**BIOLOGICAL ACTIVITY:**
Saxagliptin (BMS477118) is a selective and reversible DPP4 inhibitor with IC50 of 26 nM and Ki of 1.3 nM.

IC50 value: 26 nM [1]
Target: DPP4

In vitro: 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 Ki 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 metabolite 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.

In vivo: 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:**

**Caution: Product has not been fully validated for medical applications. For research use only.**
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