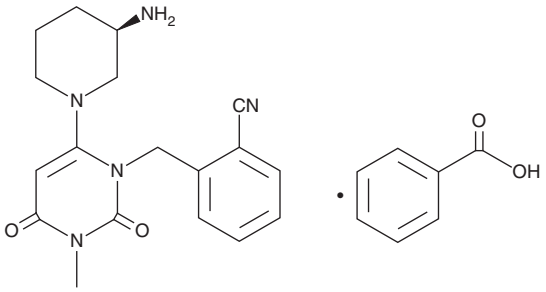
PRODUCT INFORMATION

Alogliptin (benzoate salt)

Item No. 23768

CAS Registry No.: 850649-62-6
Formal Name: 2-[[6-[(3R)-3-amino-1-piperidinyl]-3,4-dihydro-3-methyl-2,4-dioxo-1(2H)-pyrimidinyl]methyl]-benzonitrile, monobenzoate
Synonym: SYR-322
MF: $C_{18}H_{21}N_5O_2 \bullet C_7H_6O_2$
FW: 461.5
Purity: ≥98%
UV/Vis.: λ_{max}: 225, 273 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

Alogliptin (benzoate salt) is supplied as a crystalline solid. A stock solution may be made by dissolving the alogliptin (benzoate salt) in the solvent of choice. Alogliptin (benzoate salt) is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of alogliptin (benzoate salt) in these solvents is approximately 0.1 mg/ml. Alogliptin (benzoate salt) 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 alogliptin (benzoate salt) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of alogliptin (benzoate salt) in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Alogliptin is an orally bioavailable dipeptidyl peptidase 4 (DPP-4) inhibitor (IC_{50} = 6.9 nM) that is selective for DPP-4 over DPP-2, DPP-8, DPP-9, prolyl endopeptidase, fibroblast activation protein (FAP), and tryptase (IC_{50}s = >100,000 nM).[1] It does not inhibit cytochrome P450 enzymes and does not block the human ether-a-go-go-related gene (hERG) channel when used at concentrations up to 30 µM.[2] Alogliptin inhibits DPP-4 activity *in vivo* in rats, dogs, and cynomolgus monkeys (EC_{50}s = 3.4, 4.9, and 5.6 ng/ml, respectively, in plasma).[1] It increases plasma glucagon-like peptide-1 (GLP-1; Item No. 24460) and insulin levels and decreases blood glucose levels during an oral glucose challenge in Zucker *fa/fa* rats when administered at a dose of 10 mg/kg. Alogliptin (2.8 mg/kg per day) decreases plasma DPP-4 activity and increases GLP-1 levels in diabetic *ob/ob* mice when administered for 29 days.[3] Formulations containing alogliptin have been used as an adjunct treatment for type 2 diabetes mellitus.

References

1. Lee, B., Shi, L., Kassel, D.B., *et al. Eur. J. Pharmacol.* **589(1-3)**, 306-314 (2008).
2. Feng, J., Zhang, Z., Wallace, M.B., *et al. J. Med Chem.* **50(10)**, 2297-2300 (2007).
3. Moritoh, Y., Takeuchi, K., Asakawa, T., *et al. Eur. J. Pharmacol.* **588(2-3)**, 325-332 (2008).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM