

Product Data Sheet

Product Name: GPR81 agonist 1

Cat. No.: GC61625

Chemical Properties

Cas. No. 1620992-67-7

SMILES O=C([C@H]1CC[C@H](C)CC1)NC2=NC(C3=CC=CS3)=C(CC(N4CCN(C)CC4)=O)S2

Formula $C_{22}H_{30}N_4O_2S_2$ M.Wt 446.63

Solubility DMSO : 50 mg/mL (111.95 mM; Storage Store at -20°C
Need ultrasonic)

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

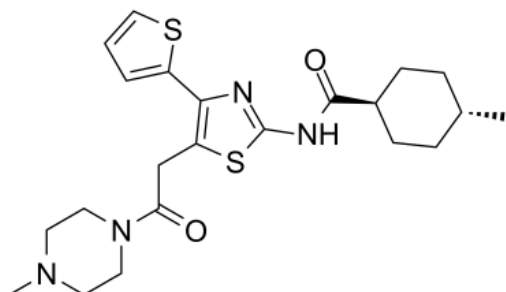
Caution: Product has not been fully validated for medical applications. For research use only.

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Structure



Protocol

Animal experiment [1]:

Animal models Balb/c mice

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Preparation Method 1×10^6 4T1 GFP mouse BRCA cells were injected subcutaneously into the mammary fat pad region of mice. Tumor size was measured twice weekly using a caliper. After the tumor volume reached $100-200\text{mm}^3$, the mice were randomly assigned to the following two groups: (1) vehicle (saline); (2) GPR81 agonist 1 (10mg/kg). All drugs were administered by intraperitoneal injections as indicated. The investigator was blinded to the treatment groups during the experiment and when assessing the outcome. Mice were monitored daily and euthanized by CO_2 asphyxiation and cervical dislocation before any sign of distress.

Dosage form 10mg/kg; i.p.

Applications Mice treated with GPR81 agonist 1 showed significantly reduced PD-L1 expression; however, there was no significant difference in tumor volume between the two groups of mice.

References:

[1] Guo S, Zhou J, Lou P, et al. Potentiated effects of lactate receptor GPR81 on immune microenvironment in breast cancer[J]. Molecular Carcinogenesis, 2023, 62(9): 1369-1377.

Background

GPR81 agonist 1 is a highly potent and selective G protein-coupled receptor 81 (GPR81) agonist with EC_{50} values of 58nM for human and 50nM for mouse GPR81 [1]. GPR81, as a lactate receptor, plays an important role in immune regulation[2]. GPR81 agonist 1 can inhibit lipolysis in differentiated 3T3-L1 adipocytes[3]. GPR81 agonist 1 can improve insulin resistance and liver inflammation by inhibiting the NLRP3 inflammasome signaling pathway[4].

In vivo, intraperitoneal injection of GPR81 agonist 1 (10mg/kg) in a breast cancer (BRCA) model mouse significantly reduced PD-L1 expression in tumor tissue but did not reduce tumor volume[5].

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- [1] Sakurai T, Davenport R, Stafford S, et al. Identification of a novel GPR81-selective agonist that suppresses lipolysis in mice without cutaneous flushing[J]. European journal of pharmacology, 2014, 727: 1-7.
- [2] Brown T P, Bhattacharjee P, Ramachandran S, et al. The lactate receptor GPR81 promotes breast cancer growth via a paracrine mechanism involving antigen-presenting cells in the tumor microenvironment[J]. Oncogene, 2020, 39(16): 3292-3304.
- [3] Feingold K R, Moser A, Shigenaga J K, et al. Inflammation inhibits GPR81 expression in adipose tissue[J]. Inflammation Research, 2011, 60(10): 991-995.
- [4] Zhang Y, Lu Q H, Cao H F, et al. Effects of GPR81 agonist on insulin resistance in rats with nonalcoholic fatty liver disease[J]. Chinese Journal of Applied Physiology, 2021, 37(4): 354-358.
- [5] Guo S, Zhou J, Lou P, et al. Potentiated effects of lactate receptor GPR81 on immune microenvironment in breast cancer[J]. Molecular Carcinogenesis, 2023, 62(9): 1369-1377.

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