



Background of the project




 37% yield



 33% yield

EDG **EDG = Me, TMS**

 **N-Boc**

Not detected in the mixture

✓ c1ccc2c(c1)nc3ccccc3n2

✗ COC(=O)c1ncnc(C(=O)OC)n1

- ✓✓ 2-substituted furan
- ✓✓ SM with a substituted side chain
- ✓✓✗ EDG-substituted alkynes

Chemical structures of five compounds are shown, each with a carboxylic acid group (HO₂C) and a Boc or Fmoc protecting group. The structures are labeled as follows:

- EN300-6729293: A bicyclic structure with a carboxylic acid group (HO₂C) and a Boc protecting group.
- EN300-6482609: A bicyclic structure with a carboxylic acid group (HO₂C) and a Boc protecting group.
- EN300-6739939: A bicyclic structure with a carboxylic acid group (HO₂C) and a Boc protecting group.
- EN300-6740635: A bicyclic structure with a carboxylic acid group (HO₂C) and a Fmoc protecting group.
- EN300-7352014: A bicyclic structure with a carboxylic acid group (HO₂C) and a Fmoc protecting group.

EN300-7444040 EN300-7444772 EN300-1657663 EN300-6739165 EN300-6846078 EN300-1698751 EN300-1700398

Common starting materials

$R^1 = R^2 = R^3 = \text{H, Alk}$
 $X = \text{NBoc, O, S}$

$R^4 = \text{CO}_2\text{Me, Ar, Alk}$ (green)
 $R^4 = \text{Me, TMS}$ (red)

OVERALL

Reaction 1: **intra-DA** (green arrow)

Reaction 2: **IEDDA** (green arrow) *shifting the equilibrium*

Reaction 3: **retro-DA** (green arrow)

Reaction 4: **PhMe, reflux** (brown arrow) $+ \text{N}_2$

post-synthetic modifications (grey arrow)

Chemical structures shown: 1, 2, 3, 4, 5, 6

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