Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

BMS-911543

Catalog No: tcsc3237

Available Sizes

Size: 2mg

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1271022-90-2

Formula:
$C_{23}H_{28}N_8O$

Pathway:
Epigenetics;Stem Cell/Wnt;JAK/STAT Signaling

Target:
JAK;JAK;JAK

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
432.52

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Product Description

BMS-911543 is a selective **JAK2** inhibitor, with **IC_{50}**s of 1.1 nM, less selective at JAK1, JAK3 and TYK2 (**IC_{50}**, 75, 360, 66 nM, respectively).

IC50 & Target: IC50: 1.1 nM (JAK2), 75 nM (JAK1), 360 nM (JAK3), 66 nM (TYK2)[1]

In Vitro: BMS-911543 is a selective JAK2 inhibitor, with IC_{50}s of 1.1 nM, less selective at JAK1, JAK3 and TYK2 (IC_{50}, 75, 360, 66 nM, respectively). BMS-911543 displays IC_{50} of >25 μM for all targets except PDE4 (IC_{50}, 5.6 μM). BMS-911543 exhibits potent antiproliferative effect on the SET-2 and BaF3-V617F engineered cell lines (both dependent upon JAK2 pathway), with IC_{50}s of 60 and 70 nM, respectively, and such an effect on SET-2 and BaF3-V617F cells is correlated with similar activity on constitutively active pSTAT5 (IC_{50}, 80 and 65 nM, respectively)[1]. BMS-911543 (>20 μM) is cytotoxic to murine or human pancreatic ductal adenocarcinoma (PDAC) cell lines. BMS-911543 (5 and 10 μM) also blocks T regulatory cell differentiation in vitro[2].

In Vivo: BMS-911543 is well tolerated up to 100 mg/kg in rats (mean $AUC_{0\text{-}72\ h}$, 11300 μM·h) and dogs ($AUC_{0\text{-}24\ h}$, 610 μM·h). A 15 mg/kg/day dose (Day 14 $AUC_{0\text{-}24\ h}$, 3200 μM·h) is well tolerated[1] in two-week repeat dose studies in rats. BMS-911543 (30 mg/kg, p.o.) suppresses the growth of tumor and prolongs the median survival in KPC-Brca1 mice. BMS-911543 also selectively reduces pSTAT5 expression in pancreatic tumors and decreases levels of intratumoral $FoxP3^+$ T regulatory cells in mice administered BMS-911543[2].