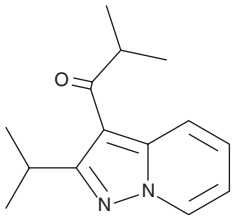
PRODUCT INFORMATION

Ibudilast

Item No. 14832

CAS Registry No.: 50847-11-5
Formal Name: 2-methyl-1-[2-(1-methylethyl) pyrazolo[1,5-a]pyridin-3-yl]-1-propanone
Synonyms: AV 411, KC-404
MF: $C_{14}H_{18}N_2O$
FW: 230.3
Purity: ≥98%
UV/Vis.: λ_{max}: 227, 263, 321 nm
Supplied as: A crystalline 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 is supplied as a crystalline solid. A stock solution may be made by dissolving the ibudilast in the solvent of choice, which should be purged with an inert gas. Ibudilast is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of ibudilast in these solvents is approximately 25, 16, and 20 mg/ml, respectively.

Ibudilast is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ibudilast should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Ibudilast has a solubility of approximately 0.08 mg/ml in a 1:11 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

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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