# PRODUCT INFORMATION

## Teneligliptin (hydrobromide hydrate)

*Item No. 29515*

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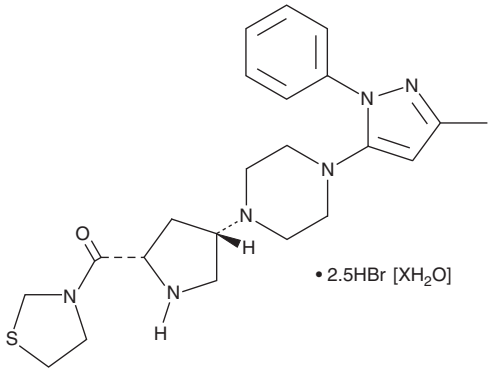
| **CAS Registry No.:** | 1572583-29-9 |
| **Formal Name:** | [(2S,4S)-4-[4-(3-methyl-1-phenyl-1H-pyrazol-5-yl)-1-piperazinyl]-2-pyrrolidinyl]-3-thiazolidinyl-methanone, hydrobromide hydrate |
| **MF:** | $C_{22}H_{30}N_6OS \bullet 2.5HBr\ [XH_2O]$ |
| **FW:** | 426.6 |
| **Purity:** | ≥98% |
| **UV/Vis.:** | $\lambda_{max}$: 246 nm |
| **Supplied as:** | A crystalline solid |
| **Storage:** | -20°C |
| **Stability:** | ≥4 years |




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

### Laboratory Procedures

Teneligliptin (hydrobromide hydrate) is supplied as a crystalline solid. A stock solution may be made by dissolving the teneligliptin (hydrobromide hydrate) in the solvent of choice, which should be purged with an inert gas. Teneligliptin (hydrobromide hydrate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of teneligliptin (hydrobromide hydrate) in ethanol is approximately 2 mg/ml and approximately 30 mg/ml in DMSO and DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of teneligliptin (hydrobromide hydrate) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of teneligliptin (hydrobromide hydrate) in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

### Description

Teneligliptin is a dipeptidyl peptidase 4 (DPP-4) inhibitor ($IC_{50}$s = 0.37 and 0.29 nM for the human and rat enzymes, respectively).[1] It is selective for DPP-4 over DPP-8 and DPP-9 ($IC_{50}$s = 260 and 540 nM, respectively, for the human enzymes). Teneligliptin (0.03-1 mg/kg) inhibits increases in plasma glucose levels in an oral glucose tolerance test in Zucker fatty rats. It reduces body weight, inhibits hepatic steatosis, and decreases plasma insulin levels in a mouse model of high-fat diet-induced obesity when administered at doses of 30 and 60 mg/kg.[2] Teneligliptin scavenges hydroxyl radicals in a cell-free assay ($IC_{50}$ = 0.315 mM) and decreases urinary levels of 8-hydroxy-2′-deoxyguanosine (8-OHdG; Item No. 89320), a marker of oxidative stress, in a DPP-4-deficient rat model of diabetes induced by streptozotocin (STZ; Item No. 13104) when administered at a dose of 10 mg/kg per day.[3]

### References


1. Yoshida, T., Akahoshi, F., Sakashita, H., *et al. Bioorg. Med. Chem.* **20(19)**, 5705-5719 (2012).
2. Fukuda-Tsuru, S., Kakimoto, T., Utsumi, H., *et al. Eur. J. Pharmacol.* **723**, 207-215 (2014).
3. Kimura, S., Inoguchi, T., Yamasaki, T., *et al. Metabolism* **65(3)**, 138-145 (2016).





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