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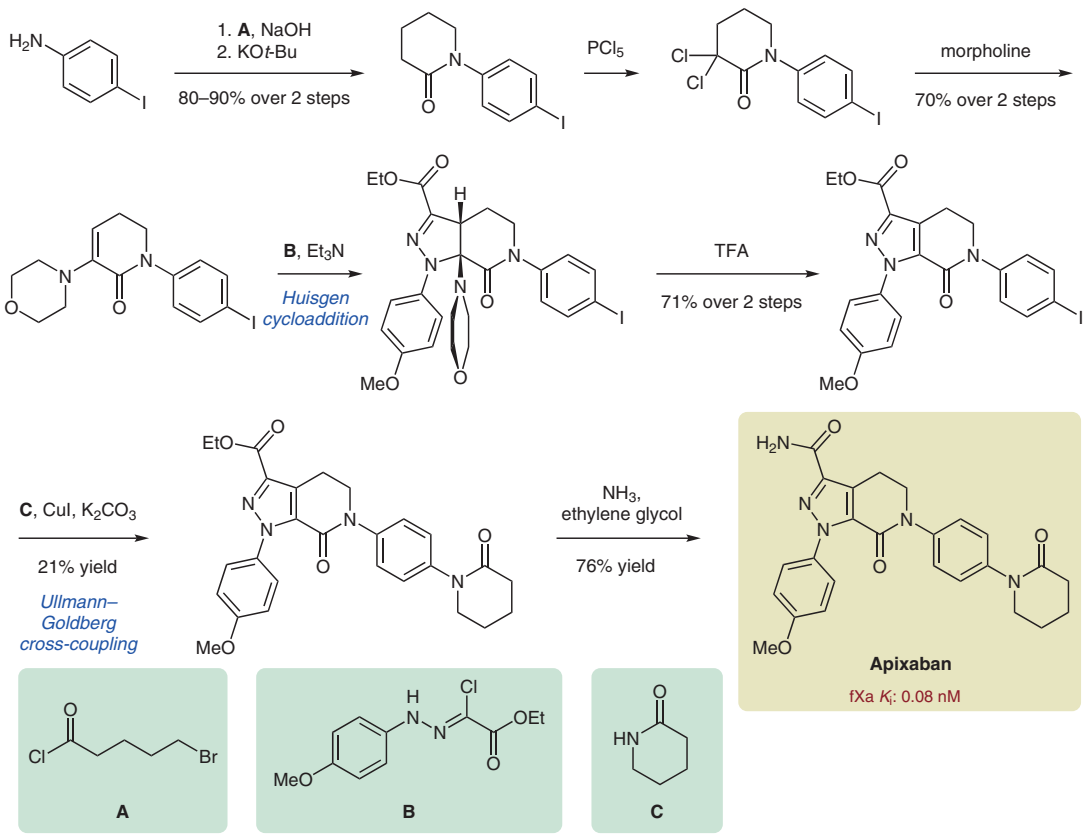
Discovery of 1-(4-Methoxyphenyl)-7-oxo-6-(4-(2-oxopiperidin-1-yl)phenyl)-4,5,6,7-tetrahydro-1*H*-pyrazolo[3,4-*c*]pyridine-3-carboxamide (Apixaban, BMS-562247), a Highly Potent, Selective, Efficacious, and Orally Bioavailable Inhibitor of Blood Coagulation Factor Xa

J. Med. Chem. **2007**, *50*, 5339–5356, DOI: 10.1021/jm070245n.

Synthesis of Coagulation Factor Xa Inhibitor Apixaban



Significance: Apixaban is an inhibitor of blood coagulation factor Xa (fXa), a serine protease that is crucial to the conversion of prothrombin into thrombin. The latter is the last enzyme in the coagulation cascade and is responsible for fibrin clot formation. Apixaban is used to treat and prevent blood clots. It is one of the current top ten blockbuster drugs.

Comment: The synthesis of Apixaban features a Huisgen (3+2) cycloaddition/elimination sequence to form the pyrazole core. Ullman–Goldberg cross-coupling and subsequent aminolysis completes the synthesis of the inhibitor.

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