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**Product Data Sheet**

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Product Name: CCT251545 analogue, Compound 51

Cat. No.: GC18051

**Chemical Properties**

Cas. No. N/A

Chemical Name (5-amino-8-(4-(1-methyl-1H-pyrazol-4-yl)phenyl)-1,6-naphthyridin-2-yl)(3-methoxyazetid-1-yl)methanone

SMILES NC1=C2C(N=C(C(N3CC(OC)C3)=O)C=C2)=C(C4=CC=C(C5=CN(C)N=C5)C=C4)C=N1Formula  $C_{23}H_{22}N_6O_2$ 

M.Wt 414.46

Solubility  $\geq 20.7$ mg/mL in DMSO with gentle warmingStorage Store at  $-20^{\circ}\text{C}$ General tips For obtaining a higher solubility, please warm the tube at  $37^{\circ}\text{C}$  and shake it in the ultrasonic bath for a while. Stock solution can be stored below  $-20^{\circ}\text{C}$  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 **Protocol****Cell experiment [1]:**

Cell lines SW620 cells

Preparation method This compound is soluble in DMSO. General tips for obtaining a higher concentration: Please warm the tube at  $37^{\circ}\text{C}$  for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below  $-20^{\circ}\text{C}$  for several months.

Reacting condition N/A

**Caution: Product has not been fully validated for medical applications. For research use only.**

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

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Applications In human colorectal carcinoma SW620 cells harboring an activating APC-mutation, CCT251545 analogue, Compound 51 potently inhibited phospho-STAT1SER727.

### Animal experiment [1]:

Animal models Mice bearing human colorectal carcinoma SW620 cells

Dosage form 5 mg/kg; p.o.; b.i.d.

Applications In mice bearing human colorectal carcinoma SW620 cells, CCT251545 analogue, Compound 51, reduced phospho-STAT1SER727 level in a time-dependent manner. At the dose of 5 mg/kg, a b.i.d. schedule would be required in order to achieve the maximal inhibitory effect.

Other notes Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

### References:

[1]. Mallinger A, Schiemann K, Rink C, et al. 2,8-Disubstituted-1,6-Naphthyridines and 4,6-Disubstituted-Isoquinolines with Potent, Selective Affinity for CDK8/19. ACS Med Chem Lett. 2016 Mar 28;7(6):573-8.

### Background

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CCT251545 analogue, Compound 51 is a potent and selective CDK8/19 inhibitor with IC50 values of 5.1 nM and 5.6 nM, respectively [1].

Mediator complex-associated kinases CDK8 and CDK19 are involved in the regulation of multiple transcription pathways. CDK8 plays as an oncogene in gastric and colorectal cancers through activation of WNT signaling [1].

CCT251545 analogue, Compound 51 is a potent and selective CDK8/19 inhibitor. In SW620 human colorectal carcinoma cells harbouring activating APC-mutation, Compound 51 inhibited phospho-STAT1SER727 with IC50 value of 17.9 nM, a biomarker of CDK8 inhibition [1].

In vivo mouse and rat PK experiments, Compound 51 demonstrated medium in vivo clearance and Vd but low bioavailability in mice. In a SW620 colorectal carcinoma human tumor xenograft model, Compound 51 (5 mg/kg po dose) inhibited phospho-STAT1SER727 in a time-dependent way. Compound 51 is an advanced chemical tool to further explore the efficacy, safety and tolerability of dual CDK8/19 ligands [1].

### Reference:

[1].Mallinger A, Schiemann K, Rink C, et al. 2,8-Disubstituted-1,6-Naphthyridines and 4,6-Disubstituted-Isoquinolines with Potent, Selective Affinity for CDK8/19. ACS Med Chem Lett. 2016 Mar 28;7(6):573-8.

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