PRODUCT INFORMATION



CRT0066854

Item No. 28463

CAS Registry No.: 1438881-19-6

(2S)-3-phenyl-N1-[5,6,7,8-tetrahydro-Formal Name:

> 2-(4-pyridinyl)[1]benzothieno[2,3-d] pyrimidin-4-yl]-1,2-propanediamine

MF: $C_{24}H_{25}N_5S$ FW: 415.6 **Purity:** ≥98%

 λ_{max} : 227, 254, 288, 350 nm UV/Vis.:

Supplied as: A crystalline solid

Storage: Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

CRT0066854 is supplied as a crystalline solid. A stock solution may be made by dissolving the CRT0066854 in the solvent of choice, which should be purged with an inert gas. CRT0066854 is soluble in the organic solvent DMSO at a concentration of approximately 30 mg/ml.

Description

CRT0066854 is an inhibitor of PKC ι and PKC ι (IC $_{50}$ s = 132 and 639 nM, respectively). It also inhibits the Rho-associated kinase II (ROCK2) kinase domain ($IC_{50} = 620$ nM). It is selective for these atypical PKCs and ROCK2 over typical PKCs and 98 other kinases in a panel at 1 µM. CRT0066854 decreases phosphorylation of the atypical PKC substrate lethal giant larvae 2 (LLGL2) in HEK293 cells expressing PKC1 and LLGL2. It decreases viability of A549 lung carcinoma cells (IC₅₀ = 3.47 μ M) and decreases colony formation in HeLa cells by 65% when used at a concentration of 1 µM. CRT0066854 impairs lumen formation in MDCK cells in a Matrigel[™] assay and migration of NRK-49F cells in a wound assay.

Reference

1. Kjær, S., Linch, M., Purkiss, A., et al. Adenosine-binding motif mimicry and cellular effects of a thieno[2,3-d]pyrimidine-based chemical inhibitor of atypical protein kinase C isoenzymes. Biochem. J. 451(2), 329-342 (2013).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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