Ibrutinib

货号：I3636
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

Btk (Bruton tyrosine kinase), a non-receptor tyrosine kinase, is specifically required for BCR signaling which contributes to the initiation and maintenance of B-cell malignancies and autoimmune diseases. Ibrutinib, also called as PCI-32765 or imbruvica, is a potent Btk inhibitor with IC50 of 0.5 nM (measured by 33P filtration binding assay), modestly potent to Blk (IC50= 0.5 nM), Bmx (IC50= 0.8 nM), CSK (IC50= 2.3 nM), FGR (IC50= 2.3 nM), BRK (IC50= 3.3 nM), HCK (IC50= 3.7 nM), less potent to EGFR (IC50= 5.6 nM), Yes (IC50= 6.5 nM), ErbB2 (IC50= 9.4 nM), ITK (IC50= 10.7 nM). Ibrutinib can selectively inhibit B-cell signaling and activation, including inhibition of autophosphorylation of Btk, phosphorylation of Btk's physiological substrate PLCγ and phosphorylation of a further downstream kinase ERK, in DOHH2 cells. Continuous exposure to 10 nM Ibrutinib for 18h completely prevented up-regulation of CD69, the B-cell activation marker. In vivo study shows that Btk inhibition by Ibrutinib is efficacious for autoimmune disease animal model. Oral treatment daily for 11 days with 12.5 mg/kg of Ibrutinib can inhibit collagen-induced arthritis in mice. Up to now, Ibrutinib is approved to the treatment of mantle cell lymphoma, chronic lymphocytic leukemia, Waldenstrom's macroglobulinemia, small lymphocytic lymphoma, marginal zone lymphoma, and chronic graft versus host disease.

作用机制

Ibrutinib can bind covalently to a cysteine residue (Cys-481) in the active site, leading to potent and irreversible inhibition of Btk enzymatic activity. Notice: BMX, EGFR, ErbB2, ITK, JAK3,AK3 and TEC is the kinase that contains a cysteine residue aligning with Cys-481 same as Btk, resulting in the binding with Ibrutinib.

产品信息

CAS 号	936563-96-1	
分子式	C25H24N6O2	
分子量	440.50	
溶解度	DMSO	550.0 mg/mL (1248.6 mM) warming
	Water	Insoluble