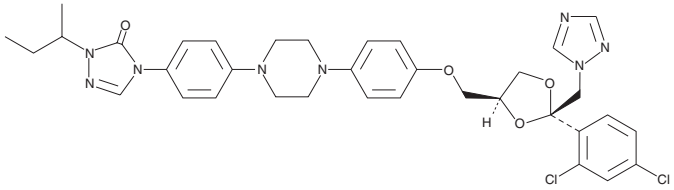
PRODUCT INFORMATION

Itraconazole

Item No. 13288

CAS Registry No.: 84625-61-6
Formal Name: 4-[4-[4-[4-[[2-(2,4-dichlorophenyl)-2-(1H-1,2,4-triazol-1-ylmethyl)-1,3-dioxolan-4-yl]methoxy]phenyl]-1-piperazinyl]phenyl]-2,4-dihydro-2-(1-methylpropyl)-3H-1,2,4-triazol-3-one
MF: $C_{35}H_{38}Cl_2N_8O_4$
FW: 705.6
Purity: ≥95%
UV/Vis.: λ_{max}: 263 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Itraconazole is supplied as a crystalline solid. A stock solution may be made by dissolving the itraconazole in the solvent of choice. Itraconazole is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of itraconazole in these solvents is approximately 0.5 mg/ml.

If aqueous stock solutions are required for biological experiments, they can best be prepared by diluting the organic solvent into aqueous buffers or isotonic saline. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

Itraconazole is an antifungal agent and inhibitor of hedgehog signaling (IC_{50} = 800 nM).[1,2] It binds to 14-α sterol demethylase/CYP51 isoform B (AF51B; K_d = 31 nM for *A. fumigatus* enzyme expressed in *E. coli*) and inhibits ergosterol biosynthesis in *C. neoformans* (IC_{50} = 6 nM after a 16-hour incubation).[1,3] It inhibits the growth of *C. neoformans* by 50% when used at a concentration of 3.2 nM. Itraconazole inhibits hedgehog signaling, reducing accumulation of Smoothened induced by sonic hedgehog (Shh) in primary cilia of NIHT-3T3 cells.[2] It suppresses growth of medulloblastomas in a *Ptch*$^{+/-}$*p53*$^{-/-}$ mouse allograft model when administered at a dose of 100 mg/kg twice daily. Itraconazole (1.25-100 µM) also reduces viral titers of several enteroviruses, including human rhinovirus 17, in infected cells, effects that can be reversed by overexpression of *OSBP*, the gene encoding oxysterol-binding protein (OSBP).[4]

References

1. Vanden Bossche, H., Marichal, P., Le Jeune, L., *et al.* Effects of itraconazole on cytochrome P-450-dependent sterol 14 α-demethylation and reduction of 3-ketosteroids in *Cryptococcus neoformans*. *Antimicrob. Agents Chemother.* **37(10)**, 2101-2105 (1993).
2. Kim, J., Tang, J.Y., Gong, R., *et al.* Itraconazole, a commonly used antifungal that inhibits Hedgehog pathway activity and cancer growth. *Cancer Cell* **17**, 388-99 (2010).
3. Warrilow, A.G., Melo, N., Martel, C.M., *et al.* Expression, purification, and characterization of *Aspergillus fumigatus* sterol 14-a demethylase (CYP51) isoenzymes A and B. *Antimicrob. Agents Chemother.* **54(10)**, 4225-4234 (2010).
4. Strating, J.R.P.M., van der Linden, L., Albulescu, L., *et al.* Itraconazole inhibits enterovirus replication by targeting the oxysterol-binding protein. *Cell Rep.* **10(4)**, 600-615 (2015).

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