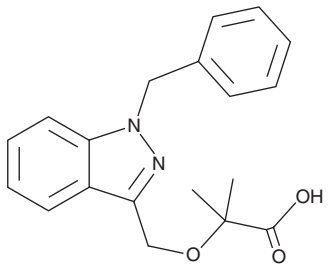
PRODUCT INFORMATION

Bindarit

Item No. 11479

CAS Registry No.:	130641-38-2
Formal Name:	2-methyl-2-[[1-(phenylmethyl)-1H-indazol-3-yl]methoxy]-propanoic acid
Synonym:	AF 2838
MF:	$C_{19}H_{20}N_2O_3$
FW:	324.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 209, 294 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

Bindarit is supplied as a crystalline solid. A stock solution may be made by dissolving the bindarit in the solvent of choice. Bindarit is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of bindarit in ethanol is approximately 20 mg/ml and approximately 30 mg/ml in DMSO and DMF.

Bindarit is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, bindarit should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Bindarit has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Bindarit is an inhibitor of monocyte chemoattractant protein (MCP) production that is selective for MCP-1/CCL2, MPC-3/CCL7, and MCP-2/CCL8 over other chemokines.[1] It inhibits LPS- or *C. albicans*-induced production of MCP-1/CCL2 in isolated human monocytes (IC_{50}s = 172 and 403 µM, respectively).[2] Bindarit downregulates NF-κB signaling and prevents p65 and p65/p50-mediated MCP-1/CCL2 promoter activation in RAW264.7 cells.[3] It delays the onset of proteinuria and prolongs survival in a mouse model of experimental lupus nephritis when administered at a dose of 50 mg/kg.[4] It prevents LPS-induced increases in MCP-1/CCL2 expression in mouse brain and spinal cord when administered at a dose of 200 mg/kg and reduces the incidence and severity of experimental autoimmune encephalomyelitis (EAE) in mice.[5] Bindarit is also a noncompetitive inhibitor of monocarboxylate transporter 4 (MCT4; K_i = 30.2 µM for the human transporter) that is selective for MCT4 over MCT1.[6]

References

1. Mirolo, M., Fabbri, M., Sironi, M., *et al. Eur. Cytokine. Netw.* **19(3)**, 119-122 (2008).
2. Sironi, M., Guglielmotti, A., Polentarutti, N., *et al. Eur. Cytokine. Netw.* **10(3)**, 437-442 (1999).
3. Mora, E., Guglielmotti, A., Biondi, G., *et al. Cell Cycle* **11(1)**, 159-169 (2016).
4. Zoja, C., Corna, D., Benedetti, G., *et al. Kidney Int.* **53(3)**, 726-734 (1998).
5. Ge, S., Shrestha, B., Paul, D., *et al. J. Neuroinflammation* **9**,171, (2012).
6. Futagi, Y., Kobayashi, M., Narumi, K., *et al. Biochem. Biophys. Res. Commun.* **495(1)**, 427-432 (2018).

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