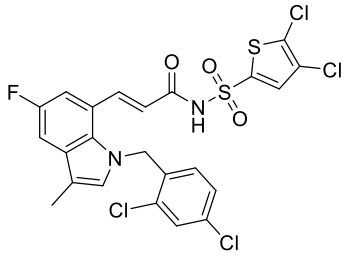
Product data sheet

MedKoo Cat#: 531761 Name: DG-041 CAS#: 861238-35-9 Chemical Formula: $C_{23}H_{15}Cl_4FN_2O_3S_2$ Exact Mass: 589.9262 Molecular Weight: 592.3	
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:
DG-041 is a potent and selective prostanoid EP3 receptor antagonist. It is a new target for inhibition of platelet function in atherothrombotic disease.

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	59.23	100.0

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.69 mL	8.44 mL	16.88 mL
5 mM	0.34 mL	1.69 mL	3.38 mL
10 mM	0.17 mL	0.84 mL	1.69 mL
50 mM	0.03 mL	0.17 mL	0.34 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. Singh J, Zeller W, Zhou N, Hategan G, Mishra RK, Polozov A, Yu P, Onua E, Zhang J, Ramírez JL, Sigthorsson G, Thorsteinnsdottir M, Kiselyov AS, Zembower DE, Andrésson T, Gurney ME. Structure-activity relationship studies leading to the identification of (2E)-3-[l-[(2,4-dichlorophenyl)methyl]-5-fluoro-3-methyl-lH-indol-7-yl]-N-[(4,5-dichloro-2-thienyl)sulfonyl]-2-propenamide (DG-041), a potent and selective prostanoid EP3 receptor antagonist, as a novel antiplatelet agent that does not prolong bleeding. J Med Chem. 2010 Jan 14;53(1):18-36. doi: 10.1021/jm9005912. PMID: 19957930.
2. Heptinstall S, Espinosa DI, Manolopoulos P, Glenn JR, White AE, Johnson A, Dovlatova N, Fox SC, May JA, Hermann D, Magnusson O, Stefansson K, Hartman D, Gurney M. DG-041 inhibits the EP3 prostanoid receptor--a new target for inhibition of platelet function in atherothrombotic disease. Platelets. 2008 Dec;19(8):605-13. doi: 10.1080/09537100802351073. PMID: 19012178.

In vivo study

1. Ceddia RP, Downey JD, Morrison RD, Kraemer MP, Davis SE, Wu J, Lindsley CW, Yin H, Daniels JS, Breyer RM. The effect of the EP3 antagonist DG-041 on male mice with diet-induced obesity. Prostaglandins Other Lipid Mediat. 2019 Oct;144:106353. doi: 10.1016/j.prostaglandins.2019.106353. Epub 2019 Jul 2. PMID: 31276827; PMCID: PMC6778036.
2. Tilly P, Charles AL, Ludwig S, Slimani F, Gross S, Meilhac O, Geny B, Stefansson K, Gurney ME, Fabre JE. Blocking the EP3 receptor for PGE2 with DG-041 decreases thrombosis without impairing haemostatic competence. Cardiovasc Res. 2014 Mar 1;101(3):482-91. doi: 10.1093/cvr/cvt276. Epub 2013 Dec 9. PMID: 24323317.

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7. Bioactivity

Biological target:

Potent and selective EP3 antagonist; antiplatelet and antithrombotic.

In vitro activity

DG-041 antagonized the effects of sulprostone on platelet function. The effect of PGE(2) on platelet aggregation depended on the nature of the agonist and the concentration of PGE(2) used as a consequence of both pro-aggregatory effects via EP3 and anti-aggregatory effects via other receptors. DG-041 potentiated the protective effects of PGE(2) on platelet aggregation by inhibiting the pro-aggregatory effect via EP3 stimulation.

Reference: Platelets. 2008 Dec;19(8):605-13. https://pubmed.ncbi.nlm.nih.gov/19012178/

In vivo activity

Following the measurement of baseline blood pressure, 10 μg/kg sulprostone was administered IV via the jugular catheter which caused a rise in mean arterial pressure (MAP) in vehicle treated mice (Figure 2E). This study has previously shown that sulprostone and other EP3 agonists cause a rise in MAP. The DG-041 treatment effectively blocked the sulprostone-evoked change in MAP (Figure 2E). In subsequent studies DG-041 was administered via SC injections at 20 mg/kg twice daily, which would provide plasma concentrations sufficient to achieve full coverage of the EP3 receptors.

Reference: Prostaglandins Other Lipid Mediat. 2019 Oct; 144: 106353. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6778036/