

DP4 Future Program

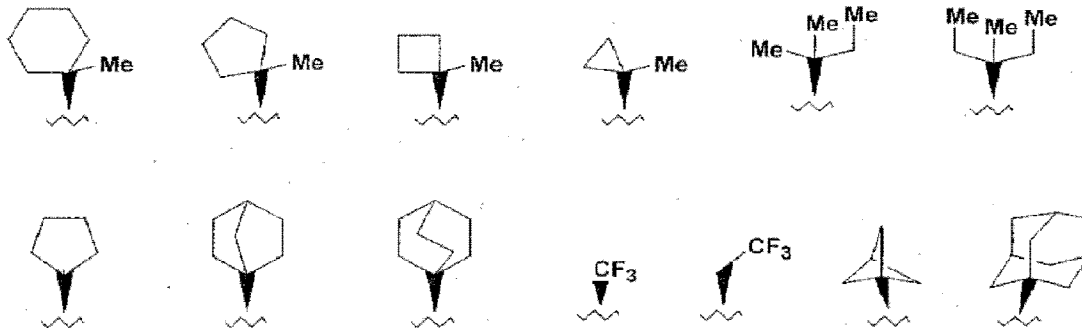
12/16/99

Handwritten signature and date: 12/18/99

- Dave M.**
 - Finish 4,5-methano SAR "holes"
 - Complete compelling inhibitors from DOCK run
 - Generate biclic 2,1,1 series
- Prakash**
 - Synthesize Novartis clinical candidate for in vitro/ in vivo evaluation
 - Synthesize Ferring Research Institute DP4 (Ile-cyanopyrrolidide) for PK/in vitro determination
- Dave A.**
 - Work out 3,4-methano synthesis
 - Scale-up 680 for PK determination
 - Build 3,4-methano SAR
- Dave B.**
 - Finish HMGR target(s)
 - Build 3,4-methano SAR
 - Generate novel amino acids for N-terminus
- Gaya**
 - Generate oxopropylene series
 - Generate novel amino acids for N-terminus

SAR "holes" with existing AA's: phenylglycine, alanine, proline, 2-3 N-alkylated AA's, DOCK hits

"Novel" N-terminal amino acids for incorporation:



*W. Increased = Understood
David G. Davis 12/17/99*