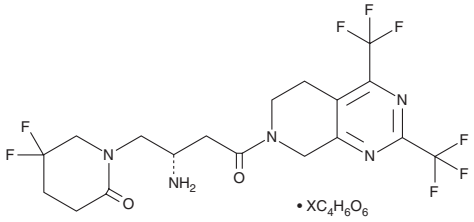
PRODUCT INFORMATION

Gemigliptin (tartrate)

Item No. 36016

CAS Registry No.: 1374639-74-3
Formal Name: 1-[(2S)-2-amino-4-[5,8-dihydro-2,4-*bis*(trifluoromethyl)pyrido[3,4-d]pyrimidin-7(6H)-yl]-4-oxobutyl]-5,5-difluoro-2-piperidinone, (2R,3R)-2,3-dihydroxybutanedioate
MF: $C_{18}H_{19}F_8N_5O_2 \bullet XC_4H_6O_6$
FW: 489.4
Purity: ≥98%
Supplied as: A 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

Gemigliptin (tartrate) is supplied as a solid. A stock solution may be made by dissolving the gemigliptin (tartrate) in the solvent of choice, which should be purged with an inert gas. Gemigliptin (tartrate) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of gemigliptin (tartrate) in these solvents is approximately 10 and 25 mg/ml, respectively. Gemigliptin (tartrate) is also slightly soluble in ethanol.

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 gemigliptin (tartrate) can be prepared by directly dissolving the solid in aqueous buffers. The solubility of gemigliptin (tartrate) in PBS (pH 7.2) is approximately 1 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Gemigliptin is an inhibitor of dipeptidyl peptidase 4 (DPP-4; IC_{50} = 0.01 µM).[1] It is selective for DPP-4 over DPP-8, DPP-9, and fibroblast activation protein-α (FAP-α; IC_{50}s = 277.28, 233.72, 418.43 µM, respectively). Gemigliptin inhibits DPP-4 activity in isolated human, rat, dog, and monkey plasma (IC_{50}s = 11.5, 14.5, 14.5, and 14 nM, respectively). It scavenges methylglyoxal *in vitro* and inhibits advanced glycation end product (AGE) formation between BSA and methylglyoxal and cross-linking of AGE-BSA with rat tail tendon collagen (IC_{50}s = 1.15, 11.69, and 1.39 mM, respectively).[2] Gemigliptin (100 mg/kg) reduces the levels of circulating AGE and red blood cell IgG content in a *db/db* mouse model of diabetes when administered for 12 weeks. It decreases blood glucose and hemoglobin A1c (HbA1c) levels and reduces pancreatic β-cell damage in a dose-dependent manner in a mouse model of diabetes induced by a high-fat diet and streptozotocin (STZ; Item No. 13104).[1] Gemigliptin also induces cytotoxicity in SW1736 and TPC-1 human thyroid cancer cells.[3,4] Formulations containing gemigliptin have been used in the treatment of type 2 diabetes.

References

1. Kim, S.-H., Jung, E., Yoon, M.K., *et al. Eur. J. Pharmacol.* **788**, 54-64 (2016).
2. Jung, E., Kim, J., Kim, S.H., *et al. Eur. J. Pharmacol.* **744**, 98-102 (2014).
3. Kim, S.H., Kang, J.G., Kim, C.S., *et al. J. Endocrinol. Invest.* **41(6)**, 677-689 (2018).
4. Kim, S.H., Kang, J.G., Kim, C.S., *et al. Endocrine* **59(2)**, 383-394 (2018).

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