For Research Use Only

GC376 sodium

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Catalog Number: CM01915

产品信息

Catalog Number:
CM01915

CAS号:
1416992-39-6

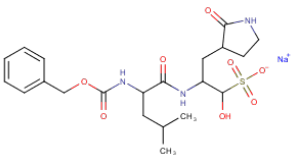
分子式:
$C_{21}H_{30}N_3NaO_8S$

主要靶点:
SARS-CoV

主要通路:
微生物学

分子量:
507.53

溶解度:
5% DMSO+95% Saline:2.25 mg/mL (4.43 mM);DMSO:45 mg/mL (88.66 mM)



靶点活性

3CLpro:0.49~4.35 μM.

体外活性

GC376对冠状病毒（TGEV、FIPV、MHV、229E和BCV）、卡利西病毒（NV和MNV-1）以及皮克纳病毒（HRVs 18、51和68、EV71和PTV）表现出显著的抑制效果，IC50值达到纳摩尔或低微摩尔水平，除了FCV和HAV外。有趣的是，FCV对GC376的敏感性较低，其IC50值为35 μM [1]。

体内活性

GC376以15 mg/kg的剂量，每12小时通过皮下注射给药。结果表明，在初始治疗后的2周内，20只接受GC376治疗的猫中有19只恢复了正常健康状况。然而，疾病迹象在初次治疗后1-7周内复发，复发和新发病例最终需要至少治疗12周。在初次或重复治疗后的1-7周内，13只中的19只猫发生了不再对治疗有反应的复发 [2]。

动物实验

GC376 was synthesized in a highly pure form and formulated at a concentration of 53 mg/ml in 10% ethanol and 90% polyethylene glycol 400, as described previously. GC376 was administered subcutaneously (SC) at a dosage of 15 mg/kg q12h SC, unless stated otherwise. The effective dosage for cats with experimentally induced FIP was 10 mg/kg/ q12h SC, but the dosage was raised to 15 mg/kg after the first cat (CT01) failed to respond to a lower dose of 10 mg/kg suggested by earlier pharmacokinetic studies. This was a clinical decision based on this one cat's response to treatment [2].

细胞实验

The toxic dose for 50% cell death (TD50) for each compound was determined for the various cells used in this study. Confluent cells grown in 96-well plates were treated with various concentrations (1 to 500 μM) of each compound for 72 h. Cell cytotoxicity was measured by a CytoTox 96 nonradioactive cytotoxicity assay kit and crystal violet staining. The in vitro therapeutic index was calculated by dividing the TD50 by the IC50 [1].

储存

Powder: -20°C for 3 years | In solvent: -80°C for 1 year | Shipping with blue ice.