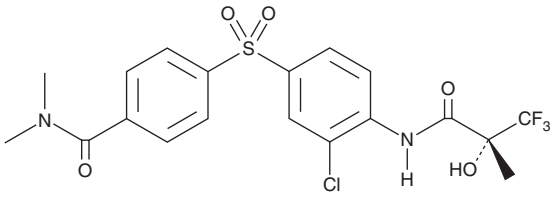
PRODUCT INFORMATION

AZD 7545

Item No. 19282

CAS Registry No.:	252017-04-2
Formal Name:	4-[[3-chloro-4-[[(2R)-3,3,3-trifluoro-2-hydroxy-2-methyl-1-oxopropyl]amino]phenyl]sulfonyl]-N,N-dimethyl-benzamide
MF:	$C_{19}H_{18}ClF_3N_2O_5S$
FW:	478.9
Purity:	≥98%
UV/Vis.:	λ_{max}: 208, 273 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

AZD 7545 is supplied as a crystalline solid. A stock solution may be made by dissolving the AZD 7545 in the solvent of choice, which should be purged with an inert gas. AZD 7545 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of AZD 7545 in ethanol and DMF is approximately 20 mg/ml and approximately 50 mg/ml in DMSO.

AZD 7545 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AZD 7545 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. AZD 7545 has a solubility of approximately 0.02 mg/ml in a 1:40 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

AZD 7545 is an inhibitor of pyruvate dehydrogenase (PDH) kinases (PDKs), resulting in an increase in PDH activity with an EC_{50} value of 5.2 nM for PDK2.[1,2] It less potently inhibits PDK1 and PDK3 (IC_{50}s = 87 and 600 nM, respectively).[3] AZD 7545 stimulates pyruvate oxidation in rat hepatocytes (EC_{50} = 105 nM) and significantly elevates muscle PDH activity in obese Zucker rats.[1] It elevates postprandial glucose levels and improves the 24 hour glucose profile in obese, insulin-resistant rats, compared with lean counterparts.[1]

References

1. Mayers, R.M., Butlin, R.J., Kilgour, E., *et al.* AZD7545, a novel inhibitor of pyruvate dehydrogenase kinase 2 (PDHK2), activates pyruvate dehydrogenase *in vivo* and improves blood glucose control in obese (*fa/fa*) Zucker rats. *Biochem. Soc. Trans.* **31(Pt 6)**, 1165-1167 (2003).
2. Morrell, J.A., Orme, J., Roche, T.E., *et al.* AZD7545 is a selective inhibitor of pyruvate dehydrogenase kinase 2. *Biochem. Soc. Trans.* **31(Pt 6)**, 1168-1170 (2016).
3. Kato, M., Li, J., Chuang, J.L., *et al.* Distinct structural mechanisms for inhibition of pyruvate dehydrogenase kinase isoforms by AZD7545, dichloroacetate, and radicicol. *Structure* **15(8)**, 992-1004 (2007).

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