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


Alpelisib (NVP-BYL719)

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

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5) 2, Pd(OAc)₂/t-Bu₄HBF₄, 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!
*Dirk's Favorite!*

- aziridine
- 2H-azirine
- tetrahydrofuran
- pyrazole
- tetrahydro-2H-pyran
- tetrahydro-2H-thiopyran
- pyrrole
- pyrazole
- imidazole
- pyrimidine
- 1H-indole
- benzimidazole
- 1,3,5-triazine
- morpholine
- piperidine
- piperazine
- piperazine