ORYZON

Epigenetic drugs for a better world

Oryzon gets its first Patent in the US

Barcelona, May 31st, 2013. Oryzon announced today that it has received the Notice of allowance of its first patent covering LSD1 inhibitors.

Barcelona, May 31st, 2013. Oryzon has received from the US Patent and Trademark Office (USPTO) the Notice of allowance for its patent application **US13/066,616 (published as US 2011/0263604)** covering covalent inhibitors of Lysine Specific Demethylase-1 (LSD1, aka KDM1A). This is the first patent to be granted from a broad portfolio in LSD1 inhibitors that includes 18 patent families and that gives Oryzon a dominant IP position in this area of Epigenetics drugs.

Lysine Specific Demethylase-1 (LSD1/KDM1A) is an epigenetic modulator that regulates gene expression by demethylating specifically some lysines in the histones. LSD1 forms part of protein complexes involved in transcriptional regulation, and misregulation of these transcriptional complexes may result in disease. Oryzon has developed in the last years an ambitious program of medicinal chemistry using its crystallographic and molecular modeling capabilities that has produced around 900 new compounds, some of them with subnanomolar in-vitro activity and extraordinary selectivity over other FAD related enzymes. The patent portfolio of Oryzon includes so far 10 patent families covering composition of matter and 8 covering methods of use. Other International pharmaceutical companies are starting to explore this field for the development of covalent LSD1 inhibitors such as GSK or Takeda.

The company has a first program entering into clinical phases: ORY-1001, an orally-active subnanomolar specific LSD1 inhibitor for the treatment of acute myeloid leukemia and other hematological malignancies, which is expected to be in Phase I this summer. Besides hematological cancers, many academic groups have published reports pointing for a key role of this mechanism of action in some specific solid tumors.

However, the potential use of LSD1 inhibitors is not only limited to oncological disease. LSD1 is well known to partner with Co-REST and REST/NRSF, a gene involved in the repression of neuronal genes in non-neuronal cells. Aberrant levels or activity of REST/Co-REST complexes has been implicated in different neurodegenerative diseases like Huntington's disease, Rett syndrome and increased REST expression was found in the brain of Alzheimer disease patients. The company has a second preclinical candidate for neurodegenerative disorders named ORY-2001, whose results in Alzheimer models will be presented this summer at the 14th International Conference on Alzheimer's Drug Discovery: September 9-10, 2013 at the Hyatt Regency in Jersey City, NJ. This research has been partially funded by the Alzheimer Drug Discovery Foundation (US).

Epigenetics is a hot spot field in the pharmaceutical industry. It is predicted that world revenues for epigenetic therapies and technologies will reach \$2.73bn in 2015 and that the overall market will grow with a CAGR of 16% between 2010 and 2015. Therapies will remain the largest source of revenue in the epigenetics market. The deal activity on the field is intense.



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Oryzon Genomics is the global leader in Histone Lysine Demethylases with an special emphasis on Lysine Specific Demethylases (LSD1 and LSD2). LSD1 is a flavin dependent amine oxidase capable of selective demethylation of Lys-4 of histone H3. LSD1 has been proposed as a target for oncology, viral diseases and neurodegeneration. Oryzon has a wide drug-discovery program on LSD1 with around 900 compounds and two preclinical candidates. According to Carlos Buesa, C.E.O. of the company. "Oryzon's compounds are by far the most potent LSD1 inhibitors described, and we have identified now a subset of diseases in which this mechanism looks particularly efficient. The company has a dominant patent position in LSD1 with 18 patent families. For any company willing to play a role in these indications we are the partner of choice"

About Oryzon

Founded in 2000, Oryzon (www.oryzon.com) has one of the most complete technological platforms for biomarker identification in Europe. With a strong specialization in genomics, proteomics and bioinformatics, the company identifies biomarkers for a variety of neoplasic and neurodegenerative diseases. The company has a powerful platform for biomarker and target validation which includes technologies such as RNAi, microarrays, phage display and a structural genomic platform with a fragment screening approach (NMR and X ray crystallography). Oryzon develops new epigenetic drugs against targets identified in its biomarker discovery programs.

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