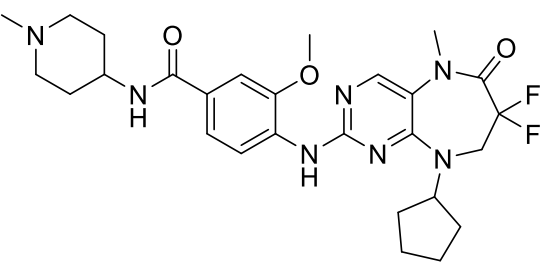


# Product data sheet



MedKoo Cat#: 406354 Name: RO 3280 CAS#: 1062243-51-9 Chemical Formula: C <sub>27</sub> H <sub>35</sub> F <sub>2</sub> N <sub>7</sub> O <sub>3</sub> Exact Mass: 543.2769 Molecular Weight: 543.61	
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:

RO3280, also known as Ro5203280, is a potent and selective polo-like kinase 1 (PLK1) inhibitor. PLK1 is highly expressed in many cancers. RO3280 induces mitotic catastrophe and apoptosis in human bladder cancer cells and leukemia cells.

## 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	30	55.19
DMF	30	55.19
Ethanol	30	55.19

## 4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.84 mL	9.20 mL	18.40 mL
5 mM	0.37 mL	1.84 mL	3.68 mL
10 mM	0.18 mL	0.92 mL	1.84 mL
50 mM	0.04 mL	0.18 mL	0.37 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

- Cheung AK, Ip JC, Lung HL, Wu JZ, Tsao SW, Lung ML. Polo-like kinase inhibitor Ro5203280 has potent antitumor activity in nasopharyngeal carcinoma. *Mol Cancer Ther.* 2013 Aug;12(8):1393-401. doi: 10.1158/1535-7163.MCT-12-1219. Epub 2013 May 17. PMID: 23686835.

### In vivo study

- Hennenberg M, Kuppermann P, Yu Q, Herlemann A, Tamalunas A, Wang Y, Rutz B, Ciotkowska A, Strittmatter F, Stief CG, Gratzke C. Inhibition of Prostate Smooth Muscle Contraction by Inhibitors of Polo-Like Kinases. *Front Physiol.* 2018 Jun 15;9:734. doi: 10.3389/fphys.2018.00734. PMID: 29962965; PMCID: PMC6013909.

## 7. Bioactivity

### Biological target:

Ro 3280 is a selective PLK1 inhibitor with IC<sub>50</sub> values of 3 and 6 nM in an enzymatic assay and H82 lung cancer cells, respectively. Ro 3280 is over 500-fold selective for PIK1 over a panel of 318 kinases. It inhibits tumor growth in a mouse xenograft model using the HT-29 colorectal cancer cell line, increases autophagy and mTOR phosphorylation in NB4 acute myeloid leukemia cells, and induces apoptosis in human bladder cancer and leukemia cells.

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## In vitro activity

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Ro 3280 induces tumor suppression both in vitro and in vivo. An inhibitory effect was observed with the highly proliferating cancer cell lines tested, but not with the nontumorigenic cell line. Ro 3280 induces G2-M cell-cycle arrest and apoptosis. Western blotting shows it inhibits PLK1 phosphorylation, downregulates Cdc25c, and upregulates Wee1 and Securin as well as the DNA damage-related factor Chk2 in vitro and in vivo.

Reference: Mol Cancer Ther. 2013 Aug;12(8):1393-401. <https://pubmed.ncbi.nlm.nih.gov/23686835/>

## In vivo activity

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Electric field stimulation-induced contractions of prostate strips were reduced by Ro 3280 (100 nM). RT-PCR, Western blot, and immunofluorescence suggested expression of PLK1 in the human prostate. PLK-dependent signaling may be a new pathway, which promotes  $\alpha$ 1-adrenergic contraction of prostate smooth muscle cells.

Reference: Front Physiol. 2018 Jun 15;9:734. <https://pubmed.ncbi.nlm.nih.gov/29962965/>

*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.*