Anti Factor IXa/ Xa (thrombin)– Licensing opportunity

Anti Factor IXa/ Xa compound key points:

- Therapeutic Area: Thrombosis
- Mechanism of Action: Anticoagulant, intravenous direct factor IXa /Xa inhibitor
- Planned indications:
  - Percutaneous coronary intervention (PCI)
  - acute arterial pathologies such as stroke
- Development Phase: Discovery

1. BACKGROUND INFORMATION

Anti F IX/Xa is an anticoagulant, inhibiting both Factor IXa and Xa activity, available as a parenteral formulation. Factor Xa and factor IXa are two enzymes of the coagulation cascade acting in an enzymatic complex formed on activated platelets. Factor IXa enzymatic complex activity is particularly dependent on platelet activation. This dual activity differentiates Anti F IX/Xa from specific inhibitors of factor Xa. Interestingly, the inhibition of factor IXa (first in class activity) brings to the compound an ability to inhibit arterial thrombosis with a limited effect on bleeding. In addition, acting as a direct inhibitor of coagulation factors, it differs from the saccharides/heparin, indirect inhibitor of coagulation factors through ATIII. As a consequence there is no equivalent molecule present on the market or under development.

Due to its original mode of action Anti F IX/Xa shows a high potency in arterial pathologies following i.v. administration with a limited effect on bleeding that could bring clear benefits in patients with acute arterial conditions. Its profile of activity on venous and arterial thrombosis and its safety profile towards bleeding in pharmacological models is clearly superior to current compounds under clinical development or on the market.

2. TARGET LABEL

The antithrombotic properties of Anti F IX/Xa associated with its safety profile could support development for the treatment of acute thromboembolic diseases from venous or arterial origin.

Due its new mode of action Anti F IX/Xa could be developed in indications where improvements are needed.

- Percutaneous coronary intervention (PCI), commonly known as coronary angioplasty, could be a first indication.
- The compound could also be used to treat more complex indications in acute arterial pathologies such as stroke.
3. **VALUE PROPOSITION**

There is still room for improvement in the treatment of acute arterial situations of thrombosis such as stroke. In a field where therapies are often unsatisfactory, there is a clear interest to extend the understanding and to use treatments with new mechanisms of action to improve patient outcomes.

From pharmacological experiments we could expect a better benefit/risk ratio for Anti FIX/Xa in comparison with other compounds that could represent a breakthrough in the treatment of thrombotic events.

4. **KEY PATENT EXPIRIES (US/EU/JPN)**

Compound patent application currently under filing.

5. **MARKETING**

2.9 million acute coronary syndromes occur every year in the 7 major markets of which 41% undergo a PCI.

In 2008, the World Stroke Congress reported that 20 million Stroke events occur globally each year and account for 5.7 million deaths. Stroke is now the second most common cause of death worldwide and a major cause of disability. The incidence of Stroke is expected to increase by 30% over the next decade (6th World Stroke Congress, Vienna, Austria, 24th-27th September 2008).

**Differentiation from competitors**

The main difference from competitors is the original mode of action with an interesting safety profile on bleeding. Due to its unique mode of action its potency on arterial thrombosis could enable the demonstration of efficacy in pathologies where other competitors have failed.

6. **Contacts**

**Guy Claude**  
Vice President Out-Licensing & Special Projects  
Strategy & Business Development  
Corporate Licenses  
Guy.claude@sanofi.com

**Anne Marie SIGOT**  
Senior Manager Out-licensing and Special Projects  
Strategy & Business Development  
Corporate Licenses  
Annemarie.sigot@sanofi.com