.47 μM, respectively.

Reference: Sci Rep. 2020 Dec 4;10(1):21272. https://pubmed.ncbi.nlm.nih.gov/33277547/

In vivo activity

In addition, airway inflammation and lung eosinophil infiltration were reduced asthmatic mice in response to GW80 (GW806742X) treatment (Fig. 6H–K). In summary, the ability of the necroptotic inhibitor GW80 to attenuate pathology in an IL-33-dependent Asp.-induced asthma model suggests a role for necroptosis in IL-33 release in asthma.

Reference: FEBS J. 2019 Feb;286(3):507-522. https://pubmed.ncbi.nlm.nih.gov/30576068/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.