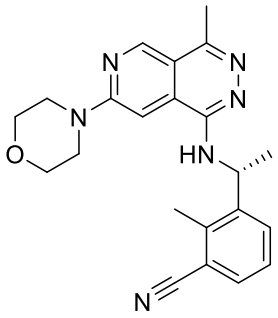
Product data sheet

MedKoo Cat#: 207180 Name: MRTX0902 CAS: 2654743-22-1 Chemical Formula: $C_{22}H_{24}N_6O$ Exact Mass: 388.2012 Molecular Weight: 388.475	
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:
MRTX0902 is a Potent, Selective, Brain-Penetrant, and Orally Bioavailable Inhibitor of the SOS1:KRAS Protein−Protein Interaction. Oral administration of MRTX0902 in combination with MRTX849 results in a significant increase in antitumor activity relative to that of either single agent, including tumor regressions in a subset of animals in the MIA PaCa-2 tumor mouse xenograft model.

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	125.0	321.77

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.57 mL	12.87 mL	25.74 mL
5 mM	0.51 mL	2.57 mL	5.15 mL
10 mM	0.26 mL	1.29 mL	2.57 mL
50 mM	0.05 mL	0.26 mL	0.51 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. Ketcham JM, Haling J, Khare S, Bowcut V, Briere DM, Burns AC, Gunn RJ, Ivetac A, Kuehler J, Kulyk S, Laguer J, Lawson JD, Moya K, Nguyen N, Rahbaek L, Saechao B, Smith CR, Sudhakar N, Thomas NC, Vegar L, Vanderpool D, Wang X, Yan L, Olson P, Christensen JG, Marx MA. Design and Discovery of MRTX0902, a Potent, Selective, Brain-Penetrant, and Orally Bioavailable Inhibitor of the SOS1:KRAS Protein-Protein Interaction. J Med Chem. 2022 Jul 28;65(14):9678-9690. doi: 10.1021/acs.jmedchem.2c00741. Epub 2022 Jul 14. PMID: 35833726; PMCID: PMC9340770.

In vivo study

1. Ketcham JM, Haling J, Khare S, Bowcut V, Briere DM, Burns AC, Gunn RJ, Ivetac A, Kuehler J, Kulyk S, Laguer J, Lawson JD, Moya K, Nguyen N, Rahbaek L, Saechao B, Smith CR, Sudhakar N, Thomas NC, Vegar L, Vanderpool D, Wang X, Yan L, Olson P, Christensen JG, Marx MA. Design and Discovery of MRTX0902, a Potent, Selective, Brain-Penetrant, and Orally Bioavailable Inhibitor of the SOS1:KRAS Protein-Protein Interaction. J Med Chem. 2022 Jul 28;65(14):9678-9690. doi: 10.1021/acs.jmedchem.2c00741. Epub 2022 Jul 14. PMID: 35833726; PMCID: PMC9340770.

7. Bioactivity
Biological target:

MRTX0902 is an orally active and potent SOS1 inhibitor with an IC_{50} of 46 nM (WO2021127429A1; Example 12-10).

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In vitro activity

Further in vitro profiling showed that MRTX0902 (32) was highly selective for SOS1 when compared to SOS2 and showed no inhibition of EGFR (Table 8). Additionally, a safety panel comprised of 78 protein targets revealed that MRTX0902 is highly selective for SOS1 (EC/IC_{50} > 10 μM for 74 targets; see Supporting Information for additional details).

Reference: J Med Chem. 2022 Jul 28;65(14):9678-9690. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC9340770/

In vivo activity

Oral administration of MRTX0902 in combination with MRTX849 results in a significant increase in antitumor activity relative to that of either single agent, including tumor regressions in a subset of animals in the MIA PaCa-2 tumor mouse xenograft model.

Reference: J Med Chem. 2022 Jul 28;65(14):9678-9690. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC9340770/