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NBI-74330

Catalog No: tcsc2217

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
855527-92-3

Formula:
$C_{32}H_{27}F_4N_5O_3$

Pathway:
GPCR/G Protein;Immunology/Inflammation

Target:
CXCR;CXCR

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 35 mg/mL (57.80 mM)

Observed Molecular Weight:
605.58

Product Description

NBI-74330 is a potent antagonist for **CXCR3**, and exhibits potent inhibition of (^{125}I)CXCL10 and (^{125}I)CXCL11 specific binding with $\mathbf{K_i}$

of 1.5 and 3.2 nM, respectively.

IC50 & Target: Ki: 1.5 nM (CXCL10), 3.2 nM (CXCL11)

In Vitro: BI-74330 demonstrates potent inhibition of [^{125}I]CXCL11 specific binding to membranes prepared from transfected CHO cells expressing CXCR3 (CXCR3-CHO) (K_i=3.6 nM). NBI-74330 is 12- and 3.5-fold more potent than CXCL9 (K_i=45.2 nM) and CXCL10 (K_i=12.5 nM), respectively, at inhibiting [^{125}I]CXCL11 binding to CXCR3-CHO cell membranes. NBI-74330 inhibits calcium mobilization in response to CXCL11 and CXCL10 with an IC_{50} value of 7 nM for both ligands used at their EC_{80} concentrations (1 nM for CXCL11 and 30 nM for CXCL10). NBI-74330 specifically inhibits CXCR3-mediated calcium mobilization. NBI-74330 also dose-dependently inhibits CXCL11-induced [^{35}S]GTPγS binding in membranes of cells endogenously expressing CXCR3 (H9 cells, IC_{50} value 5.5 nM). BI-74330 inhibits CXCL11-induced chemotaxis in these cells with an IC_{50} of 3.9 nM[1]. NBI-74330 (30-300 nm, 1-10 μM) produces concentration-dependent, parallel rightward shifts of the CXCL11 E/[A] curve with no significant change in the E/[A] curve maximal response[2].

In Vivo: NBI-74330 (100 mg/kg) results in the formation of an N-oxide metabolite, also an antagonist of CXCR3, in mice[2]. Mice treated with 100 mg/kg NBI-74330 (in 1% Na Doc in 0.5% 400Cp Methylcellulose) result in serum concentrations of approximately 1 μM. This concentration is sufficient to fully block the CXCR3 receptor in vivo[3].