Recent patents related to histone demethylases

Dysreglulated methylation of lysine residues on histone molecules has been implicated in the development of cancer. In their Review on page 917, Helin and colleagues first highlight the links between histone lysine demethylases and cancer, and then discuss recent small-molecule inhibitors.

Here in TABLE 1 we highlight patent applications published in the past 2 years related to histone demethylases. Data were researched using the Espacenet database.

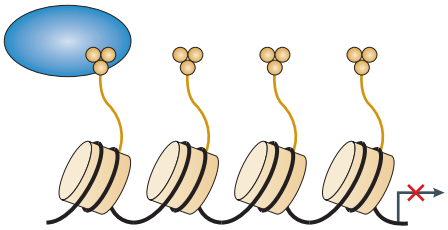

Table 1 | **Recent patent applications related to histone lysine demethylases**

Publication numbers	Applicants	Subject
WO 2013033688	Brigham And Women's Hospital	Inhibitors of LSD1 and/or LSD2 — including statins — and methods of using them to treat cancer
WO 2012150042 EP 2592154	Cellzome; GlaxoSmithKline	Methods for the identification of molecules that interact with histone demethylase and for the purification of histone demethylase proteins
WO 2013143597	GlaxoSmithKline	Demethylase enzyme inhibitors that are useful for changing the epigenetic status of cells
WO 2012052390	GlaxoSmithKline	N-2-(2-pyridinyl)-4-pyrimidinyl-beta-alanine derivatives as inhibitors of the histone demethylase JMJD3
US 2012202875	GlaxoSmithKline	Methods for treating autoimmune and inflammatory conditions by modifying histone demethylation
CA 2831143	GlaxoSmithKline	The use of cyclopropylamine derivatives for inhibiting the activity of LSD1; useful for treating cancer
US 2013210888	Harvard College	Histone demethylation mediated by the nuclear amine oxidase homologue LSD1 and a method of monitoring eukaryotic histone demethylase activity based on this
WO 2012047852	J. David Gladstone Institute	Methods of modulating HIV transcription involving modulation of enzymatic activity, levels of LSD1 polypeptide and/or LSD1-mediated demethylation of methylated HIV Tat polypeptide
WO 2012034116	Johns Hopkins University	Small-molecule inhibitors that act as epigenetic modulators of LSD1 and methods of using them to treat cancer
US 2012322877	Johns Hopkins University	Novel bisurea and bisthiourea compounds that are inhibitors of LSD1; useful for treating disorders such as cancer
US 2013197088	Johns Hopkins University; Progen Pharma	Combinations of a histone demethylase inhibitor and an ornithine decarboxylase inhibitor that are able to re-express certain silenced genes; useful for treating cancer
US 2012108648	Kumamoto University	LSD1 inhibitors as novel agents that improve mitochondrial function, and an agent that induces PGC1α expression; useful for treating cranial nerve disease, myopathy and heart disease
WO 2012071469	Nevada Cancer Institute *et al.*	Histone demethylase inhibitors that can be used to treat cancer
US 2013095067	Oryzon Genomics	Lysine demethylase inhibitors that are useful for treating disorders associated with Hepadnaviridae infection; they modulate the ability of viruses to use the host cell machinery and reduce replication
WO 2012156537	Oryzon Genomics	An LSD1 inhibitor that can be used to treat thrombosis, thrombus formation or cardiovascular disease
WO 2012156531	Oryzon Genomics	An LSD1 inhibitor that can be used to treat inflammation and inflammatory diseases
WO 2012107499	Oryzon Genomics	An LSD1 inhibitor that can be used for treating myeloproliferative and lymphoproliferative disorders
WO 2012107498	Oryzon Genomics	An LSD1 inhibitor; useful for treating Philadelphia chromosome-negative myeloproliferative disorders
WO 2012072713	Oryzon Genomics	An LSD1 inhibitor that can be used for treating Flaviviridae infections, including hepatitis C virus infection
WO 2013022047	Takeda	A cyclopropane-amine compound that inhibits LSD1; useful for treating cancer and CNS diseases
US 2013137720	University of Colorado	A methyl-lysine mimic and an α-ketoglutarate mimic that are attached through a linker; the compound acts as a histone demethylase inhibitor
US 2012142784	University of Freiburg *et al.*	LSD1 as a novel biomarker for breast cancer, and its use for the diagnosis of breast cancer; a method of determining the amount of LSD1 protein and the effect of LSD1 inhibitors on cancer cells
CN 102985402	University of Rome *et al.*	Tranylcypromine derivatives as inhibitors of LSD1 and/or LSD2; useful for treating tumours and viral infections
WO 2013025805	University of Utah	Substituted (E)-N′-(1-phenylethylidene)benzohydrazide analogues that inhibit LSD1
US 2013123344	National Institutes of Health	A method of preventing or treating viral infection using compounds that inhibit JMJD2 proteins
CN 103054869	Zhengzhou University	An amino dithio formic ester compound with triazolyl that inhibits LSD1 and can be used as a lead compound for a novel antitumour agent

CNS, central nervous system; JMJD, Jumonji domain-containing protein; LSD1, lysine-specific demethylase 1; PGC1α, peroxisome proliferator-activated receptor-γ, co-activator 1α.