PRODUCT INFORMATION



INCB 3344

Item No. 28433

CAS Registry No.: 1262238-11-8

Formal Name: rel-N-[2-[[(3R,4R)-1-[trans-4-(1,3-

> benzodioxol-5-yl)-4-hydroxycyclohexyl]-4-ethoxy-3-pyrrolidinyl]amino]-2-

oxoethyl]-3-(trifluoromethyl)-benzamide

MF: $C_{29}H_{34}F_3N_3O_6$

FW: 577.6 **Purity:** ≥95% 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

INCB 3344 is supplied as a solid. A stock solution may be made by dissolving the INCB 3344 in the solvent of choice, which should be purged with an inert gas. INCB 3344 is soluble in the organic solvent DMSO.

Description

INCB 3344 is an antagonist of chemokine (C-C motif) receptor 2 (CCR2; IC_{50} = 10 nM in WEHI-274 murine monocytes). It is selective for CCR2 over a panel of G protein-coupled receptors, including CCR1 and CCR5, with IC $_{50}$ s values greater than 1 μ M. 2 INCB 3344 inhibits chemotaxis of WEHI-274 cells induced by chemokine (C-C motif) ligand 2 (CCL2; IC₅₀ = 10 nM) and CCL2-induced phosphorylation of ERK in WEHI-274 cells. It inhibits monocyte influx in a mouse model of peritonitis induced by thioglycolate when administered at doses of 60 and 100 mg/kg. INCB 3344 (30, 50, and 100 mg/kg twice per day) decreases the expression of CCR2 mRNA in the ear and reduces ear swelling in a mouse model of delayed-type hypersensitivity reaction. It prevents increases in or reduces macrophage levels in the spinal cord when administered at a dose of 100 mg/kg per day beginning the day of immunization or seven days following immunization, respectively, in a mouse model of experimental autoimmune encephalomyelitis (EAE). It also reduces disease incidence and severity in the same model and reduces disease severity in a rat model of adjuvant-induced arthritis. INCB 3344 reduces macrophage infiltration to the kidney and improves renal function in a mouse model of polycystic kidney disease.²

References

- 1. Brodmerkel, C.M., Huber, R., Covington, M., et al. Discovery and pharmacological characterization of a novel rodent-active CCR2 antagonist, INCB3344. J. Immunol. 175(8), 5370-5378 (2005).
- Cassini, M.F., Kakade, V.R., Kurtz, E.S., et al. Mcp1 promotes macrophage-dependent cyst expansion in autosomal dominant polycystic kidney disease. J. Am. Soc. Nephrol. 29(10), 2471-2481 (2018).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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