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


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

5) 2, Pd(OAc)₂/t-Bu₃P⁺ HBF₄, Cs₂CO₃
6) 6 N HCl, EtOH
7) CDI
8) 3, Et₃N

**Alpelisib (NVP-BYL719)**

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

aziridine
2H-azirine
O

O

HN

piperidine
piperazine
morpholine

S

S

S

S

S

HN

tetrahydrofuran
tetrahydro-2H-pyran
tetrahydro-2H-thiopyran

* Dirk's Favorite! *

pyrrole
pyrazole
imidazole

O

O

N
N

HN

imidazole

pyrimidine

1H-indole

1,3,5-triazine

benzimidazole