SL-86. Inhibitors of KDM1A

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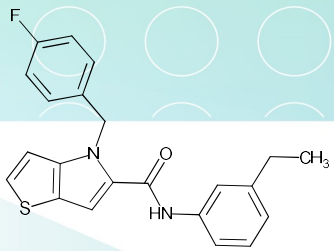
Histone lysine demethylases (KDMs) catalyze demethylation of N-methyllysine residues in histones – an important phenomenon in epigenetic regulation of gene expression [1]. KDMs are now considered as promising targets in oncology drug discovery [2]. One of the most studied enzyme of the KDM1 family is flavin adenine dinucleotide-dependent amine oxidase (KDM1A). KDM1A is overexpressed in cancers including leukemias and solid tumors. Inhibitors of KDM1A have substantial potential for in anti-cancer therapy [3]. A series of thieno[3,2-b]pyrrole-5-carboxamides derivatives have been identified as µM inhibitors of KDM1A using an HTS workflow and supported by subsequent structure-based design studies [4].

A similarity search through ASINEX's compound collection identified several close analogs of the reported inhibitors that could be interesting for KDM-related research and drug discovery.



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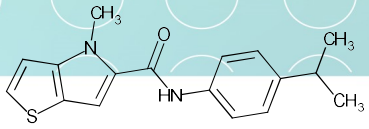

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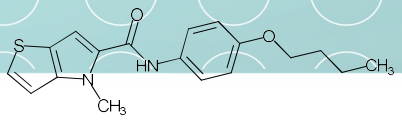

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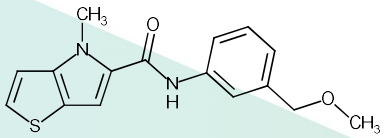

Parent hit [4]

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Formats	Supplementary Information
80 compounds per plate 0.1 mg; 1 mg; 2 mg dry film/powder 0.1 µmol; 1 µmol DMSO solutions	SL#86_KDM_inh.sdf

References:

1. *Biochim Biophys Acta.* 2014 Dec; 1839(12): 1416–1432. doi: 10.1016/j.bbagrm.2014.05.009
2. *Oncogene* v. 36, pp 2423–2434. doi: 10.1038/onc.2016.395
3. *Future Med Chem*. 2017 Jul;9(11):1161-1174. doi: 10.4155/fmc-2017-0003
4. *J Med Chem*. 2017 Mar 9;60(5):1673-1692. doi: 10.1021/acs.jmedchem.6b01018

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