# Product data sheet

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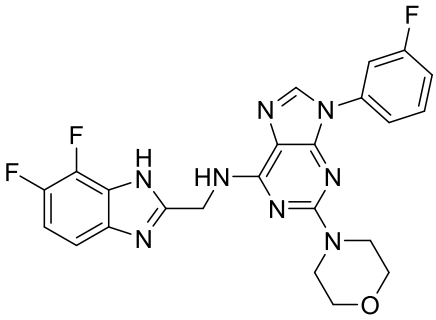
|---|---|
| MedKoo Cat#: 406961<br>Name: SR-3029<br>CAS#: 1454585-06-8<br>Chemical Formula: $C_{23}H_{19}F_3N_8O$<br>Exact Mass: 480.1634<br>Molecular Weight: 480.46 |  |
| Product supplied as: | Powder |
| Purity (by HPLC): | ≥ 98% |
| Shipping conditions | Ambient temperature |
| Storage conditions: | Powder: -20°C 3 years; 4°C 2 years. |
| | In solvent: -80°C 3 months; -20°C 2 weeks. |

**1. Product description:**

SR-3029 is a potent and selective casein kinase 1δ/1ε (CK1δ/ε) inhibitor with potent antiproliferative properties SR-3029 shoed IC50:= 97 nM in MTT assays against the human A375 melanoma cell line and have physical, in vitro and in vivo PK properties suitable for use in proof of principle animal xenograft studies against human cancer cell lines.

**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 |
|---|---|---|
| DMF | 30 | 62.44 |
| DMSO | 30 | 62.44 |

**4. Stock solution preparation table:**

| Concentration / Solvent Volume / Mass | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 1.90 mL | 9.48 mL | 18.96 mL |
| 5 mM | 0.38 mL | 1.90 mL | 3.79 mL |
| 10 mM | 0.19 mL | 0.95 mL | 1.90 mL |
| 50 mM | 0.04 mL | 0.19 mL | 0.38 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. Johannes JW, Denz CR, Su N, Wu A, Impastato AC, Mlynarski S, Varnes JG, Prince DB, Cidado J, Gao N, Haddrick M, Jones NH, Li S, Li X, Liu Y, Nguyen TB, O'Connell N, Rivers E, Robbins DW, Tomlinson R, Yao T, Zhu X, Ferguson AD, Lamb ML, Manchester JI, Guichard S. Structure-Based Design of Selective Noncovalent CDK12 Inhibitors. ChemMedChem. 2018 Feb 6;13(3):231-235. doi: 10.1002/cmdc.201700695. Epub 2018 Jan 26. PMID: 29266803.
2. Bibian M, Rahaim RJ, Choi JY, Noguchi Y, Schürer S, Chen W, Nakanishi S, Licht K, Rosenberg LH, Li L, Feng Y, Cameron MD, Duckett DR, Cleveland JL, Roush WR. Development of highly selective casein kinase 1δ/1ε (CK1δ/ε) inhibitors with potent antiproliferative properties. Bioorg Med Chem Lett. 2013 Aug 1;23(15):4374-80. doi: 10.1016/j.bmcl.2013.05.075. Epub 2013 May 31. PMID: 23787102; PMCID: PMC3783656.


In vivo study


1. Burger KL, Fernandez MR, Meads MB, Sudalagunta P, Oliveira PS, Renatino Canevarolo R, Alugubelli RR, Tungsevik A, De Avila G, Silva M, Graeter AI, Dai HA, Vincelette ND, Prabhu A, Magaletti D, Yang C, Li W, Kulkarni A, Hampton O, Koomen JM, Roush WR, Monastyrskyi A, Berglund AE, Silva AS, Cleveland JL, Shain KH. CK1δ and CK1ε Signaling Sustains Mitochondrial Metabolism and Cell Survival in Multiple Myeloma. Cancer Res. 2023 Dec 1;83(23):3901-3919. doi: 10.1158/0008-5472.CAN-22-2350. PMID: 37702657; PMCID: PMC10690099.

2. Vena F, Bayle S, Nieto A, Quereda V, Aceti M, Frydman SM, Sansil SS, Grant W, Monastyrskyi A, McDonald P, Roush WR, Teng M, Duckett D. Targeting Casein Kinase 1 Delta Sensitizes Pancreatic and Bladder Cancer Cells to Gemcitabine Treatment by Upregulating Deoxycytidine Kinase. Mol Cancer Ther. 2020 Aug;19(8):1623-1635. doi: 10.1158/1535-7163.MCT-19-0997. Epub 2020 May 19. PMID: 32430484; PMCID: PMC7415672.

**7. Bioactivity**

Biological target:

SR-3029 is a CK1δ and CK1ε inhibitor (IC50s = 44 and 260 nM, respectively). It is selective for CK1δ and CK1ε over 438 kinases in a panel but also inhibits MYLK4, FLT3, Cdk4/cyclin D1, and MARK2 by greater than 90% at 10 μM. SR-3029 inhibits Cdk4/cyclin D1, Cdk4/cyclin D3, Cdk6/cyclin D1, Cdk6/cyclin D3, and FLT3 with IC50 values of 576, 368, 428, 427, and 3000 nM, respectively. It inhibits proliferation of A375 human melanoma cells in vitro (EC50 = 86 nM).

In vitro activity

This study developed a series of potent and highly kinase selective inhibitors, including SR-3029, which have IC50 ⩽ 50 nM versus CK1δ. The two lead compounds, SR-3029 and SR-2890, have ⩽100 nM EC50 values in MTT assays against the human A375 melanoma cell line.

Reference: Bioorg Med Chem Lett. 2013 Aug 1;23(15):4374-80. https://pubmed.ncbi.nlm.nih.gov/23787102/

In vivo activity

The combination of SR-3029 and gemcitabine holds promise as a future therapeutic option for cancers with upregulated CK1δ expression, such as pancreatic ductal adenocarcinoma. In an orthotopic pancreatic tumor model, there was improved efficacy with combination treatment of SR-3029 and gemcitabine concomitant with increased dCK expression.

Reference: Mol Cancer Ther. 2020 Aug;19(8):1623-1635. https://pubmed.ncbi.nlm.nih.gov/32430484/

*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.*