New

LIFE SCIENCE

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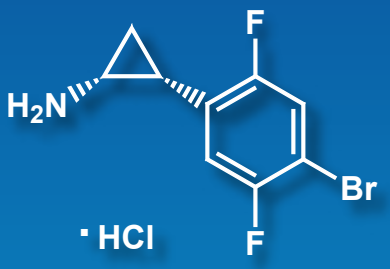
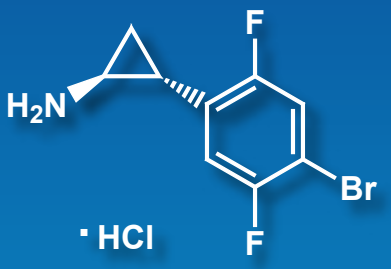
Lysine-Specific Demethylase (LSD) Inhibitors for Epigenetics Research



LSD Inhibitor S1024
25mg
[B6490]



LSD Inhibitor S1025
25mg
[B6491]

Advantages

- Both B6490 and B6491 are equally potent inhibitors of LSD1, whereas B6490 is a more potent inhibitor of LSD2 than is B6491.[1)]
- The inhibitory effect of B6490 has been shown to lead an increase in H3K4me2.[1)]
- Potential tools to research the relatively poorly understood LSD2.[2)]

Lysine-Specific Demethylase (LSD) acts to epigenetically regulate gene expression by working in concert with the coenzyme Flavin Adenine Dinucleotide (FAD) to catalyze the demethylation of histone 3 lysine 4 (H3K4).[2)] There exist two isoforms of LSD, LSD1 and LSD2. LSD1 has been shown to play a role in the promotion of tumor cell proliferation and has the potential to become a promising therapeutic target. On the other hand, little is known about LSD2, making it the current target of various functional analyses.

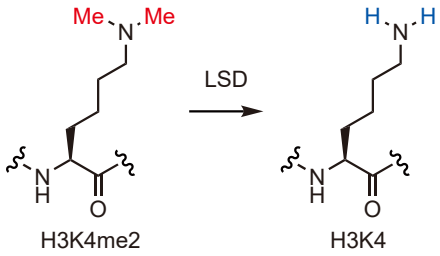


Table. B6490 and B6491 Inhibitory Activity Against LSD1 and LSD2 [1)]

	K_i (μM)		
	LSD1	LSD2	selectivity
B6490	0.094 ± 0.0057	8.4 ± 0.43	89
B6491	0.098 ± 0.0071	180 ± 17	1800

DNA exists in the cell wrapped around histones in a structure called chromatin. These histones are the targets of several types of modifications referred to collectively as the "histone code", which are currently the target of focused research. These modifications act as sequence non-specific transcriptional regulators, and their understanding is greatly anticipated to make significant contributions to both cancer therapy and regenerative medicine.

The inhibitory activities of these product were confirmed by Ph.D. Takashi Umehara.

Reference 1) H. Niwa, T. Umehara *et al.*, *ACS Med. Chem. Lett.* **2022**, *13*, 1485. https://doi.org/10.1021/acsmedchemlett.2c00294
2) N. D. Das, H Niwa, T. Umehara, *Epigenomes* **2023**, *7*, 7. https://doi.org/10.3390/epigenomes7010007

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***N*-(9-Cyclopropyl-1-oxononyl)-*N*-hydroxy-β-alanine** 5mg [C3134]

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Tel : +49 (0)6196 64053-00
Fax : +49 (0)6196 64053-01
E-mail : Sales-DE@TCIchemicals.com

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E-mail : Sales-UK@TCIchemicals.com

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Tokyo Chemical Industry (India) Pvt. Ltd.
Tel : 1800 425 7889 / 044-2262 0909
E-mail : Sales-IN@TCIchemicals.com

TOKYO CHEMICAL INDUSTRY CO., LTD.
Tel : +81 (0)3-5640-8878
E-mail : globalbusiness@TCIchemicals.com