



**Xcess Biosciences Inc.**

7144 N Harlem Ave #169

Chicago, IL 60631 USA

<http://www.xcessbio.com>

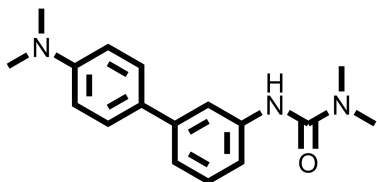
Toll free: 1-866-706-2330

Fax: 1-619- 810-0718

Email: [info@xcessbio.com](mailto:info@xcessbio.com)

## Adipose Triglyceride Lipase (ATGL) Inhibitor – Atglistatin

**Chemical Name:** 3-(4'-(dimethylamino)-[1,1'-biphenyl]-3-yl)-1,1-dimethylurea



Molecular Weight:	283.37
Formula:	C <sub>17</sub> H <sub>21</sub> N <sub>3</sub> O
Purity:	≥98%
CAS#:	1469924-27-3
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

### Biological Activity:

Atglistatin is a highly potent, selective and competitive inhibitor of adipose triglyceride lipase (ATGL) with an IC<sub>50</sub> of ~0.7 μM for inhibition of lipolysis in vitro, but no toxicity up to a concentration of 50 μM. Atglistatin inactivated ATGL in the presence or absence of the ATGL co-activator CGI-58. It does not displace ATGL from lipid droplets of adipocytes. Immunoprecipitation experiments revealed that Atglistatin does not interfere with the interaction of ATGL and CGI-58. Atglistatin inhibited TG hydrolase activity of wild-type WAT in a dose-dependent manner up to 78% in animal models. It was highly effective in inhibiting lipolysis in WAT organ cultures of wild-type mice. Dose and time-dependent inhibition of lipolysis was also observed in fasted wild-type C57Bl/6J mice. Atglistatin can serve as a very useful tool compound to study the pathophysiology and druggability of ATGL in animal models of metabolic disease and cachexia.

### How to Use:

**In vitro:** Atglistatin was used at 10 μM final concentration in vitro and in cellular assays.

**In vivo:** Atglistatin was administered orally by gavage in olive oil (200 μl) or by intraperitoneal injection. For intraperitoneal administration, Atglistatin hydrochloride was generated by the addition of 25% HCl, resulting in a water-soluble compound. For intraperitoneal injection, the inhibitor was dried, excess HCl was buffered with Tris base and Atglistatin was dissolved in PBS containing 0.25% Cremophor EL (pH 7.1; Sigma Chemical Co.). Dosing concentration of Atglistatin is 200 μmol/kg.

### Reference:

1. Mayer N, et al. Development of small-molecule inhibitors targeting adipose triglyceride lipase. (2013) Nat Chem Biol. 9(12):785-7.

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