

Catalog Number: CM03201

## 产品信息

**Catalog Number:**  
CM03201

**CAS号:**  
910232-84-7

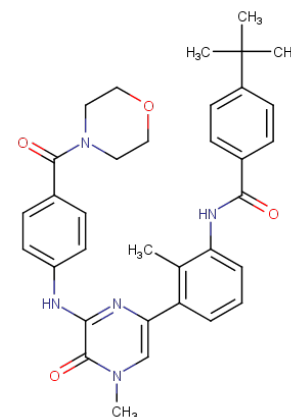
**分子式:**  
C<sub>34</sub>H<sub>37</sub>N<sub>5</sub>O<sub>4</sub>

**主要靶点:**  
Autophagy|BTK

**主要通路:**  
蛋白酪氨酸激酶|血管生成|自噬

**分子量:**  
579.69

**溶解度:**  
Ethanol:33 mg/mL (57 mM),H<sub>2</sub>O:  
<1 mg/mL,DMSO:95 mg/mL  
(163.9 mM)



## 靶点活性

BTK:1.9 nM

## 体外活性

CGI1746治疗（100 mg/kg.s.c,每日两次给药）导致整体临床关节炎的显著抑制（97%）。在阳性抗胶原蛋白II抗体诱导的关节炎模型中,CGI1746处理显著降低TNF α,IL-1 β 和IL-6以及MCP1和MIP-1 α mRNA和蛋白质水平.CGI1746在患有关节炎的小鼠和大鼠体内,CGI1746显示与TNF α 阻断较好的效力,并明显降低其临床评分,同时减少关节炎症。

## 体内活性

CGI1746有效抑制从四个捐赠人的扁桃体中分离出的CD27+IgG+ B细胞的增殖,平均IC<sub>50</sub>为112 nM。CGI1746完全抑制抗IgM诱导的小鼠和人B细胞增殖,IC<sub>50</sub>s分别为134 nM 和 42 nM,但对抗CD3和抗CD28诱导的T细胞增殖没有影响。CGI1746在巨噬细胞中,消除Fcγ RIII诱导的TNF α,IL-1 β 和IL-6产生。CGI1746有效抑制固定化或可溶性的免疫复合物刺激的人单核细胞中TNF α 和 IL-1 β 的产生,并较轻度地抑制IL-6产生。CGI1746对Btk具有特异性,选择性比Tec和Src家族激酶高~1,000倍。

## 细胞实验

CGI-1746 is dissolved in DMSO. 5×10<sup>3</sup> DU145 cells or 104?LNCaP cells per well, grown on 96 well plates for 24h, are treated with 1 to 30? μ M BTK inhibitors. Cells are fixed after 72h with 2.5% formaldehyde, and stained with Hoechst 33342. Control cells are treated with DMSO. Cell images are acquired using an IN Cell Analyzer 2200 high content imaging system, with a 20X objective. At least 9 fields are imaged per single well of each experiment. Cell numbers are determined and statistics performed using IN Cell Investigator 3.4 high content image analysis software. Each experiment is replicated 3 times, and data are presented as mean±SD. Results are considered significant if p < 0.05.

## 描述

CGI1746 is a potent and highly selective small-molecule Btk inhibitor with IC<sub>50</sub> of 1.9 nM.

## 储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years