

**Supplementary Table S1. Representativeness of study participants**

<b>Cancer type(s)/subtype(s)/stage(s)/condition:</b>	Gastrointestinal stromal tumors (GIST)
<b>Considerations related to:</b>	
Sex/gender	The current study population included 19/34 (55.9%) male patients, which is in accordance with a recent population-based database study involving more than 10,000 patients (52% identified as male). <sup>1</sup>
Age	Most patients with GIST present $\geq 60$ years <sup>1</sup> , which generally aligns with the population in the current study, with a median age of 60.5 (range, 29-81).
Race	Racial data on GIST patients report 68% as White, 19% as African Americans, and 13% classified as others. <sup>1</sup> In the current study, most patients were White or Asian (47.1% for each).
Geography	This study was conducted at 5 sites in the United States and Japan.
<b>Overall representativeness of this study</b>	Overall, the study population is generally consistent with the GIST population. Studies with larger sample size enrolling patients across multiple countries would improve applicability and better represent the GIST patient population.

1. Khan J et al. Gastrointestinal Stromal Tumors (GIST): A Population-Based Study Using the SEER Database, including Management and Recent Advances in Targeted Therapy. *Cancers* 2022, 14, 3689.

**Supplementary Table S2. Patient disposition**

<b>n (%)</b>	<b>DS-6157a 1.6 mg/kg (n=4)</b>	<b>DS-6157a 3.2 mg/kg (n=4)</b>	<b>DS-6157a 4.8 mg/kg (n=5)</b>	<b>DS-6157a 6.4 mg/kg (n=13)</b>	<b>DS-6157a 9.6 mg/kg (n=6)</b>	<b>DS-6157a 12.8 mg/kg (n=2)</b>	<b>Total (n=34)</b>
<b>Treatment status</b>							
Remaining on study treatment	0	0	0	0	0	0	0
Discontinued study treatment	4 (100.0)	4 (100.0)	5 (100.0)	13 (100.0)	6 (100.0)	2 (100.0)	34 (100.0)
<b>Primary reason for study treatment discontinuation</b>							
Progressive disease	3 (75.0)	3 (75.0)	4 (80.0)	5 (38.5)	1 (16.7)	2 (100)	18 (52.9)
Physician decision	0	0	1 (20.0)	3 (23.1)	2 (33.3)	0	6 (17.6)
Adverse event	0	0	0	3 (23.1)	0	0	3 (8.8)
Clinical progression	1 (25.0)	1 (25.0)	0	0	1 (16.7)	0	3 (8.8)
Withdrawal by patient	0	0	0	1 (7.7)	2 (33.3)	0	3 (8.8)
Other	0	0	0	1 (7.7)	0	0	1 (2.9)

**Supplementary Table S3. Treatment-emergent adverse events reported in  $\geq 10\%$  of patients**

<b>n (%)</b>	<b>DS-6157a 1.6 mg/kg (n=4)</b>	<b>DS-6157a 3.2 mg/kg (n=4)</b>	<b>DS-6157a 4.8 mg/kg (n=5)</b>	<b>DS-6157a 6.4 mg/kg (n=13)</b>	<b>DS-6157a 9.6 mg/kg (n=6)</b>	<b>DS-6157a 12.8 mg/kg (n=2)</b>	<b>Total (n=34)</b>
Patients with any TEAE	4 (100.0)	4 (100.0)	5 (100.0)	13 (100.0)	6 (100.0)	2 (100.0)	34 (100.0)
Nausea	3 (75.0)	3 (75.0)	3 (60.0)	11 (84.6)	6 (100.0)	2 (100.0)	28 (82.4)
Decreased appetite	3 (75.0)	2 (50.0)	4 (80.0)	6 (46.2)	4 (66.7)	2 (100.0)	21 (61.8)
Anemia	3 (75.0)	2 (50.0)	4 (80.0)	6 (46.2)	3 (50.0)	1 (50.0)	19 (55.9)
Fatigue	3 (75.0)	1 (25.0)	3 (60.0)	6 (46.2)	2 (33.3)	2 (100.0)	17 (50.0)
Constipation	1 (25.0)	2 (50.0)	2 (40.0)	5 (38.5)	2 (33.3)	2 (100.0)	14 (41.2)
Platelet count decreased	0	1 (25.0)	2 (40.0)	4 (30.8)	5 (83.3)	1 (50.0)	13 (38.2)
Vomiting	1 (25.0)	2 (50.0)	2 (40.0)	4 (30.8)	1 (16.7)	2 (100.0)	12 (35.3)
Abdominal pain	1 (25.0)	2 (50.0)	1 (20.0)	2 (15.4)	1 (16.7)	2 (100.0)	9 (26.5)
ALT increased	2 (50.0)	1 (25.0)	2 (40.0)	3 (23.1)	1 (16.7)	0	9 (26.5)
AST increased	1 (25.0)	1 (25.0)	2 (40.0)	3 (23.1)	1 (16.7)	1 (50.0)	9 (26.5)
Neutrophil count decreased	0	0	0	5 (38.5)	4 (66.7)	0	9 (26.5)
White blood cell count decreased	0	0	0	3 (23.1)	5 (83.3)	1 (50.0)	9 (26.5)
Peripheral edema	1 (25.0)	1 (25.0)	3 (60.0)	1 (7.7)	0	2 (100.0)	8 (23.5)
Dizziness	1 (25.0)	0	0	4 (30.8)	1 (16.7)	2 (100.0)	8 (23.5)
Insomnia	1 (25.0)	2 (50.0)	1 (20.0)	2 (15.4)	2 (33.3)	0	8 (23.5)
Pyrexia	1 (25.0)	0	2 (40.0)	4 (30.8)	0	0	7 (20.6)
Headache	1 (25.0)	1 (25.0)	1 (20.0)	4 (30.8)	0	0	7 (20.6)
Dry skin	1 (25.0)	2 (50.0)	3 (60.0)	0	0	1 (50.0)	7 (20.6)
Diarrhea	0	0	1 (20.0)	3 (23.1)	1 (16.7)	1 (50.0)	6 (17.6)

Hypokalemia	0	1 (25.0)	1 (20.0)	2 (15.4)	1 (16.7)	1 (50.0)	6 (17.6)
Dehydration	1 (25.0)	0	0	1 (7.7)	1 (16.7)	2 (100.0)	5 (14.7)
Hyperuricemia	0	1 (25.0)	1 (20.0)	1 (7.7)	2 (33.3)	0	5 (14.7)
Hypoalbuminemia	0	1 (25.0)	2 (40.0)	2 (15.4)	0	0	5 (14.7)
Dyspnea	0	0	0	3 (23.1)	2 (33.3)	0	5 (14.7)
Maculopapular rash	1 (25.0)	1 (25.0)	1 (20.0)	0	2 (33.3)	0	5 (14.7)
Hypertension	1 (25.0)	1 (25.0)	0	2 (15.4)	0	1 (50.0)	5 (14.7)
Abdominal distension	0	1 (25.0)	1 (20.0)	1 (7.7)	0	1 (50.0)	4 (11.8)
Infusion-related reaction	0	0	0	3 (23.1)	1 (16.7)	0	4 (11.8)
Blood alkaline phosphate increased	0	0	0	2 (15.4)	1 (16.7)	1 (50.0)	4 (11.8)
Lymphocyte count decreased	0	0	1 (20.0)	1 (7.7)	1 (16.7)	1 (50.0)	4 (11.8)
Weight decreased	0	0	1 (20.0)	2 (15.4)	0	1 (50.0)	4 (11.8)
Dysgeusia	0	1 (25.0)	1 (20.0)	2 (15.4)	0	0	4 (11.8)
Cough	1 (25.0)	0	0	3 (23.1)	0	0	4 (11.8)
Hyperhidrosis	1 (25.0)	0	1 (20.0)	1 (7.7)	1 (16.7)	0	4 (11.8)

ALT, alanine aminotransferase; AST, aspartate aminotransferase; TEAE, treatment emergent adverse event

**Supplementary Table S4. Best overall response**

<b>n (%)</b>	<b>DS-6157a 1.6 mg/kg (n=4)</b>	<b>DS-6157a 3.2 mg/kg (n=4)</b>	<b>DS-6157a 4.8 mg/kg (n=5)</b>	<b>DS-6157a 6.4 mg/kg (n=13)</b>	<b>DS-6157a 9.6 mg/kg (n=6)</b>	<b>DS-6157a 12.8 mg/kg (n=2)</b>	<b>Total (n=34)</b>
Complete response	0	0	0	0	0	0	0
Partial response	0	0	0	1 (7.7)	0	0	1 (2.9)
Stable disease	2 (50.0)	3 (75.0)	3 (60.0)	7 (53.8)	2 (33.3)	0	17 (50.0)
Progressive disease	2 (50.0)	0	2 (40.0)	3 (23.1)	1 (16.7)	2 (100.0)	10 (29.4)
Not evaluable	0	1 (25.0)	0	2 (15.4)	3 (50.0)	0	6 (17.6)