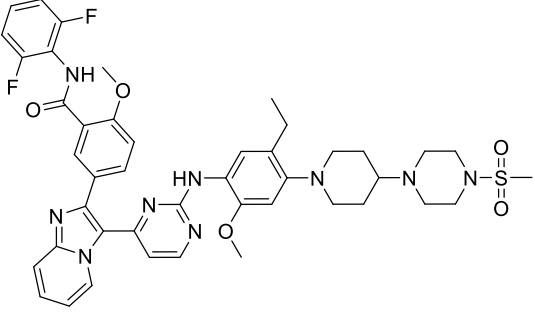


# Product data sheet



MedKoo Cat#: 401480 Name: GSK-1904529a CAS: 1089283-49-7 Chemical Formula: C <sub>44</sub> H <sub>47</sub> F <sub>2</sub> N <sub>9</sub> O <sub>5</sub> S Exact Mass: 851.33889 Molecular Weight: 851.96309	
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:

GSK-1904529A, also known as GSK 4529, is an IGF-1R Inhibitor, is a promising candidate for therapeutic use in IGF-IR<sup>+</sup>-dependent tumors. GSK1904529A selectively inhibits IGF-IR and IR with IC<sub>50</sub>s of 27 and 25 nmol/L, respectively. GSK1904529A blocks receptor autophosphorylation and downstream signaling, leading to cell cycle arrest. It inhibits the proliferation of cell lines derived from solid and hematologic malignancies, with multiple myeloma and Ewing's sarcoma cell lines being most sensitive. Oral administration of GSK1904529A decreases the growth of human tumor xenografts in mice, consistent with a reduction of IGF-IR phosphorylation in tumors. Despite the potent inhibitory activity of GSK1904529A on IR in vitro and in vivo, minimal effects on blood glucose levels are observed in animals at doses that show significant antitumor activity. (source: Clin Cancer Res May 1, 2009 15; 3058)

## 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:PBS (pH 7.2)	0.8	0.94
DMSO	110.0	129.11

## 4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.17 mL	5.87 mL	11.74 mL
5 mM	0.23 mL	1.17 mL	2.35 mL
10 mM	0.12 mL	0.59 mL	1.17 mL
50 mM	0.02 mL	0.12 mL	0.23 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. Fei HD, Yuan Q, Mao L, Chen FL, Cui ZH, Tao S, Ji F. Assessment of GSK1904529A as a promising anti-osteosarcoma agent. *Oncotarget*. 2017 Jul 25;8(30):49646-49654. doi: 10.18632/oncotarget.17911. PMID: 28572530; PMCID: PMC5564795.
2. Gupta P, Xie M, Narayanan S, Wang YJ, Wang XQ, Yuan T, Wang Z, Yang DH, Chen ZS. GSK1904529A, a Potent IGF-IR Inhibitor, Reverses MRP1-Mediated Multidrug Resistance. *J Cell Biochem*. 2017 Oct;118(10):3260-3267. doi: 10.1002/jcb.25975. Epub 2017 May 3. PMID: 28266043; PMCID: PMC5589182.

### In vivo study

1. Fei HD, Yuan Q, Mao L, Chen FL, Cui ZH, Tao S, Ji F. Assessment of GSK1904529A as a promising anti-osteosarcoma agent. *Oncotarget*. 2017 Jul 25;8(30):49646-49654. doi: 10.18632/oncotarget.17911. PMID: 28572530; PMCID: PMC5564795.

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2. Zhou Q, Zhang J, Cui Q, Li X, Gao G, Wang Y, Xu Y, Gao X. GSK1904529A, an insulin-like growth factor-1 receptor inhibitor, inhibits glioma tumor growth, induces apoptosis and inhibits migration. *Mol Med Rep.* 2015 Sep;12(3):3381-3385. doi: 10.3892/mmr.2015.3869. Epub 2015 May 28. PMID: 26035416; PMCID: PMC4526077.

## 7. Bioactivity

### Biological target:

GSK1904529A is a potent, selective, orally active, and ATP-competitive inhibitor of insulin-like growth factor-1 receptor (IGF-1R) and insulin receptor (IR), with IC<sub>50</sub>s of 27 and 25 nM, respectively. GSK1904529A shows poor activity (IC<sub>50</sub>>1 μM) in 45 other serine/threonine and tyrosine kinases.

### In vitro activity

Cell counting assay results in Figure 1A demonstrated that treatment GSK1904529A, at 50/250 nM, significantly inhibited Saos-2 cell proliferation. The number of viable Saos-2 cells was significantly reduced following GSK1904529A (50/250 nM) treatment, at 30-, 60-, and 90-hour durations (Figure 1A). GSK1904529A displayed a concentration-dependent manner in inhibiting Saos-2 cell proliferation (Figure 1A). Treatment with GSK1904529A in Saos-2 cells also dramatically decreased MTT OD (Figure 1B) and number of colonies (Figure 1C). GSK1904529A at 250 nM was again more potent than 50 nM in inhibiting Saos-2 cell proliferation (Figure 1B and 1C).

Reference: *Oncotarget.* 2017 Jul 25;8(30):49646-49654. <https://pubmed.ncbi.nlm.nih.gov/28572530/>

### In vivo activity

The data revealed that the mice treated with GSK1904529A exhibited substantially reduced tumor volumes compared with the vehicle-treated group (Fig. 4A). The suppression of tumor growth was correlated with the dose of GSK1904529A (Fig. 4A). In addition, the treatment was well tolerated, as no weight loss was observed (Fig. 4B). Further investigation revealed that treatment with GSK1904529A induced marked apoptosis in the tumor cells (Fig. 4C), which was consistent with the in vitro data. Taken together, these data suggested that GSK1904529A markedly inhibited glioma tumor growth in vivo.

Reference: *Mol Med Rep.* 2015 Sep;12(3):3381-3385. <https://pubmed.ncbi.nlm.nih.gov/26035416/>

*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.*