# Product data sheet

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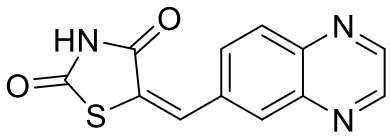
|---|---|
| MedKoo Cat#: 200296<br>Name: AS-605240<br>CAS#: 648450-29-7<br>Chemical Formula: $C_{12}H_7N_3O_2S$<br>Exact Mass: 257.0259<br>Molecular Weight: 257.27 |  |
| Product supplied as: | Powder |
| Purity (by HPLC): | ≥ 98% |
| Shipping conditions | Ambient temperature |
| Storage conditions: | Powder: -20°C 3 years; 4°C 2 years. |
| | In solvent: -80°C 3 months; -20°C 2 weeks. |

**1. Product description:**
AS605240 is a selective PI3Kgamma inhibitor, has been proved effective on several inflammatory diseases. Orally administration of AS605240 significantly prevented lung inflammation and reduced collagen deposition. AS605240 also inhibited augmented expression of TNF-alpha and IL-1beta induced by bleomycin instillation. AS605240 may be a useful in treating inflammation diseases. AS605240 may represent a promising novel agent for the future therapy of pulmonary fibrosis.

**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 | 1.74 | 6.76 |
| DMF | 0.2 | 0.78 |

**4. Stock solution preparation table:**

| **Concentration / Solvent Volume / Mass** | **1 mg** | **5 mg** | **10 mg** |
|---|---|---|---|
| 1 mM | 3.89 mL | 19.43 mL | 38.87 mL |
| 5 mM | 0.78 mL | 3.89 mL | 7.77 mL |
| 10 mM | 0.39 mL | 1.94 mL | 3.89 mL |
| 50 mM | 0.08 mL | 0.39 mL | 0.78 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. Azzi J, Thueson L, Moore R, Abdoli R, Reijonen H, Abdi R. PI3Kγ Deficient NOD-Mice Are Protected from Diabetes by Restoring the Balance of Regulatory to Effector-T-Cells. PLoS One. 2017 Jan 12;12(1):e0169695. doi: 10.1371/journal.pone.0169695. PMID: 28081180; PMCID: PMC5231340.
2. Silveira AB, Laranjeira AB, Rodrigues GO, Leal PC, Cardoso BA, Barata JT, Yunes RA, Zanchin NI, Brandalise SR, Yunes JA. PI3K inhibition synergizes with glucocorticoids but antagonizes with methotrexate in T-cell acute lymphoblastic leukemia. Oncotarget. 2015 May 30;6(15):13105-18. doi: 10.18632/oncotarget.3524. PMID: 25869207; PMCID: PMC4537002.

In vivo study

1. Alluri R, Ambati SR, Routhu K, Kopalli SR, Koppula S. Phosphoinositide 3-kinase inhibitor AS605240 ameliorates streptozotocin-induced Alzheimer's disease like sporadic dementia in experimental rats. EXCLI J. 2020 Jan 6;19:71-85. doi: 10.17179/excli2019-1997. PMID: 32038117; PMCID: PMC7003642.
2. Shang S, Liu L, Wu X, Fan F, Hu E, Wang L, Ding Y, Zhang Y, Lu X. Inhibition of PI3Kγ by AS605240 Protects tMCAO Mice by Attenuating Pro-Inflammatory Signaling and Cytokine Release in Reactive Astrocytes. Neuroscience. 2019 Sep 1;415:107-120. doi: 10.1016/j.neuroscience.2019.06.001. Epub 2019 Jun 11. PMID: 31195053.

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**7. Bioactivity**

Biological target:

AS-605240 is a specific and orally active inhibitor of the PI3Kγ, with an IC50 of 8 nM, and a Ki of 7.8 nM.

In vitro activity

T-cells were stimulated in the presence of HLA-matched ($DR401^{+}$) antigen-presenting-cells and a specific GAD65-peptide at different concentrations of the PI3Kγ-inhibitor, AS605240. As shown in Fig 4 proliferation of the T-cell-clone was significantly reduced when cultured in the presence of AS605240, even at low concentrations of the drug suggesting relevance of this pathway in T-cell activation and proliferation in human autoreactive-T-cells.

Reference: PLoS One. 2017; 12(1): e0169695. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC5231340/

In vivo activity

Treatment with AS605240 at indicated doses (5, 15 and 25 mg/kg) significantly ($p < 0.01$ and $p < 0.001$) decreased escape latency compared with the STZ group. The standard donepezil treated group showed similar effects as compared with 25 mg/kg of AS605240 treated group ($p < 0.001$). Similar effects were observed in the spatial probe test with the platform removed. STZ treated rats had a significantly ($p < 0.001$) decreased amount of time spent in the target quadrant than the control group rats. However, the amount of time spent in the target quadrant by the rats in the AS605240 treated groups was much longer than that in the STZ group (Figure 3B(Fig. 3), $p < 0.01$ and $p < 0.001$), supporting a protective effect on learning and memory.

Reference: EXCLI J. 2020; 19: 71–85. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7003642/

*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.*