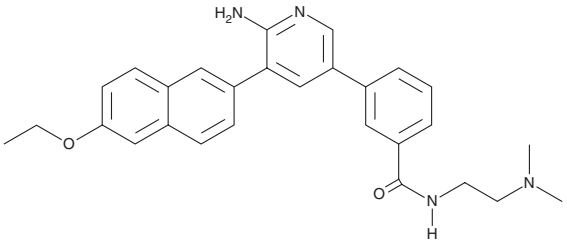
PRODUCT INFORMATION

CRT5

Item No. 18532

CAS Registry No.:	1034297-58-9
Formal Name:	3-[6-amino-5-(6-ethoxy-2-naphthalenyl)-3-pyridinyl]-N-[2-(dimethylamino)ethyl]-benzamide
Synonym:	CRT0066051
MF:	$C_{28}H_{30}N_4O_2$
FW:	454.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 234, 333 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

CRT5 is supplied as a crystalline solid. A stock solution may be made by dissolving the CRT5 in the solvent of choice, which should be purged with an inert gas. CRT5 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of CRT5 in these solvents is approximately 2.5 and 0.25 mg/ml, respectively.

CRT5 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CRT5 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. CRT5 has a solubility of approximately 0.33 in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Protein kinase D (PKD) is a serine/threonine protein kinase that is activated by diacylglycerol, commonly downstream of PKC signaling. The three human PKD isoforms target a variety of proteins to alter cell proliferation, survival, invasion, and protein transport. CRT5 is a pyrazine benzamide that prevents activation of all three isoforms of PKD in endothelial cells treated with VEGF (IC_{50}s = 1, 2, and 1.5 nM for PKD1, PKD2, and PKD3, respectively).[1] It has little effect on a panel of additional kinases when given at 1 µM. CRT5 blocks phosphorylation of PKD1 on Ser^{916} and PKD2 on Ser^{876}, but does not affect PKC-dependent PKD phosphorylation or PKD autophosphorylation.[1] It also decreases VEGF-induced endothelial migration, proliferation, and tubulogenesis.[1]

Reference

1. Evans, I.M., Bagherzadeh, A., Charles, M., *et al.* Characterization of the biological effects of a novel protein kinase D inhibitor in endothelial cells. *Biochem. J.* **429(3)**, 565-572 (2010).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM