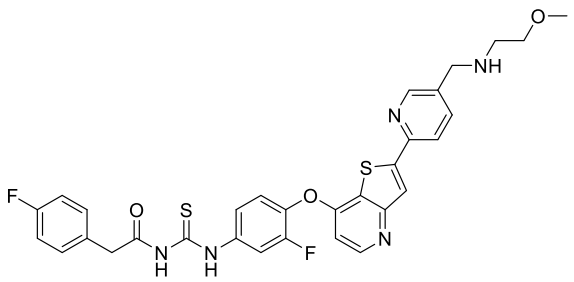
Product data sheet

MedKoo Cat#: 206506 Name: Glesatinib CAS: 936694-12-1 (free base) Chemical Formula: $C_{31}H_{27}F_2N_5O_3S_2$ Exact Mass: 619.1523 Molecular Weight: 619.7058	
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:
Glesatinib, also known as MGCD-265, is an orally bioavailable, small-molecule, multitargeted tyrosine kinase inhibitor with potential antineoplastic activity. MGCD265 binds to and inhibits the phosphorylation of several receptor tyrosine kinases (RTKs), including the c-Met receptor (hepatocyte growth factor receptor); the Tek/Tie-2 receptor; vascular endothelial growth factor receptor (VEGFR) types 1, 2, and 3; and the macrophage-stimulating 1 receptor (MST1R or RON).

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
TBD	TBD	TBD

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	1.61 mL	8.07 mL	16.14 mL
5 mM	0.32 mL	1.61 mL	3.23 mL
10 mM	0.16 mL	0.81 mL	1.61 mL
50 mM	0.03 mL	0.16 mL	0.32 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. Cui Q, Cai CY, Gao HL, Ren L, Ji N, Gupta P, Yang Y, Shukla S, Ambudkar SV, Yang DH, Chen ZS. Glesatinib, a c-MET/SMO Dual Inhibitor, Antagonizes P-glycoprotein Mediated Multidrug Resistance in Cancer Cells. Front Oncol. 2019 Apr 25;9:313. doi: 10.3389/fonc.2019.00313. PMID: 31106148; PMCID: PMC6494935.
2. Engstrom LD, Aranda R, Lee M, Tovar EA, Essenburg CJ, Madaj Z, Chiang H, Briere D, Hallin J, Lopez-Casas PP, Baños N, Menendez C, Hidalgo M, Tassell V, Chao R, Chudova DI, Lanman RB, Olson P, Bazhenova L, Patel SP, Graveel C, Nishino M, Shapiro GI, Peled N, Awad MM, Jänne PA, Christensen JG. Glesatinib Exhibits Antitumor Activity in Lung Cancer Models and Patients Harboring MET Exon 14 Mutations and Overcomes Mutation-mediated Resistance to Type I MET Inhibitors in Nonclinical Models. Clin Cancer Res. 2017 Nov 1;23(21):6661-6672. doi: 10.1158/1078-0432.CCR-17-1192. Epub 2017 Aug 1. PMID: 28765324.

In vivo study

1. Engstrom LD, Aranda R, Lee M, Tovar EA, Essenburg CJ, Madaj Z, Chiang H, Briere D, Hallin J, Lopez-Casas PP, Baños N, Menendez C, Hidalgo M, Tassell V, Chao R, Chudova DI, Lanman RB, Olson P, Bazhenova L, Patel SP, Graveel C, Nishino M, Shapiro GI, Peled N, Awad MM, Jänne PA, Christensen JG. Glesatinib Exhibits Antitumor Activity in Lung Cancer Models and Patients Harboring MET Exon 14 Mutations and Overcomes Mutation-mediated Resistance to Type I MET Inhibitors in Nonclinical

Product data sheet

Models. Clin Cancer Res. 2017 Nov 1;23(21):6661-6672. doi: 10.1158/1078-0432.CCR-17-1192. Epub 2017 Aug 1. PMID: 28765324.

7. Bioactivity

Biological target:

Glesatinib (MGCD265) is an orally active, potent MET/SMO dual inhibitor.

In vitro activity

These results suggested that glesatinib could not impact the expression and localization of P-gp. This study next tested the effects of glesatinib to the efflux functions of P-gp.

Reference: Front Oncol. 2019 Apr 25;9:313. https://pubmed.ncbi.nlm.nih.gov/31106148/

In vivo activity

Glesatinib was also tested across a broader panel of tumor xenograft models and regression was also observed in the *MET* amplification-positive MKN-45 model, whereas only partial tumor growth inhibition (40%–80%) was observed in eight other models only expressing WT *MET* (Supplementary Fig. S2).

Reference: Clin Cancer Res. 2017 Nov 1;23(21):6661-6672. https://pubmed.ncbi.nlm.nih.gov/28765324/