Supplemental Table 1. Plasma and Colonic Tissue GSK2982772 Concentrations (ng/mL) (GSK2982772/OL'772\textsuperscript{a} group)

| Visit     | Planned Time          | n  | Median (min, max) |
|-----------|-----------------------|----|-------------------|
| Plasma    |                        |    |                   |
| Part A    | Pre-dose, day 43      | 22 | 58.4 (0.0, 792)   |
| Part B    | Day 85                | 21 | 22.4 (1.45, 975.0)|
| Colonic   |                        |    |                   |
| Tissue    | Pre-dose, day 43      | 24 | 88.0 (0.0, 862.7) |
| Part B    | Day 85                | 20 | 37.7 (0.0, 867.0) |

\textsuperscript{a}GSK2982772/OL'772 patients received GSK2982772 60 mg TID until day 43 when all patients switched to open-label GSK2982772 at the same dose.

min, minimum; max, maximum; OL, open-label; SD, standard deviation.
Supplemental Table 2. Adjusted Mean of the Change from Baseline in Efficacy and Biomarker Measures (Safety Population)

| Visit               | Treatment                  | LS Mean (SE) | Treatment Difference (95% CI) |
|---------------------|----------------------------|--------------|------------------------------|
|                     | Total Mayo Score           |              |                              |
| Part A (day 43)     | Placebo / OL’772           | −1.42 (0.656)| −0.32 (−1.94, 1.30)          |
|                     | GSK2982772 / OL’772        | −1.75 (0.443)|                              |
|                     | Placebo / OL’772           | −3.20 (0.895)| 0.04 (−2.14, 2.23)           |
|                     | GSK2982772 / OL’772        | −3.16 (0.583)|                              |
| Part B (day 85)     | Placebo / OL’772           | −3.20 (0.895)| 0.04 (−2.14, 2.23)           |
|                     | GSK2982772 / OL’772        | −3.16 (0.583)|                              |
|                     | Partial Mayo Score         |              |                              |
| Part A (day 43)     | Placebo / OL’772           | −1.30 (0.557)| −0.34 (−1.72, 1.04)          |
|                     | GSK2982772 / OL’772        | −1.64 (0.376)|                              |
|                     | Placebo / OL’772           | −2.87 (0.728)| −0.06 (−1.87, 1.75)          |
|                     | GSK2982772 / OL’772        | −2.93 (0.502)|                              |
| Part B (day 85)     | Placebo / OL’772           | −2.87 (0.728)| −0.06 (−1.87, 1.75)          |
|                     | GSK2982772 / OL’772        | −2.93 (0.502)|                              |
|                     | 3-Domain Mayo Score        |              |                              |
| Part A (day 43)     | Placebo / OL’772           | −0.99 (0.527)| −0.37 (−1.67, 0.93)          |
|                     | GSK2982772 / OL’772        | −1.36 (0.356)|                              |
|                     | Placebo / OL’772           | −2.32 (0.678)| 0.13 (−1.52, 1.79)           |
|                     | GSK2982772 / OL’772        | −2.19 (0.440)|                              |
| Part B (day 85)     | Placebo / OL’772           | −2.32 (0.678)| 0.13 (−1.52, 1.79)           |
|                     | GSK2982772 / OL’772        | −2.19 (0.440)|                              |
|                     | UCEIS Total Scores         |              |                              |
| Part A, day 43      | Placebo / OL’772           | −0.24 (0.428)| −0.18 (−1.23, 0.87)          |
|                     | GSK2982772 / OL’772        | −0.42 (0.289)|                              |
| Part B, day 85      | Placebo / OL’772           | −0.84 (0.495)| 0.02 (−1.18, 1.22)           |
|                     | GSK2982772 / OL’772        | −0.82 (0.318)|                              |
|                     | C- Reactive Protein        |              |                              |
| Part A, day 43      | Placebo / OL’772           | 1.06 (1.854) | −1.69 (−6.26, 2.88)          |
|                     | GSK2982772 / OL’772        | −0.64 (1.251)|                              |
| Part B, day 85      | Placebo / OL’772           | −2.77 (1.616)| 1.12 (−2.87, 5.10)           |
|                     | GSK2982772 / OL’772        | −1.66 (1.092)|                              |
### Fecal Calprotectin, Geometric LS Mean (%CVb)

|                | Placebo / OL’772 | GSK2982772 / OL’772 |
|----------------|------------------|---------------------|
| **Part A, day 43** |                  |                     |
|                | 1.90 (40.7)      | 0.44 (27.1)         |
| **Part B, day 85** |                  |                     |
|                | 0.48 (39.9)      | 0.82 (31.2)         |

Placebo/OL’772 patients received placebo until day 43 when all patients switched to open-label GSK2982772 60 mg TID. GSK2982772/ OL’772 patients received GSK2982772 60 mg TID until day 43 when all patients switched to open-label GSK2982772 at the same dose.

%CVb, between subject coefficient of variation; CI, confidence interval; LS, least squares; OL, open-label; SE, standard error.
| Visit             | Level<sup>a</sup> µg/g | Placebo / OL<sup>b</sup> n/N (%) | GSK2982772 / OL<sup>c</sup> n/N (%) |
|-------------------|-------------------------|----------------------------------|-----------------------------------|
|                   | <250                    | 4/11 (36)                        | 2/24 (8)                          |
| Part A, day 1     | ≥250                    | 4/11 (36)                        | 8/24 (33)                         |
|                   | ≥1000                   | 3/11 (27)                        | 14/24 (58)                        |
|                   | <250                    | 2/11 (18)                        | 7/22 (32)                         |
| Part A, day 43    | ≥250                    | 2/11 (18)                        | 12/22 (55)                        |
|                   | ≥1000                   | 7/11 (64)                        | 3/22 (14)                         |
|                   | <250                    | 3/11 (27)                        | 11/22 (50)                        |
| Part B, day 85    | ≥250                    | 5/11 (45)                        | 6/22 (27)                         |
|                   | ≥1000                   | 3/11 (27)                        | 5/22 (23)                         |

<sup>a</sup>Diurnal variation was not controlled for and sample was not required to be the first stool of the day.

<sup>b</sup>Placebo/OL<sup>772</sup> patients received placebo until day 43 when all patients switched to open-label GSK2982772 60 mg TID.

<sup>c</sup>GSK2982772/OL<sup>772</sup> patients received GSK2982772 60 mg TID until day 43 when all patients switched to open-label GSK2982772 at the same dose.

OL, open-label; TID, 3 times daily.
**Supplemental Table 4. Mayo Endoscopic Subscore (Safety Population)**

| Visit          | Score | Placebo / OL'772<sup>a</sup> n/N (%) | GSK2982772 / OL’772<sup>b</sup> n/N (%) |
|----------------|-------|--------------------------------------|----------------------------------------|
|                |       |                                      |                                        |
| Screening      | 2     | 3/12 (25)                            | 7/24 (29)                              |
|                | 3     | 9/12 (75)                            | 17/24 (71)                             |
| Part A, day 43 | 0     | 0<sup>c</sup>                         | 1/24 (4)                               |
|                | 1     | 0<sup>c</sup>                         | 2/24 (8)                               |
|                | 2     | 4/11 (36)                            | 3/24 (13)                              |
|                | 3     | 7/11 (64)                            | 18/24 (75)                             |
| Part B, day 85 | 0     | 0<sup>d</sup>                         | 1/22 (5)                               |
|                | 1     | 1/9 (11)                             | 2/22 (9)                               |
|                | 2     | 4/9 (44)                             | 6/22 (27)                              |
|                | 3     | 4/9 (44)                             | 13/22 (59)                             |

<sup>a</sup>Placebo/OL’772 patients received placebo until day 43 when all patients switched to open-label GSK2982772 60 mg TID.

<sup>b</sup>GSK2982772/OL’772 patients received GSK2982772 60 mg TID until day 43 when all patients switched to open-label GSK2982772 at the same dose.

<sup>c</sup>N=11.

<sup>d</sup>N=9.

CI, confidence interval; LS, least squares; OL, open-label; SE, standard error.
Supplemental Figure 1. Patient Disposition

Assessed for eligibility (n = 77)

Placebo / OL ’772
Number of participants randomized (n = 12)

Withdrawn from Study
• 1 AE (ulcerative colitis)

Completed study (n = 11)

GSK2982772 / OL ’772a
Number of participants randomized (n = 24)

Withdrawn from Study
• 1 withdrew consent
• 1 lack of efficacy

Completed study (n = 22)

aOne patient was dosed GSK2982772 60 mg BID prior to the protocol amendment that changed the dose to 60 mg TID.

AE, adverse event; BID, twice daily; OL, open-label; TID, 3 times daily.
Supplemental Figure 2. Mean Total Mayo, Partial Mayo, and 3-Domain Mayo Scores Over Time by Treatment Group (Safety Population)

A. Total Mayo Score

B. Partial Mayo Score

C. 3-Domain Mayo Score

Placebo/OL 772 patients received placebo until day 43 when all patients switched to open-label GSK2982772 60 mg TID. GSK2982772/OL 772 patients received GSK2982772 60 mg TID until day 43 when all patients switched to open-label GSK2982772 at the same dose.

Total Mayo score includes stool frequency, rectal bleeding, physicians global assessment and endoscopic score; partial Mayo score includes stool frequency, rectal bleeding, and physicians global assessment; 3-domain Mayo score includes stool frequency, rectal bleeding, and endoscopic score.

SE, standard error.
Supplemental Figure 3. Mean Inflammatory Bowel Disease Questionnaire (IBDQ) Total Scores Over Time by Treatment Group

Placebo/OL’772 patients received placebo until day 43 when all patients switched to open-label GSK2982772 60 mg TID. GSK2982772/OL’772 patients received GSK2982772 60 mg TID until day 43 when all patients switched to open-label GSK2982772 at the same dose.

IBDQ, inflammatory bowel disease questionnaire; OL, open-label; SE, standard error.