

PRODUCT INFORMATION

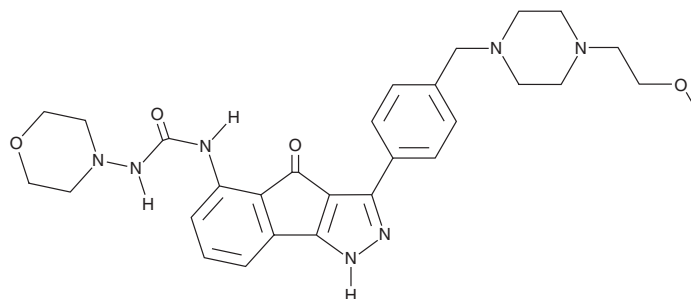


RGB-286638

Item No. 29499

CAS Registry No.: 784210-88-4
Formal Name: N-[1,4-dihydro-3-[4-[[4-(2-methoxyethyl)-1-piperazinyl]methyl]phenyl]-4-oxoindeno[1,2-c]pyrazol-5-yl]-N'-4-morpholinyl-urea

MF: C₂₉H₃₅N₇O₄
FW: 545.6
Purity: ≥98%
UV/Vis.: λ_{max}: 234, 274, 367 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

RGB-286638 is supplied as a crystalline solid. A stock solution may be made by dissolving the RGB-286638 in the solvent of choice, which should be purged with an inert gas. RGB-286638 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of RGB-286638 in these solvents is approximately 10 and 3 mg/ml, respectively. RGB-286638 is also slightly soluble in ethanol.

RGB-286638 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, RGB-286638 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. RGB-286638 has a solubility of approximately 0.05 mg/ml in a 1:20 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

RGB-286638 is a multi-kinase inhibitor.¹ It inhibits a variety of kinases, including cyclin-dependent kinase 1 (CDK1), CDK2-7 and CDK9, as well as the tyrosine kinases FMS, JAK2, and c-Src and the serine/threonine kinases GSK3β, TAK1, JNK1A1, JNK1A2, AMPK, and MEK1 (IC₅₀s = 1-55 nM). RGB-286638 inhibits proliferation of multiple myeloma cancer cell lines endogenously expressing mutant and wild-type p53 (EC₅₀s = 20-70 nM), as well as patient-derived multiple myeloma cells when used at concentrations of 50 and 100 nM. It induces G₁/S and G₂/M cell cycle arrest and apoptosis of MM.1S human multiple myeloma cells when used at a concentration of 50 nM. RGB-286638 decreases tumor growth in an MM.1S mouse xenograft model when administered at doses of 30 and 40 mg/kg per day for 14 days.

Reference

1. Cirstea, D., Hideshima, T., Santo, L., *et al.* Small-molecule multi-targeted kinase inhibitor RGB-286638 triggers P53-dependent and -independent anti-multiple myeloma activity through inhibition of transcriptional CDKs. *Leukemia* **27**(12), 2366-2375 (2013).

WARNING

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

SAFETY DATA

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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