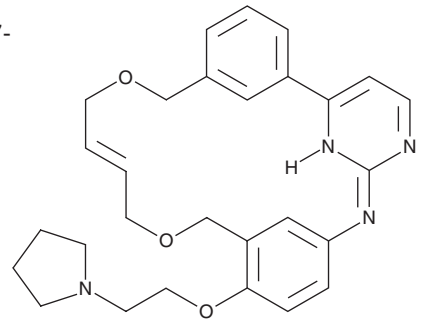
PRODUCT INFORMATION

Pacritinib

Item No. 16709

CAS Registry No.: 937272-79-2
Formal Name: 11-[2-(1-pyrrolidinyl)ethoxy]-14,19-dioxa-5,7,27-triazatetracyclo[19.3.1.1^{2,6}.1^{8,12}]heptacosa-1(25),2,4,6(27),8,10,12(26),16E,21,23-decaene
Synonym: SB1518
MF: $C_{28}H_{32}N_4O_3$
FW: 472.6
Purity: ≥98%
UV/Vis.: λ_{max}: 285 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

Pacritinib is supplied as a crystalline solid. A stock solution may be made by dissolving the pacritinib in the solvent of choice, which should be purged with an inert gas. Pacritinib is soluble in the organic solvent DMSO at a concentration of approximately 0.5 mg/ml (slightly warmed).

Description

FMS-like tyrosine kinase 3 (FLT3) and Janus kinase 2 (JAK2) are tyrosine kinases that mediate cytokine signaling and are frequently mutated in cancers, particularly acute myeloid leukemia.[1,2] Pacritinib is an inhibitor of both FLT3 and JAK2 (IC_{50}s = 22 and 23 nM, respectively).[1] It also blocks the activities of the FLT3 D835Y mutant, FLT3 with internal tandem duplications (ITDs), and JAK2 with a V617F substitution (IC_{50}s = 6, 20-180, and 220 nM, respectively).[1] Pacritinib also inhibits JAK1, JAK3, and TYK2 (IC_{50}s = 1280, 520, and 50 nM, respectively).[1] Pacritinib is orally bioavailable, inhibiting FLT3 and JAK2 signaling, tumor growth, and metastasis in xenografts in mice.[1] It is synergistic with the histone deacetylase inhibitor pracinostat (also known as SB 939, Item No. 10443), decreasing cell proliferation and inducing apoptosis in cells carrying either the JAK2 V617F mutation or FLT3 with ITDs, both *in vitro* and *in vivo*.[3] Pacritinib has potential in resolving hematological malignancies.[2]

References

1. Hart, S., Goh, K.C., Novotny-Diermayr, V., *et al.* Pacritinib (SB1518), a JAK2/FLT3 inhibitor for the treatment of acute myeloid leukemia. *Blood Cancer J.* **1(11)**, 1-9 (2011).
2. Hatzimichael, E., Tsolas, E., and Briasoulis, E. Profile of pacritinib and its potential in the treatment of hematologic disorders. *J. Blood Med.* **5**, 143-152 (2014).
3. Novotny-Diermayr, V., Hart, S., Goh, K.C., *et al.* The oral HDAC inhibitor pracinostat (SB939) is efficacious and synergistic with the JAK2 inhibitor pacritinib (SB1518) in preclinical models of AML. *Blood Cancer J.* **2(5)**, 1-10 (2012).

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