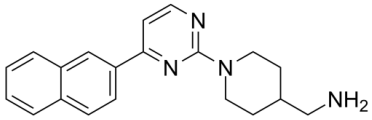
Data Sheet

Product Name:	WAY-262611
Cat. No.:	CS-3286
CAS No.:	1123231-07-1
Molecular Formula:	C20H22N4
Molecular Weight:	318.42
Target:	β-catenin
Pathway:	Stem Cell/Wnt
Solubility:	DMSO : ≥ 42 mg/mL (131.90 mM)



BIOLOGICAL ACTIVITY:

WAY-262611 is a wingless **β-Catenin** agonist that increases bone formation rate with an **EC_{50}** of 0.63 μM in TCF-Luciferase assay. IC50 & Target: EC50: 0.63 μM (β-Catenin)[1] **In Vitro:** WAY-262611 has the most potent activity in the primary assay, low kinase inhibition potential, and high solubility[1]. **In Vivo:** WAY-262611 has excellent pharmacokinetic properties and shows a dose dependent increase in the trabecular bone formation rate in ovariectomized rats following oral administration. Calvariae from wt mice treated with WAY-262611 shows statistically increased BFR, while similarly treated KO animals are no different from control. This indicates that WAY-262611 is acting via the Wnt β-catenin pathway and most likely through inhibition of Dkk-1[1].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: [1]Rats: WAY-262611 is dissolved in DMSO and diluted with saline for iv (Rats). WAY-262611 is prepared in 0.5% methylcellulose/2% Tween-80 for po OVX rats14 are treated orally with 5 (po, vehicle=0.5% methylcellulose/2% Tween-80, qd, 28 days) at four doses. Trabecular bone formation rate (BFR) in the tibia is established in all dose groups at the end of the in-life portion of the study. A clear dose response and activity as low as 0.3 mg/kg/day are observed[1].

Mice: To confirm activity via the Wnt pathway, the calvariae of wild type (wt) and Dkk-1 knockout (KO) mice are treated with 5 once a day for 7 days (DMSO solution, sc injection). The KO animals are not expected to respond because of the inherent inability to inhibit a missing target protein, while wild type animals with fully expressed Dkk-1 are expected to show a pharmacological response [1].

References:

[1]. Pelletier JC, et al. (1-(4-(Naphthalen-2-yl)pyrimidin-2-yl)piperidin-4-yl)methanamine: a wingless beta-catenin agonist that increases bone formation rate. J Med Chem. 2009 Nov 26;52(22):6962-5.

CAIndexNames:

4-Piperidinemethanamine, 1-[4-(2-naphthalenyl)-2-pyrimidinyl]-

SMILES:

NCC1CCN(C2=NC=CC(C3=CC=C4C=CC=CC4=C3)=N2)CC1

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

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