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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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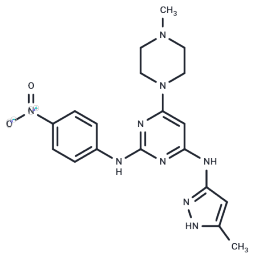
Data Sheet (Cat.No.T64338)

TargetMol

AKI603

Chemical Properties



CAS No. : 1432515-73-5

Formula: C19H23N9O2

Molecular Weight: 409.45

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	AKI603 is a novel small molecule inhibitor of Aurora kinase A (AurA)(IC50 = 12.3 nM). AKI603 is developed to overcome resistance mediated by BCR-ABL-T315I mutation. AKI603 exhibits strong anti-proliferative activity in leukemic cells[1][2].
Targets(IC50)	Aurora Kinase
In vitro	AKI603 inhibits the proliferation and colony formation of imatinib resistant CML cells[1]. Inhibition of AurA by AKI603 induces leukemia cell senescence in both BCR-ABL wild type and T315I mutation cells[1]. AKI603 exhibits inhibitory activities on breast cancer cell proliferation as well as significantly inhibits the phosphorylation of AurA in NB4, K562 and Jurkat cell lines in a dose-dependent manner while the level of total AurA protein is not changed[1].
In vivo	AKI603 exhibits moderate oral bioavailability and Cmax following oral administration[3]. AKI603 exhibits terminal elimination half-life following intravenous administration[3]. AKI603 abrogates the growth of xenografted KBM5-T315I cells in nude mice[1].
Cell Research	AKI603 exhibits inhibitory activities on breast cancer cell proliferation, such as SUM149 (IC50=2.04), BT549 (IC50=0.86), MCF-7 (IC50=0.97), MCF-7-Epi (IC50=21.01), Sk-br-3 (IC50=0.73), MDA-MB-231 (IC50=3.49), MDA-MB-453 (MTT, IC50=0.18; Cell counting, IC50=0.19), MDA-MB-468 (MTT, IC50=0.15; Cell counting, IC50=0.17)[2]. AKI603 (0.039-0.6 μM; 48 hours) extensively inhibits proliferation of leukemia cells[1]. AKI603 (0.039-0.6 μM; 48 hours) significantly inhibits the phosphorylation of AurA in NB4, K562, and Jurkat cell lines in a dose-dependent manner while the level of total AurA protein is not changed[1]. AKI603 inhibits the proliferation and colony formation of imatinib resistant CML cells[1]. AKI603 (0.3-0.6 μM; 48 hours) inhibits cell proliferation and colony formation capacities in imatinib-resistant CML cells by inducing cell cycle arrest with polyploidy accumulation[1]. Inhibition of AurA by AKI603 induces leukemia cell senescence in both BCR-ABL wild type and T315I mutation cells[1].
Animal Research	AKI603 (12.5-25?mg/kg; i.p.; every 2 days; for 14 days; female BALB/c nude mice with KBM5-T315I cells xenografted) abrogates the growth of tumors[1]. Pharmacokinetic Analysis shows that AKI603 exhibits moderate oral bioavailability (rat 28.7%) and Cmax (rat 202.4 μg/L) following oral administration (rat 25 mg/kg)[3]. AKI603 also exhibits terminal elimination half-life (rat 8.9 h) following intravenous administration (rat 2.5 mg/kg)[3].

Solubility Information

Solubility	DMSO: 120 mg/mL (293.07 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4423 mL	12.2115 mL	24.423 mL
5 mM	0.4885 mL	2.4423 mL	4.8846 mL
10 mM	0.2442 mL	1.2212 mL	2.4423 mL
50 mM	0.0488 mL	0.2442 mL	0.4885 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Le-Xun Wang, et al. Aurora A Kinase Inhibitor AKI603 Induces Cellular Senescence in Chronic Myeloid Leukemia Cells Harboring T315I Mutation. Sci Rep. 2016 Nov 8;6:35533.