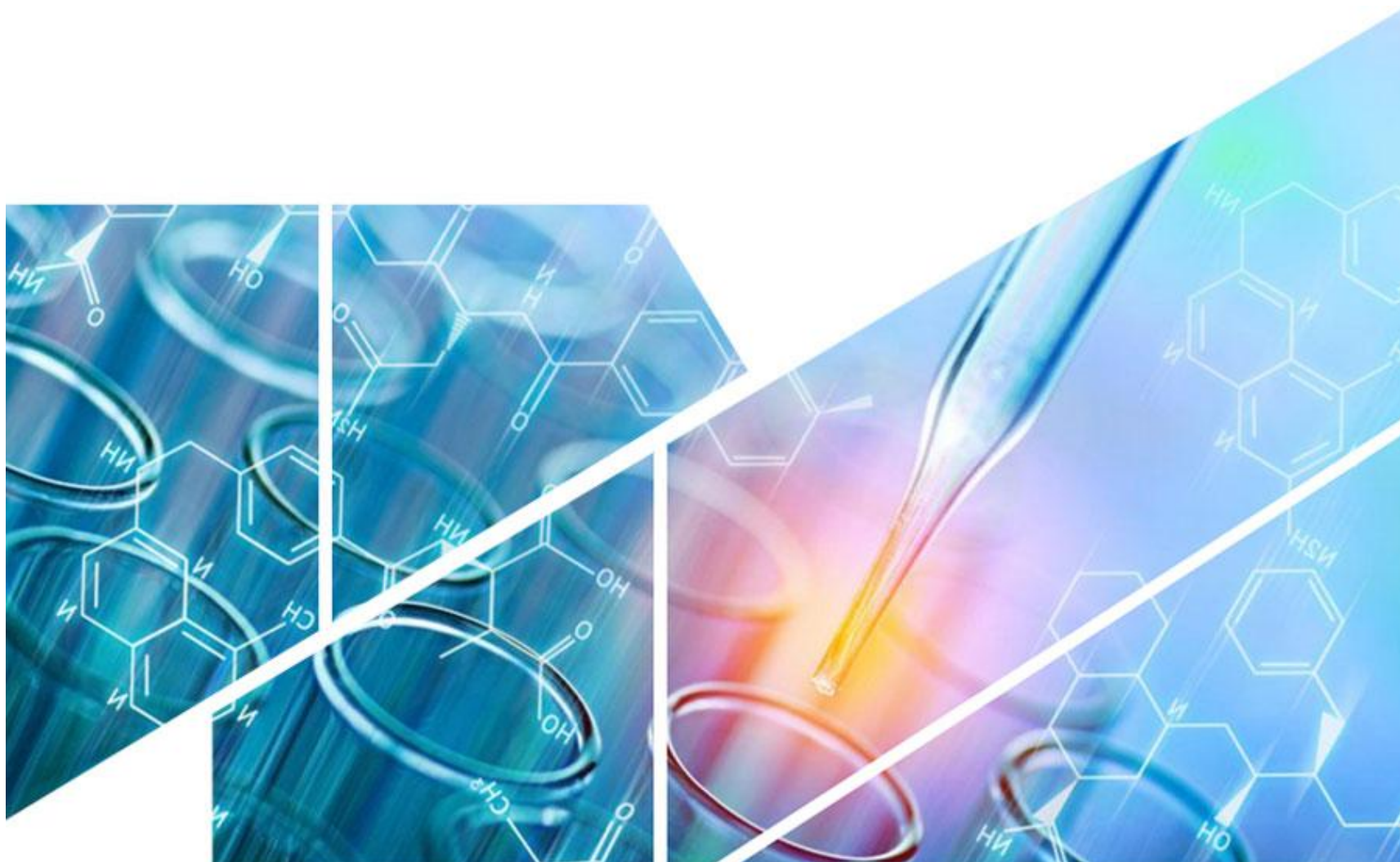


## DGAT Inhibitors

### (inhibitors, agonists and modulators)



Diglyceride acyltransferase (or O-acyltransferase), DGAT, catalyzes the formation of triglycerides from diacylglycerol and Acyl-CoA. The reaction catalyzed by DGAT is considered the terminal and only committed step in triglyceride synthesis and to be essential for the formation of adipose tissue. The protein is homologous to other membrane-bound O-acyltransferases.



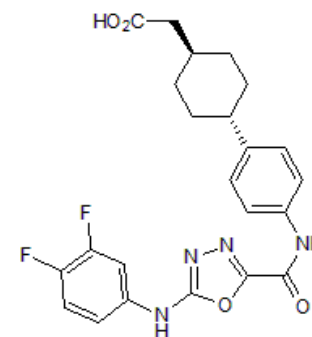
**AZD 3988 - CAS 892489-52-0**

**Catalog Number:**

**Molecular Weight:** 456.44

**Molecular Formula:** C<sub>23</sub>H<sub>22</sub>F<sub>2</sub>N<sub>4</sub>O<sub>4</sub>

**Description:** AZD 3988 is a selective and potent diacylglycerol O-acyltransferase (DGAT) enzyme inhibitor with IC<sub>50</sub> value of 0.6 nM. It is selective for DGAT-1 over DGAT-2, cytochrome P450 enzymes and Kv11.1 (hERG). It suppresses adipose tissue TAG synthesis and triacylglyceride (TAG) plasma excursion in rats.



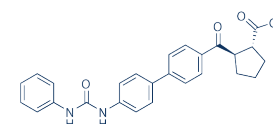
**A922500 - CAS 959122-11-3**

**Catalog Number:** 959122-11-3

**Molecular Weight:** 428.48

**Molecular Formula:** C<sub>26</sub>H<sub>24</sub>N<sub>2</sub>O<sub>4</sub>

**Description:** A922500 is an inhibitor for human and mouse DGAT-1 with IC<sub>50</sub> of 7 nM and 24 nM, respectively, good selectivity over related acyltransferases, hERG, and a panel of anti-targets.



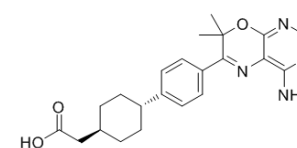
**T863 - CAS 701232-20-4**

**Catalog Number:** 701232-20-4

**Molecular Weight:** 394.47

**Molecular Formula:** C<sub>22</sub>H<sub>26</sub>N<sub>4</sub>O<sub>3</sub>

**Description:** T-863 is an orally active, selective and potent DGAT1 (Acyl-CoA:diacylglycerol acyltransferase 1) inhibitor that interacts with the acyl-CoA binding site of DGAT1, and inhibits triacylglycerol synthesis in cells. It causes weight loss, reduction in serum and liver triglycerides, and improved insulin sensitivity in obese mice.



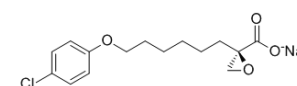
**(R)-((addition))-Etomoxir sodium salt - CAS 828934-41-4**

**Catalog Number:** 828934-41-4

**Molecular Weight:** 320.74

**Molecular Formula:** C<sub>15</sub>H<sub>18</sub>ClNaO<sub>4</sub>

**Description:** (R)-((addition))-Etomoxir sodium salt is a potent inhibitor of carnitine palmitoyltransferase I (CPT1), which inhibits β-oxidation in mitochondria. It has shown to inhibit cardiolipin biosynthesis from exogenous fatty acid in H9c2 cells.



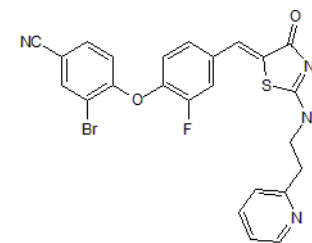
### JNJ DGAT2-A - CAS 1962931-71-0

**Catalog Number:**

**Molecular Weight:** 523.38

**Molecular Formula:** C<sub>24</sub>H<sub>16</sub>BrFN<sub>4</sub>O<sub>2</sub>S

**Description:** JNJ DGAT2-A has been found to be a DGAT2 inhibitor (IC<sub>50</sub> = 140 nM) and also a useful intermediate.



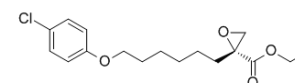
### Etomoxir - CAS 124083-20-1

**Catalog Number:** 124083-20-1

**Molecular Weight:** 326.82

**Molecular Formula:** C<sub>17</sub>H<sub>23</sub>ClO<sub>4</sub>

**Description:** An inhibitor of carnitine palmitoyltransferase A (CPT1), which is required for the oxidation of long-chain acyl CoA esters. A strong inhibitor of mitochondrial CPT1 and is a candidate as an anti-diabetic drug.



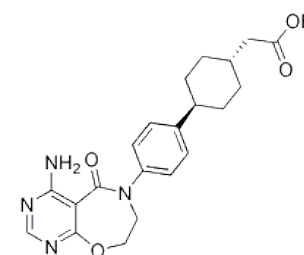
### PF-04620110 - CAS 1109276-89-2

**Catalog Number:** 1109276-89-2

**Molecular Weight:** 396.44

**Molecular Formula:** C<sub>21</sub>H<sub>24</sub>N<sub>4</sub>O<sub>4</sub>

**Description:** PF-04620110 is an orally active, selective and potent diglyceride acyltransferase-1 (DGAT1) inhibitor with IC<sub>50</sub> of 19 nM.



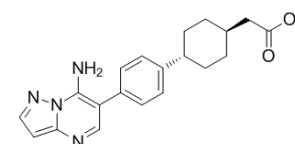
### ABT-046 - CAS 1031336-60-3

**Catalog Number:** 1031336-60-3

**Molecular Weight:** 350.41

**Molecular Formula:** C<sub>20</sub>H<sub>22</sub>N<sub>4</sub>O<sub>2</sub>

**Description:** ABT-046 is a potent, selective, and orally bioavailable Diacylglycerol acyltransferase 1 (DGAT-1) inhibitor (IC<sub>50</sub>=8 nM).



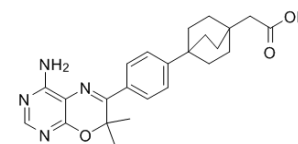
### **DGAT-1 inhibitor 2 - CAS 942999-61-3**

**Catalog Number:** 942999-61-3

**Molecular Weight:** 420.5

**Molecular Formula:** C<sub>24</sub>H<sub>28</sub>N<sub>4</sub>O<sub>3</sub>

**Description:** DGAT-1 inhibitor 2 is an effective inhibitor of DGAT-1, which is one of two known DGAT enzymes that catalyze the final step in triglyceride synthesis. It is a promising strategy for the treatment of obesity and type 2 diabetes.



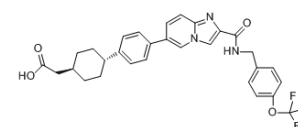
### **DGAT1-IN-1 - CAS 1449779-49-0**

**Catalog Number:** 1449779-49-0

**Molecular Weight:** 551.56

**Molecular Formula:** C<sub>30</sub>H<sub>28</sub>F<sub>3</sub>N<sub>3</sub>O<sub>4</sub>

**Description:** Imidazopyridine and imidazothiazole compounds as inhibitors of diacylglycerol o-acyltransferase type 1 enzyme and their preparation.



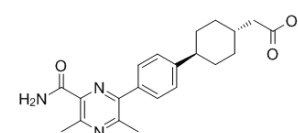
### **AZD7687 - CAS 1166827-44-6**

**Catalog Number:** 1166827-44-6

**Molecular Weight:** 367.44

**Molecular Formula:** C<sub>21</sub>H<sub>25</sub>N<sub>3</sub>O<sub>3</sub>

**Description:** AZD7687 is a potent and selective DGAT1 inhibitor with an IC<sub>50</sub> value of 80 nM (hDGAT1).



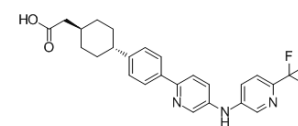
### **LCQ-908 - CAS 956136-95-1**

**Catalog Number:** 956136-95-1

**Molecular Weight:** 455.47

**Molecular Formula:** C<sub>25</sub>H<sub>24</sub>F<sub>3</sub>N<sub>3</sub>O<sub>2</sub>

**Description:** LCQ-908 is a new generation of diacylglycerol acyltransferase 1 (DGAT1) inhibitor as anti-obesity and anti-diabetic agents. It is now in phase II clinical trials.



**PF-06424439 - CAS 1469284-78-3**

**Catalog Number:** 1469284-78-3

**Molecular Weight:** 439.94

**Molecular Formula:** C<sub>22</sub>H<sub>26</sub>ClN<sub>7</sub>O

**Description:** PF-06424439, an imidazo-pyridin derivative, has been found to be a selective DGAT2 inhibitor that could be probably effective against dyslipidemic rodent in animal models.

