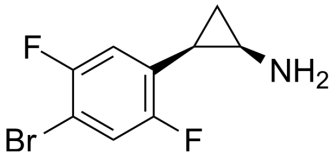
cis-4-Br-2,5-F2-PCPA

Cat. No.:	HY-151190
CAS No.:	2821068-03-3
Molecular Formula:	$C_9H_8BrF_2N$
Molecular Weight:	248.07
Target:	Histone Demethylase
Pathway:	Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	cis-4-Br-2,5-F2-PCPA (S1024) is a selective inhibitor of lysine-specific demethylase 1 (LSD1), with a K_i value of 94 nM instead of 8.4 μM for LSD2. There is aberrant expression of LSD1 in cancer stem cells, cis-4-Br-2,5-F2-PCPA inhibits LSD1 cell proliferation and by increasing the level of dimethylated histone H3 at K4 (H3K4) in CCRF-CEM cells[1].
IC_{50} & Target	KDM1/LSD1
In Vitro	cis-4-Br-2,5-F2-PCPA (compound 7c) inhibits proliferation of the T-cell acute lymphoblastic leukemia (T-ALL) with IC_{50}s of 12 μM (CCRF-CEM) and 16 μM (Jurkat), respectively, without inhibiting the human normal fibroblast cell line WI-38[1]. cis-4-Br-2,5-F2-PCPA (20 μM; 24 h) significantly increases the level of dimethylated H3K4 (H3K4me2), and exerts chemical inhibition on LSD1 and LSD2[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	T-cell acute lymphoblastic leukemia (T-ALL)
Concentration:	20 μM
Incubation Time:	24 hours
Result:	Increased the level of dimethylated H3K4 (H3K4me2) 2.9-fold compared with control.

REFERENCES

[1]. Hideaki Niwa, et al. Structure–Activity Relationship and In Silico Evaluation of cis- and trans-PCPA-Derived Inhibitors of LSD1 and LSD2. ACS Med. Chem. Lett. 2022.

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