Synthesis of Ribociclib

Cui DongXu

320230960221

College of Chemistry and chemical Engineering , Lanzhou Univ.

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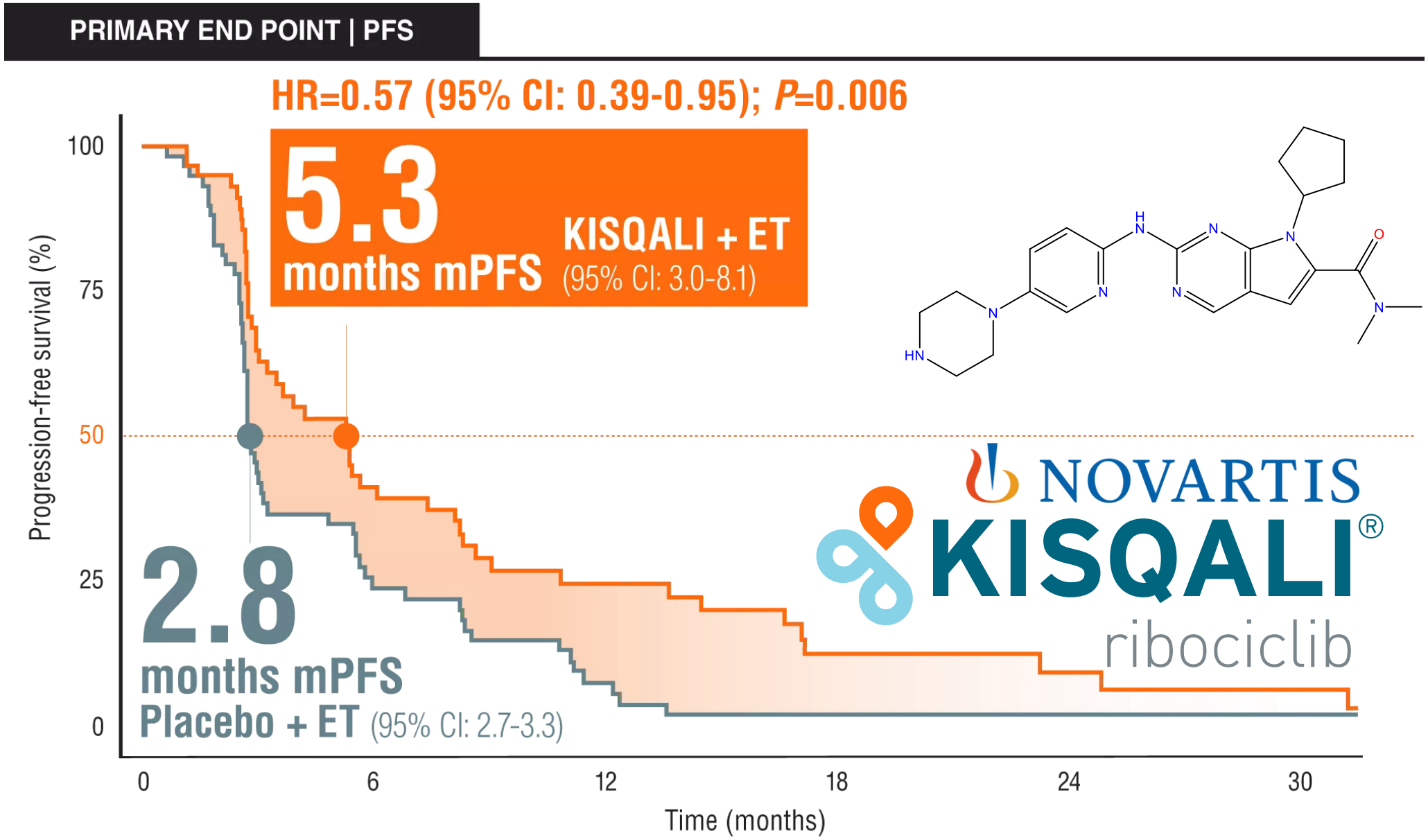
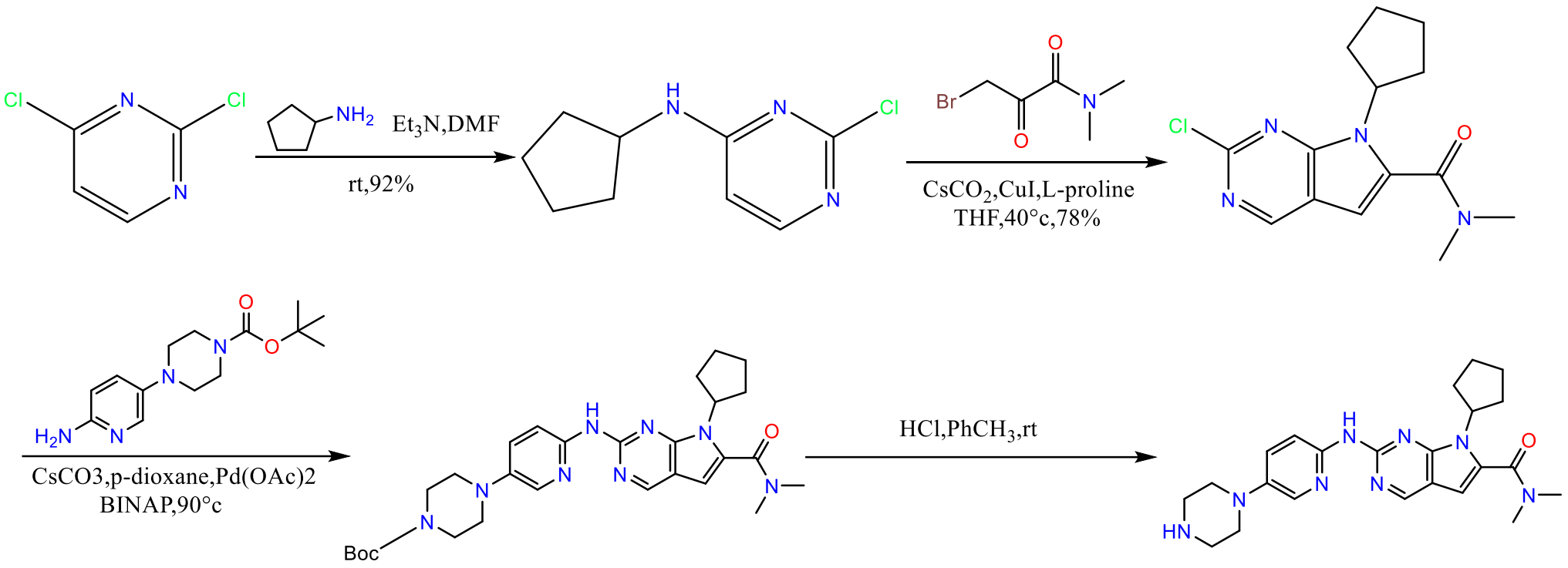
About the Ribociclib(Kisqali®)



https://www.kisqali.com/expert-perspective/2022-abstracts

Over view **synthesis of Ribociclib**



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(71)申请人 青岛辰达生物科技有限公司
地址 266520 山东省青岛市黄岛区长江东路443号1栋住宅1708

(72)发明人 陈令浩

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About the Ribociclib

CAS SciFinder^n

Reference Detail

View in SciFinder^n

Process for synthesis of Ribociclib

By: Chen, Linghao

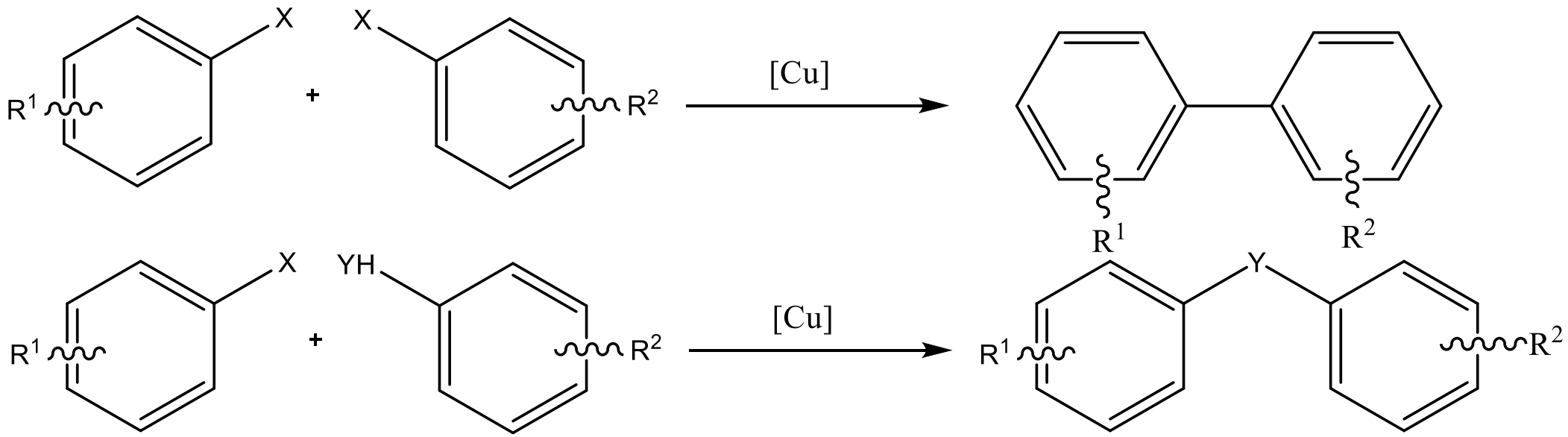
14 Substances • 18 Reactions • 4 Citations

The process comprises (1) reacting 2-chloro-4-cyclopentylamino pyridine with 3-bromo-2-oxo-N,N-dimethylacrylamide in the presence of cesium carbonate and co-catalysis of cuprous iodide and L-proline at 30-60 °C to obtain 2-chloro-7-cyclopentyl-N,N-dimethyl-7*H*-pyrrolo[2,3-d]pyrimindine-6-formamide, and (2) carrying out nucleo philic substitution reaction with 4-(6-aminopyridine-3-yl)-piperazine-1-carboxylic acid tert-Bu ester in the presence of Pd(OAc)$_2$, BINAP and Cs_2CO_3 to obtain 4-(6-(7-cyclopentyl-6-dimethylcarbamoyl)-7*H*-pyrrolo[2,3-d]pyrimidine-2-yl-aminopyridine-3-yl)-piperazine-1-carboxylic acid tert-Bu ester, and removing BOC protective group with HCl. The invention provides the synthesis process of medicine Ribociclib for treating breast cancer, with the advantages of less reaction steps, mild condition, higher yield and suitability for industrialized production

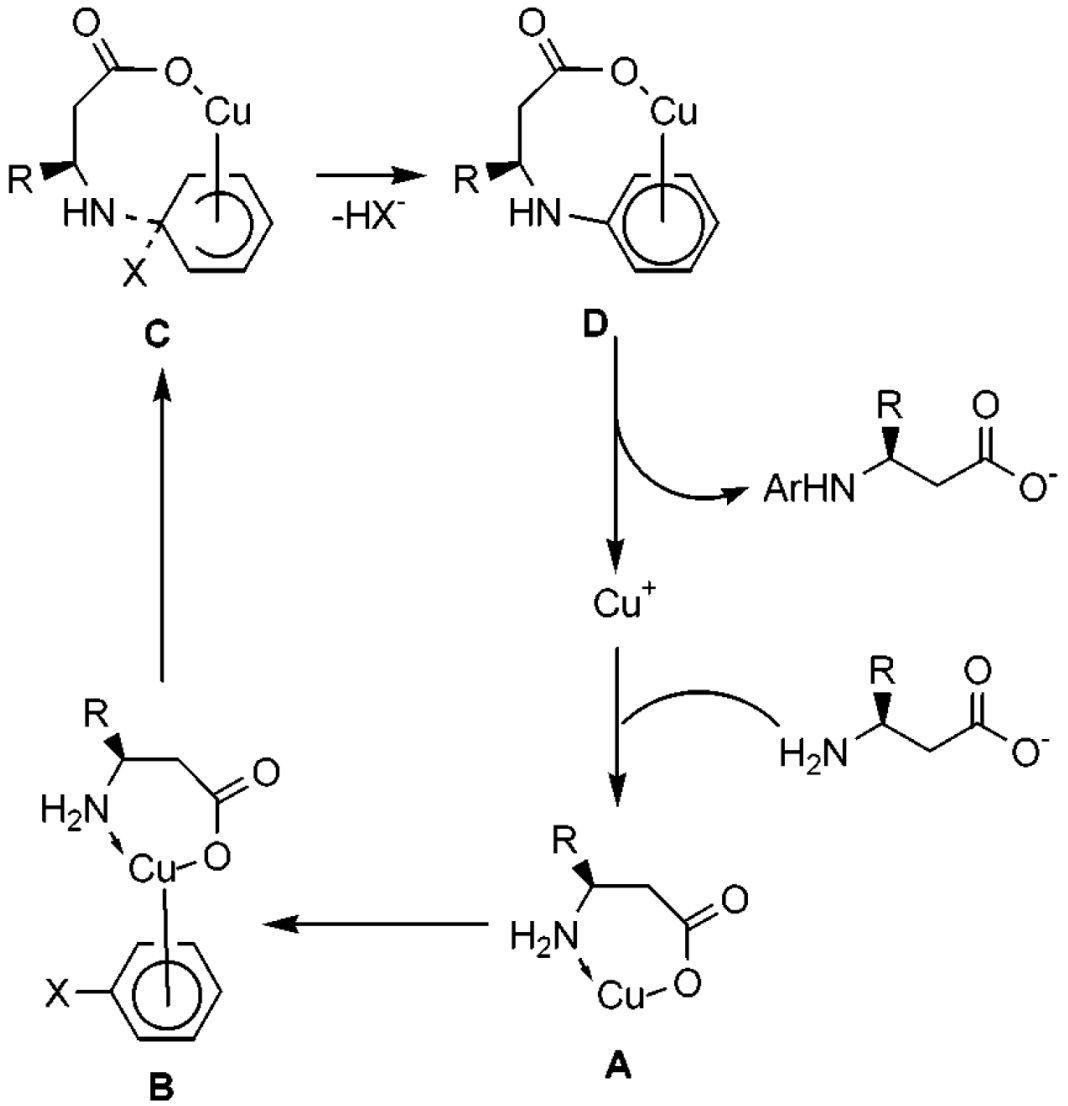
Keywords: synthesis Ribociclib nucleo philic substitution reaction

PatentPak available

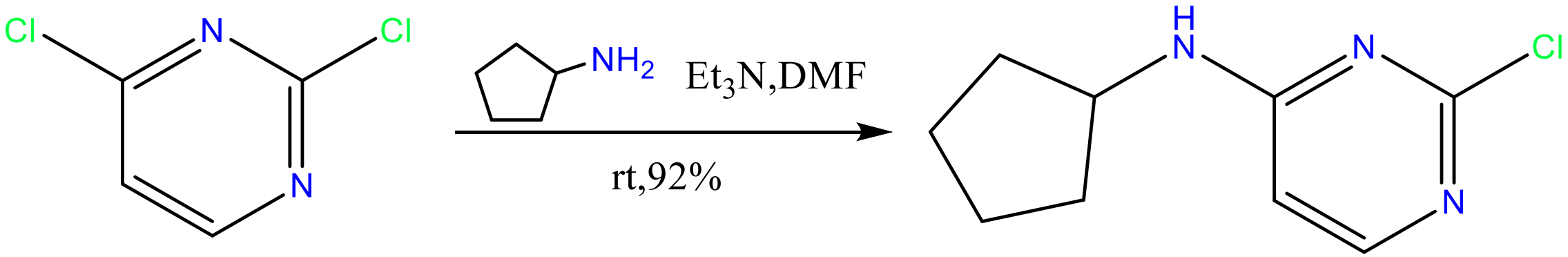
Ullmann Reaction



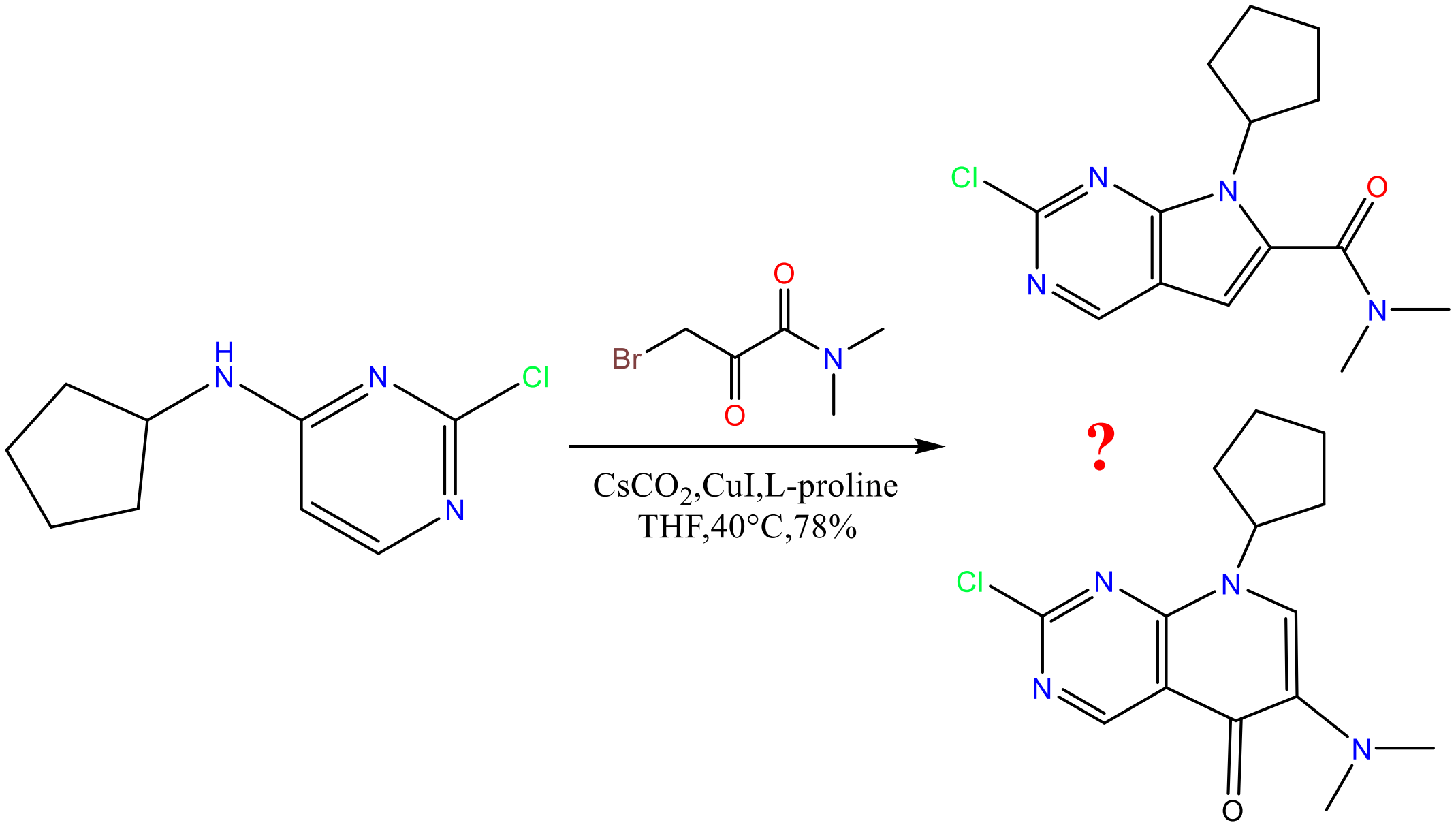
Ullmann Reaction



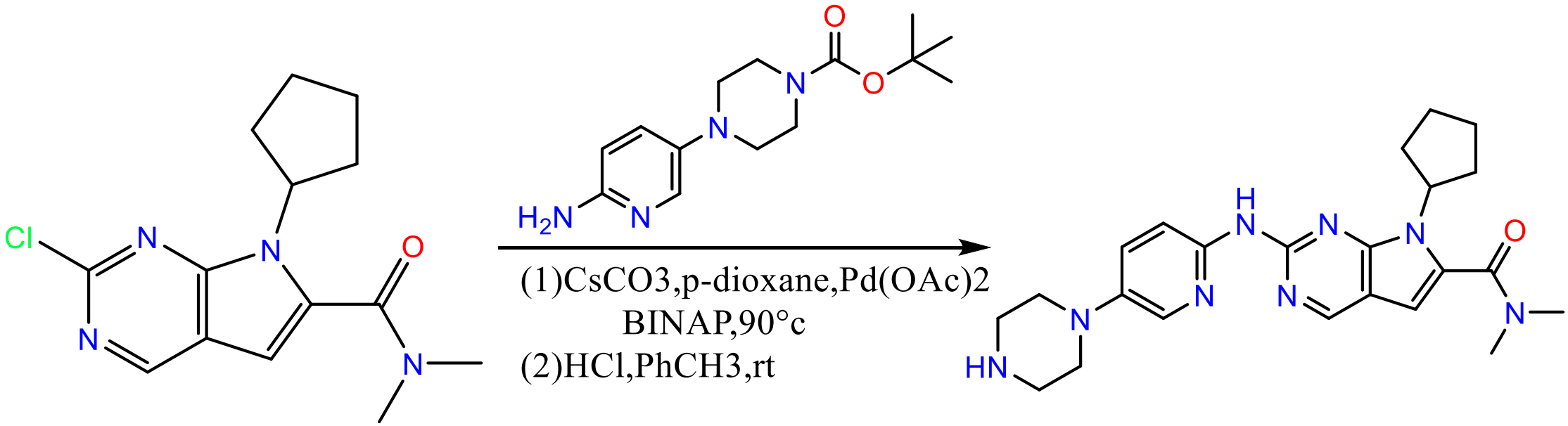
Process for synthesis of Ribociclib-1



Process for synthesis of Ribociclib-2



Process for synthesis of Ribociclib-3



Over view **synthesis of Ribociclib**