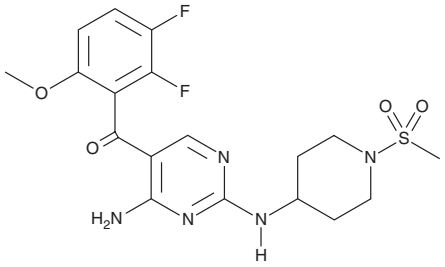
PRODUCT INFORMATION

R547

Item No. 17905

CAS Registry No.:	741713-40-6
Formal Name:	[4-amino-2-[[1-(methylsulfonyl)-4-piperidinyl]amino]-5-pyrimidinyl](2,3-difluoro-6-methoxyphenyl)-methanone
Synonym:	Ro 4584820
MF:	$C_{18}H_{21}F_2N_5O_4S$
FW:	441.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 221, 277, 316 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

R547 is supplied as a crystalline solid. A stock solution may be made by dissolving the R547 in the solvent of choice, which should be purged with an inert gas. R547 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of R547 in these solvents is approximately 15 mg/ml.

R547 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, R547 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. R547 has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Cyclin-dependent kinases (Cdks) are critical positive regulators of cell cycle progression and cellular transcription whose dysregulation can lead to the development of cancer. R547 is a diaminopyrimidine compound that inhibits Cdk1/cyclin B, Cdk2/cyclin E, and Cdk4/cyclin D1 (K_is = 1-3 nM).[1] It is inactive against a panel of more than 120 unrelated kinases (K_is > 5 µM).[1] R547 inhibits tumor cell proliferation (IC_{50}s ≤ 0.6 µM *in vitro*), inducing cell cycle arrest at G_1 and G_2 phases and apoptosis as well as reducing phosphorylation of the retinoblastoma protein.[1] It also demonstrates antitumor activity *in vivo* in various human tumor xenograft models.[1]

Reference

1. DePinto, W., Chu, X.-J., Yin, X., *et al.* *In vitro* and *in vivo* activity of R547: A potent and selective cyclin-dependent kinase inhibitor currently in phase I clinical trials. *Mol. Cancer Ther.* **5(11)**, 2644-2658 (2006).

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