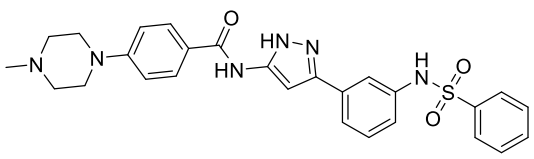
Product data sheet

MedKoo Cat#: 401161 Name: BPR1J-097 CAS#: 1327167-19-0 Chemical Formula: $C_{27}H_{28}N_6O_3S$ Exact Mass: 516.19436 Molecular Weight: 516.61	
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:

BPR1J-097 is a novel small molecule FLT-3 inhibitor with promising in vivo anti-tumour activities. BPR1J-097 may be useful in AML treatments.IC50 of BPR1J-097 required to inhibit FLT3 kinase activity ranged from 1 to 10 nM, and the 50% growth inhibition concentrations (GC(50)s) were 21Â±7 and 46Â±14 nM for MOLM-13 and MV4-11 cells, respectively. BPR1J-097 inhibited FLT3/signal transducer and activator of transcription 5 phosphorylation and triggered apoptosis in FLT3-driven AML cells. BPR1J-097 also showed favourable pharmacokinetic property and pronounced dose-dependent tumour growth inhibition and regression in FLT3-driven AML murine xenograft models.

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	10.0	19.36
DMF	30.0	58.07
DMF:PBS (pH 7.2) (1:6)	0.14	0.27

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.94 mL	9.68 mL	19.36 mL
5 mM	0.39 mL	1.94 mL	3.87 mL
10 mM	0.19 mL	0.97 mL	1.94 mL
50 mM	0.04 mL	0.19 mL	0.39 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. Lin WH, Jiaang WT, Chen CW, Yen KJ, Hsieh SY, Yen SC, Chen CP, Chang KY, Chang CY, Chang TY, Huang YL, Yeh TK, Chao YS, Chen CT, Hsu JT. BPR1J-097, a novel FLT3 kinase inhibitor, exerts potent inhibitory activity against AML. Br J Cancer. 2012 Jan 31;106(3):475-81. doi: 10.1038/bjc.2011.564. Epub 2011 Dec 20. PMID: 22187040; PMCID: PMC3273346.

In vivo study

1. Lin WH, Jiaang WT, Chen CW, Yen KJ, Hsieh SY, Yen SC, Chen CP, Chang KY, Chang CY, Chang TY, Huang YL, Yeh TK, Chao YS, Chen CT, Hsu JT. BPR1J-097, a novel FLT3 kinase inhibitor, exerts potent inhibitory activity against AML. Br J Cancer. 2012 Jan 31;106(3):475-81. doi: 10.1038/bjc.2011.564. Epub 2011 Dec 20. PMID: 22187040; PMCID: PMC3273346.

7. Bioactivity

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Biological target:

An FLT3 inhibitor.

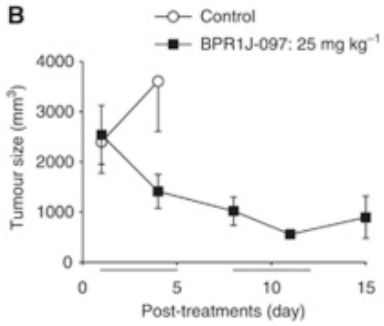
In vitro activity

BPR1J-097 is a novel compound with a novel sulphonamide pharmacophore (Figure 1). BPR1J-097 exhibits potent FLT3-inhibitory activity and has potent growth-inhibitory effects on FLT3-ITD leukaemic cells. As shown in Table 1, BPR1J-097 potently inhibited wild-type FLT3 (FLT3-WT) activity with an IC50 of 11±7 n. BPR1J-097 specifically targets FLT3 kinase with weaker inhibitory activity towards related kinases such as FLT1 (VEGFR1) and KDR (VEGFR2) (Table 2). In a screening assay for kinase inhibition specificity, 59%, and 91% of FLT1 and KDR activities, respectively, were inhibited by BPR1J-097 at 1 μ.

Reference: Br J Cancer. 2012 Jan 31; 106(3): 475–481. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC3273346/

In vivo activity

In contrast to ABT-869, BPR1J-097 (25 mg kg^{-1}) showed a significant tumour shrinkage effect on the subcutaneously growing MOLM-13 tumours in a size of >2000 mm^3 (Figure 5B). Tumours started to grow after the termination of BPR1J-097 treatment. Compared with ABT-869, BPR1J-097 seemed to be more efficacious in the MOLM-13 xenograft model. Furthermore, BPR1J-097 (10 and 25 mg kg^{-1}) also produced a dose-dependent growth reduction and shrinkage of another model using MV4-11 cells. It is noted that a prolonged disappearance of MV4-11 tumours was observed in mice treated with BPR1J-097 at 25 mg kg^{-1} (Figure 5C). There was little (3%) or no body weight loss of BPR1J-097-treated nude mice during the observation periods in these *in vivo* studies. It is interesting to note that although BPR1J-097 was able to trigger more apoptosis in MOLM-13 cells than in MV4-11 cells (Figure 3A), BPR1J-097 seemed more effective for MV4-11 than for MOLM-13 xenograft tumours (Figure 5C).



Reference: Br J Cancer. 2012 Jan 31; 106(3): 475–481. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC3273346/

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.