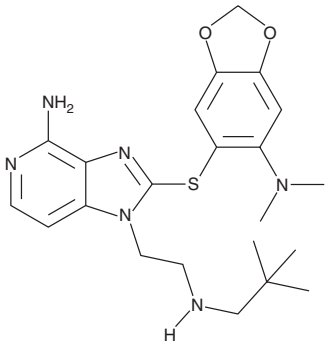
PRODUCT INFORMATION

CUDC-305

Item No. 24683



CAS Registry No.:	1061318-81-7
Formal Name:	4-amino-2-[[6-(dimethylamino)-1,3-benzodioxol-5-yl]thio]-N-(2,2-dimethylpropyl)-1H-imidazo[4,5-c]pyridine-1-ethanamine
MF:	$C_{22}H_{30}N_6O_2S$
FW:	442.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 208, 291 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

CUDC-305 is supplied as a crystalline solid. A stock solution may be made by dissolving the CUDC-305 in the solvent of choice, which should be purged with an inert gas. CUDC-305 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of CUDC-305 in ethanol and DMSO is approximately 5 mg/ml and approximately 10 mg/ml in DMF.

CUDC-305 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CUDC-305 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. CUDC-305 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

CUDC-305 is an orally bioavailable inhibitor of heat shock protein 90 (Hsp90; Item Nos. 22734 | 22735).[1] It binds to Hsp90α and Hsp90β (IC_{50}s = 100 and 103 nM for purified protein, respectively) as well as Hsp90 isolated from non-small cell lung cancer (NSCLC) cells resistant to erlotinib (Item No. 10483; IC_{50} = 70 nM).[1,2] CUDC-305 induces degradation of Hsp90 client proteins in BT-474 breast cancer cells and inhibits proliferation of 40 human cancer cell lines (IC_{50}s = 0.04-0.9 µM).[1] It also reduces the levels of several oncoproteins including Akt and phosphorylated Akt, and ERK1/2 and phosphorylated ERK1/2 in a variety of cancer cell lines. CUDC-305 (40-160 mg/kg) dose-dependently reduces tumor growth in a U87MG glioblastoma mouse xenograft model and, when administered at a dose of 160 mg/kg, prolongs survival in a U87MG mouse orthotopic model.

References

1. Bao, R., Lai, C.-J., Qu, H., *et al.* CUDC-305, a novel synthetic HSP90 inhibitor with unique pharmacologic properties for cancer therapy. *Clin Cancer Res.* **15(12)**, 4046-4057 (2009).
2. Bao, R., Lai, C.-J., Wang, D.-G., *et al.* Targeting heat shock protein 90 with CUDC-305 overcomes erlotinib resistance in non-small cell lung cancer. *Mol. Cancer Ther.* **8(12)**, 3296-3306 (2009).

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