

## **Product** Data Sheet

## M-808

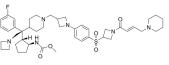
Molecular Weight: 819.08

Target: Epigenetic Reader Domain

Pathway: Epigenetics

**Storage:** Please store the product under the recommended conditions in the Certificate of

Analysis.



## **BIOLOGICAL ACTIVITY**

Description	M-808 is a highly potent and efficacious covalent Menin-MLL interaction inhibitor, with a binding $IC_{50}$ value of 2.6 nM <sup>[1]</sup> .
IC <sub>50</sub> & Target	IC50: 1 nM (Menin-MLL in MV4;11 cells), 4 nM (Menin-MLL in MOLM-13 cells) <sup>[1]</sup> .
In Vitro	M808 exhibits IC <sub>50</sub> values of 1 nM, 4 nM and 2.8 nM in MV4;11 cells, MOLM-13 cells and HL60 cells, respectively <sup>[1]</sup> .  M808 forms a covalent bond between its acrylamide and the sulfur atom of Cys329 in menin <sup>[1]</sup> .  MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	M-808 (16) is well tolerated in severe combined immunodeficiency (SCID) mice with intravenous administration of 10 mg/kg (or 25 mg/kg) every other day dosing (three times a week) for one week. M-808 (25 mg/kg) achieves a maximum tumor growth inhibition (TGI) of 97% during treatment (day 35) and reduces the average tumor volume from 92 mm <sup>3</sup> at the beginning of the treatment to 59 mm <sup>3</sup> at day 35, with no significant toxicity <sup>[1]</sup> .  MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## **REFERENCES**

[1]. Shilin Xu, et al. Discovery of M-808 as a Highly Potent, Covalent, Small-Molecule Inhibitor of the Menin-MLL Interaction With Strong In Vivo Antitumor Activity. J Med Chem. 2020 May 14;63(9):4997-5010.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA

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