

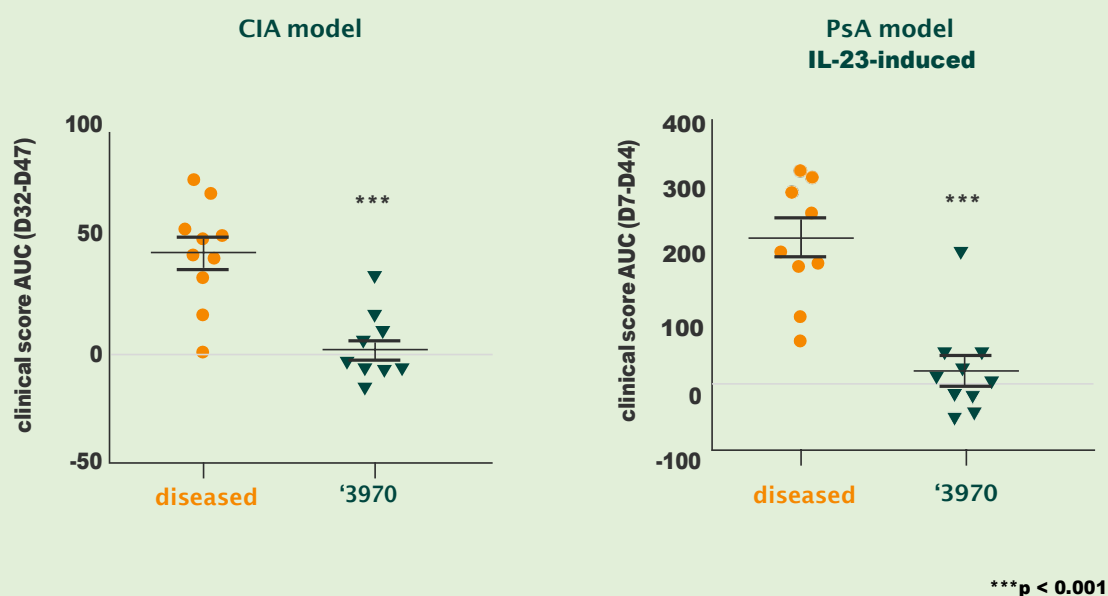


## Our Toledo program

'Toledo' is a code name for a novel target class discovered by us. Molecules inhibiting this target family effectuate a dual mode of action on inflammation by stimulating anti-inflammatory cytokines and inhibiting pro-inflammatory cytokines. We have observed unprecedented activity in various inflammatory preclinical models with compounds targeting this class.

Below are the results for Toledo compound, GLPG3970, in two preclinical models, each demonstrating a different mechanism of arthritis:

### Efficacy in arthritis models with '3970



### Robust efficacy demonstrated across preclinical models of arthritis

Source: internal data on file

The development strategy for Toledo is to advance multiple Toledo candidates across different selectivity profiles, and to test these in a broad panel of *in vivo* disease models targeting a number of indications.

We are now executing on a broad program to discover and develop multiple series of compounds acting on the Toledo class of targets, aimed at activity across numerous conditions, with a key focus on inflammation.

We initiated our first Phase 1 trial with GLPG3312 in early 2019 to evaluate the efficacy, safety, tolerability, and pharmacokinetics and pharmacodynamics of GLPG3312 in healthy volunteers. Later in the year we announced the start of a Phase 1 trial with the second Toledo compound, GLPG3970. We expect to launch multiple proof-of-concept patient trials in the second half of 2020 and expect to report topline data from our first patient study towards the end of the year.

The graph below shows the current status of our Toledo program. The different disease areas that we are currently investigating are IBD, RA, psoriasis (Pso), systemic lupus erythematosus (SLE), OA, osteoporosis (OP), and fibrosis (Fib). The first generation Toledo compound, GLPG3312, has delivered promising preclinical results in IBD,

RA, Pso, PsA, SLE, and Fib. The second generation compound, GLPG3970, has shown promising preclinical results in IBD, RA, Pso, SLE, OP and Fib. The third-generation compound, GLPG4399, has shown promising results in RA and Pso, with preclinical readouts in SLE, OP, and Fib expected in the course of 2020. A fourth and fifth generation are currently in the lead optimization (LO) stage. At the time of publication of this report, it was decided to temporarily pause the start of Phase 1 studies, given de COVID-19 pandemic.

## Our Toledo development strategy

- Develop multiple candidates across different profiles
- Test in broad panel of *in vivo* disease models
- Run multiple PoC trials in patients in parallel to maximize potential

### Toledo: robust activity in *in vivo* models

		IBD	RA	Pso	PsA	SLE	OP	Fib
PanTOL	'3312	Green	Green	Green	Green	Green	Orange	Green
TOL2/3	'3970	Green	Green	Green	Green	Green	Green	Green
TOL3	'4399	Orange	Green	Orange	Green	2020	2020	2020
4 <sup>th</sup> gen	LO	2020						
5 <sup>th</sup> gen	LO	2020						

Green: preclinical activity; orange: insufficient preclinical activity

IBD: inflammatory bowel disease; RA: rheumatoid arthritis; Pso: psoriasis; PsA: psoriatic arthritis; SLE: systemic lupus erythematosus; OP: osteoporosis; Fib: fibrosis

Gilead has an option to in-license the ex-European commercial rights to each of the Toledo molecules following completion of Phase 2 trials.