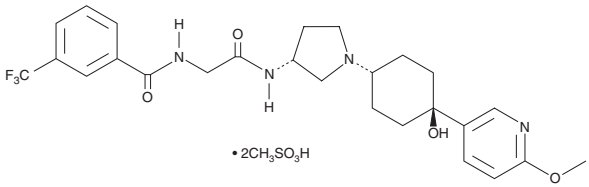
PRODUCT INFORMATION

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:	$C_{26}H_{31}F_3N_4O_4 \bullet 2CH_3SO_3H$
FW:	712.8
Purity:	≥95%
UV/Vis.:	λ_{max}: 220, 274 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

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 chemotactic 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).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM