Opposition to patent EP 2 604 620 (Gilead Pharmasset LLC)  
Facts and arguments in support of the grounds of opposition

Introduction

European patent EP2604620, hereafter the “opposed patent” or the “patent”, entitled “Modified fluorinated nucleoside analogues” was filed on 21 April 2004 as European patent application 13152440.9, which itself is a divisional application of the earlier European patent application 04775900.6, the EP regional phase of international application PCT/US2004/12472 (WO2005003147) (D1), naming Jeremy Clark as sole inventor.

As can notably be inferred from the article Clark et al. (2005) J. Med. Chem. 48: 5504-5508 (D2), which constitutes the scientific disclosure of the opposed patent, the international application from which it derives was essentially filed to protect 2'-deoxy-2'-fluoro-2'-C-methyl cytidine, which was viewed by the applicant as a promising anti-HCV compound at the time the application was filed:

2'-deoxy-2'-fluoro-2'-C-methyl cytidine (claim 5 of the opposed patent)

2'-deoxy-2'-fluoro-2'-C-methyl cytidine is a nucleoside analog constituted of a 2'-deoxy-2'-fluoro-2'-C-methyl ribose moiety and of a cytosine base. Upon its administration to an individual, it penetrates into cells where it is phosphorylated on the 5’ OH by intracellular kinases to yield 2'-deoxy-2'-fluoro-2'-C-methyl cytidine monophosphate, diphosphate and eventually triphosphate. 2'-deoxy-2'-fluoro-2'-C-methyl cytidine triphosphate then inhibits HCV RNA-dependent RNA polymerase (RdRp), also known as NS5B.

However, while examination of the earlier application was proceeding, it appeared that 2'-deoxy-2'-fluoro-2'-C-methyl cytidine was not so promising after all. Besides, the applicant developed in parallel another N55B inhibitor which successfully entered on the market as Sofosbuvir (INN). Sofosbuvir is the active principle of Sovaldi®, a drug