

PRODUCT INFORMATION



INCB 3284 (dimesylate)

Item No. 11963

CAS Registry No.: 887401-93-6

Formal Name: N-[2-[[[(3R)-1-[*trans*-4-hydroxy-4-(6-methoxy-3-pyridinyl)cyclohexyl]-3-pyrrolidinyl]amino]-2-oxoethyl]-3-(trifluoromethyl)benzamide, dimethanesulfonate

MF: $C_{26}H_{31}F_3N_4O_4 \cdot 2CH_3SO_3H$

FW: 712.8

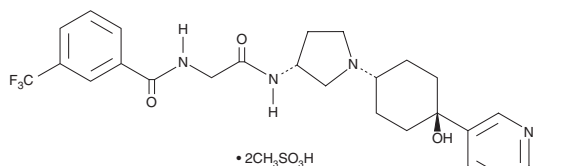
Purity: $\geq 95\%$

UV/Vis.: λ_{max} : 220, 274 nm

Supplied as: A crystalline solid

Storage: $-20^\circ C$

Stability: ≥ 4 years



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

Laboratory Procedures

INCB 3284 (dimesylate) is supplied as a crystalline solid. A stock solution may be made by dissolving the INCB 3284 (dimesylate) in the solvent of choice, which should be purged with an inert gas. INCB 3284 (dimesylate) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of INCB 3284 (dimesylate) in these solvents is approximately 12 and 11 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of INCB 3284 (dimesylate) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of INCB 3284 (dimesylate) in PBS (pH 7.2) is approximately 5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

CCR2 is the receptor for the chemokine CCL2, known commonly as monocyte chemoattractant protein-1 (MCP-1). INCB 3284 is a potent, selective, and orally bioavailable antagonist of MCP-1 binding to CCR2 ($IC_{50} = 3.7$ nM).¹ For comparison, it has no significant inhibitor action at over 50 ion channels, transporters, GPCRs, and other chemokine receptors when tested at a concentration of 1 μM .¹ INCB 3284 inhibits chemotaxis *in vitro* ($IC_{50} = 4.7$ nM) and displays acceptable oral bioavailability in mice, rats, dogs, monkeys, and chimpanzees.¹

Reference

1. Xue, C.-B., Feng, H., Cao, G., *et al.* Discovery of INCB3284, a potent, selective, and orally bioavailable hCCR2 antagonist. *ACS Med. Chem. Lett.* 2, 450-454 (2011).

WARNING

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

SAFETY DATA

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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