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- Trockeneiszuschlag
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- Expressversand

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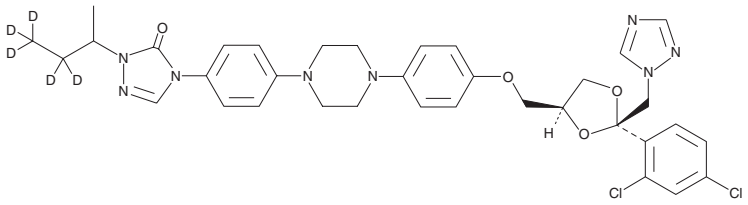
PRODUCT INFORMATION

Itraconazole-d_5

Item No. 25219

CAS Registry No.:	1217510-38-7
Formal Name:	4-(4-(4-(4-(((2R,4S)-2-((1H-1,2,4-triazol-1-yl)methyl)-2-(2,4-dichlorophenyl)-1,3-dioxolan-4-yl)methoxy)phenyl)piperazin-1-yl)phenyl)-2-(butan-2-yl-3,3,4,4,4-d_5)-2,4-dihydro-3H-1,2,4-triazol-3-one
MF:	$C_{35}H_{33}Cl_2D_5N_8O_4$
FW:	710.7
Chemical Purity:	≥98% (Itraconazole)
Deuterium Incorporation:	≥99% deuterated forms (d_1-d_5); ≤1% d_0
Supplied as:	A 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-d_5 is intended for use as an internal standard for the quantification of itraconazole (Item No. 13288) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Itraconazole-d_5 is supplied as a solid. A stock solution may be made by dissolving the itraconazole-d_5 in the solvent of choice, which should be purged with an inert gas. Itraconazole-d_5 is slightly soluble in chloroform and methanol.

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. Antimicrob. Agents Chemother.* **37(10)**, 2101-2105 (1993).
2. Kim, J., Tang, J.Y., Gong, R., *et al. Cancer Cell* **17(4)**, 388-399 (2010).
3. Warrilow, A.G., Melo, N., Martel, C.M., *et al. Antimicrob. Agents Chemother.* **54(10)**, 4225-4234 (2010).
4. Strating, J.R.P.M., van der Linden, L., Albulescu, L., *et al. Cell Rep.* **10(4)**, 600-615 (2015).

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