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**Product Data Sheet**

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Product Name: PF-9366  
Cat. No.: GC19292

**Chemical Properties**

Cas. No. 72882-78-1

SMILES CN(C)CCC1=NN=C2N1C3=CC=C(Cl)C=C3C(C4=CC=CC=C4)=C2

Formula  $C_{20}H_{19}ClN_4$  M.Wt 350.84

Solubility DMSO : 25 mg/mL (71.26 mM) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°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****Kinase experiment:**

The Mat2A and Mat2B proteins are extensively dialyzed into a buffer containing 150 mM KCl, 25 mM HEPES, pH 7.4, 5 mM MgCl<sub>2</sub>, 5% (v/v) glycerol, 2 mM TCEP. Concentrations are determined spectrophotometrically using an  $\epsilon_{280}$  of 44,350 /M.cm for Mat2A and an  $\epsilon_{280}$  of 36,440 /M.cm for Mat2B. Compounds (PF-9366) are diluted from 100% DMSO stocks into a buffer without DMSO. In a typical experiment, nineteen 15  $\mu$ L injections of 200  $\mu$ M compound or 30-35  $\mu$ M Mat2B are made into 10  $\mu$ M Mat2A on a VP ITC or nineteen 2  $\mu$ L injections of 200  $\mu$ M compound into 10  $\mu$ M Mat2A on an Auto iTC200. Data are analyzed and fit to a simple 1:1 binding model[1].

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

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### Cell experiment:

Huh-7 cells are seeded at a concentration of 15,000 cells per well for 6-h incubation with compound (PF-9366) and 4,000 cells per well for 72-h incubation with compound in 96-well plates in 200  $\mu$ L of growth medium. NCI-H520 MAT2B knockdown cells are seeded at a concentration of 20,000 cells per well for 6 h incubation or 10,000 cells per well for 72 h incubation with compound in 96 well plates in 200  $\mu$ L of growth medium. Cells are allowed to attach overnight at 37°C with 5% CO<sub>2</sub>. A 5 $\times$  solution of cycloleucine is prepared fresh from powder stock in growth medium. Other compounds (PF-9366) are diluted in 100% DMSO using a three-fold dilution scheme and further diluted in growth medium to give 0.5% DMSO final. Consistency of cellular confluence for each cell line is monitored with the IncuCyte Zoom live cell imager. Proliferation is measured using CellTiterGlo reagent. Growth media is removed from the cell plates following compound treatment and 80  $\mu$ L/well CellTiter Glo diluted 1:1 in PBS added. Luminescence is measured by an Plate Reader[1].

### References:

[1]. Quinlan CL, et al. Targeting S-adenosylmethionine biosynthesis with a novel allosteric inhibitor of Mat2A. Nat Chem Biol. 2017 Jul;13(7):785-792.

### Background

PF-9366 is a human methionine adenosyltransferase 2A (Mat2A) inhibitor, with an IC<sub>50</sub>

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of 420 nM and a Kd of 170 nM.

PF-9366 is a Mat2A inhibitor, with an IC50 of 420 nM and a Kd of 170 nM. PF-9366 displays no substantial off-target activity in GPCRs, neurotransmitters, phosphodiesterases, and ion channels. PF-9366 has inhibitory activity against Mat2A in cancer cells. PF-9366 inhibits cellular S-Adenosyl-L-methionine (SAM) production with an IC50 of 1.2  $\mu$ M in H520 lung carcinoma cells. PF-9366 is more potent in Huh-7 cells against SAM synthesis, with an IC50 of 255 nM, and also suppresses the proliferation of cells with an IC50 of 10  $\mu$ M.

### References:

[1]. Quinlan CL, et al. Targeting S-adenosylmethionine biosynthesis with a novel allosteric inhibitor of Mat2A. Nat Chem Biol. 2017 Jul;13(7):785-792.

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