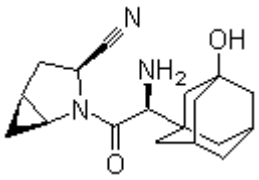
Product Introduction

Saxagliptin

Saxagliptin is a selective and reversible DPP4 **inhibitor with** IC50 **of 26 nM.**

Technical Data:

Molecular Weight (MW):	315.41	
Formula:	$C_{18}H_{25}N_3O_2$	
Solubility (25℃) * <1 mg/ml means slightly soluble or insoluble:	DMSO 63 mg/mL (199 mM)	
	Water 63 mg/mL (199 mM)	
	Ethanol 24 mg/mL (76 mM)	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	361442-04-8	

Biological Activity

Saxagliptin has an inhibition constant Ki of 1.3 nM for DPP4 inhibition, which is 10-fold more potent than either vildagliptin or sitagliptin (another two DPP4 inhibitors) with K_i of 13 and 18 nM. In addition, Saxagliptin demonstrates greater specificity for DPP4 than for either the DPP8 or DPP9 enzymes (400- and 75- fold, respectively). The active metablite of saxagliptin is two-fold less potent than the parent. Both Saxagliptin and its metabolite are highly selective (>4000-fold) for the prevention of DPP4 compared with a range of other proteases (selectivity of sitagliptin and vildagliptin for DPP4 is >2600 and <250-fold,

respectively, compared with DPP8 and DPP9). [2] Saxagliptin reduces the degradation of the incretin hormone glucagon-like peptide-1, thereby enhancing its actions, and is associated with improved β-cell function and suppression of glucagon secretion. [3]

Maximal responses of Saxagliptin in glucose excursion in Zucker$^{fa/fa}$ rats are associated with plasma DPP4 inhibition of approximately 60% vs. control, and no additional antihyperglycemic effects are seen at higher percent inhibition. Saxagliptin is highly effective at eliciting marked dose-dependent enhancements in glucose clearance in the dose range 0.13-1.3 mg/kg in ob/ob mice relative to controls. Saxagliptin dose-dependently elevate plasma insulin significantly at 15 min post-oGTT, with concomitant improvement in the glucose clearance curves at 60 min post-oGTT. [4]

References

[1] Tahrani AA, et al. Adv Ther. 2009, 26(3), 249-262.
[2] Richter B, et al. Vasc Health Risk Manag. 2008, 4(4), 753-768.
[3] Deacon CF, et al. Adv Ther. 2009, 26(5), 488-499.
[4] Augeri DJ, et al. J Med Chem. 2005, 48(15), 5025-5037.

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