Ruxolitinib

货号：R2394

储存条件：粉末-20°C 可保存 3 年；液体-80°C 可保存 12 月。

产品描述

JAK2 (Janus kinase 2) is a non-receptor tyrosine kinase. The mutation of it, JAK2V617F, which activates JAK2 signaling, is discovered in patients with myeloproliferative neoplasms. Ruxolitinib, also called as INCB018424, is the first potent, selective, oral JAK1/JAK2 inhibitor to enter the clinic with IC50 values of 3.3 nM and 2.8 nM (measured by homogeneous time-resolved fluorescence assay), respectively. A dose-dependent reduction in the phosphorylated forms of JAK2, STAT5 and ERK1/2 can be seen in Ba/F3-EpoRJAK2V617F cells treated with ruxolitinib, which has constitutive phosphorylation of JAK2 as well as downstream targets. Ruxolitinib inhibits hematopoietic progenitor cell proliferation in primary MPN patient samples. Orally treatment of ruxolitinib can improve viability and splenomegaly in a JAK2V617F-driven mouse model of malignant disease[1]. Ruxolitinib is mainly used in treatment of myelofibrosis and autoimmune diseases due its anti-inflammatory and immunomodulating activity, such as affecting DC differentiation and function of leading to impaired T-cell activation significantly.

作用机制

Ruxolitinib binds in the ATP binding pocket of the kinase domain in its active configuration.

产品信息

CAS 号	941678-49-5	
分子式	C17H18N6	
分子量	306.37	
溶解度	DMSO	100.0 mg/mL (326.4 mM)