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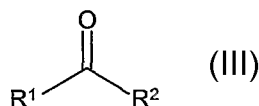
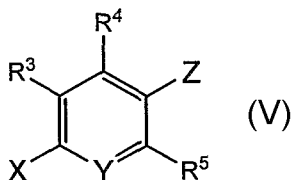
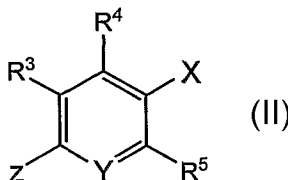
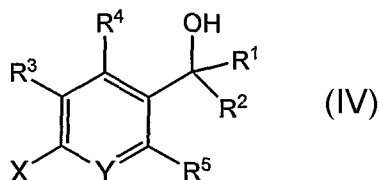
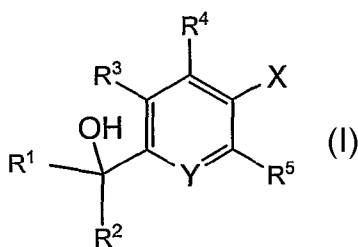
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(54) Title: PREPARATION OF NOVEL SUBSTITUTED HALOARENE COMPOUNDS



(57) Abstract: This invention relates to a new process for the preparation of novel substituted haloarene compounds of the formula I or IV; respectively, wherein R¹, R², R³, R⁴, R⁵, X, and Y are as defined herein, that comprises a novel and efficient selective mono-lithiation of a dihaloarene of the formula II or V; respectively, by an organo-lithium compound in the presence of a carbonyl reactant of the formula III; wherein R¹ and R² are as defined herein. In the process of the instant invention, the newly formed lithiated haloarene is sequentially quenched *in situ* by the carbonyl reactant to form said substituted haloarene. The process is suitable for batch or continuous flow systems. The substituted haloarenes produced by the process of the present invention are useful intermediates in the preparation of N-aryl or N-heteroaryl substituted pharmaceutically active compounds that include selective antagonists, inverse agonists and partial agonists of serotonin 1 (5-HT₁) receptors useful in treating or preventing depression, anxiety, obsessive compulsive disorder (OCD) and other disorders for which a 5-HT₁ agonist or antagonist is indicated.



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