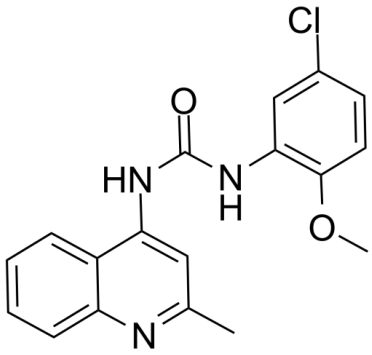
Data Sheet

Product Name:	PQ401
Cat. No.:	CS-1386
CAS No.:	196868-63-0
Molecular Formula:	C18H16ClN3O2
Molecular Weight:	341.79
Target:	Apoptosis; IGF-1R
Pathway:	Apoptosis; Protein Tyrosine Kinase/RTK
Solubility:	DMSO : 14.29 mg/mL (41.81 mM; Need ultrasonic); H2O : < 0.1 mg/mL (insoluble)



BIOLOGICAL ACTIVITY:

PQ401, a selective insulin-like growth factor-1 receptor blocker, is a novel diarylurea compound that inhibits IGF1R autophosphorylation with IC50 < 1 uM. IC50 Value: 12 uM (inhibited autophosphorylation of the IGF-IR in cultured human MCF-7 cells) [1] Target: IGF1R in vitro: PQ401 inhibited autophosphorylation of the IGF-IR in cultured human MCF-7 cells with an IC50 of 12 micromol/L and autophosphorylation of the isolated kinase domain of the IGF-IR with an IC50 <1 micromol/L. In addition,PQ401 inhibited the growth of cultured breast cancer cells in serum at 10 micromol/L. PQ401 was even more effective at inhibiting IGF-I-stimulated growth of MCF-7 cells (IC50, 6 micromol/L) [1]. Twenty-four hours of treatment with 15 micromol/L PQ401 induced caspase-mediated apoptosis. Pretreatment with PQ401 before IGF-1 (10 ng in 0.5 µl), both administered to the POA 30 min apart, showed significant attenuation of the IGF-1-induced increase in core body temperature ($p < 0.05$). A similar attenuated hyperthermic response to IGF-1 by PQ401 pretreatment is observed when the temperature of the BAT is measured [3]. in vivo: IGF1R inhibition by PQ401 exerted no significant effects on diabetic kidney disease parameters, arguing against a role for IGF-I in the pathogenesis of diabetic kidney disease. However, PQ401 affects normal kidneys, inducing renal hypertrophy as well as collagen and fat accumulation, with increased renal IGF-I mRNA, suggestive of a damage-regeneration process [2]. Clinical trial: No Development Reported

References:

[1]. Gable KL, Maddux BA, Penaranda C, Diarylureas are small-molecule inhibitors of insulin-like growth factor I receptor signaling and breast cancer cell growth. Mol Cancer Ther. 2006 Apr;5(4):1079-86.

[2]. Troib A, Landau D, Youngren JF, The effects of type 1 IGF receptor inhibition in a mouse model of diabetic kidney disease. Growth Horm IGF Res. 2011 Oct;21(5):285-91.

[3]. Sanchez-Alavez M, Osborn O, Tabarean IV, Insulin-like growth factor 1-mediated hyperthermia involves anterior hypothalamic insulin receptors. J Biol Chem. 2011 Apr 29;286(17):14983-90.

[4]. Youssif C, et al. Myeloid p38α signaling promotes intestinal IGF-1 production and inflammation-associated tumorigenesis. EMBO Mol Med. 2018 Jul;10(7). pii: e8403.

CAIndexNames:

Urea, N-(5-chloro-2-methoxyphenyl)-N'-(2-methyl-4-quinolinyl)-

SMILES:

O=C(NC1=CC(C)=NC2=CC=CC=C12)NC3=C(OC)C=CC(Cl)=C3

Caution: Product has not been fully validated for medical applications. For research use only.

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