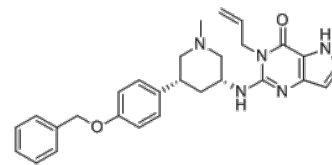


**Product Name** : SETDB1 activator (R,R)-59  
**Cat. No.** : PC-20832  
**CAS No.** : 2755823-12-0  
**Molecular Formula** : C<sub>28</sub>H<sub>31</sub>N<sub>5</sub>O<sub>2</sub>  
**Molecular Weight** : 469.59  
**Target** : Histone Methyltransferase (HMTase)  
**Solubility** : 10 mM in DMSO



CAS: 2755823-12-0

1. Guo Y, et, al. **Angew Chem Int Ed Engl.** 2021

## Biological Activity

(R,R)-59 is a small-molecule ligand activator for methyltransferase **SETDB1** Triple Tudor Domain (3TD reader domain) with binding IC<sub>50</sub> of 1.2 μM in TR-FRET assays, specifically promotes in vitro SETDB1-mediated methylation of lysine 64 of protein kinase Akt1.

(R,R)-59 shows a K<sub>d</sub> of 4.8 μM in a direct binding assay using surface plasmon resonance (SPR) assays, (S,S)-59, the enantiomer of (R,R)-59, is not able to displace the H3K9Me2K14Ac (aa 1-20) peptide.

(R,R)-59 (10 μM) increases Akt1 methylation and subsequent phosphorylation in HEK293T cells transfected with HA-Akt1.

(R,R)-59 (2.5 and 5 μM) promoted cell proliferation in MDA-MB-231 cells, but not under high concentrations (>5 μM).

(R,R)-59 is the first SETDB1 small-molecule positive activator for the methyltransferase activity of Akt-1.

## References

Apr 12;60(16):8760-8765.

