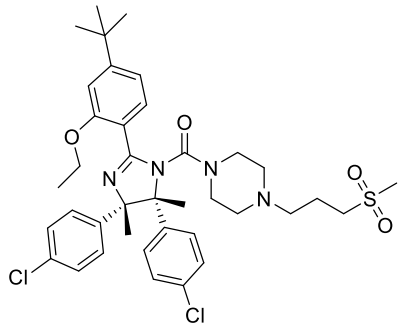
Product data sheet

MedKoo Cat#: 202421 Name: RO5045337 CAS#: 939981-39-2 Chemical Formula: $C_{38}H_{48}Cl_2N_4O_4S$ Exact Mass: 726.27733 Molecular Weight: 726.28	
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:
RO5045337, also known as RG7112, is a MDM2 antagonist with potential antineoplastic activity.

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
DMF	25	34.4
DMSO	12.5	17.2
Ethanol	25	27.5

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.38 mL	6.88 mL	13.77 mL
5 mM	0.28 mL	1.38 mL	2.75 mL
10 mM	0.14 mL	0.69 mL	1.38 mL
50 mM	0.03 mL	0.14 mL	0.28 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. Al-Ghabkari A, Narendran A. In Vitro Characterization of a Potent p53-MDM2 Inhibitor, RG7112 in Neuroblastoma Cancer Cell Lines. Cancer Biother Radiopharm. 2019 May;34(4):252-257. doi: 10.1089/cbr.2018.2732. Epub 2019 Feb 6. PMID: 30724592.
2. Iancu-Rubin C, Mosoyan G, Glenn K, Gordon RE, Nichols GL, Hoffman R. Activation of p53 by the MDM2 inhibitor RG7112 impairs thrombopoiesis. Exp Hematol. 2014 Feb;42(2):137-45.e5. doi: 10.1016/j.exphem.2013.11.012. Epub 2013 Dec 3. PMID: 24309210.

In vivo study

1. Tovar C, Graves B, Packman K, Filipovic Z, Higgins B, Xia M, Tardell C, Garrido R, Lee E, Kolinsky K, To KH, Linn M, Podlaski F, Wovkulich P, Vu B, Vassilev LT. MDM2 small-molecule antagonist RG7112 activates p53 signaling and regresses human tumors in preclinical cancer models. Cancer Res. 2013 Apr 15;73(8):2587-97. doi: 10.1158/0008-5472.CAN-12-2807. Epub 2013 Feb 11. PMID: 23400593.
2. Iancu-Rubin C, Mosoyan G, Glenn K, Gordon RE, Nichols GL, Hoffman R. Activation of p53 by the MDM2 inhibitor RG7112 impairs thrombopoiesis. Exp Hematol. 2014 Feb;42(2):137-45.e5. doi: 10.1016/j.exphem.2013.11.012. Epub 2013 Dec 3. PMID: 24309210.

7. Bioactivity

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Biological target:

RO5045337 has an IC50 of 18 nM and a KD of 11 nM for binding to MDM2.

In vitro activity

RO5045337 significantly reduced cellular viability of IMR5 (IC50, 562 nM) and LAN-5 (IC50, 430 nM), but not SK-N-BE(2) and SH-EP cells. RO5045337 restores p53 and p21 protein levels in IMR5 and LAN-5 in a dose-dependent manner. RO5045337 induces cell cycle arresting (60% G1 arresting) in WT-p53 cells (IMR5)

Reference: Cancer Biother Radiopharm. 2019 May;34(4):252-257. https://pubmed.ncbi.nlm.nih.gov/30724592/

In vivo activity

Oral administration of RO5045337 to human xenograft-bearing mice at nontoxic concentrations caused dose-dependent changes in proliferation/apoptosis biomarkers as well as tumor inhibition and regression. RO5045337 was highly synergistic with androgen deprivation in LNCaP xenograft tumors.

Reference: Cancer Res. 2013 Apr 15;73(8):2587-97. https://pubmed.ncbi.nlm.nih.gov/23400593/

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.