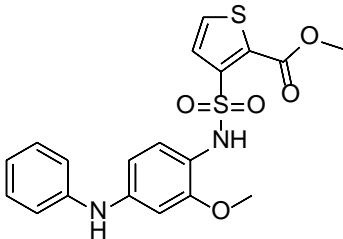


# Product data sheet



MedKoo Cat#: 407221 Name: GSK0660 CAS#: 1014691-61-2 Chemical Formula: C <sub>19</sub> H <sub>18</sub> N <sub>2</sub> O <sub>5</sub> S <sub>2</sub> Exact Mass: 418.0657 Molecular Weight: 418.48	
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:

GSK0660 is a selective PPAR $\delta$  antagonist. GSK0660 differentially regulated 273 transcripts in TNF $\alpha$ -treated cells compared to TNF $\alpha$  alone. A pathway analysis revealed the enrichment of cytokine-cytokine receptor signaling. In particular, GSK0660 blocks the TNF $\alpha$ -induced upregulation of CCL8, a chemokine involved in leukocyte recruitment. GSK0660 blocks the effect of TNF $\alpha$  on the expressions of cytokines involved in leukocyte recruitment, including CCL8, CCL17, and CXCL10 and it may therefore block TNF $\alpha$ -induced retinal leukostasis.

## 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	58.28	139.27
DMF	20.0	47.79
DMF:PBS (pH 7.2) (1:3)	0.25	0.60
Ethanol	3.09	7.38

## 4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.39 mL	11.95 mL	23.90 mL
5 mM	0.48 mL	2.39 mL	4.78 mL
10 mM	0.24 mL	1.19 mL	2.39 mL
50 mM	0.05 mL	0.24 mL	0.48 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. Capozzi ME, Savage SR, McCollum GW, Hammer SS, Ramos CJ, Yang R, Bretz CA, Penn JS. The peroxisome proliferator-activated receptor- $\beta/\delta$  antagonist GSK0660 mitigates retinal cell inflammation and leukostasis. *Exp Eye Res.* 2020 Jan;190:107885. doi: 10.1016/j.exer.2019.107885. Epub 2019 Nov 20. PMID: 31758977; PMCID: PMC7426872.

### In vivo study

1. Capozzi ME, Savage SR, McCollum GW, Hammer SS, Ramos CJ, Yang R, Bretz CA, Penn JS. The peroxisome proliferator-activated receptor- $\beta/\delta$  antagonist GSK0660 mitigates retinal cell inflammation and leukostasis. *Exp Eye Res.* 2020 Jan;190:107885. doi: 10.1016/j.exer.2019.107885. Epub 2019 Nov 20. PMID: 31758977; PMCID: PMC7426872.

## 7. Bioactivity

Biological target: GSK0660 is an antagonist of PPAR $\beta$  and PPAR $\delta$ , with IC<sub>50</sub>s of 155 nM for both isoforms.

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## In vitro activity

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To determine the effects of PPAR $\beta/\delta$  manipulation, PPAR $\beta/\delta$  inhibition in leukocyte behavior was assessed. As shown in Fig. 4A, treatment of HRMEC (human retinal microvascular endothelial cells) with both 1 $\mu$ M and 10 $\mu$ M GSK0660 significantly inhibited TNF $\alpha$ - (tumor necrosis factor  $\alpha$ -) induced cell adhesion by 49.7% (p=0.0291) and 36.8% (p=0.0083), respectively.

Reference: Exp Eye Res. 2020 Jan;190:107885. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7426872/>

## In vivo activity

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PPAR $\beta/\delta$  is involved in TNF $\alpha$ -induced retinal leukostasis in vivo. Intravitreal injections of TNF $\alpha$  increased the number of adherent leukocytes in retinal vessels by 2.3-fold (p=0.0072; Fig. 6A). Co-treatment of TNF $\alpha$  and GSK0660 reduced TNF $\alpha$ -induced leukostasis by 47.1% (p=0.0486).

Reference: Exp Eye Res. 2020 Jan;190:107885. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7426872/>

*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.*