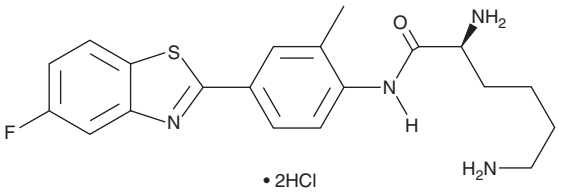
PRODUCT INFORMATION

Phortress

Item No. 15342

CAS Registry No.:	328087-38-3
Formal Name:	2S,6-diamino-N-[4-(5-fluoro-2-benzothiazolyl)-2-methylphenyl]-hexanamide, dihydrochloride
Synonym:	NSC 710305
MF:	$C_{20}H_{23}FN_4OS \bullet 2HCl$
FW:	459.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 210, 325 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

Phortress is supplied as a crystalline solid. A stock solution may be made by dissolving the phortress in the solvent of choice, which should be purged with an inert gas. Phortress is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of phortress in these solvents is approximately 30 and 10 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 phortress can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of phortress in PBS (pH 7.2) is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

The aryl hydrocarbon receptor (AhR) is a ligand-activated transcription factor that promotes the expression of phase I and II xenobiotic chemical metabolizing enzyme genes, including the cytochrome P450 (CYP) isoforms CYP1A1 and CYP1A2. Phortress is a lysyl amide prodrug of 5-fluoro 203 (Item No. 17677), a high affinity AhR ligand that elicits antitumor activity by inducing transcription of CYP1A1, which leads to the formation of DNA adducts and cell cycle arrest.[1,2] Phortress rapidly reverts to 5-fluoro 203 in carcinoma cell lines, resulting in significant growth inhibition at nanomolar concentrations.[2] At 20 mg/kg, phortress can suppress the growth of breast and ovarian xenografts *in vivo*.[2]

References

1. Bradshaw, T.D., Chua, M.-S., Browne, H.-L., *et al. In vitro* evaluation of amino acid prodrugs of novel antitumour 2-(4-amino-3-methylphenyl)benzothiazoles. *Br. J. Cancer* **86**, 1348-1354 (2002).
2. Bradshaw, T.D. and Westwell, A.D. The development of the antitumour benzothiazole prodrug, Phortress, as a clinical candidate. *Curr. Med. Chem.* **11**, 1241-1253 (2004).

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