Synthesis of New 1-Aryl-4-(biarylmethylene)piperazine Ligands, Structurally Related to Adoprazine (SLV313)

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A series of new 1-aryl-4-(biarylmethylene)piperazines has been synthesized. These ligands are structurally related to SLV-313, a potential atypical antipsychotic having potent D₂ receptor antagonist and 5-HT₁A receptor agonist properties. Buchwald-Hartwig coupling reactions of 1-boc-piperazine with appropriate aryl halides and subsequent removal of the boc group rendered arylpiperazines. The reductive amination of the latter with suitable biarylaldehydes accomplished the synthesis of these ligands.

Key words: Schizophrenia, Aryl-(biarylmethylene)piperazines, Buchwald-Hartwig Amination, Reductive Amination