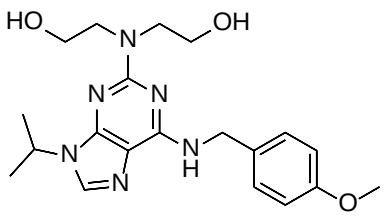
Product data sheet

MedKoo Cat#: 406724 Name: CVT-313 CAS#: 199986-75-9 Chemical Formula: $C_{20}H_{28}N_6O_3$ Exact Mass: 400.2223 Molecular Weight: 400.48	
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:

CVT-313 is a potent and selective inhibitor of CDK2 that prevents neointimal proliferation. CVT-313 has an IC50 of 0.5 microM in vitro. Inhibition was competitive with respect to ATP (Ki = 95 nM), and selective CVT-313 had no effect on other, nonrelated ATP-dependent serine/threonine kinases. The growth of mouse, rat, and human cells in culture was also inhibited by CVT-313 with the IC50 for growth arrest ranging from 1.25 to 20 microM. CVT-313 is a promising candidate for evaluation in other disease models related to aberrant cell proliferation.

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
Ethanol	45.02	112.42
DMF	20.0	49.94
DMSO	60.01	149.85
DMSO:PBS (pH 7.2) (1:4)	0.20	0.50

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.50 mL	12.48 mL	24.97 mL
5 mM	0.50 mL	2.50 mL	4.99 mL
10 mM	0.25 mL	1.25 mL	2.50 mL
50 mM	0.05 mL	0.25 mL	0.50 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. Brooks EE, Gray NS, Joly A, Kerwar SS, Lum R, Mackman RL, Norman TC, Rosete J, Rowe M, Schow SR, Schultz PG, Wang X, Wick MM, Shiffman D. CVT-313, a specific and potent inhibitor of CDK2 that prevents neointimal proliferation. J Biol Chem. 1997 Nov 14;272(46):29207-11. doi: 10.1074/jbc.272.46.29207. PMID: 9360999.

In vivo study

1. Brooks EE, Gray NS, Joly A, Kerwar SS, Lum R, Mackman RL, Norman TC, Rosete J, Rowe M, Schow SR, Schultz PG, Wang X, Wick MM, Shiffman D. CVT-313, a specific and potent inhibitor of CDK2 that prevents neointimal proliferation. J Biol Chem. 1997 Nov 14;272(46):29207-11. doi: 10.1074/jbc.272.46.29207. PMID: 9360999.

7. Bioactivity

Biological target: CVT-313 is an inhibitor of CDK2 with an IC50 of 0.5 μM.

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In vitro activity

Using normal and tumor human/murine cell lines, the effects of CVT-313 on cell proliferation was measured (Fig. 4 and Table I). The IC50 for growth inhibition ranged from 1.25 to 20 μm. To examine whether the growth inhibition by CVT-313 was cell cycle-specific, MRC-5 cells (human diploid lung fibroblasts) were exposed to CVT-313. After 18 h of serum stimulation, a relatively large proportion of the cells progressed into S phase, with their DNA content intermediate between 2 and 4 n. (Fig. 5 C). If CVT-313 (12.5 μm) was added to cells 18 h after serum stimulation, the DNA content of most of the cells was either 2 or 4 n with very few cells (less than 10%) entering S phase (Fig. 5, D versus C). If under similar culture conditions the concentration of CVT-313 was decreased to 6.25 μm, FACS analysis showed most cells with 2 n DNA, fewer cells with 4 n DNA, and very few cells in S phase. These data suggest that cells arrest at the G1/S and G2/M boundary at a higher concentration of CVT-313, but at the lower concentration of CVT-313, most of the cells are arrested at the G1/S boundary.

Reference: J Biol Chem. 1997 Nov 14;272(46):29207-11. https://www.jbc.org/article/S0021-9258(18)50875-9/fulltext

In vivo activity

To test the in vivo efficacy of CVT-313, an injured rat carotid artery model of restenosis was used. Exposure of the denuded carotid artery to CVT-313 (1.25 mg/kg) reduced neointima formation by 80% (Fig. 7). Moreover, in each individual animal treated with CVT-313 there was at least 70% inhibition of the neointimal area, demonstrating efficacy in every treated animal. Two lower doses of CVT-313 (0.75 and 0.25 mg/kg) were less efficacious, reducing mean neointimal area by about 30%, whereas the lowest dose tested (0.025 mg/kg) did not achieve any significant reduction in neointimal area.

Reference: J Biol Chem. 1997 Nov 14;272(46):29207-11. https://www.jbc.org/article/S0021-9258(18)50875-9/fulltext