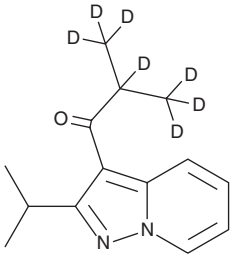
PRODUCT INFORMATION

Ibudilast-d_7

Item No. 28876

CAS Registry No.:	2713301-45-0
Formal Name:	2-(methyl-d_3)-1-[2-(1-methylethyl) pyrazolo[1,5-a]pyridin-3-yl]-1-propanone-2,3,3,3-d_4
Synonyms:	AV 411-d_7, KC-404-d_7
MF:	$C_{14}H_{11}D_7N_2O$
FW:	237.4
Chemical Purity:	≥98% (Ibudilast)
Deuterium Incorporation:	≥99% deuterated forms (d_1-d_7); ≤1% d_0
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



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

Laboratory Procedures

Ibudilast-d_7 is intended for use as an internal standard for the quantification of ibudilast (Item No. 14832) 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).

Ibudilast-d_7 is supplied as a solid. A stock solution may be made by dissolving the ibudilast-d_7 in the solvent of choice, which should be purged with an inert gas. Ibudilast-d_7 is soluble in chloroform and methanol.

Description

Ibudilast is an inhibitor of phosphodiesterase 4 (PDE4; IC_{50}s = 54, 65, 239, and 166 nM for PDE4A-D, respectively).[1] It is selective for PDE4 over PDE1, PDE7A, PDE7B, and PDE9A (IC_{50}s = ≥10,000 nM for all) but does inhibit PDE3A, PDE3B, and PDE5A (IC_{50}s = 1,600, 2,700, and 3,510 nM, respectively). Ibudilast inhibits LPS-induced production of TNF-α and fMLP-induced production of leukotriene B_4 (LTB_4; Item No. 20110) in isolated human whole blood (IC_{50}s = 6.2 and 2.5 µM, respectively). It inhibits bronchospasm by 34% in a guinea pig model of leukotriene-mediated allergic bronchospasm when administered intravenously at a dose of 5 mg/kg.[2] Ibudilast prevents increases in TNF-α, IL-1β, and IL-6 expression in the striatum in a mouse model of MPTP-induced Parkinson's disease.[3] It also increases striatal expression of glial cell-derived neurotrophic factor (GDNF) in MPTP-treated and -untreated mice when administered at doses of 40 and 50 mg/kg, respectively, twice per day.

References

1. Huang, Z., Liu, S., Zhang, L., *et al.* Preferential inhibition of human phosphodiesterase 4 by ibudilast. *Life Sci.* **78(23)**, 2663-2668 (2006).
2. Kreutner, W., Sherwood, J., and Rizzo, C. The effect of leukotriene antagonists, lipoxygenase inhibitors and selected standards on leukotriene-mediated allergic bronchospasm in guinea pigs. *Agents Actions* **28(3-4)**, 173-184 (1989).
3. Schwenkgrub, J., Zaremba, M., Joniec-Maciejak, I., *et al.* The phosphodiesterase inhibitor, ibudilast, attenuates neuroinflammation in the MPTP model of Parkinson's disease. *PLoS One* **12(7)**, e0182019 (2017).

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