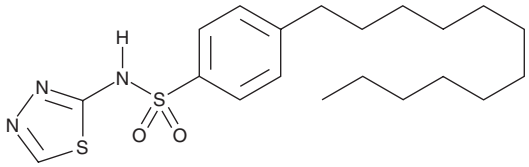
PRODUCT INFORMATION

PHT-427

Item No. 24188

CAS Registry No.:	1191951-57-1
Formal Name:	4-dodecyl-N-1,3,4-thiadiazol-2-yl-benzenesulfonamide
Synonym:	Akt Inhibitor XIV
MF:	$C_{20}H_{31}N_3O_2S_2$
FW:	409.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 226, 270 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

PHT-427 is supplied as a crystalline solid. A stock solution may be made by dissolving the PHT-427 in the solvent of choice, which should be purged with an inert gas. PHT-427 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of PHT-427 in these solvents is approximately 16 and 33 mg/ml, respectively.

PHT-427 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PHT-427 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. PHT-427 has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

PHT-427 is an inhibitor of the serine/threonine kinases Akt and phosphoinositide-dependent protein kinase-1 (PDPK1) with K_i values of 2.7 and 5.2 µM, respectively, that selectively binds to the pleckstrin homology binding domain of both kinases.[1] PHT-427 (10 µM) inhibits PDPK1 and Akt autophosphorylation in BxPC-3 prostate cancer cells. *In vivo*, PHT-427 (125-250 mg/kg) reduces tumor growth in BxPC-3, Panc-1, MiaPaCa-2, PC3, SKOV3, A549, and MCF-7 xenograft mouse models, with up to 80% reduction in growth for those containing PIK3CA mutations. PHT-427 (200 mg/kg) also enhances the antitumor effect of paclitaxel (Item No. 10461) in an MCF-7 breast cancer xenograft mouse model.

Reference

1. Meuillet, E.J., Zuohe, S., Lemos, R., *et al.* Molecular pharmacology and antitumor activity of PHT-427, a novel Akt/phosphatidylinositide-dependent protein kinase 1 pleckstrin homology domain inhibitor. *Mol. Cancer Ther.* **9(3)**, 706-717 (2010).

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