

Small molecule AG-205 inhibits Pgrmc1 (Progesterone Receptor Membrane Component 1), a heme-1 domain protein that promotes tumorigenesis.

IDNUMBER **ST050150**

Formula: C22H23ClN6CS

MW: 454.98

IUPACNAME: [5-({[1-(4-chlorophenyl)-1H-tetrazol-5-yl]sulfanyl}acetyl)-2,8-

dimethyl-2,3,4,4a,5,9b-hexahydro-1H-pyrido[4,3-b]indole]

Smiles: n1(c(nnn1)SCC(N1C2C(CN(CC2)C)c2c1ccc(C)c2)=O)c1ccc(cc1)Cl

[Contact us to order](#) . Prices are **0.1mg/\$58.00**, **0.5mg/\$79.00**, **1mg/\$90.00** plus shipping.

Reference:

Ahmed IS., et.al. Progesterone Receptor Membrane Component 1 (Pgrmc1): A Heme-1 Domain Protein That Promotes Tumorigenesis and Is Inhibited by a Small Molecule. *J Pharmacol Exp Ther.* May 2010 333, p564-573

Abstract

Tumorigenesis requires the concerted action of multiple pathways, including pathways that stimulate proliferation and increase metabolism. Progesterone receptor membrane component 1 (Pgrmc1) is related to cytochrome b5, binds to heme, and is associated with DNA damage resistance and apoptotic suppression. Pgrmc1 is induced by carcinogens, including dioxin, and is up-regulated in multiple types of cancer. In the present study, we found that Pgrmc1 increased *in vivo* tumor growth, anchorage-independent growth, and migration. Pgrmc1 also promoted proliferation in the absence of serum in A549 non-small cell lung cancer cells but enhanced proliferation regardless of serum concentration in MDA-MB-468 breast cancer cells. Pgrmc1 promotes cholesterol synthesis and binds to Insig (insulin-induced gene), Scap (sterol regulatory element binding protein cleavage activating protein), and P450 proteins, but Pgrmc1 did not affect cholesterol synthesis in lung cancer cells. Pgrmc1 is also associated with progesterone signaling and plasminogen activator inhibitor (PAI1) RNA binding protein, but neither progesterone activity nor PAI1 transcript levels were altered in Pgrmc1-knockdown lung cancer cells. Pgrmc1 homologues bind to aryl ligands identified in an *in silico* screen, and we have found that a Pgrmc1 ligand induced cell death in a Pgrmc1-specific manner in multiple breast and lung tumor cell lines. Our data support a role for Pgrmc1 in promoting cancer-associated phenotypes and provide a therapeutic approach for targeting Pgrmc1 with a small molecule in lung and breast cancer.

ST050150, Ag-205, was acquired from TimTec. Please view structurally similar molecules available for purchase.

[Download AG-205 analog structures](#)

Progesterone Receptor Membrane Component 1, ST050150, Analogs:

ST006253

C₁₆H₁₄ClN₅OS

359.84

ST019077

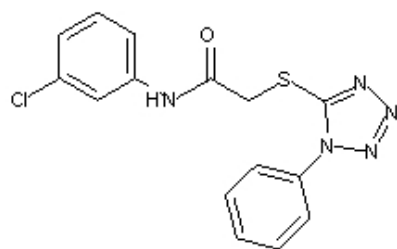
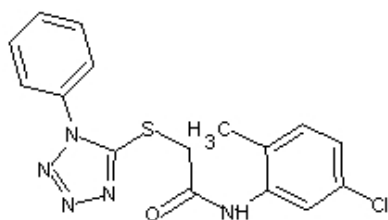
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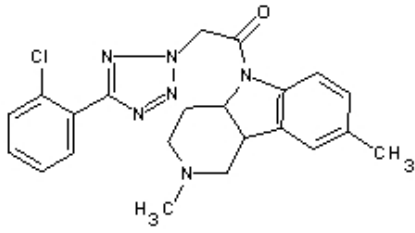
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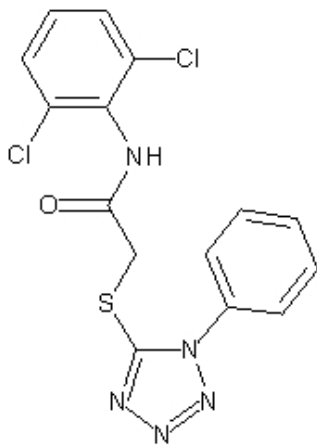
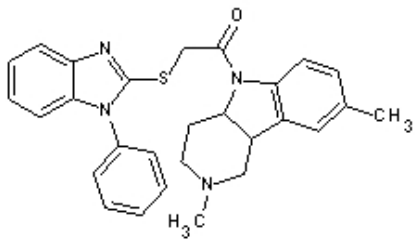
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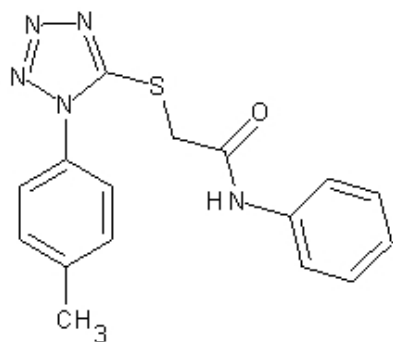
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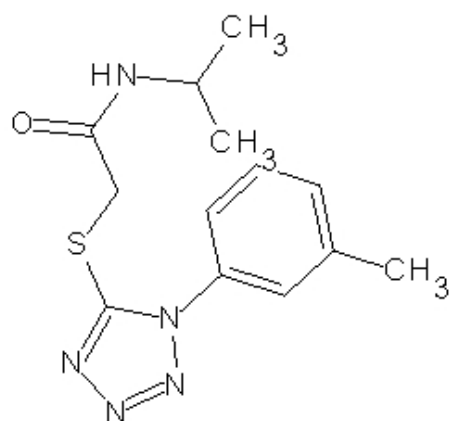
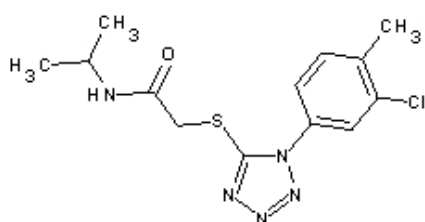
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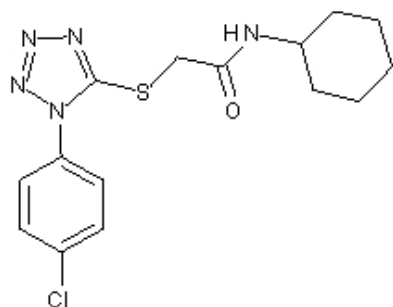




~~AG-205, Pgrmc1 Inhibitor~~

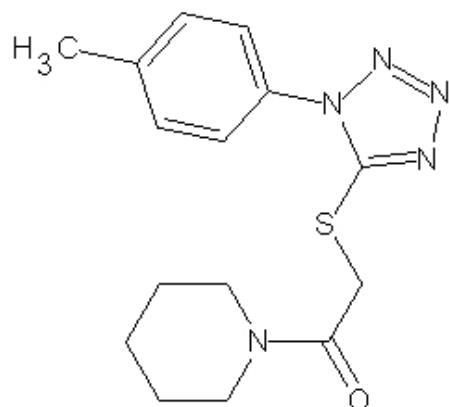
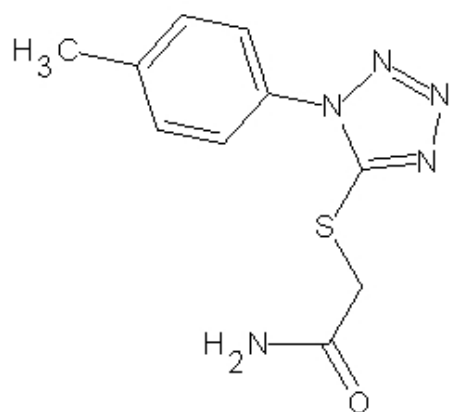
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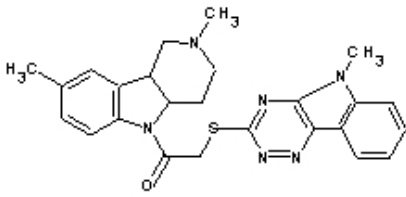




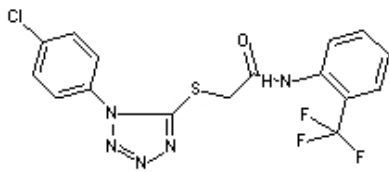
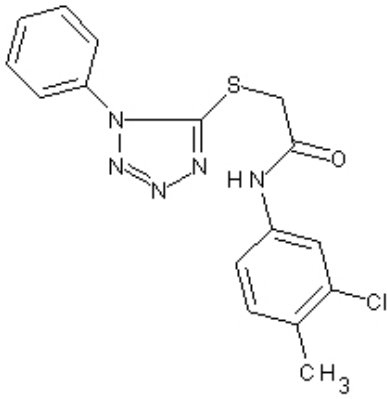
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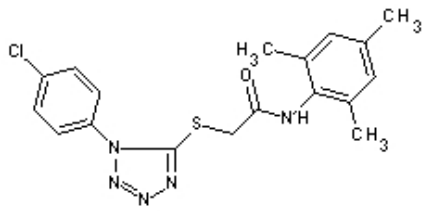
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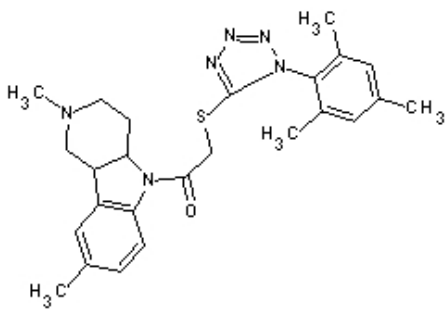
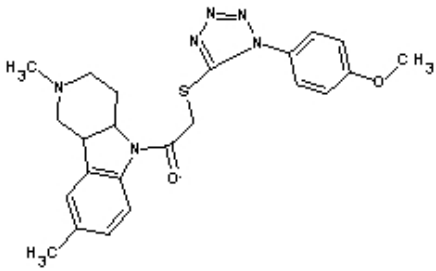
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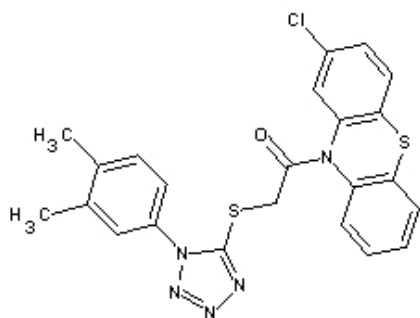




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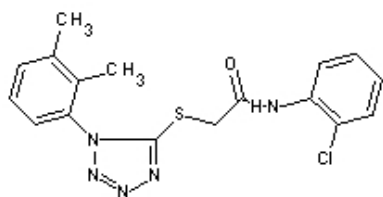
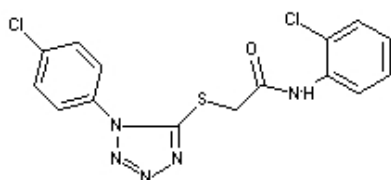
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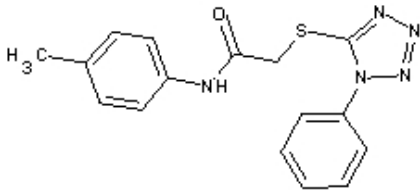




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ST1001872





~~CONFIDENTIAL~~ ST50600017

