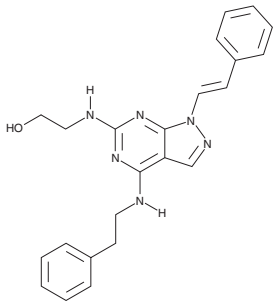
PRODUCT INFORMATION

SI-113

Item No. 37384

CAS Registry No.:	1392816-46-4
Formal Name:	2-[[1-(2-phenylethenyl)-4-[(2-phenylethyl)amino]-1H-pyrazolo[3,4-d] pyrimidin-6-yl]amino]-ethanol
MF:	$C_{23}H_{24}N_6O$
FW:	400.5
Purity:	≥95%
UV/Vis.:	λ_{max}: 233, 270, 315 nm
Supplied as:	A 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

SI-113 is supplied as a solid. A stock solution may be made by dissolving the SI-113 in the solvent of choice, which should be purged with an inert gas. SI-113 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of SI-113 in ethanol and DMF is approximately 30 mg/ml and approximately 15 mg/ml in DMSO.

Description

SI-113 is a serum/glucocorticoid-regulated kinase 1 (SGK1) inhibitor (IC_{50} = 600 nM).[1] It is selective for SGK1 over c-Src and Abl kinases at 12.5 µM.[2] SI-113 (12.5 µM) is cytotoxic to MCF-7 breast cancer, A172 glioblastoma multiforme, and RKO colorectal adenocarcinoma cell lines. *In vivo*, SI-113, in combination with paclitaxel, reduces tumor volume, tumor weight, and SGK1 protein levels in a patient-derived xenograft (PDX) mouse model of ovarian cancer when administered at a dose of 9.3 mg/kg.[4] SI-113 (100 µg/ml) is also active against *S. aureus* and *E. coli*.[3]

References

1. Greco, C., Taresco, V., Pearce, A.K., *et al.* Development of pyrazolo[3,4-*d*]pyrimidine kinase inhibitors as potential clinical candidates for glioblastoma multiforme. *ACS Med. Chem. Lett.* **11(5)**, 657-663 (2020).
2. D'Antona, L., Amato, R., Talarico, C., *et al.* SI113, a specific inhibitor of the Sgk1 kinase activity that counteracts cancer cell proliferation. *Cell. Physiol. Biochem.* **35(5)**, 2006-2018 (2015).
3. Greco, C., Catania, R., Balcco, D.L., *et al.* Synthesis and antibacterial evaluation of new pyrazolo[3,4-*d*] pyrimidines kinase inhibitors. *Molecules* **25(22)**, 5354 (2020).
4. D'Antona, L., Dattilo, V., Catalogna, G., *et al.* In preclinical model of ovarian cancer, the SGK1 inhibitor SI113 counteracts the development of paclitaxel resistance and restores drug sensitivity. *Transl. Oncol.* **12(8)**, 1045-1055 (2019).

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