# **Product data sheet**



#### **Product description:**

Raltitrexed, also known as ZD 1694, is an antimetabolite drug used in treatment of colorectal cancer. It is an inhibitor of thymidylate synthase, and is a quinazoline folate analogue with 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
DMSO	91	198.47

#### 4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.18 mL	10.91 mL	21.81 mL
5 mM	0.44 mL	2.18 mL	4.36 mL
10 mM	0.22 mL	1.09 mL	2.18 mL
50 mM	0.04 mL	0.22 mL	0.44 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

- Zhen H, Tian J, Li G, Zhao P, Zhang Y, Che J, Cao B. Raltitrexed enhanced antitumor effect of anlotinib in human esophageal squamous carcinoma cells on proliferation, invasiveness, and apoptosis. BMC Cancer. 2023 Mar 4;23(1):207. doi: 10.1186/s12885-023-10691-y. PMID: 36870981; PMCID: PMC9985835.
- 2. Hu C, Chen X, Lin X, Dai J, Yu J. Raltitrexed regulates proliferation and apoptosis of HGC-27 cells by upregulating RSK4. BMC Pharmacol Toxicol. 2022 Aug 28;23(1):65. doi: 10.1186/s40360-022-00605-2. PMID: 36031631; PMCID: PMC9420250.

#### In vivo study

- de Paula Reis M, de Lima DA, Pauli KB, Andreotti CEL, de Moraes ALS, Gonçalves DD, Navarro IT, Bueno PSA, Seixas FAV, Gasparotto Junior A, Lourenço ELB. Molecular docking to Toxoplasma gondii thymidylate synthase-dihydrofolate reductase and efficacy of raltitrexed in infected mice. Parasitol Res. 2018 May;117(5):1465-1471. doi: 10.1007/s00436-018-5835-5. Epub 2018 Mar 17. PMID: 29550996.
- Murphy JT, Tucker JM, Davis C, Berger FG. Raltitrexed increases tumorigenesis as a single agent yet exhibits anti-tumor synergy with 5-fluorouracil in ApcMin/+ mice. Cancer Biol Ther. 2004 Nov;3(11):1169-76. doi: 10.4161/cbt.3.11.1220. Epub 2004 Nov 2. PMID: 15539941.

#### 7. Bioactivity

Biological target:

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Raltitrexed is a thymidylate synthase inhibitor with an IC50 of 9 nM for the inhibition of L1210 cell growth. After transport into cells via the reduced folate carrier, raltitrexed undergoes intracellular polyglutamation and blocks the folate-binding site of thymidylate synthase, thereby inhibiting tetrahydrofolate activity and DNA replication and repair, resulting in cytotoxicity.

#### In vitro activity

Raltitrexed enhanced the antitumor effects of anotinib on human esophageal squamous cell carcinoma cells by down-regulating phosphorylation of Akt and Erk.

Reference: BMC Cancer. 2023 Mar 4;23(1):207. https://pubmed.ncbi.nlm.nih.gov/36870981/

In vivo activity

Raltitrexed was able to prevent mortality and reduce the number of tachyzoites in the peritoneal fluid and liver imprints of mice infected with Toxoplasma gondii. Raltitrexed has important protective activities against the T. gondii RH strain.

Reference: Parasitol Res. 2018 May;117(5):1465-1471. https://pubmed.ncbi.nlm.nih.gov/29550996/

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.