Executive Summary

This report is a patent landscape on Ritonavir. Ritonavir is an antiretroviral drug from the protease inhibitor class used to treat HIV infection and AIDS. Ritonavir is included in the WHO Model List of Essential Medicines (EML)[1]. The originator company is Abbott Laboratories, which markets Ritonavir under the brand name Norvir, or in combination with the protease inhibitor Lopinavir, as Kaletra or Aluvia. The U.S. Food and Drug Administration (FDA) approved the drug in March 1996 for oral solution and in June 1999 for capsules. Abbot later received FDA and European Medicines Agency (EMEA) approval in 2010 for a heat-stable formulation of a 100mg Ritonavir tablet. This has particular importance for developing countries with elevated ambient temperatures.

A major goal of this project is to highlight the technology timeline for Ritonavir from the first filing of this compound in December 1993 by Abbott Laboratories (WO1994014436) to the present filings in which additional patent families attempt to protect subsequent innovations to the compound, variants and derivatives, combinations with other chemicals, methods of production, methods of use, etc. The identification and analysis of these patent documents showed that filings related to Ritonavir have increased dramatically since the initial disclosure and now include over 800 patent families. A patent family is a collection of interrelated patents that often contain the same disclosure and are typically related through dependence on a common priority document or documents. On average, 45% of the patent families identified during this patent landscape include at least one grant of a patent in one jurisdiction and thereby directly protect the various aspects of Ritonavir mentioned above.

This landscape report identified most patent families were initially filed in the United States. The most common assignee was identified as Abbott Laboratories. Nearly all documents are assigned to large pharmaceutical corporations with a minimal number of patents and applications assigned to universities and small pharmaceutical companies.

This report identified a number of innovation tracks that spun-off of the first Ritonavir patent document, WO1994014436. These are related to liquid dosage formulations, solid dosage formulations, synthesis of Ritonavir and its key intermediates, and polymorphs and crystalline Ritonavir. These innovation tracks illustrate important protection related to Ritonavir as subsequent generations continue to narrow the scope of protection in a wide area of technologies while still maintaining protection from the first Ritonavir Patent, a phenomenon that is also sometimes termed “evergreening”.

The single largest area of patenting is related to combination therapies. These documents were not included as an innovation track because of the lack of interrelation between the claims. These documents describe new pharmaceutical agents. The formulations containing new agents also include Ritonavir because it has been shown to be a powerful secondary protease inhibitor. Patenting in the area of combination therapies containing Ritonavir as a secondary protease inhibitor is also expected to increase in the future. There appears to be a large amount of filings for liquid dosage forms and structural information for Ritonavir.

[1] http://www.who.int/mediacentre/factsheets/fs325/en/index.html

A second notable area of patent filings is in the area of synthesis of Ritonavir and its key intermediates. The synthesis of Ritonavir was first filed for in 1999 (WO2001021603 claiming priority to an earlier Italian patent filed for in September 1999) by Clariant Life Sciences and subsequently assigned to Archimica S.R.L. Since this initial patent, patents have been filed to cover the structure, synthesis and characterization of several key intermediates used in the synthesis of Ritonavir. Because this area has had several patents describing very specific intermediates and methods of preparing the intermediates there are expected to be fewer patents filed related to the synthesis. However, filings related to broader key intermediates and reaction conditions are expected to increase in the future as more efficient synthetic strategies emerge.

A third notable area of patent filings is in the area of solid dosage forms. Because solid dosage forms have had less patenting activity in the past decade, filings are expected to increase in this area to incorporate recent protections on crystalline structure and polymorphs into solid dosage formulations. The combination of the crystalline structure with solid or liquid dosage forms could provide a potential area for future patent filing and protection.

In summary, the patent landscape surrounding Ritonavir is continuing to grow and protect a large number of increasingly narrower technologies related to the synthesis, characterization, and dosage forms. The complex nature of the interrelation of patent families is described in greater detail in the innovation tracks section of this patent landscape report.