ABSTRACT

IMPROVED PROCESS FOR LARGE-SCALE PRODUCTION OF BMS 180048 AND ANALOGS

An improved, novel convergent process suitable for large scale preparation of the antimigraine agent 4-(5-methoxy-4-pyrimidinyl)-1-[3-[5-[[(methylamino)sulfonyl]methyl]-1H-indol-3-yl]propyl]piperazine (BMS 180048) and close analogs. The improved process provides efficiencies in handling, purification, and product yield and involves a novel heteroannulation reaction that provides the indole ring moiety and propylpiperazinyl-pyrimidine backbone in a single step.