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产品名称:

N-(4-Methyl-2-thiazolyl)-2-[(6-phenyl-3-pyridazinyl)thio]-acetamide

产品别名: **VU 0240551**

生物活性:

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Description	VU 0240551 is a small molecule inhibitor of the neuronal K-Cl cotransporter, KCC2 (IC50 = 560 nM for K+ uptake assay in KCC2-overexpressing cells). IC50 value: 560 nM [1] Target: K-Cl cotransporter(KCC2) VU 0240551 exhibits selectivity over the Na-K-2Cl cotransporter, NKCC1. VU 0240551 also inhibits hERG and L-type Ca2+ channels [1]. Herein we report the discovery and SAR of an improved novel antagonist (VU0463271) of the neuronal-specific potassium-chloride cotransporter 2 (KCC2), with an IC(50) of 61 nM and >100-fold selectivity versus the closely related Na-K-2Cl cotransporter 1 (NKCC1) and no activity in a larger panel of GPCRs, ion channels and transporters [2].	
Solvent&Solubility	In Vitro: DMSO : ≥ 50 mg/mL (146.01 mM) H2O : < 0.1 mg/mL (insoluble) * "≥" means soluble, but saturation unknown.	
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	盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (7.30 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.30 mM, 饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Delpire E, et al. Small-molecule screen identifies inhibitors of the neuronal K-Cl cotransporter KCC2. Proc Natl Acad Sci U S A. 2009 Mar 31;106(13):5383-8. [2]. Delpire E, et al. Further optimization of the K-Cl cotransporter KCC2 antagonist ML077: development of a highly selective and more potent in vitro probe. Bioorg Med Chem Lett. 2012 Jul 15;22(14):4532-5.

源叶生物