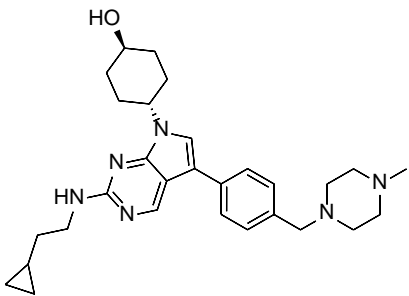


Product data sheet



MedKoo Cat#: 207083 Name: MRX-2843 CAS: 1429882-07-4 Chemical Formula: C ₂₉ H ₄₀ N ₆ O Exact Mass: 488.3264 Molecular Weight: 488.68	
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:

MRX-2843, also known as UNC2371, is a potent and orally active MERTK and FLT3 inhibitor. MRX-2843 overcomes resistance-conferring FLT3 mutations in acute myeloid leukemia. MRX-2843 in combination with an irreversible EGFR TKI as a novel strategy for treatment of patients with wtEGFR NSCLC. MRX-2843 treatment induces apoptosis and inhibits colony formation in AML cell lines and primary patient samples expressing MERTK and/or FLT3-ITD, with a wide therapeutic window compared with that of normal human cord blood 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	34.5	70.60
Ethanol	25.0	51.16

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.05 mL	10.23 mL	20.46 mL
5 mM	0.41 mL	2.05 mL	4.09 mL
10 mM	0.20 mL	1.02 mL	2.05 mL
50 mM	0.04 mL	0.20 mL	0.41 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. Yan D, Huelse JM, Kireev D, Tan Z, Chen L, Goyal S, Wang X, Frye SV, Behera M, Schneider F, Ramalingam SS, Owonikoko T, Earp HS, DeRyckere D, Graham DK. MERTK activation drives osimertinib resistance in EGFR-mutant non-small cell lung cancer. *J Clin Invest.* 2022 Aug 1;132(15):e150517. doi: 10.1172/JCI150517. PMID: 35708914; PMCID: PMC9337831.
2. Minson KA, Smith CC, DeRyckere D, Libbrecht C, Lee-Sherick AB, Huey MG, Lasater EA, Kirkpatrick GD, Stashko MA, Zhang W, Jordan CT, Kireev D, Wang X, Frye SV, Earp HS, Shah NP, Graham DK. The MERTK/FLT3 inhibitor MRX-2843 overcomes resistance-conferring FLT3 mutations in acute myeloid leukemia. *JCI Insight.* 2016 Mar;1(3):e85630. doi: 10.1172/jci.insight.85630. PMID: 27158668; PMCID: PMC4855528.

In vivo study

1. Su YT, Butler M, Zhang M, Zhang W, Song H, Hwang L, Tran AD, Bash RE, Schorzman AN, Pang Y, Yu G, Zamboni WC, Wang X, Frye SV, Miller CR, Maric D, Terabe M, Gilbert MR, Earp Iii HS, Wu J. MerTK inhibition decreases immune suppressive glioblastoma-associated macrophages and neoangiogenesis in glioblastoma microenvironment. *Neurooncol Adv.* 2020 Jun 3;2(1):vd0065. doi: 10.1093/oaajnl/vd0065. PMID: 32642716; PMCID: PMC7324055.

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2. Lee-Sherick AB, Jacobsen KM, Henry CJ, Huey MG, Parker RE, Page LS, Hill AA, Wang X, Frye SV, Earp HS, Jordan CT, DeRyckere D, Graham DK. MERTK inhibition alters the PD-1 axis and promotes anti-leukemia immunity. JCI Insight. 2018 Nov 2;3(21):e97941. doi: 10.1172/jci.insight.97941. Erratum in: JCI Insight. 2020 Dec 3;5(23): PMID: 30385715; PMCID: PMC6238750.

7. Bioactivity

Biological target:

MRX-2843 (UNC2371) is an orally active, ATP-competitive dual MERTK and FLT3 tyrosine kinases inhibitor (TKI) with enzymatic IC₅₀s of 1.3 nM for MERTK and 0.64 nM for FLT3.

In vitro activity

Indeed, treatment with MRX-2843, a first-in-class MERTK kinase inhibitor, resensitized GAS6-treated NSCLC cells to OSI. Functionally, OSIR cells were more sensitive to MRX-2843 than parental cells, suggesting acquired dependence on MERTK signaling.

Reference: J Clin Invest. 2022 Aug 1;132(15):e150517. <https://pubmed.ncbi.nlm.nih.gov/35708914/>

In vivo activity

A MERTK-selective tyrosine kinase inhibitor, MRX-2843, mediated therapeutic anti-leukemia effects in immunocompromised mice bearing a MERTK-expressing human leukemia xenograft. In addition, inhibition of host MERTK by genetic deletion (Mertk^{-/-} mice) or treatment with MRX-2843 significantly decreased tumor burden and prolonged survival in immune-competent mice inoculated with a MERTK-negative ALL, suggesting immune-mediated therapeutic activity.

Reference: JCI Insight. 2018 Nov 2;3(21):e97941. <https://pubmed.ncbi.nlm.nih.gov/30385715/>

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.