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BACKGROUND

Selvigaltin (GB1211) is an orally available small molecule, which reversibly inhibits galectin-3. Galectin-3 is involved in inflammation and fibrosis and the development of various cancers.

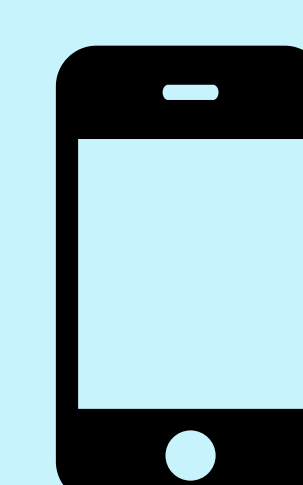
METHODS

- ▶ Open-label, randomized, single dose, 3-period cross-over study
- ▶ Washout of at least 14 days
- ▶ Planned: 12 healthy male and female subjects, aged 18-55 years
- ▶ Single dose of 100 mg selvigaltin
- ▶ Treatments:
 - A: one 100 mg tablet under fasting conditions (test)
 - B: 2 capsules of 50 mg each under fasting conditions (reference)
 - C: one 100 mg tablet under fed conditions (test)
- ▶ Frequent blood sampling until 96 h post dose
- ▶ During first study period: urine collection for PK

RESULTS and CONCLUSIONS:

- ▶ Tablet formulation had higher bioavailability relative to the capsule formulation (C_{max} was 161% higher and AUC_{0-inf} was 84% higher)
- ▶ Food effect on the PK of GB1211 was marginal: C_{max} was about 20% lower in fed state and AUC_{0-inf} was unaffected
- ▶ Following dosing of selvigaltin as a tablet, urinary excretion of GB1211 was about two times higher than after capsule dosing ($Ae_{(0-96)}$ of 30.3 versus 14.5 mg)
- ▶ All treatments exhibited a favorable and safety and tolerability profile
- ▶ PK and safety features of the tablet formulation warrant further clinical development of the tablet formulation

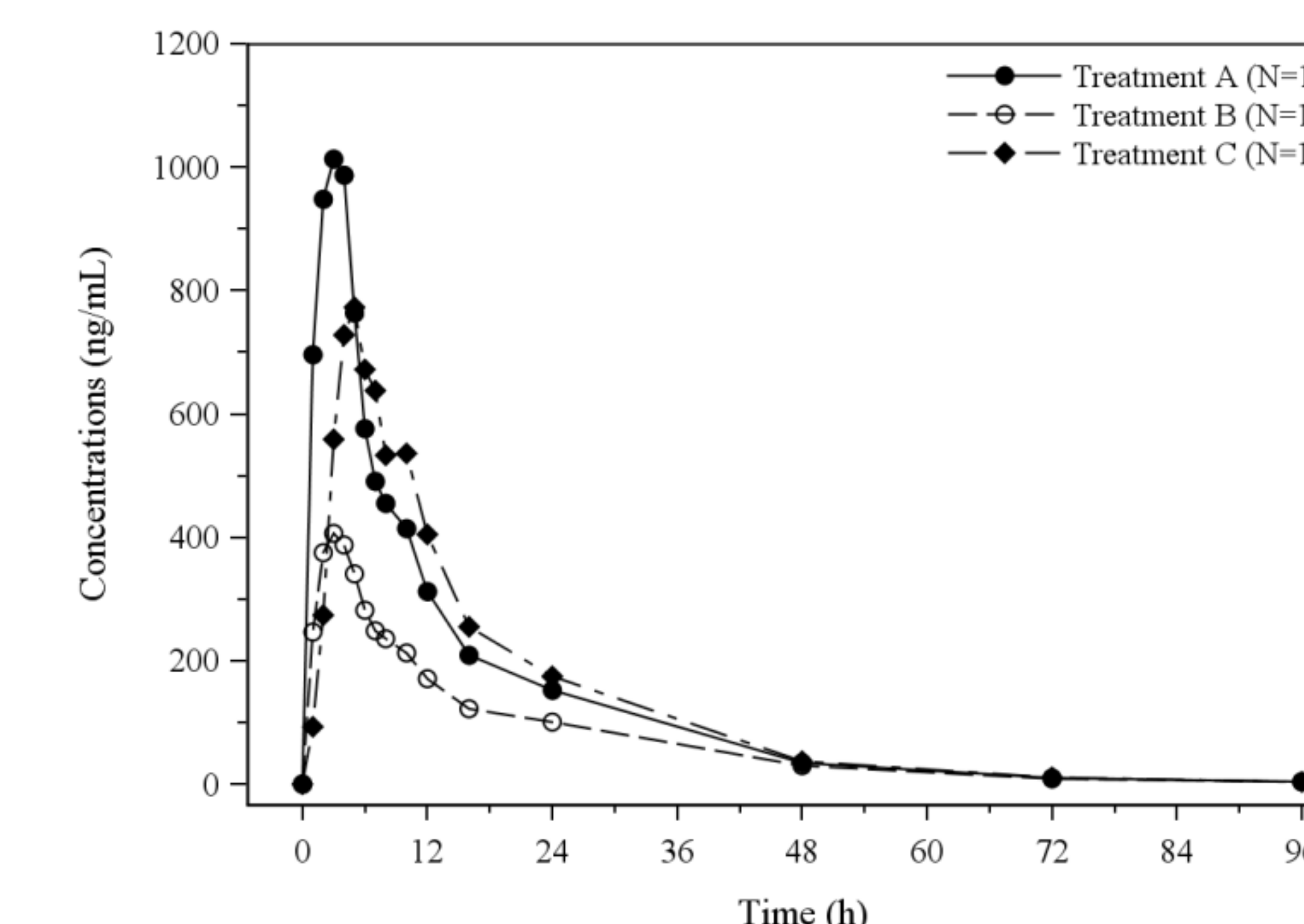
New tablet formulation of selvigaltin (GB1211) has improved PK features as compared with previous capsule formulation and warrants further clinical development



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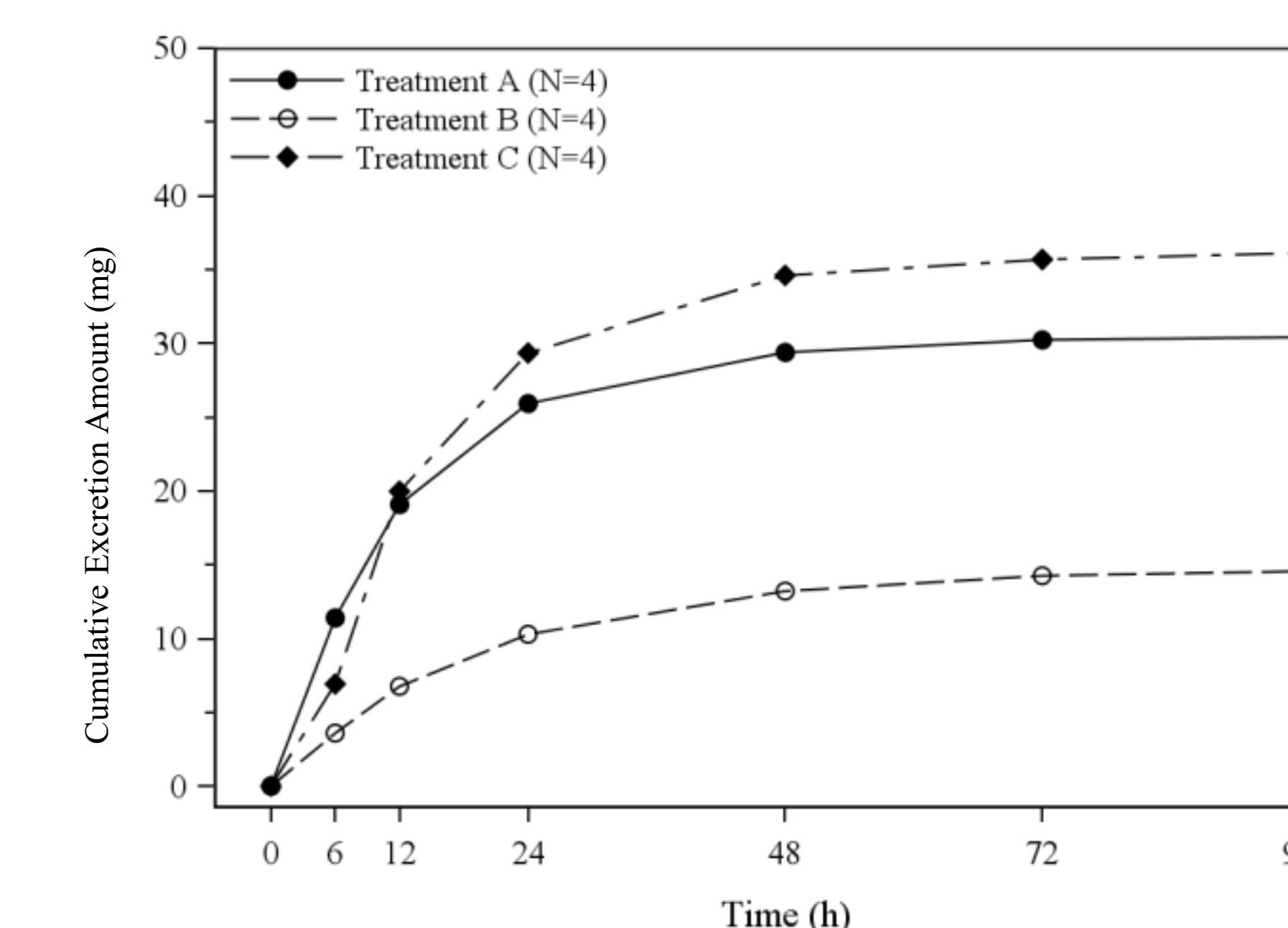


Arithmetic mean selvigaltin plasma concentration – time profiles



Treatment A: a single dose of 100 mg GB1211 as a tablet (100 mg strength) under fasted conditions.
 Treatment B: a single dose of 100 mg GB1211 as two capsules (50 mg strength) under fasted conditions.
 Treatment C: a single dose of 100 mg GB1211 as a tablet (100 mg strength) under fed conditions.

Arithmetic mean urinary cumulative excretion of selvigaltin



Treatment A: a single dose of 100 mg GB1211 as a tablet (100 mg strength) under fasted conditions.
 Treatment B: a single dose of 100 mg GB1211 as two capsules (50 mg strength) under fasted conditions.
 Treatment C: a single dose of 100 mg GB1211 as a tablet (100 mg strength) under fed conditions.

Statistical comparisons of plasma PK parameters of selvigaltin

Parameter ^a	Treatment A		Treatment B		Treatment C		Treatment A versus B	Treatment C versus A
	N	GLSM	N	GLSM	N	GLSM	GMR ^b (90% CI ^b)	GMR ^b (90% CI ^b)
C_{max} (ng/ml)	13	1090.0	12	418.0	12	878.0	261.4 (219.1–311.9)	80.4 (67.4–95.9)
AUC_{0-last} (h*ng/ml)	13	11700.0	12	6220.0	12	11600.0	187.5 (169.7–207.1)	99.1 (89.7–109.5)
AUC_{0-inf} (h*ng/ml)	13	11800.0	12	6370.0	12	11600.0	184.3 (168.0–202.2)	99.0 (90.3–108.6)