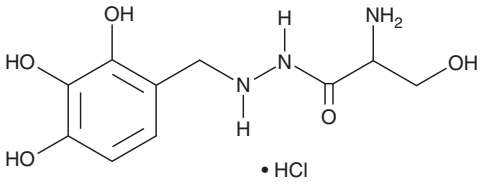
PRODUCT INFORMATION

Benserazide (hydrochloride)

Item No. 20298

CAS Registry No.:	14919-77-8
Formal Name:	2-[(2,3,4-trihydroxyphenyl)methyl] hydrazide-serine, monohydrochloride
Synonym:	Ro 4-4602
MF:	$C_{10}H_{15}N_3O_5 \bullet HCl$
FW:	293.7
Purity:	≥95%
UV/Vis.:	λ_{max}: 338 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

Benserazide (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the benserazide (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Benserazide (hydrochloride) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of benserazide (hydrochloride) in these solvents is approximately 16 and 20 mg/ml, respectively.

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 benserazide (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of benserazide (hydrochloride) in PBS, pH 7.2, is approximately 5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Benserazide is a peripherally restricted inhibitor of aromatic L-amino acid decarboxylase (AADC; IC_{50} = 0.53 µM).[1] It also inhibits tryptophan oxygenase and kynureninase (K_is = 41.8 and 26.4 µM, respectively, in rat liver homogenates), as well as hexokinase 2 (HK2), HK1, and HK4 (IC_{50}s = 5.5, 25.1, and 40.5 µM, respectively, for the recombinant human enzymes).[2,3] Benserazide (50-400 µM) is cytotoxic to SW480 colorectal cancer cells, an effect that can be reversed by *HK2* siRNA knockdown, and inhibits proliferation of SW480, LoVo, HCT116, MCF-7, and SMMC-7721 cancer cells with IC_{50} values of 143, 151, 181.4, 186, and 210.4 nM, respectively.[3] It reduces tumor growth in an SW480 mouse xenograft model when administered at doses of 300 and 600 mg/kg per day for 16 days. Benserazide (10 and 50 mg/kg) inhibits striatal AADC and enhances L-DOPA-induced increases in striatal dopamine levels in a mouse model of Parkinson's disease induced by 6-OHDA (Item No. 25330).[4]

References

1. Schultz, E. *Biomed. Chromatogr.* **4(6)**, 242-244 (1990).
2. Bender, D.A. *Biochem. Pharmacol.* **29(5)**, 707-712 (1980).
3. Li, W., Zheng, M., Wu, S., *et al. J. Exp. Clin. Cancer Res.* **36(1)**, 58 (2017).
4. Shen, H., Kannari, K., Yamato, H., *et al. Tohoku J. Exp. Med.* **199(3)**, 149-159 (2003).

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