# Product Data Sheet

Product Name: AZD7687
Cat. No.: GC11475

## Chemical Properties

| | | | |
|---|---|---|---|
| Cas. No. | 1166827-44-6 | | |
| Chemical Name | 2-[4-[4-(6-carbamoyl-3,5-dimethylpyrazin-2-yl)phenyl]cyclohexyl]acetic acid | | |
| SMILES | CC1=C(N=C(C(=N1)C)C(=O)N)C2=CC=C(C=C2)C3CCC(CC3)CC(=O)O | | |
| Formula | $C_{21}H_{25}N_3O_3$ | M.Wt | 367.44 |
| Solubility | Soluble in DMSO | Storage | Store at -20°C |
| General tips | For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months. | | |
| Shipping Condition | Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request. | | |
| Structure | AZD7687 | | |

## Background

AZD7687 is a potent and selective inhibitor of diacylglycerol acyltransferase 1 (DGAT1) with IC50 value of 80 nM [1].
DGAT1 is a diacylglycerol acyltransferase that found to be an important target in treatment for metabolic syndrome such as obesity and diabetes. As a highly potent and selective inhibitor of DGAT1, AZD7687 inhibited the activity of human DGAT1 with IC50 value of 0.8 μM. AZD7687 showed more less inhibitory effects on ACAT1with IC50 value of 34 μM, thus causing no toxicological side effects. Besides that, AZD7687 had no significant effects on human DGAT2, ACAT2 and other enzymes involved in metabolism including PDE10A1 (IC50 value of 5.5 μM), muscarinic M2 receptor (IC50 value of 80.5 μM) and fatty acid amide hydrolase (IC50 value of 3.7 μM) [1].
AZD7687 displayed similar inhibitory effects on recombinant human DGAT1 and DGAT1 expressed in human liver microsome with IC50 values of 80 and 70 nM, respectively. In human intestinal HuTu80 cells, AZD7687 exerted higher potency with IC50 value of 10 nM which was the same as that tested in human adipose tissue [1].


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In the OLLT assay tested in rats, administration of AZD7687 was found to inhibit the appearance of TAG in plasma potently with IC50 value of 42 nM. In adipose tissue, AZD7687 also dose-dependently reduced the formation of TAG with IC50 value of 132 nM. In some models of preclinical metabolic diseases, administration of AZD7687 showed various positive effects including improving insulin sensitivity, enhancing GLP-1 secretion, reducing atherosclerosis and delaying gastric emptying. However, AZD7687 exerted some degree of adverse skin changes in animal experiments. Oral administration of AZD7687 caused sebaceous glandatrophy in the skin both in mice and in dogs [1 and 2].

*References:*

*[1] Barlind JG, Bauer UA, Birch AM, Birtles S, Buckett LK, Butlin RJ, Davies RD, Eriksson JW, Hammond CD, Hovland R, Johannesson P, Johansson MJ, Kemmitt PD, Lindmark BT, Morentin Gutierrez P, Noeske TA, Nordin A, O'Donnell CJ, Petersson AU, Redzic A, Turnbull AV, Vinblad J. Design and optimization of pyrazinecarboxamide-based inhibitors of diacylglycerol acyltransferase 1 (DGAT1) leading to a clinical candidate dimethylpyrazinecarboxamide phenylcyclohexylacetic acid (AZD7687). J Med Chem. 2012 Dec 13;55(23):10610-29.*

*[2] Floettmann E, Lees D2, Seeliger F3, Jones HB2. Pharmacological Inhibition of DGAT1 Induces Sebaceous Gland Atrophy in Mouse and Dog Skin While Overt Alopecia Is Restricted to the Mouse. Toxicol Pathol. 2014 Aug 11.*


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