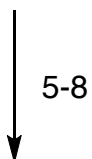
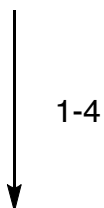
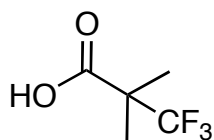


Discovery of NVP-BYL719 a potent and selective phosphatidylinositol-3 kinase alpha inhibitor selected for clinical evaluation (Heterocycles Quiz)

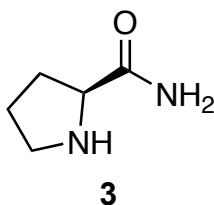
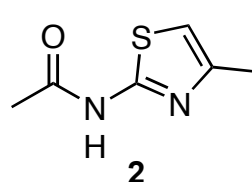
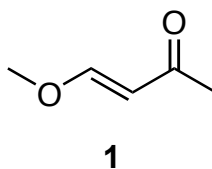
Furet, P.; Guagnano, V.; Fairhurst, R. A.; Imbach-Weese, P.; Bruce, I.; Knapp, M.; Fritsch, C.; Blasco, F.; Blanz, J.; Aichholz, R.; Hamon, J.; Fabbro, D.; Caravatti, G.

Bioorg. Med. Chem. Lett. **2013**, *23*, 3741-3748.



Alpelisib (NVP-BYL719)

- 1) oxalyl chloride
- 2) **1**, LiHMDS, -78 °C, *then* substrate, *then* TFA
- 3) NH₃ (aq.)
- 4) POBr₃



- 5) **2**, Pd(OAc)₂/*t*-Bu^v HBF₄, Cs₂CO₃
- 6) 6 N HCl, EtOH
- 7) CDI
- 8) **3**, Et₃N

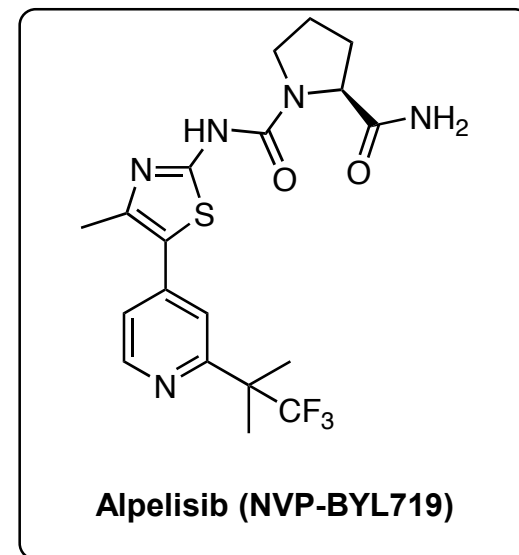
2) what heterocycle is formed?
please provide a mechanism

3) what heterocycle is formed?

5) which heterocycle is introduced?
How would you make **2**?

7) what is the structure of CDI?
what is the heterocycle?

8) what is the amino acid analog of **3**?
what heterocycle is in **3**?



Heterocycle Quiz!

Be honest with yourself - how many can you name/draw? How long did it take you?

No cheating!

person at the board will have to draw 10 random heterocycles and answer some questions

