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FICPI AI Patent Drafting Masterclass

16 September 2026

Corinthia Hotel, Budapest, Hungary

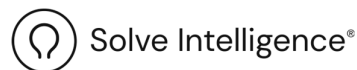
Exercise Materials: Chemistry



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AFTERNOON DRAFTING EXERCISE

GPR119 agonist series — drafting from scratch

DELEGATE NOTES

DELEGATE NOTES

This section contains instructions for delegates.
Circulate with the client email, IDF, compound data spreadsheet and chemical structures document.

Purpose

This exercise is about learning to use your AI drafting tool to draft a patent application for a chemical series. It is not a test of medicinal chemistry, and there is no single right answer.

You will be given a client email attaching an invention disclosure and compound data. The task is to draft a patent application using your tool, and then review the result.

There is no right answer.

What you get

A client email from the inventor, attaching four documents.

The invention disclosure form: describing 18 thiazole-piperidine carboxamide GPR119 agonist compounds (FIC-101 to FIC-118), with potency data, SAR observations, and two synthetic routes.

A compound data spreadsheet (Excel): potency, physicochemical and analytical data for all 18 compounds.

A chemical structures document (Word): structures for all 18 compounds.

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

What to do

Using your tool, draft a patent application from the invention disclosure. That is likely to mean:

- drafting a description: technical field, background, summary, and detailed description including synthetic routes and representative examples;
- drafting claims — consider Markush group coverage for the compound series as well as method-of-use and pharmaceutical composition claims;
- handling the SAR data appropriately — not all data needs to be in the claims, but the disclosure should support the scope taken;
- drafting an abstract.

Then review the result. Does the description support the claim scope? Has the tool added any data or structural detail not in the IDF?

Then discuss

Compare notes with the others: how the tool structured the Markush claim, whether it handled the SAR data and compound data spreadsheet correctly, and what corrections were needed.

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Client Email — Drafting from Scratch

Chemistry

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ADVANCED DELEGATES

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



Tuesday, 15 September 2026 at 09:14:22 British Summer Time

Subject: New Patent Application — GPR119 Agonists**Date:** Tuesday, 15 September 2026 at 09:14:22 British Summer Time**From:** Dr. Michael Cane <michael.cane@ficphi-therapeutics.com>**To:** Grant Pryor <grant.pryor@docketfielding.com>**Attachments:**  FICPI_IDF_(Chem).docx (303 KB)  FICPI_Compound_Data.xlsx (182 KB)

Hi Grant,

I hope you're well. I attach an invention disclosure covering a new series of GPR119 agonists — 19 thiazole-piperidine carboxamide compounds (FIC-101 through FIC-119), all built off a common aminothiazole-piperidine intermediate, for potential use in type 2 diabetes and obesity.

Headline points:

- 12 of the 19 compounds hit our top potency tier in the GPR119 cAMP functional assay
- Clear SAR: para-sulfone/sulfonamide substitution on the benzoyl ring is the main potency driver; a few analogues (7, 9, 10, 14, 18, 19) stand out as the strongest lead candidates
- Two synthetic routes are described for the key intermediate, plus specific procedures for the representative examples
- No in vivo data yet — this is in vitro only at this stage

Attached:

1. Invention Disclosure Form (Word)
2. Compound data spreadsheet (Excel)

We would like you to prepare and file a patent application covering the series before the disclosure to our CMO partners takes place. We want to test these compounds ASAP, so we need to disclose them to our CMO partners tomorrow. I know you're away attending the FICPI conference in Hungary now, but I was hoping you might do us a favour and work all night to get this done for us by tomorrow? We understand you have access to some wonderful AI drafting tools, so perhaps they will help you be quick enough that you only miss the starter.

Best,

Michael



Dr. Michael Cane

Director of Medicinal Chemistry

FICPhI Therapeutics AG

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FICPI AI Patent Drafting Masterclass

Invention Disclosure Form — Drafting from Scratch

Chemistry

16 September 2026
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INVENTION DISCLOSURE FORM

GPR119 Agonist Series — Thiazole-Piperidine Carboxamides

PROJECT TITLE	GPR119 Agonist Series — Thiazole-Piperidine Carboxamides
INVENTORS	Dr. Michael Cane — <i>FICPhI Therapeutics AG</i> Prof. Elia Sucrañez — <i>FICPhI Therapeutics AG</i> Dr. Uwe Borchert — <i>FICPhI Therapeutics AG</i> Dr. Sharon Cane-Phillin — <i>FICPhI Therapeutics AG</i> Prof. Syrup Kumar — <i>FICPhI Therapeutics AG</i> Dr. Louis-Pierre Gravellycemic — <i>FICPhI Therapeutics AG</i>
DATE	15 September 2026
EXTERNAL DATA FILES	<i>FICPI_Compound_Data.xlsx</i>

1. FIELD

This disclosure relates to thiazole-2-carboxamide compounds bearing a shared 5-(piperidin-4-yl)thiazol-2-yl core, acting as agonists of the GPR119 receptor, for use in the treatment of type 2 diabetes, obesity, and related metabolic conditions.

2. BACKGROUND

T2D is driven by impaired glucose metabolism from defective insulin secretion and/or insulin resistance, with significant micro- and macrovascular complications downstream. The current drug classes each have a specific problem:

- **Sulfonylureas** (glipizide, tolbutamide, glyburide, glimepiride) push insulin secretion from beta-islet cells regardless of blood glucose level — that's the mechanism, and it's also the source of the hypoglycaemia risk.

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- **TZDs** (PPAR-gamma agonists) improve insulin action but cause weight gain through fluid retention and increased body fat.
- Across the board: hypoglycaemic episodes, weight gain, declining response over time, GI side effects, oedema.
- **Incretin-based drugs** (GLP-1 agonists like liraglutide; DPP-4 inhibitors like sitagliptin and vildagliptin that protect endogenous GLP-1/GIP) work, but GLP-1 and GIP are degraded fast in vivo — the drugs are compensating for a short half-life, not fixing it.

GPR119 is essentially pancreas- and gut-restricted (low/undetectable everywhere else), and it stimulates insulin secretion from beta-cells and GLP-1/GIP release from intestinal L-cells in a glucose-dependent way — meaning a GPR119 agonist shouldn't drive fasting glucose down or cause hypoglycaemia, unlike the sulfonylureas. GPR119 has already been validated as a target by earlier tool compounds and third-party work in the field; the gap this project fills is new, potent chemical matter against it.

3. SUMMARY OF THE DISCLOSURE

A series of thiazole-2-carboxamide compounds (Examples 1–19), all built on the core described above, were made and tested in-house as GPR119 agonists. Starting from a single common intermediate — tert-butyl 4-(2-aminothiazol-5-yl)piperidine-1-carboxylate, referred to throughout as [INT-A] — we made 19 analogues by varying (a) the acyl group put onto the thiazole 2-amino nitrogen and (b) the carbamate/substituent on the piperidine nitrogen, then ran all 19 through our GPR119 cAMP functional assay (with GLP1 counter-screen).

Twelve of the nineteen came in under 0.5 μM EC_{50} (Class A): Examples 1, 2, 6, 7, 8, 9, 10, 13, 14, 16, 18, 19. (Class A: $\text{EC}_{50} < 0.5 \mu\text{M}$; Class B: $0.5\text{--}5 \mu\text{M}$; Class C: $> 5 \mu\text{M}$ — see the companion spreadsheet, FICPI_Compound_Data.xlsx, referenced above, for the full compound-by-compound breakdown.)

The pattern that jumps out on the phenyl-ring examples: anything with a para-sulfone, para-sulfonamide, or para-sulfonylmethyl group on the benzoyl ring is Class A — Examples 7 (methanesulfonyl), 9 (2-fluoro-4-methanesulfonyl), 10 (N-methyl, sulfonylmethyl), 14 (sulfonamide), and 19 (same aryl group as 7, isopropyl carbamate instead of tert-butyl). Para-acetamido (Example 6), para-carbamoyl (Example 13), and para-(1,2,4-triazol-1-yl) (Example 18) are also Class A. The 2,4,5-trifluoro compound (Example 8) is Class A too.

On the pyridyl-ring examples, most drop to Class C — Example 11 (6-chloropyridine-3-carbonyl) and Example 12 (pyridine-4-carbonyl) are both Class C — except Example 16 (5-methanesulfonylpyridine-2-carbonyl), which stays Class A. Same story as above: the sulfone is doing the work, not the phenyl-vs-pyridyl choice.

Nitro substitution correlates with reduced potency: Example 5 (4-chloro-2-nitrobenzoyl) and Example 15

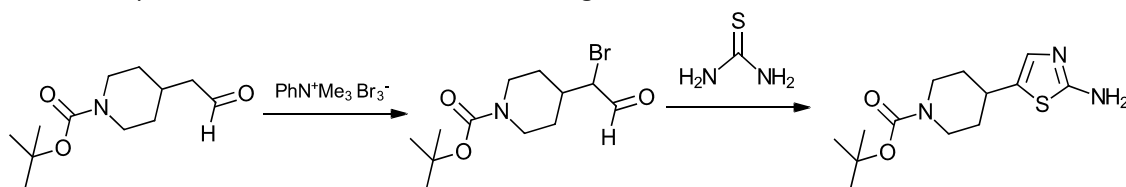
(3,4-dinitrobenzoyl) are both Class C. The oxadiazole analogue, Example 17 (5-methyl-1,2,4-oxadiazol-3-yl), is also Class C — worth noting because its close cousin, the triazole analogue Example 18, is Class A despite both being 5-membered heteroaryl substituents at essentially the same position.

On the piperidine nitrogen side, swapping the tert-butyl carbamate for isopropyl (Example 7 → Example 19) doesn't cost any potency — both Class A.

4. GENERAL SYNTHETIC APPROACH

Everything starts from intermediate [INT-A] — tert-butyl 4-(2-aminothiazol-5-yl)piperidine-1-carboxylate. Two ways to make it:

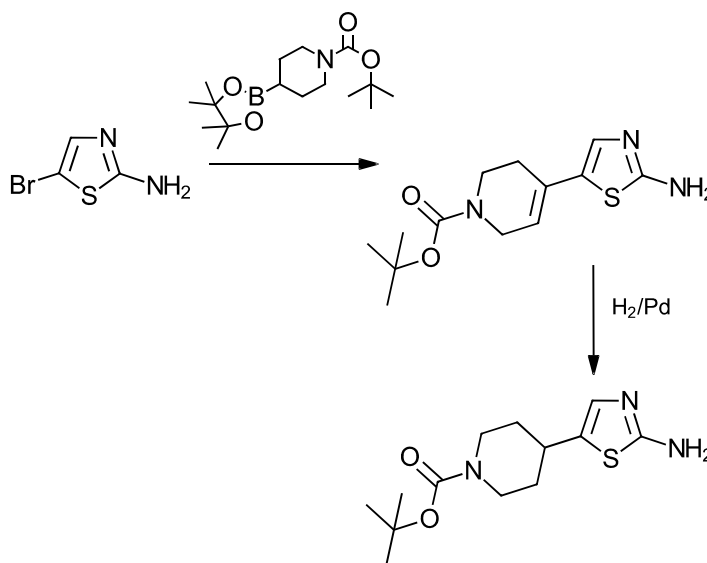
Scheme A (route actually used for [INT-A] in Examples 1–19): brominate 4-(2-oxoethyl)piperidine-1-carboxylic acid tert-butyl ester with phenyltrimethylammonium tribromide, then cyclise the resulting α -bromoaldehyde with thiourea to close the thiazole ring.



Scheme A

4-(2-oxoethyl)piperidine-1-carboxylic acid tert-butyl ester $\rightarrow$ (PhN⁺Me₃Br₃[−], THF, 0 °C) $\rightarrow$ α -bromoaldehyde $\rightarrow$ (thiourea, EtOH, 80 °C) $\rightarrow$ [INT-A].

An alternative route to [INT-A], not used for Examples 1–19, is shown in **Scheme B**.



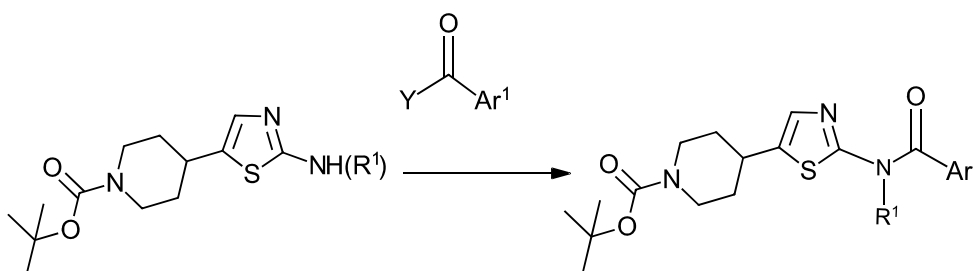
Internal Invention Disclosure Form — Confidential

Scheme B

2-amino-5-bromothiazole + Boc-tetrahydropyridin-4-yl pinacol boronate ester → (cross-coupling) → unsaturated Boc-tetrahydropyridinyl-thiazole → (H₂/Pd) → [INT-A] — same end point as Scheme A, different starting materials.

From [INT-A], three further operations:

Amide coupling onto the thiazole 2-amino group. The free amine on [INT-A] is acylated with the relevant carboxylic acid — either via a mixed anhydride (isobutyl chloroformate/N-methylmorpholine, as used for Examples 1–18 and for the Example 7 precursor of Example 19), or via DCC/HOBT coupling from the free acid, or from an acid chloride/active ester where more convenient. The carboxylic acids themselves are either bought in or made by nitrile/ester/amide hydrolysis, Pd-catalysed carbonylative coupling of an aryl halide (e.g. Pd(OAc)₂/1,3-bis(diphenylphosphino)propane under CO), or lithiation/CO₂ quench.

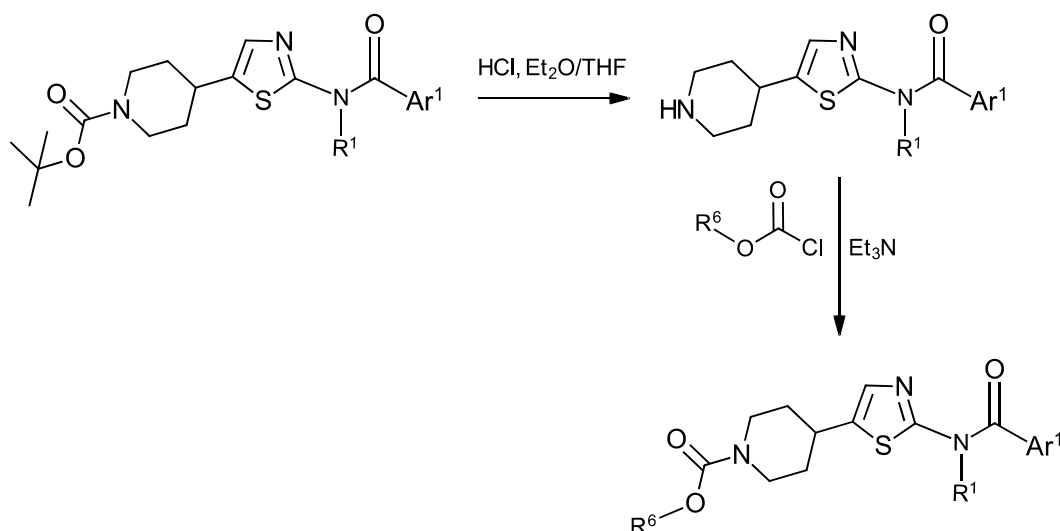


Scheme C — amide bond formation

Boc-piperidinyl-2-(R¹-amino)thiazole ([INT-A] where R¹ = H) + activated carboxylic acid (Y = OH, Cl, or active ester) → thiazole-2-carboxamide of general formula (I). Y = OH couplings go via DCC/HOBT; Y = Cl (acid chloride) or a mixed anhydride couple directly.

N-Methylation. Where the amide nitrogen bears a methyl group (Example 10), the N-methyl compound was obtained by treating the corresponding secondary amide (from the amide coupling above) with sodium hydride in DMF at 0 °C to RT, followed by methyl iodide. The Boc group is retained, and since the piperidine nitrogen is a fully substituted carbamate with no N–H, alkylation occurs selectively on the amide nitrogen.

Swapping the piperidine nitrogen substituent. Once the Boc group is off (giving the free piperidine NH), the nitrogen can be taken to a carbamate (alkyl chloroformate or dialkyl dicarbonate, base = Et₃N or Cs₂CO₃, in THF/MeCN/DCM — this is how Example 19 was made from Example 7), an amide (carboxylic acid via DCC/HOBT, or two-step via phosgene/triphosgene/CDI then amine, or direct reaction with an alkyl isocyanate), a sulfonamide (alkylsulfonyl chloride, or two-step sulfonyl chloride then amine), or coupled onto an activated 5-membered heteroaryl electrophile (halide or SMe leaving group, base, polar aprotic solvent, CuI if needed).



Scheme D — carbamate swap on the piperidine nitrogen

Compound of formula (I) with Boc on the piperidine nitrogen $\rightarrow$ (HCl, 1 M in Et₂O, THF, RT) $\rightarrow$ free piperidine NH $\rightarrow$ (Cl-C(=O)-O-R⁶, Et₃N, DCM) $\rightarrow$ compound of formula (I) bearing the new carbamate; this is how Example 19 was made from Example 7.

For Examples 1–19 specifically, only the mixed-anhydride amide coupling, the N-methylation (Example 10), and the carbamate-swap route (Example 19, Scheme D) were actually used — see Section 5.

5. SPECIFIC EXAMPLES

Intermediate [INT-A] — tert-butyl 4-(2-aminothiazol-5-yl)piperidine-1-carboxylate: 4-(2-oxoethyl)piperidine-1-carboxylic acid tert-butyl ester (2 g) in THF (50 mL), ice bath, treat with phenyltrimethylammonium tribromide (3.31 g), stir 50 min. Quench with water, extract into DCM (3 $\times$ 50 mL), dry, filter, concentrate. Take the residue up in ethanol (100 mL), add thiourea (1.34 g), heat 2 h at 80 °C. Cool, concentrate, redissolve in DCM, wash with aq. NaHCO₃, dry, concentrate. Gives [INT-A], 1.6 g. LCMS: RT 1.3 min, [M+H]⁺ 284.

Example 1: 6-chloropyridine-2-carboxylic acid (28 mg) + N-methylmorpholine (41 mg) in DCM (1 mL), add isobutyl chloroformate (24 mg), stir 1 h to form the mixed anhydride. Add [INT-A] (50 mg), stir overnight at RT. Concentrate, purify by prep HPLC. UPLCMS: RT 1.36 min, M⁺ 423.

Examples 2–9 and 11–18: same mixed-anhydride method as Example 1 — just swap in the corresponding carboxylic acid for each and couple onto [INT-A] the same way.

Example 10 (N-methylation): couple [INT-A] with 4-(methanesulfonylmethyl)benzoic acid by the mixed-anhydride method above to give the corresponding secondary amide (not itself one of the examples),

then treat with NaH in DMF, 0 °C to RT, followed by methyl iodide, to give the N-methyl amide.

Example 19 (Scheme D): take the Example 7 tert-butyl carbamate (180 mg), strip the Boc with HCl (6 mL, 1 M in ether) in THF, stir overnight at RT, concentrate. Redissolve residue in DCM (3 mL), add triethylamine (0.2 mL) and isopropyl chloroformate (0.2 mL, 1 M in toluene), stir 50 min. Add a few drops of 4 N aq. NaOH, stir 2 h, neutralise with dilute HCl, concentrate, purify by flash chromatography (heptane/EtOAc). Gives 2.8 mg. UPLCMS: RT 1.15 min, [M+H]⁺ 452.

Retention times and mass ions for all 19 final compounds are recorded in our internal analytical data tables. Full structures, IUPAC names, SMILES, and GPR119 potency ratings are in the companion spreadsheet referenced above.

6. BIOLOGICAL AND ANIMAL DATA

Cell line: human GPR119 cDNA, cloned from a human pancreas cDNA library into a tetracycline-inducible expression vector, N-terminally FLAG-tagged, stably expressed in CHO-T-Rex cells (lipofectamine transfection, antibiotic selection). Induced with tetracycline (0.01 µg/mL, 24 h) before assay.

Functional readout: cAMP ALPHAscreen-type assay — biotinylated cAMP competes with cellular cAMP for anti-cAMP-antibody acceptor beads; donor/acceptor bead proximity on laser excitation gives a luminescent signal inversely related to receptor-driven cAMP production. Run in 384-well plates, 15,000 cells/well, with saturating-agonist and DMSO control columns on every plate to set top/bottom of the curve. EC₅₀ from the concentration-response curves.

Counter-screen: every compound also run against the GLP1 receptor on the same cAMP platform, to knock out anything hitting via a different pathway, acting non-selectively, or just quenching the assay.

In vivo: none run on Examples 1–19 to date.

7. FINAL REMARKS

Best leads: Examples 7, 9, 10, 14, 18, 19 — all Class A, all straightforward to make from [INT-A] via the mixed-anhydride coupling (with one extra step for 10, the N-methylation, and for 19, the carbamate swap), no exotic chemistry needed

The SAR is pretty clean on the phenyl series: put a sulfone, sulfonamide, or sulfonylmethyl group para on the benzoyl ring and you get Class A every time (7, 9, 10, 14, 19). Acetamido (6), carbamoyl (13), and triazolyl (18) para-substituents also land Class A. Nitro substituents correlate with reduced potency (5, 15 → Class C).

Switching phenyl for pyridyl mostly costs potency (11, 12 → Class C), but Example 16 shows that's rescued if the pyridyl ring carries the same sulfone that works on phenyl — so the sulfone is the potency driver, not the ring choice. The oxadiazole/triazole comparison (17 vs. 18) is the one surprise: two similar 5-membered heteroaryl substituents at the same position, one Class C and one Class A, so that swap isn't a safe assumption to carry forward without testing.

On the piperidine side, tert-butyl vs. isopropyl carbamate (7 vs. 19) makes no difference to potency — that position looks tolerant, giving room to adjust for other properties (e.g. physicochemical) without a potency penalty.