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FICPI AI Patent Drafting Masterclass

16 September 2026

Corinthia Hotel, Budapest, Hungary

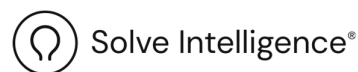
Exercise Materials: Chemistry



120
YEARS

SINCE 1906

COURSE SPONSORS



AFTERNOON DRAFTING EXERCISE

GPR119 agonist series — amendment exercise

DELEGATE NOTES

DELEGATE NOTES

This section contains instructions for delegates. Circulate with the draft application, client letter, compound data and chemical structures.

Purpose

This exercise is about learning to use your AI drafting tool to incorporate a new compound into an existing chemistry patent application. It is not a test of medicinal chemistry, and there is no single right answer.

You will be given a completed draft application, and a letter from the client supplying data for one further compound. The task is to incorporate that compound into the draft using your tool, and then review the result.

There is no right answer.

What you get, and when

Before the workshop: the draft application — covering 18 thiazole-piperidine carboxamide GPR119 agonist compounds. Please read it.

At the start of the exercise: the client letter describing Example 19 (compound FIC-119); a compound data spreadsheet including FIC-119; and a chemical structures document including FIC-119. Together these contain everything you need.

You will have 50 minutes, working with your own AI drafting tool.

What to do

Using your tool, work the client's new compound into the draft. That is likely to mean:

- adding Example 19 to the examples section with all supplied data;
- updating the compound count wherever it appears in the description;
- checking that the claims are drafted to cover both the tert-butyl and isopropyl carbamate variants at the piperidine nitrogen position;
- updating the summary and abstract if needed.

Then review the result. Is the new example consistent in format with the others? Has the compound count been updated throughout? Has the tool added any data not supplied?

Then discuss

Compare notes with the others: where the tool helped, where it went wrong, and what you had to correct yourself.

FICPI AI Patent Drafting Masterclass

Draft Patent Application — Advance Reading

Chemistry

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ADVANCE READING

Prepared for workshop use. Follow the circulation instructions in this document.

THIAZOLE DERIVATIVES AS GPR119 AGONISTS

FIELD OF INVENTION

The present disclosure relates to thiazole-2-carboxamide compounds, and more particularly to 5-(piperidin-4-yl)thiazol-2-yl carboxamides which act as agonists of the GPR119 receptor for use in the treatment of type 2 diabetes, obesity, and related metabolic conditions.

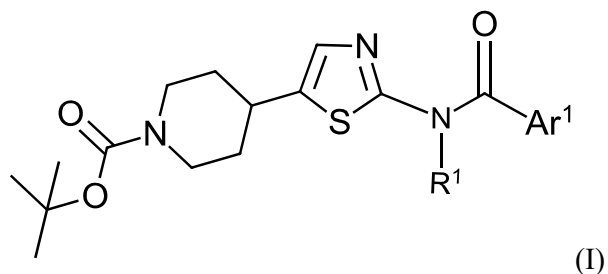
BACKGROUND

Type 2 diabetes mellitus (T2D) is a progressive metabolic disorder characterised by impaired glucose homeostasis. Current treatments are associated with limitations including hypoglycaemia, weight gain, gastrointestinal side effects and declining therapeutic response over time.

GPR119 is a G protein-coupled receptor expressed in pancreatic and intestinal tissues. Stimulation of GPR119 promotes insulin secretion and incretin hormone release in a glucose-dependent manner, offering a therapeutic approach with reduced risk of hypoglycaemia. There remains a need for potent small-molecule GPR119 agonists suitable for development as antidiabetic agents.

SUMMARY

According to an aspect of the present disclosure, a compound of formula (I) is provided, or a pharmaceutically acceptable salt or solvate thereof:



wherein R^1 is H or C_{1-4} alkyl, and Ar^1 is a 6-membered aromatic ring containing 0, 1 or 2 ring nitrogen atoms optionally substituted with 1, 2 or 3 substituents independently selected from R^2 , wherein R^2 , R^3 , R^4 and R^5 are as defined herein. The compounds of formula (I) act as agonists of the GPR119 receptor, stimulating insulin secretion and incretin hormone release in a glucose-dependent manner, thereby reducing the risk of hypoglycaemia associated with existing antidiabetic agents.

According to other aspects of the present disclosure, R^1 may be H. Ar^1 may be phenyl or pyridyl bearing a substituent at the position para to the carbonyl group selected from $-S(=O)_2R^3$, $-S(=O)_2NR^4R^5$, $-C(=O)NR^4R^5$, $-(C_{1-4} \text{ alkylene})-S(=O)_2R^3$, $-NR^4C(=O)R^3$ and a 5-membered nitrogen-containing heteroaryl group attached via a ring nitrogen atom. Such compounds correspond to a sub-set exhibiting sub-micromolar GPR119 agonist potency.

According to a further aspect of the present disclosure, Ar^1 may further bear a fluorine atom at a position ortho to the carbonyl group. Such compounds also exhibit sub-micromolar GPR119 agonist potency.

According to a further aspect of the present disclosure, a compound of formula (I) is provided for use in treating type 2 diabetes or obesity. Such use exploits the glucose-dependent mechanism of GPR119 agonism to reduce the risk of hypoglycaemia.

According to a further aspect of the present disclosure, a pharmaceutical composition is provided comprising a compound of formula (I), or a pharmaceutically acceptable salt or solvate thereof, together with one or more pharmaceutically acceptable excipients. Such compositions facilitate administration of the active compound to a patient in need thereof.

According to a further aspect of the present disclosure, a process is provided for preparing a compound of formula (I), comprising reacting tert-butyl 4-(2-aminothiazol-5-yl)piperidine-1-carboxylate (Intermediate A) with an activated carboxylic acid to give a compound of formula (I) in which R^1 is H, and, where R^1 is C_{1-4} alkyl, further comprising N-alkylating the compound so obtained with a C_{1-4} alkylating agent. The process provides a convergent route to the compounds of formula (I) from a common intermediate.

According to a further aspect of the present disclosure, tert-butyl 4-(2-aminothiazol-5-yl)piperidine-1-carboxylate (Intermediate A) is provided, or a salt thereof. Intermediate A serves as a common precursor for the synthesis of compounds of formula (I).

According to other aspects of the present disclosure, the activated carboxylic acid may be a mixed anhydride formed from isobutyl chloroformate and N-methylmorpholine.

The mixed-anhydride method provides mild in-situ activation of the carboxylic acid, avoiding isolation of a potentially unstable acid chloride, tolerating the tert-butoxycarbonyl (Boc) protecting group on the piperidine nitrogen, and giving clean conversion to the target amide.

DETAILED DESCRIPTION

The following description sets forth exemplary aspects of the present disclosure.

As used herein, the term "C₁₋₄ alkyl" refers to a straight-chain or branched saturated hydrocarbon radical having from about 1 to about 4 carbon atoms, including methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl and tert-butyl.

As used herein, the term "C₁₋₄ alkylene" refers to a divalent straight-chain or branched saturated hydrocarbon radical having from about 1 to about 4 carbon atoms, such as methylene, ethylene, propylene and butylene.

As used herein, the term "C₁₋₄ alkoxy" refers to a straight-chain or branched saturated hydrocarbon radical having from about 1 to about 4 carbon atoms attached to an oxygen atom, including methoxy, ethoxy, n-propoxy, isopropoxy, n-butoxy, isobutoxy, sec-butoxy and tert-butoxy.

As used herein, the term "halogen" refers to fluorine, chlorine, bromine or iodine.

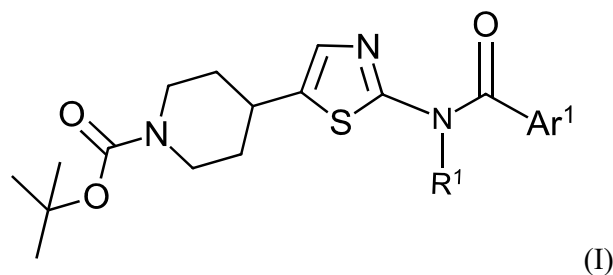
As used herein, the term "5-membered heteroaryl" refers to a monocyclic aromatic ring of about 5 atoms containing about 1 to about 4 ring heteroatoms independently selected from N, O and S, such as triazolyl, oxadiazolyl, oxazolyl, thiazolyl and imidazolyl.

As used herein, the term "pharmaceutically acceptable salt" refers to a salt of a compound of formula (I) formed with a pharmaceutically acceptable acid or base.

As used herein, the term "solvate" refers to a molecular complex of a compound of formula (I) with one or more solvent molecules; where the solvent is water, the solvate is a hydrate.

As used herein, the term "EC₅₀" refers to the molar concentration of a compound producing about 50% of the maximum GPR119 agonist response.

According to the present disclosure, there is provided a compound of formula (I), or a pharmaceutically acceptable salt or solvate thereof:



wherein:

R^1 may be H or C_{1-4} alkyl. In some cases, R^1 is H.

Ar^1 may be a 6-membered aromatic ring containing 0, 1 or 2 ring nitrogen atoms (phenyl, pyridyl, pyrimidinyl, pyridazinyl or pyrazinyl), optionally substituted with 1, 2 or 3 substituents independently selected from R^2 . In some cases, Ar^1 is phenyl or pyridyl.

R^2 may be selected from halogen, cyano, nitro, C_{1-4} alkyl, C_{1-4} alkoxy, $-S(=O)_2R^3$, $-S(=O)_2NR^4R^5$, $-C(=O)R^3$, $-C(=O)NR^4R^5$, $-NR^4C(=O)R^3$, $-(C_{1-4} \text{ alkylene})-S(=O)_2R^3$, and a 5-membered heteroaryl group containing about 1 to about 4 ring heteroatoms independently selected from N, O and S, optionally substituted with C_{1-4} alkyl. R^3 may be C_{1-4} alkyl. R^4 and R^5 may each independently be H or C_{1-4} alkyl.

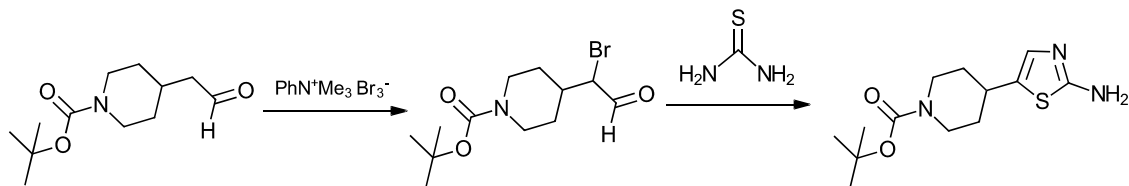
In some cases, Ar^1 bears a substituent at the position para to the carbonyl group selected from $-S(=O)_2R^3$, $-S(=O)_2NR^4R^5$, $-C(=O)NR^4R^5$, $-(C_{1-4} \text{ alkylene})-S(=O)_2R^3$, $-NR^4C(=O)R^3$ and a 5-membered nitrogen-containing heteroaryl group attached via a ring nitrogen atom. In some cases, Ar^1 further bears a fluorine atom at a position ortho to the carbonyl group. Such compounds may exhibit sub-micromolar GPR119 agonist potency.

The present disclosure includes pharmaceutically acceptable salts and solvates of the compounds of formula (I).

All eighteen exemplified compounds may be prepared by a convergent route via the common intermediate tert-butyl 4-(2-aminothiazol-5-yl)piperidine-1-carboxylate (Intermediate A), followed by acylation of the thiazole 2-amino group.

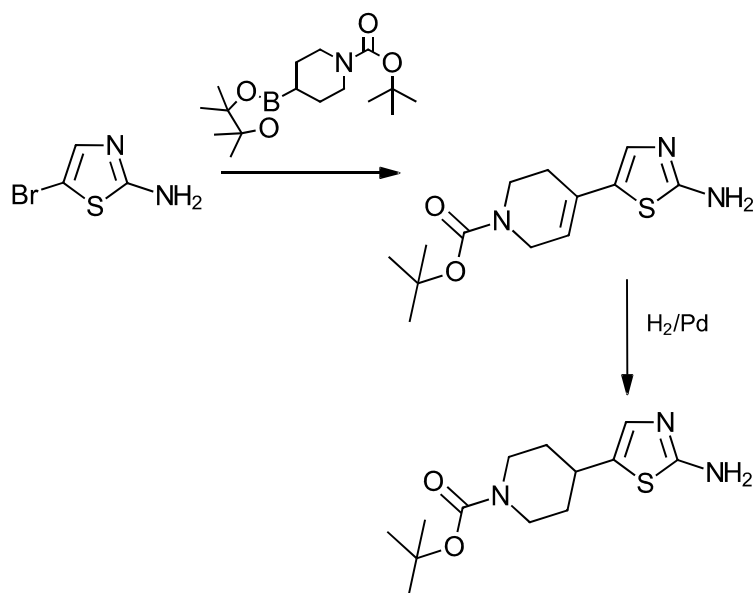
Intermediate A may be prepared according to Scheme A. In Scheme A, 4-(2-oxoethyl)piperidine-1-carboxylic acid tert-butyl ester is brominated at the alpha-position using phenyltrimethylammonium

tribromide in THF at about 0 °C to give the corresponding α -bromoaldehyde, which is then condensed with thiourea in ethanol at about 80 °C to give Intermediate A.



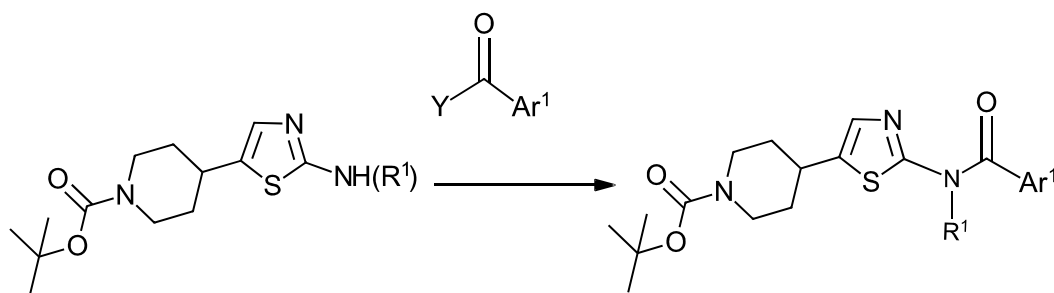
Scheme A

Alternatively, Intermediate A may be prepared according to Scheme B. In Scheme B, 2-amino-5-bromothiazole is coupled with tert-butyl 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-3,6-dihydro-2H-pyridine-1-carboxylate under cross-coupling conditions to give an unsaturated intermediate, which is then hydrogenated (H_2/Pd) to give Intermediate A.



Scheme B

Compounds of formula (I) may be obtained by acylation of the thiazole 2-amino group of Intermediate A with the appropriate carboxylic acid, as shown in Scheme C. In some cases, the carboxylic acid is activated as a mixed anhydride using isobutyl chloroformate and N-methylmorpholine in dichloromethane. Alternative coupling methods, including DCC/HOBT, preformed acid chlorides or active esters, may be used.

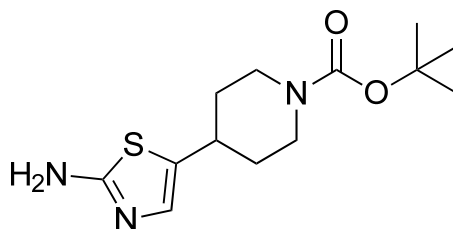


Scheme C

The carboxylic acids used in Scheme C may be obtained commercially or prepared by methods known in the art, including hydrolysis of the corresponding nitrile, ester or amide; Pd-catalysed carbonylative coupling of an aryl halide under CO (for example using Pd(OAc)₂ and 1,3-bis(diphenylphosphino)propane); or lithiation of an aryl halide followed by quenching with CO₂.

Acylation of Intermediate A gives compounds of formula (I) in which R¹ is H. Where R¹ is C₁₋₄ alkyl, the compound may be obtained by N-alkylation of the corresponding compound in which R¹ is H, for example by treatment with sodium hydride followed by a C₁₋₄ alkyl halide such as methyl iodide; the tert-butoxycarbonyl group is retained under such conditions. Example 10 was obtained in this way by N-methylation.

Intermediate A — tert-butyl 4-(2-aminothiazol-5-yl)piperidine-1-carboxylate

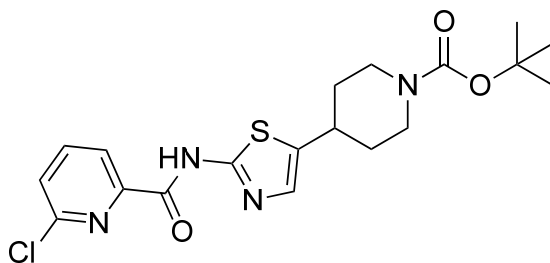


4-(2-Oxoethyl)piperidine-1-carboxylic acid tert-butyl ester (about 2 g) was dissolved in THF (about 50 mL) and cooled to about 0 °C. Phenyltrimethylammonium tribromide (about 3.31 g) was added and the mixture was stirred for about 50 min. The reaction was quenched with water, extracted into DCM (about 3 × 50 mL), dried, filtered and concentrated in vacuo. The residue was dissolved in ethanol (about 100 mL), thiourea (about 1.34 g) was added, and the mixture was heated at about 80 °C for about 2 h. After cooling, the mixture was concentrated, the residue was redissolved in DCM, washed with aqueous NaHCO₃, dried and concentrated to give Intermediate A (about 1.6 g). LCMS: RT about 1.3 min, [M+H]⁺ 284.

General Procedure for Examples 1–18 (Mixed-Anhydride Amide Coupling)

The appropriate carboxylic acid and N-methylmorpholine were dissolved in DCM. Isobutyl chloroformate was added and the mixture was stirred for about 1 h to form the mixed anhydride. Intermediate A was then added and the reaction was stirred overnight at room temperature. The mixture was concentrated under reduced pressure and the residue was purified by preparative HPLC to give the target compound.

Example 1 — 4-[2-(6-Chloropyridine-2-carboxylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester



Prepared according to the general procedure from 6-chloropyridine-2-carboxylic acid (about 28 mg), N-methylmorpholine (about 41 mg), isobutyl chloroformate (about 24 mg) and Intermediate A (about 50 mg) in DCM (about 1 mL). UPLCMS: RT about 1.36 min, $[M+H]^+$ 423. GPR119: +++.

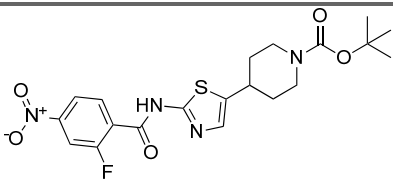
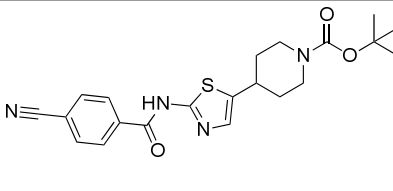
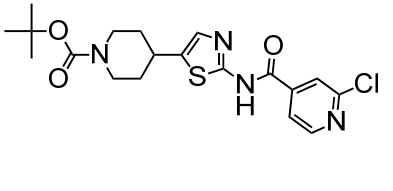
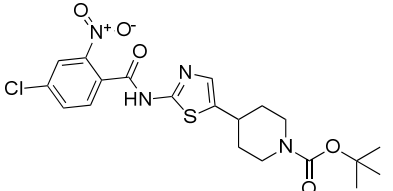
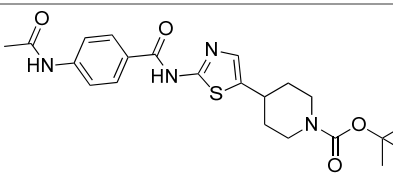
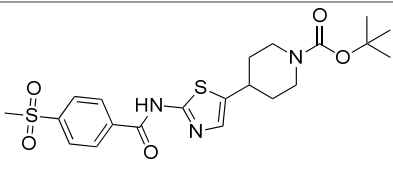
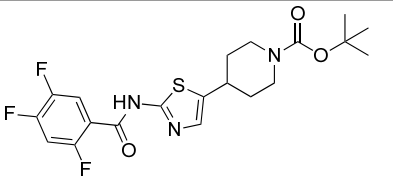
Examples 2–18

Examples 2–18 were prepared according to the general procedure using the appropriate carboxylic acid in place of 6-chloropyridine-2-carboxylic acid. Structures, compound names and GPR119 agonist activity are set out in Table 1.

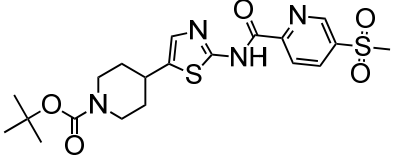
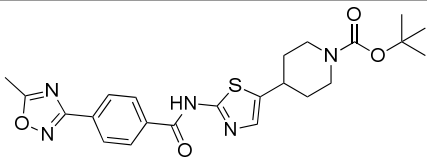
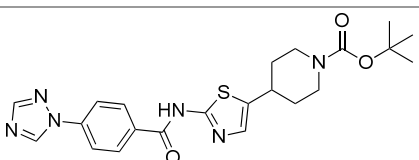
Table 1. Compounds of formula (I), Examples 1–18

GPR119 agonist activity is reported using the following notation: +++ (EC_{50} less than about 0.5 μ M); ++ (EC_{50} about 0.5 to about 5 μ M); + (EC_{50} greater than about 5 μ M).

Example	Compound Name	Structure	GPR119
1	4-[2-(6-Chloropyridine-2-carboxylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester		+++

Example	Compound Name	Structure	GPR119
2	4-[2-(2-Fluoro-4-nitrobenzoylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester		+++
3	4-[2-(4-Cyanobenzoylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester		++
4	4-[2-(2-Chloropyridine-4-carbonylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester		++
5	4-[2-(4-Chloro-2-nitrobenzoylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester		+
6	4-[2-(4-Acetamidobenzoylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester		+++
7	4-[2-(4-Methanesulfonylbenzoylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester		+++
8	4-[2-(2,4,5-Trifluorobenzoylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester		+++

Example	Compound Name	Structure	GPR119
9	4-[2-(2-Fluoro-4-methanesulfonylbenzoylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester		+++
10	4-{2-[N-Methyl-4-(methanesulfonylmethyl)benzoylamino]thiazol-5-yl}piperidine-1-carboxylic acid tert-butyl ester		+++
11	4-[2-(6-Chloropyridine-3-carbonylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester		+
12	4-[2-(Pyridine-4-carbonylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester		+
13	4-[2-(4-Carbamoylbenzoylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester		+++
14	4-[2-(4-Aminosulfonylbenzoylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester		+++
15	4-[2-(3,4-Dinitrobenzoylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester		+

Example	Compound Name	Structure	GPR119
16	4-[2-(5-Methanesulfonylpyridine-2-carboxylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester		+++
17	4-[2-(4-(5-Methyl-1,2,4-oxadiazol-3-yl)-benzoylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester		+
18	4-[2-(4-(1H-1,2,4-triazol-1-yl)benzoylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester		+++

Biological Evaluation

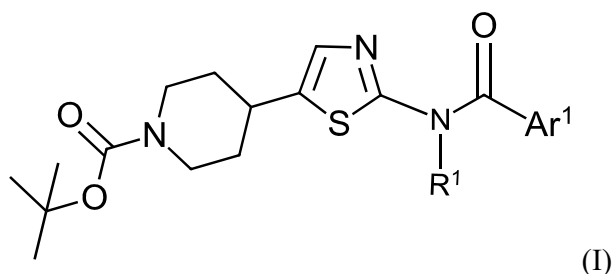
Human GPR119 was stably expressed in CHO cells under tetracycline induction. GPR119 agonist activity was determined using a cAMP ALPHAscreen assay in 384-well plates at about 15,000 cells per well; the assay signal falls with increasing agonist concentration. A saturating concentration of a reference GPR119 agonist and DMSO defined the maximum and minimum signal from which EC₅₀ values were determined.

A number of implementations have been described. Nevertheless, it will be understood that various modifications may be made without departing from the spirit and scope of the disclosure.

Accordingly, other implementations are within the scope of the following claims.

CLAIMS

1. A compound of formula (I), or a pharmaceutically acceptable salt or solvate thereof:



wherein:

R^1 is H or C_{1-4} alkyl;

Ar^1 is a 6-membered aromatic ring containing 0, 1 or 2 ring nitrogen atoms, optionally substituted with 1, 2 or 3 substituents independently selected from R^2 ;

R^2 is selected from halogen, cyano, nitro, C_{1-4} alkyl, C_{1-4} alkoxy, $-S(=O)_2R^3$, $-S(=O)_2NR^4R^5$, $-C(=O)R^3$, $-C(=O)NR^4R^5$, $-NR^4C(=O)R^3$, $-(C_{1-4} \text{ alkylene})-S(=O)_2R^3$, and a 5-membered heteroaryl group containing 1 to 4 ring heteroatoms independently selected from N, O and S, optionally substituted with C_{1-4} alkyl;

R^3 is C_{1-4} alkyl; and

R^4 and R^5 are each independently H or C_{1-4} alkyl.

2. A compound according to claim 1, wherein R^1 is H.
3. A compound according to claim 1 or claim 2, wherein Ar^1 is phenyl or pyridyl bearing a substituent at the position para to the carbonyl group selected from $-S(=O)_2R^3$, $-S(=O)_2NR^4R^5$, $-C(=O)NR^4R^5$, $-(C_{1-4} \text{ alkylene})-S(=O)_2R^3$, $-NR^4C(=O)R^3$ and a 5-membered nitrogen-containing heteroaryl group attached via a ring nitrogen atom.
4. A compound according to any one of claims 1 to 3, wherein Ar^1 bears a fluorine atom at a position ortho to the carbonyl group.
5. A compound according to claim 1, wherein the compound is selected from:

4-[2-(6-Chloropyridine-2-carboxylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester;

4-[2-(2-Fluoro-4-nitrobenzoylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester;

4-[2-(4-Cyanobenzoylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester;

4-[2-(2-Chloropyridine-4-carboxylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester;

4-[2-(4-Chloro-2-nitrobenzoylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester;

4-[2-(4-Acetamidobenzoylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester;

4-[2-(4-Methanesulfonylbenzoylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester;

4-[2-(2,4,5-Trifluoro-benzoylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester;

4-[2-(2-Fluoro-4-methanesulfonyl-benzoylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester;

4-{2-[N-Methyl-4-(methanesulfonylmethyl)benzoylamino]thiazol-5-yl}piperidine-1-carboxylic acid tert-butyl ester;

4-[2-(6-Chloropyridine-3-carboxylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester;

4-[2-(Pyridine-4-carboxylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester;

4-[2-(4-Carbamoyl-benzoylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester;

4-[2-(4-Aminosulfonyl-benzoylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester;

4-[2-(3,4-Dinitrobenzoylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester;

4-[2-(5-Methanesulfonylpyridine-2-carboxylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester;

4-[2-(4-(5-Methyl-1,2,4-oxadiazol-3-yl)-benzoylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester; and

4-[2-(4-(1H-1,2,4-triazol-1-yl)benzoylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester;

or a pharmaceutically acceptable salt or solvate thereof.

6. A compound according to any preceding claim for use in treating type 2 diabetes or obesity.

7. A pharmaceutical composition comprising a compound according to any one of claims 1 to 5, or a pharmaceutically acceptable salt or solvate thereof, together with one or more pharmaceutically acceptable excipients.

8. A process for preparing a compound of formula (I) according to claim 1, comprising reacting tert-butyl 4-(2-aminothiazol-5-yl)piperidine-1-carboxylate with an activated carboxylic acid of formula $\text{Ar}^1\text{—C(=O)—Y}$, wherein Y is hydroxyl, chloro or an active ester or mixed-anhydride leaving group, and Ar^1 is as defined in claim 1, to give a compound of formula (I) in which R^1 is H, and, where R^1 is C_{1-4} alkyl, further comprising N-alkylating the compound so obtained with a C_{1-4} alkylating agent.

9. A process according to claim 8, wherein the activated carboxylic acid is a mixed anhydride formed from isobutyl chloroformate and N-methylmorpholine.

10. tert-Butyl 4-(2-aminothiazol-5-yl)piperidine-1-carboxylate, or a salt thereof.

ABSTRACT

The present disclosure provides a compound of formula (I), or a pharmaceutically acceptable salt or solvate thereof, wherein the compound comprises a 5-(piperidin-4-yl)thiazol-2-yl core in which the piperidine nitrogen bears a tert-butoxycarbonyl group and the thiazole 2-position bears a carboxamide of the form $\text{—N(R}^1\text{)—C(=O)—Ar}^1$. R^1 is H or C_{1-4} alkyl. Ar^1 is a 6-membered aromatic ring containing 0, 1 or 2 ring nitrogen atoms, optionally substituted with 1, 2 or 3 substituents independently selected from R^2 . The compounds act as agonists of the GPR119 receptor and are useful in the treatment of type 2 diabetes and obesity.

FICPI AI Patent Drafting Masterclass

Client Letter — Workshop Day

Chemistry

16 September 2026

Corinthia Hotel, Budapest, Hungary

WORKSHOP DAY

Prepared for workshop use. Follow the circulation instructions in this document.



FICPhI Therapeutics AG

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15 September 2026

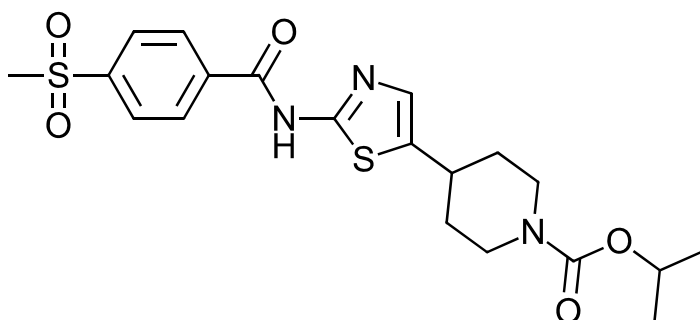
Dr. G. Pryor EPA
Docket Fielding LLP

Re: *Draft patent application — GPR119 agonist series (Thiazole-Piperidine Carboxamides)*

Dear Grant,

Thank you for sending through the draft application. We have reviewed it carefully and are very pleased with how it reads — the description of the compound series, the synthetic schemes, and the biological data section all capture the work accurately and we are happy to proceed on this basis.

There is, however, one further compound that we would like added to the application before it is filed. Since submitting the original disclosure to you, we have completed the synthesis and testing of a further analogue, which we are designating Example 19. The details are as follows:



Compound ID: FIC-119

IUPAC name: Isopropyl 4-[2-(4-Methanesulfonylbenzoylamino)thiazol-5-yl]-piperidine-1-carboxylic acid isopropyl ester

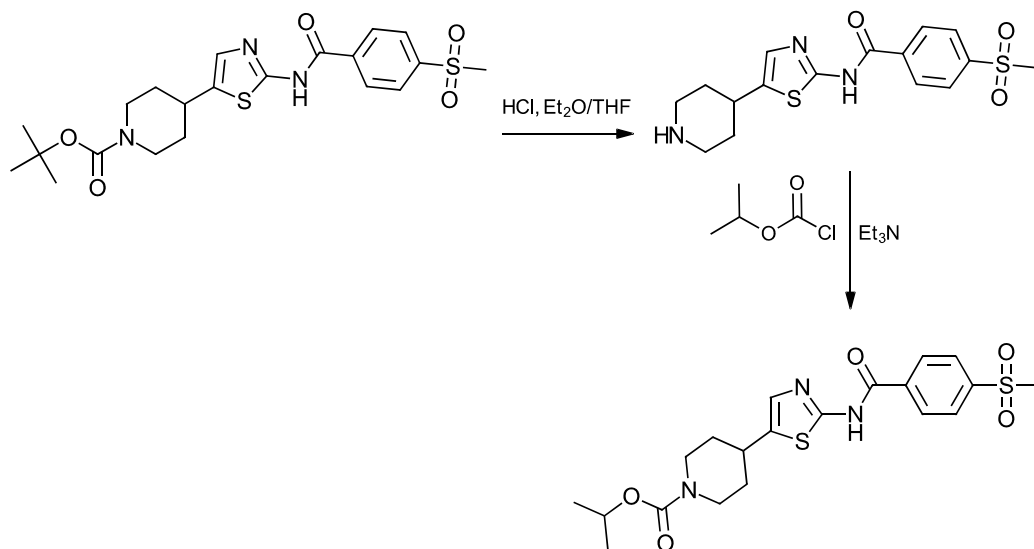
Molecular weight: 451.56

UPLCMS: RT 1.15 min, [M+H]⁺ 452

GPR119 potency: +++ (Class A, EC₅₀ < 0.5 μM)

Example 19 is structurally identical to Example 7 (4-[2-(4-Methanesulfonylbenzoylamino)thiazol-5-yl]-piperidine-1-carboxylic acid tert-butyl ester) except that the piperidine nitrogen carbamate is isopropyl rather than tert-butyl. It was prepared from Example 7 by Boc removal with HCl (1 M in ether, THF, room temperature, overnight) followed by acylation with isopropyl chloroformate (triethylamine, DCM, 50 min), worked up with dilute NaOH and HCl, and purified by flash chromatography (heptane/ethyl acetate).

The route is shown below.



Preparation of Example 19 from Example 7

The compound hits our top potency tier (Class A) with the same aryl substituent as Example 7, confirming that the piperidine carbamate position is tolerant to this change without any cost to GPR119 agonist activity. We believe this is a useful additional data point and should be covered in the application.

Could you please incorporate Example 19 into the draft — adding it to the examples section, updating the compound count throughout the description, and ensuring the claims are drafted to cover both the tert-butyl and isopropyl carbamate variants at this position? We are sorry to trouble you with this now, as we know you are in Budapest at a very important conference this week. We would be most grateful if you would skip one of the wonderful dinners you will be attending and work on this for us instead, as you always do. We understand you now have access to some excellent AI drafting tools, so perhaps they will help you be quick enough that you only miss the starter.

Please let us know if you need anything further from us.

Kind regards,

Michael

Dr. Michael Cane

Director of Medicinal Chemistry

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