

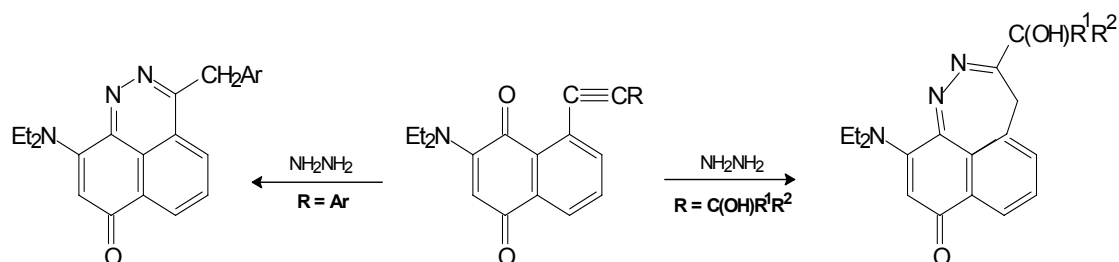
Synthesis of Substituted 4*H*-Naphtho[1,8-*cd*]-1,2-diazepin-8-ones *via* Hydroxyalkynyl Derivatives of 1,4-Naphthoquinone

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1-Acetylenic derivatives of 9,10-anthraquinone react with NH_2NH_2 to form 4*H*-anthra[9,1-*cd*]-1,2-diazepin-8-ones and/or 7*H*-dibenzo[*de,h*]quinolin-7-ones. Under the reaction conditions, anthradiazepinones undergo reductive contraction of the heterocycle to give corresponding dibenzoquinolinones.

Unlike 1-acetylenylantraquinones, 5-arylethynyl-3-diethylamino-1,4-naphthoquinones condense with NH_2NH_2 to close the 6-membered pyridazine ring and form substituted benzo[*de*]cinnolin-7-ones.



The direction of this cyclization is surprisingly changed when the arylethynyl group is substituted by an alkynyl one bearing a hydroxyl in the propargylic position. In contrast to the arylethynyl derivatives 5-(3-hydroxyalkyn-1-yl)-3-diethylamino-1,4-naphthoquinones condense with NH_2NH_2 under the same conditions to form a 7-membered 1,2-diazepine ring and give 4*H*-naphtho[1,8-*cd*]-1,2-diazepin-8-ones (yields 53-56 %).

It is proposed that the change of the cyclization direction is explained by an association of the substrate hydroxyl with NH_2NH_2 by means of hydrogen bonds.