This invention describes a unique chemical scaffold that exhibits the most potent Porcupine (Porcn) antagonistic activity reported to date. Porcn is an acyltransferase that immobilizes a palmitoleic acid molecule onto all 19 Wnt signaling proteins found in humans. Loss of Wnt lipidation results in entrapment of nascent Wnt proteins in the endoplasmic reticulum thus preventing them reaching their receptors found on the cell surface of Wnt responsive cells.

Wnt signaling is important in adult tissue homeostasis and is frequently exploited in cancer. In some tissues, excessive Wnt signaling in response to injury results in suboptimal repair with the deposition of fibrotic tissue (scar tissue) in place of normal tissue. Unpublished results in animal models indicate that these novel Porcn inhibitors may have broad utility in improving wound repair in various tissue types.

Porcn inhibitors have been shown to be well-tolerated in animals and humans thus potentially affording a large therapeutic window in the management of cancer and traumatic injuries.

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