Product Data Sheet

Product Name: BPR1J-097
Cat. No.: GC19080

Chemical Properties

Cas. No.	1327167-19-0		
SMILES	O=C(NC1=NNC(C2=CC=CC(NS(=O)(C3=CC=CC=C3)=O)=C2)=C1)C4=CC=C(N5CCN(C)CC5)C=C4		
Formula	$C_{27}H_{28}N_6O_3S$	M.Wt	516.61
Solubility	DMSO : 6 mg/mL (10.85 mM)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	BPR1J-097		

Protocol

Kinase experiment:

The FLT3 Kinase-Glo kinase assays are carried out in 96-well plates at 30°C for 4 h in a final volume of 50 µL, including 25 mM Tris pH 7.4, 10 mM MgCl2, 4 mM MnCl2, 1 mM DTT, 0.02% Triton X-100, 0.01% BSA, 1 µM ATP, 20 µM peptide (GGMEDIYFEFMGGKKK), 75 ng recombinant FLT3 proteins, and test compound (BPR1J-097) at the indicated concentration. After incubation, 50 µL Kinase-Glo Plus Reagent is added and incubated at 25°C for 20 min. A 70 µL aliquot of each reaction mixture is transferred to a black microtiter plate and the luminescence is measured on a multilabel counter. Each IC50 value is determined by three different experiments[1].

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Cell experiment:

Proliferation assays are performed by seeding 10 000 cells per well in a 96-well culture plate. After 16 h, cells are then treated with vehicle or BPR1J-097 Hydrochloride at various concentrations in medium for 72 h. Cell viability is quantitated using the MTS method. The results are determined by measuring absorbance at 490 nm using a plate reader. The GC50 value is defined as the amount of compound that causes 50% reduction in cell viability in comparison with DMSO-treated (vehicle) control and is calculated using Prism version 4 software[1].

Animal experiment:

Male nude mice of 8 weeks of age are used. Nude mice (n=5 to 7 per group) are inoculated subcutaneously with MOLM-13 (1×106 per flank) or MV4-11 cells (5×106 per flank). When the tumour size reaches 100 to 200 mm3, animals are grouped and treated with BPR1J-097 Hydrochloride at various doses in a 2-week treatment period as indicated. Animals are treated with BPR1J-097 Hydrochloride (10 and 25 mg/kg, i.v.) or vehicle as control at once daily for 5 days per week for 2 weeks. Tumour volumes are measured and calculated with the formula length×width2/2 after initiation of treatments. Tumour size and animal body weight are measured twice a week after tumour cell inoculation. At the end of the study, animals are killed by carbon dioxide inhalation followed by cervical dislocation[1].

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References:

[1]. Lin WH, et al. BPR1J-097, a novel FLT3 kinase inhibitor, exerts potent inhibitory activity against AML. Br J Cancer. 2012 Jan 31;106(3):475-81.

Background

BPR1J-097 is a novel potent FLT3 inhibitor with an IC50 of 11 nM.

References:
[1]. Lin WH, et al. BPR1J-097, a novel FLT3 kinase inhibitor, exerts potent inhibitory activity against AML. Br J Cancer. 2012 Jan 31;106(3):475-81.

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