# PF-9366

**Cat. No.:** HY-107778

**CAS No.:** 72882-78-1

**Molecular Formula:** $C_{20}H_{19}ClN_4$

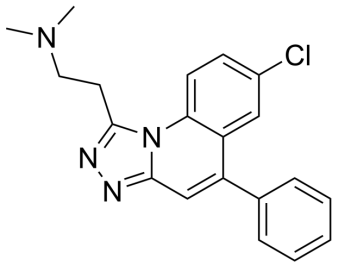
**Molecular Weight:** 351

**Target:** Methionine Adenosyltransferase (MAT)

**Pathway:** Epigenetics; Metabolic Enzyme/Protease

**Storage:**

| | | |
|---|---|---|
| Powder | -20°C | 3 years |
| | 4°C | 2 years |
| In solvent | -80°C | 2 years |
| | -20°C | 1 year |




## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 10 mg/mL (28.49 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.8490 mL | 14.2450 mL | 28.4900 mL |
| | 5 mM | 0.5698 mL | 2.8490 mL | 5.6980 mL |
| | 10 mM | 0.2849 mL | 1.4245 mL | 2.8490 mL |

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.12 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.12 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

PF-9366 is a human methionine adenosyltransferase 2A (Mat2A) inhibitor, with an $IC_{50}$ of 420 nM and a $K_d$ of 170 nM.

**$IC_{50}$ & Target**

IC50: 420 nM (Mat2A)[1]
Kd: 170 nM (Mat2A)[1]

**In Vitro**

PF-9366 is a Mat2A inhibitor, with an $IC_{50}$ of 420 nM and a $K_d$ of 170 nM. PF-9366 displays no substantial off-target activity in GPCRs, neurotransporters, 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 $IC_{50}$ of 1.2 μM in H520 lung carcinoma cells. PF-9366 is more potent in Huh-7 cells against SAM synthesis, with an $IC_{50}$ of 255 nM, and also suppresses the proliferation of cells with an $IC_{50}$ of 10 μM.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## PROTOCOL

**Kinase Assay** [1]

The Mat2A and Mat2B proteins are extensively dialyzed into a buffer containing 150 mM KCl, 25 mM HEPES, pH 7.4, 5 mM $MgCl_2$, 5% (v/v) glycerol, 2 mM TCEP. Concentrations are determined spectrophotometrically using an ε280 of 44,350 /M.cm for Mat2A and an ε280 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 µL injections of 200 µM compound or 30-35 µM Mat2B are made into 10 µM Mat2A on a VP ITC or nineteen 2 µL injections of 200 µM compound into 10 µM Mat2A on an Auto iTC200. Data are analyzed and fit to a simple 1:1 binding model[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**Cell Assay** [1]

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 µL 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 µL of growth medium. Cells are allowed to attach overnight at 37°C with 5% $CO_2$. A 5× 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 µL/well CellTiter Glo diluted 1:1 in PBS added. Luminescence is measured by an Plate Reader[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## CUSTOMER VALIDATION

- Immunity. 2021 Aug 10;54(8):1728-1744.e7.
- Nat Cancer. 2022 May;3(5):629-648.
- Nat Metab. 2023 Nov 16.
- Mol Cell. 2021 May 20;81(10):2076-2093.e9.
- Mol Cell. 2019 Sep 19;75(6):1147-1160.e5.

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## 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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