

PRODUCT INFORMATION

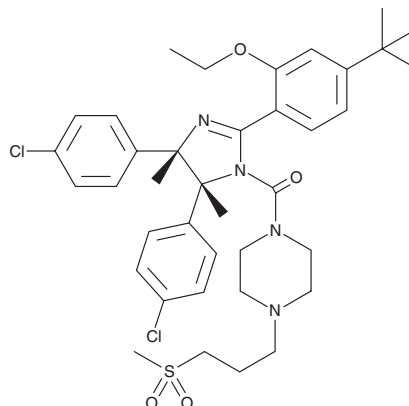


RG-7112

Item No. 25673

CAS Registry No.: 939981-39-2
Formal Name: [(4S,5R)-4,5-bis(4-chlorophenyl)-2-[4-(1,1-dimethylethyl)-2-ethoxyphenyl]-4,5-dihydro-4,5-dimethyl-1H-imidazol-1-yl][4-[3-(methylsulfonyl)propyl]-1-piperazinyl]-methanone

Synonym: RO5045337
MF: C₃₈H₄₈Cl₂N₄O₄S
FW: 727.8
Purity: ≥98%
UV/Vis.: λ_{max}: 214, 251 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

RG-7112 is supplied as a crystalline solid. A stock solution may be made by dissolving the RG-7112 in the solvent of choice. RG-7112 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of RG-7112 in these solvents is approximately 20, 12.5, and 25 mg/ml, respectively.

Description

RG-7112 is an inhibitor of mouse double-minute 2 protein (MDM2; IC₅₀ = 0.018 μM), an E3 ubiquitin ligase that ubiquitinates the tumor suppressor p53 and also acts as a negative regulator of p53 transcriptional activity.¹ RG-7112 binds to the p53 binding pocket of MDM2. It increases the levels of p53 and its transcriptional targets in SJS-1 osteosarcoma cells.^{1,2} It inhibits proliferation in cancer cell lines expressing wild-type p53 (IC₅₀s = 0.18-2.2 μM) and cell lines expressing mutant p53 (IC₅₀s = 5.7-20.3 μM). RG-7112 also prevents and reduces tumor growth in an SJS-1 mouse xenograft model when administered at doses of 50 and 100 mg/kg per day, respectively.² However, it inhibits thrombopoiesis *in vivo*, decreasing platelet counts in rats when administered at doses of 50 and 100 mg/kg and in cynomolgus monkeys at doses of 10 and 20 mg/kg.³

References

1. Vu, B., Wovkulich, P., Pizzolato, G., *et al.* Discovery of RG7112: A small-molecule MDM2 inhibitor in clinical development. *ACS Med. Chem. Lett.* **4**(5), 466-469 (2013).
2. Tovar, C., Graves, B., Packman, K., *et al.* MDM2 small-molecule antagonist RG7112 activates p53 signaling and regresses human tumors in preclinical cancer models. *Cancer Res.* **73**(8), 2587-2597 (2013).
3. Iancu-Rubin, C., Mosoyan, G., Glenn, K., *et al.* Activation of p53 by the MDM2 inhibitor RG7112 impairs thrombopoiesis. *Exp. Hematol.* **42**(2), 137-145 (2014).

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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