Antifungal activity
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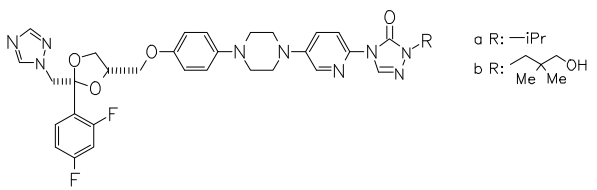
Design and Synthesis of Pyridine-Substituted Itraconazole Analogues with Improved Antifungal Activities, Water Solubility and Bioavailability. — Replacement of the phenyl ring in the itraconazole side chain with pyridine as a bioisostere yields a new class of antifungal agents. Most of the new analogues show more potent antifungal activities than itraconazole. Four analogues, including (I), exhibit much higher solubility and bioavailability than the parent compound. In particular, (Ib) reveals negative genetic toxicity and shows bioavailability which is five times higher than that of itraconazole, suggesting a high potential for further development as novel triazole antifungal agent. — (LIU, Y.; LIU, Z.; CAO, X.; LIU, X.; HE, H.; YANG*, Y.; Bioorg. Med. Chem. Lett. 21 (2011) 16, 4779-4783, http://dx.doi.org/10.1016/j.bmcl.2011.06.062 ; State Key Lab. Drug Res., Shanghai Inst. Mater. Med., Chin. Acad. Sci., Shanghai 200031, Peop. Rep. China; Eng.) — H. Hoennerscheid



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