

产品说明书

26 版

基本信息

产品编号:	P13823
产品名称:	培菲替尼氢溴酸盐

产品简介:

一种具有口服活性的 JAK 抑制剂, 对 JAK1、JAK2、JAK3 和 Tyk2 的 IC50 值分别为 3.9、5.0、0.7 和 4.8 nM。

靶点:	JAK3	JAK1	Tyk2	JAK2
	0.7 nM (IC50)	3.9 nM (IC50)	4.8 nM (IC50)	5 nM (IC50)

体外研究:

Peficitinib hydrobromide (0-100 nM; 3 days) inhibits IL-2-induced T cell proliferation in a concentration-dependent manner.

Peficitinib hydrobromide (10-1000 nM) inhibits IL-2-induced STAT5 phosphorylation in a concentration-dependent manner with a mean IC50 of 124 nM in rat whole blood, and inhibits STAT5 phosphorylation with a mean IC50 of 127 nM in human lymphocytes.

体内研究:

Peficitinib hydrobromide (1-30 mg/kg; p.o.; once daily for 24 days) shows dose-dependent efficacy both in prophylactic and therapeutic dosing regimens in an adjuvant-induced arthritis rat model.

三、细胞实验

Cell Proliferation Assay

Cell Line:	Splenocytes from male Lewis rats
Concentration:	0-100 nM
Incubation Time:	3 days
Result:	Inhibited IL-2-induced T cell proliferation in a concentration-dependent manner with an IC50 of 10 nM.

四、动物实验

Animal Model:	Seven-weeks-old female Lewis rats, adjuvant-induced arthritis (AIA) model
Dosage:	1, 3, 10, and 30 mg/kg
Administration:	Oral administration, once daily for 24 days
Result:	Significantly inhibited the increase in paw volume at doses of 1 mg/kg or greater with an ED50 value of 2.7 mg/kg (95% confidence interval: 1.5 - 4.2 mg/kg). Significantly reduced the bone destruction score at 10 mg/kg or greater and almost fully ameliorated both paw swelling and bone destruction scores at 30 mg/kg.

注意事项:

1、为了您的安全和健康, 请穿实验服并戴一次性手套操作。
2、以上信息仅做参考交流之用。

储存: -20℃

