

Product Data Sheet

SETDB1-TTD-IN-1 TFA

Molecular Weight: 583.6

Target: Histone Methyltransferase

Pathway: Epigenetics

Storage: 4°C, protect from light, stored under nitrogen

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under

nitrogen)

BIOLOGICAL ACTIVITY

Description	SETDB1-TTD-IN-1 TFA is a potent, selective and endogenous binder competitive inhibitor of SET domain bifurcated protein 1 tandem tudor domain (SETDB1-TTD), with a K_d of 88 nM. SETDB1-TTD-IN-1 TFA can be used for the research of biological functions and disease associations of SETDB1-TTD ^[1] .
IC ₅₀ & Target	Kd: 88 nM (SETDB1-TTD) ^[1]
In Vitro	SETDB1-TTD-IN-1 TFA shows some activity for 53BP1 and JMJD2A, with K_ds of 4.3 μ M and 86 μ M, respectively. SETDB1-TTD-IN-1 TFA does not show activity against 14 of the 16 tested tudor domains ($K_d>100~\mu$ M) $^{[1]}$. SETDB1-TTD-IN-1 (2.5-40 μ M) TFA efficiently and dose-dependently stabilizes the SETDB1-TTD protein in HEK293T cells $^{[1]}$. SETDB1-TTD-IN-1 (2.5-40 μ M; 24 h) TFA significantly affected the expression of 72 genes in human acute monocytic leukemia THP-1 cells $^{[1]}$. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Guo Y, et, al. Structure-Guided Discovery of a Potent and Selective Cell-Active Inhibitor of SETDB1 Tudor Domain. Angew Chem Int Ed Engl. 2021 Apr 12;60(16):8760-8765.

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