

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

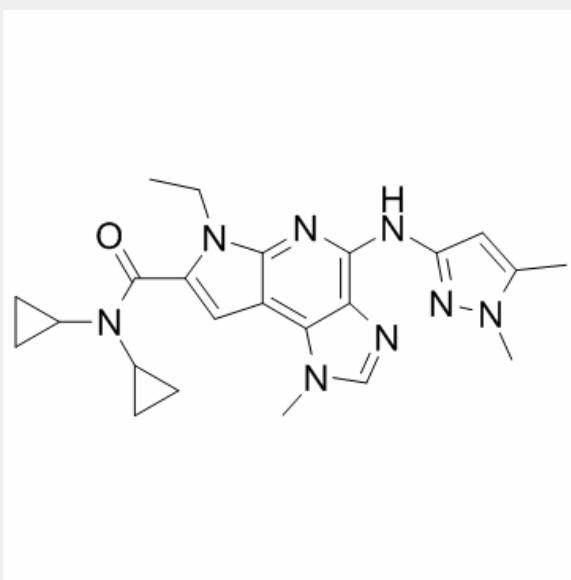
Product Description

BMS-911543 is a selective **JAK2** inhibitor, with **IC₅₀**s of 1.1 nM, less selective at JAK1, JAK3 and TYK2 (**IC₅₀**, 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 IC₅₀s of 1.1 nM, less selective at JAK1, JAK3 and TYK2 (IC₅₀, 75, 360, 66 nM, respectively). BMS-911543 displays IC₅₀ of >25 μM for all targets except PDE4 (IC₅₀, 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 IC₅₀s 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 (IC₅₀, 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_{0-72 h}, 11300 μM·h) and dogs (AUC_{0-24 h}, 610 μM·h). A 15 mg/kg/day dose (Day 14 AUC_{0-24 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].



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