Bicalutamide Polymorphism: Solid-State Nmr Characterization of Two Crystalline Forms

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Solid-state NMR is a versatile technique to study polymorphs and the phase transitions between the different forms of pharmaceutical molecules. This work focusses on nonsteroidal antiandrogen drug called bicalutamide used to treat prostate cancer. This drug exists two crystalline forms (form 1 and form 2) and an amorphous form. The amorphous and form 2 were synthesized by the quench cooling method and form 1 by a seeding technique. The amorphous form under magic angle spinning undergoes phase transition to form 1 and changes are detected by X-ray powder diffraction. Here we have used FSLG-HETCOR/MAS NMR experiments along with 19F rotational resonance and post-C7 sequences to characterize this drug molecule. Polymorphic transformation from the amorphous from to crystalline form 1 can be induced via MAS, thereby demonstrating that NMR is not always a non-invasive tool to study drug molecules.

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