

Product Data Sheet

Product Name: Pacritinib (SB1518)

Cat. No.: GC14676

Chemical Properties

Cas. No. 937272-79-2

Chemical Name AN2728;AN 2728

SMILES [H]C1([H])N(C([H])([H])C([H])([H])OC(C([H])=C2[H])=C(C([H])([H])OC([H])([H])/C([H])=C([H])\C([H])([H])OC([H])([H])C3=C([H])C4=C([H])C([H])=C3[H])C([H])=C2N([H])C5=NC([H])=C([H])C4=N5)C([H])([H])C([H])([H])C1([H])[H]

Formula C₂₈H₃₂N₄O₃

M.Wt 472.58

Solubility ≥ 11.05mg/mL in DMSO with gentle warming

Storage Store at -20° C

General For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Evaluation sample solution : ship with blue ice All other available size: ship with RT , or Condition blue ice upon request.

Structure

Background

Pacritinib is a small molecule inhibitor of Janus kinase 2/Fms-like tyrosine kinase-3 (JAK2/FLT3) with IC₅₀ values of 23nM, 19nM and 22nM, respectively for JAK2WT, JAK2V617F and FLT3 [1].

Pacritinib inhibits the JAK2-mediated production of p-STAT5 and p-STAT3 in Ba/F3 cells and the production of p-FLT3 and p-STAT5 in MV4-11 cells. It is less active against CYP3A4 and has good selectivity and microsomal stability. Pacritinib is proved to be high permeable in a Caco-2 bidirectional permeability assay. Additionally, pacritinib has a good oral bioavailability. In the Ba/F3-JAK2V617F mouse allograft, 150mg/kg treatment of pacritinib significantly alleviates the disease symptoms. In the MV4-11 xenografts, 50mg/kg and 100 mg/kg pacritinib treatments both show a significantly increased median survival of 55 days [1].

References:

[1] William AD, Lee AC, Blanchard S, Poulsen A, Teo EL, Nagaraj H, Tan E, Chen D, Williams M, Sun ET, Goh KC, Ong WC, Goh SK, Hart S, Jayaraman R, Pasha MK, Ethirajulu K, Wood JM, Dymock BW. Discovery of the macrocycle 11-(2-pyrrolidin-1-yl-ethoxy)-14,19-dioxa-5,7,26-triaza-tetracyclo[19.3.1.1(2,6).1(8,12)]heptacos-1(25),2(26),3,5,8,10,12(27),16,21,23-decaene (SB1518), a potent Janus kinase 2/fms-like tyrosine kinase-3 (JAK2/FLT3) inhibitor for the treatment of

Caution: Product has not been fully validated for medical applications. For research use only.

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myelofibrosis and lymphoma. J Med Chem. 2011 Jul 14;54(13):4638-58.

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