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(54) Title: PROCESS FOR THE PREPARATION OF A CYP11A1 INHIBITOR AND INTERMEDIATES THEREOF

(57) Abstract: The present invention relates to an improved process for the preparation of 4H-pyranone structured CYP11A1 inhibitors such as 2-(isoindolin-2-ylmethyl)-5-((1-(methylsulfonyl)piperidin-4-yl)methoxy)-4H-pyran-4-one (IA) and key intermediates thereof such as 2-(chloromethyl)-5-hydroxy-4H-pyran-4-one (II), 5-hydroxy-2-(isoindolin-2-ylmethyl)-4H-pyran-4-one (III), (1-(methylsulfonyl)piperidin-4-yl)methyl methane sulfonate (V') and (1-(methylsulfonyl)piperidin-4-yl)methyl 4-methylbenzene sulfonate (V''). CYP11A1 inhibitors are useful in the treatment of hormonally regulated cancers, such as prostate cancer and breast cancer.



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